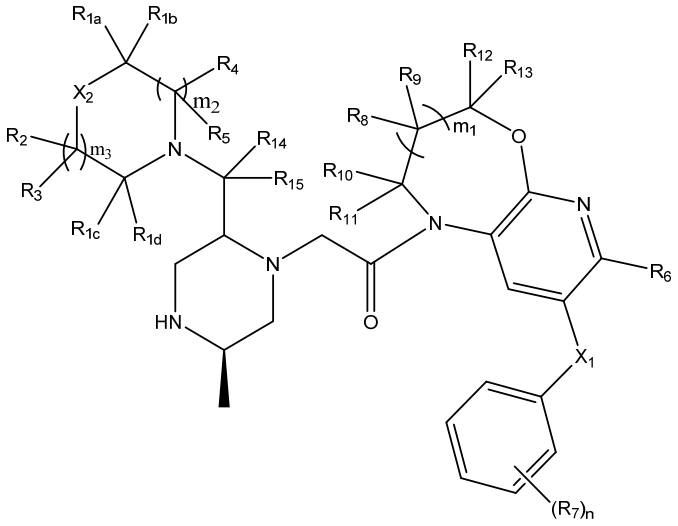
What is claimed is:

1. A compound of Formula (**I**):



(I)

or a pharmaceutically acceptable salt thereof, or a stereoisomer, a tautomer or a prodrug thereof, wherein

$\mathbf{X_1}$ and $\mathbf{X_2}$ are each independently -O-, -S-, -N$\mathbf{R^a}$-, or -C$\mathbf{R^aR^b}$-;

m_1, m_2, and m_3 are each independently 0, 1 or 2;

$\mathbf{R_{1a}}$, $\mathbf{R_{1b}}$, $\mathbf{R_{1c}}$, $\mathbf{R_{1d}}$, and $\mathbf{R_7}$, which may be the same or different, are each independently hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^a}$, -S$\mathbf{R^a}$, -$SO_2\mathbf{R^a}$, -CO$\mathbf{R^a}$, -$CO_2\mathbf{R^a}$, -CON$\mathbf{R^aR^b}$, -C(=N$\mathbf{R^a}$)N$\mathbf{R^bR^c}$, -N$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO$\mathbf{R^b}$, -N$\mathbf{R^a}$CON$\mathbf{R^bR^c}$, -N$\mathbf{R^a}$$CO_2\mathbf{R^b}$, -N$\mathbf{R^a}SON\mathbf{R^bR^c}$, -N$\mathbf{R^a}$$SO_2N\mathbf{R^bR^c}$, -$SO_2N\mathbf{R^aR^b}$, or –N$\mathbf{R^a}$$SO_2\mathbf{R^b}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, -$C_{3-8}$cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$;

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

$\mathbf{R_3}$ and $\mathbf{R_5}$ are each independently hydrogen, halogen, -C_{3-8}cycloalkyl, or -C_{1-8}alkyl, said -C_{1-8}alkyl or -C_{3-8}cycloalkyl is optionally substituted with at least one halogen or -O$\mathbf{R^a}$;

$\mathbf{R_2}$ and $\mathbf{R_4}$ are each independently hydrogen or -C_{1-8}alkyl; or

$\mathbf{R_4}$ and $\mathbf{R_5}$ together with the same carbon atom to which they are attached form a spiro 3-to 5-membered carbon ring; or

$\mathbf{R_2}$ at one of its occurrences and $\mathbf{R_4}$ at one of its occurrences together form a bridge comprising zero, one or two -CH_2- moieties in the bridge; or

or $\mathbf{R_{1b}}$ and $\mathbf{R_4}$ at one of its occurrences together form a 3- to 6-membered carbon ring;

or $\mathbf{R_{1d}}$ and $\mathbf{R_4}$ at one of its occurrences together form a bridge comprising one or two -CH_2- moieties in the bridge;

$\mathbf{R_6}$ is hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^a}$, -S$\mathbf{R^a}$, -$SO_2\mathbf{R^a}$, -CO$\mathbf{R^a}$, -$CO_2\mathbf{R^a}$, -CON$\mathbf{R^aR^b}$, -C(=N$\mathbf{R^a}$)N$\mathbf{R^bR^c}$, -N$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO$\mathbf{R^b}$, -N$\mathbf{R^a}$CON$\mathbf{R^bR^c}$, -N$\mathbf{R^a}CO_2\mathbf{R^b}$, -N$\mathbf{R^a}SON\mathbf{R^bR^c}$, -N$\mathbf{R^a}SO_2N\mathbf{R^bR^c}$, -$SO_2N\mathbf{R^aR^b}$, or –N$\mathbf{R^a}SO_2\mathbf{R^b}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, -$C_{3-8}$cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$;

$\mathbf{R_8}$ and $\mathbf{R_9}$ are each independently hydrogen, -C_{1-8}alkyl, C_{1-8}alkoxy-C_{1-8}alkyl-, -C_{2-8}alkenyl, -C_{2-8}alkynyl or C_{3-8}cycloalkyl;

$\mathbf{R_{10}}$ and $\mathbf{R_{11}}$ are each independently hydrogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^a}$, -S$\mathbf{R^a}$, -$SO_2\mathbf{R^a}$, -CO$\mathbf{R^a}$, -$CO_2\mathbf{R^a}$, -CON$\mathbf{R^aR^b}$, -C(=N$\mathbf{R^a}$)N$\mathbf{R^bR^c}$, -N$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO$\mathbf{R^b}$, -N$\mathbf{R^a}$CON$\mathbf{R^bR^c}$, -N$\mathbf{R^a}CO_2\mathbf{R^b}$, -N$\mathbf{R^a}SON\mathbf{R^bR^c}$, -N$\mathbf{R^a}SO_2N\mathbf{R^bR^c}$, -$SO_2N\mathbf{R^aR^b}$, or –N$\mathbf{R^a}SO_2\mathbf{R^b}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, -$C_{3-8}$cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$; or

$\mathbf{R_{10}}$ and $\mathbf{R_{11}}$ together form a spiro 3-to 5-membered carbon ring optionally substituted with 1-3 $\mathbf{R^d}$;

$\mathbf{R_{12}}$ and $\mathbf{R_{13}}$ are each independently hydrogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^a}$, -S$\mathbf{R^a}$, -$SO_2\mathbf{R^a}$, -CO$\mathbf{R^a}$, -$CO_2\mathbf{R^a}$, -CON$\mathbf{R^aR^b}$, -C(=N$\mathbf{R^a}$)N$\mathbf{R^bR^c}$, -N$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO$\mathbf{R^b}$, -N$\mathbf{R^a}$CON$\mathbf{R^bR^c}$, -N$\mathbf{R^a}CO_2\mathbf{R^b}$, -N$\mathbf{R^a}SON\mathbf{R^bR^c}$, -N$\mathbf{R^a}SO_2N\mathbf{R^bR^c}$, -$SO_2N\mathbf{R^aR^b}$, or –N$\mathbf{R^a}SO_2\mathbf{R^b}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$; or

$\mathbf{R_{12}}$ and $\mathbf{R_{13}}$ together form a spiro 3-to 5-membered carbon ring optionally substituted with 1-3 $\mathbf{R^d}$;

$\mathbf{R_{14}}$ and $\mathbf{R_{15}}$ are each independently selected from hydrogen or -C_{1-8}alkyl;

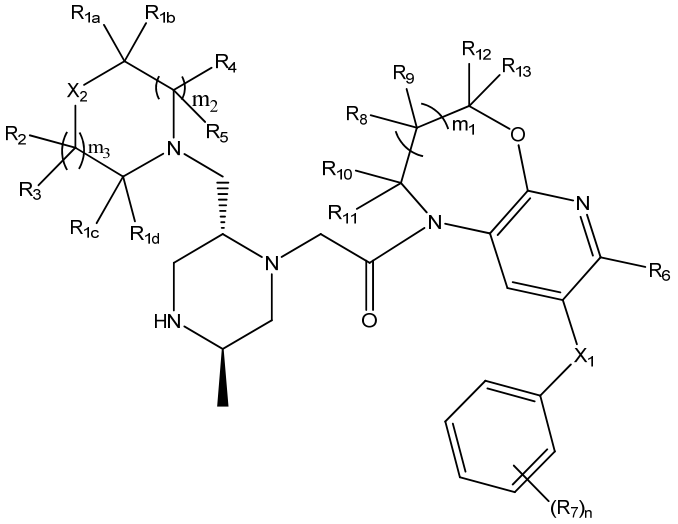
each $\mathbf{R^a}$, $\mathbf{R^b}$, and $\mathbf{R^c}$ are independently hydrogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl; each of said -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$; or

($\mathbf{R^a}$ and $\mathbf{R^b}$), ($\mathbf{R^a}$ and $\mathbf{R^c}$) or ($\mathbf{R^b}$ and $\mathbf{R^c}$), together with the atom(s) to which they are attached, form a 3- to 8-member ring, said ring comprising 0, 1 or 2 additional heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as ring member(s), said ring is optionally substituted with 1-3 $\mathbf{R^d}$;

$\mathbf{R^d}$, at each of its occurrences, is independently hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^e}$, -S$\mathbf{R^a}$, -$SO_2\mathbf{R^e}$, -SO_2N$\mathbf{R^eR^f}$, -CO$\mathbf{R^e}$, -$CO_2\mathbf{R^e}$, -CON$\mathbf{R^eR^f}$, -C(=N$\mathbf{R^e}$)N$\mathbf{R^fR^g}$, -N$\mathbf{R^eR^f}$, -N$\mathbf{R^e}$CO$\mathbf{R^f}$, -N$\mathbf{R^e}$CON$\mathbf{R^fR^g}$, -N$\mathbf{R^e}CO_2\mathbf{R^f}$, -N$\mathbf{R^e}SON\mathbf{R^fR^g}$, -N$\mathbf{R^e}SO_2N\mathbf{R^fR^g}$, or –N$\mathbf{R^e}SO_2\mathbf{R^f}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, -$C_{3-8}$cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with at least one substituent selected from halogen, -C_{1-8}alkyl, -O$\mathbf{R^h}$, -N$\mathbf{R^hR^i}$, cycloalkyl, heterocyclyl, aryl, or heteroaryl; and

$\mathbf{R^e}$, $\mathbf{R^f}$, $\mathbf{R^g}$, $\mathbf{R^h}$, and $\mathbf{R^i}$ are each independently hydrogen, -C_{1-8}alkyl, C_{1-8}alkoxy-C_{1-8}alkyl-, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, aryl-C_{1-8}alkyl- or heteroaryl.

2. A compound of Formula (**II**):



(**II**)

or a pharmaceutically acceptable salt thereof, or a stereoisomer, a tautomer or a prodrug thereof, wherein

$\mathbf{X_1}$ and $\mathbf{X_2}$ are each independently -O-, -S-, -N$\mathbf{R^a}$-, or -C$\mathbf{R^aR^b}$-;

m_1, m_2, and m_3 are each independently 0, 1 or 2;

$\mathbf{R_{1a}}$, $\mathbf{R_{1b}}$, $\mathbf{R_{1c}}$, $\mathbf{R_{1d}}$, and $\mathbf{R_7}$, which may be the same or different, are each independently hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^a}$, -S$\mathbf{R^a}$, -$SO_2\mathbf{R^a}$, -CO$\mathbf{R^a}$, -$CO_2\mathbf{R^a}$, -CON$\mathbf{R^aR^b}$, -C(=N$\mathbf{R^a}$)N$\mathbf{R^bR^c}$, -N$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO$\mathbf{R^b}$, -N$\mathbf{R^a}$CON$\mathbf{R^bR^c}$, -N$\mathbf{R^a}$$CO_2\mathbf{R^b}$, -N$\mathbf{R^a}SON\mathbf{R^bR^c}$, -N$\mathbf{R^a}$$SO_2N\mathbf{R^bR^c}$, -$SO_2N\mathbf{R^aR^b}$, or –N$\mathbf{R^a}$$SO_2\mathbf{R^b}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, -$C_{3-8}$cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$;

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

$\mathbf{R_3}$ and $\mathbf{R_5}$ are each independently hydrogen, halogen, -C_{3-8}cycloalkyl, or -C_{1-8}alkyl, said -C_{1-8}alkyl or -C_{3-8}cycloalkyl is optionally substituted with at least one halogen or -O$\mathbf{R^a}$;

$\mathbf{R_2}$ and $\mathbf{R_4}$ are each independently hydrogen or -C_{1-8}alkyl; or

$\mathbf{R_4}$ and $\mathbf{R_5}$ together with the same carbon atom to which they are attached form a spiro 3-to 5-membered carbon ring; or

$\mathbf{R_2}$ at one of its occurrences and $\mathbf{R_4}$ at one of its occurrences together form a bridge comprising zero, one or two -CH_2- moieties in the bridge; or

or $\mathbf{R_{1b}}$ and $\mathbf{R_4}$ at one of its occurrences together form a 3- to 6-membered carbon ring;

or $\mathbf{R_{1d}}$ and $\mathbf{R_4}$ at one of its occurrences together form a bridge comprising one or two -CH_2- moieties in the bridge;

R_6 is hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O**R**^{a}, -S**R**^{a}, -SO_2**R**^{a}, -CO**R**^{a}, -CO_2**R**^{a}, -CON**R**^{a}**R**^{b}, -C(=N**R**^{a})N**R**^{b}**R**^{c}, -N**R**^{a}**R**^{b}, -N**R**^{a}CO**R**^{b}, -N**R**^{a}CON**R**^{b}**R**^{c}, -N**R**^{a}CO_2**R**^{b}, -N**R**^{a}SON**R**^{b}**R**^{c}, -N**R**^{a}SO_2N**R**^{b}**R**^{c}, -SO_2N**R**^{a}**R**^{b}, or –N**R**^{a}SO_2**R**^{b}, each of said -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 **R**^{d};

R_8 and **R_9** are each independently hydrogen, -C_{1-8}alkyl, C_{1-8}alkoxy-C_{1-8}alkyl-, -C_{2-8}alkenyl, -C_{2-8}alkynyl or C_{3-8}cycloalkyl;

R_{10} and **R_{11}** are each independently hydrogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O**R**^{a}, -S**R**^{a}, -SO_2**R**^{a}, -CO**R**^{a}, -CO_2**R**^{a}, -CON**R**^{a}**R**^{b}, -C(=N**R**^{a})N**R**^{b}**R**^{c}, -N**R**^{a}**R**^{b}, -N**R**^{a}CO**R**^{b}, -N**R**^{a}CON**R**^{b}**R**^{c}, -N**R**^{a}CO_2**R**^{b}, -N**R**^{a}SON**R**^{b}**R**^{c}, -N**R**^{a}SO_2N**R**^{b}**R**^{c}, -SO_2N**R**^{a}**R**^{b}, or –N**R**^{a}SO_2**R**^{b}, each of said -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 **R**^{d}; or

R_{10} and **R_{11}** together form a spiro 3-to 5-membered carbon ring optionally substituted with 1-3 **R**^{d};

R_{12} and **R_{13}** are each independently hydrogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O**R**^{a}, -S**R**^{a}, -SO_2**R**^{a}, -CO**R**^{a}, -CO_2**R**^{a}, -CON**R**^{a}**R**^{b}, -C(=N**R**^{a})N**R**^{b}**R**^{c}, -N**R**^{a}**R**^{b}, -N**R**^{a}CO**R**^{b}, -N**R**^{a}CON**R**^{b}**R**^{c}, -N**R**^{a}CO_2**R**^{b}, -N**R**^{a}SON**R**^{b}**R**^{c}, -N**R**^{a}SO_2N**R**^{b}**R**^{c}, -SO_2N**R**^{a}**R**^{b}, or –N**R**^{a}SO_2**R**^{b}, each of said -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 **R**^{d}; or

R_{12} and **R_{13}** together form a spiro 3-to 5-membered carbon ring optionally substituted with 1-3 **R**^{d};

each **R**^{a}, **R**^{b}, and **R**^{c} are independently hydrogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl;; each of said -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 **R**^{d}; or

(**R**^{a} and **R**^{b}), (**R**^{a} and **R**^{c}) or (**R**^{b} and **R**^{c}), together with the atom(s) to which they are attached, form a 3- to 8-member ring, said ring comprising 0, 1 or 2 additional heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as ring member(s), said ring is optionally substituted with 1-3 **R**^{d};

R^d, at each of its occurrences, is independently hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O**R**^{e}, -S**R**^{a}, -SO_2**R**^{e}, -SO_2N**R**^{e}**R**^{f}, -CO**R**^{e}, -CO_2**R**^{e}, -CON**R**^{e}**R**^{f}, -C(=N**R**^{e})N**R**^{f}**R**^{g}, -N**R**^{e}**R**^{f}, -N**R**^{e}CO**R**^{f}, -N**R**^{e}CON**R**^{f}**R**^{g}, -N**R**^{e}CO_2**R**^{f}, -N**R**^{e}SON**R**^{f}**R**^{g}, -N**R**^{e}SO_2N**R**^{f}**R**^{g}, or –N**R**^{e}SO_2**R**^{f}, each of said -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with at least one substituent selected from halogen, -C_{1-8}alkyl, -O**R**^{h}, -N**R**^{h}**R**^{i}, cycloalkyl, heterocyclyl, aryl, or heteroaryl; and

$\mathbf{R^e}$, $\mathbf{R^f}$, $\mathbf{R^g}$, $\mathbf{R^h}$, and $\mathbf{R^i}$ are each independently hydrogen, -C_{1-8}alkyl, C_{1-8}alkoxy-C_{1-8}alkyl-, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, aryl-C_{1-8}alkyl- or heteroaryl.

3. The compound according to Claims 1 or 2, wherein $\mathbf{X_1}$ is -O-, -S-, -NH-, or -CH_2-~~.~~; preferably,

~~4. The compound according to Claim 3, wherein~~ $\mathbf{X_1}$ is -CH_2-.

~~5~~**4.** The compound according to Claims 1 or 2, wherein $\mathbf{X_2}$ is -O-, -S-, -CH_2- or -NR^a-; R^a is H or -C_{1-8}alkyl (methyl or ethyl)~~.~~

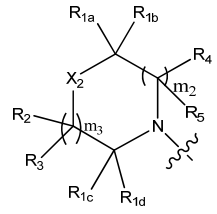
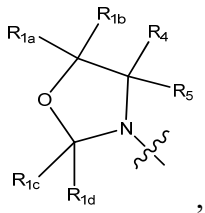
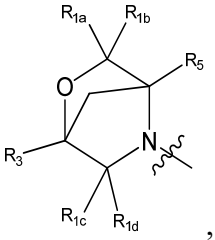
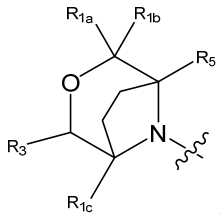
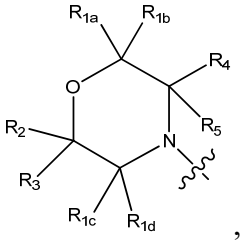
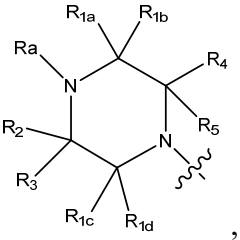
~~6. The compound according to Claim 5, wherein~~; preferably, $\mathbf{X_2}$ is -O- or -NR^a-; R^a is hydrogen or -C_{1-8}alkyl (methyl or ethyl).

~~7~~**5.** The compound according to Claims 1 or 2, wherein m_1 is 0~~.~~;

~~8. The compound according to Claims 1 or 2, wherein~~ m_2 is 1; and m_3 is 0 or 1.

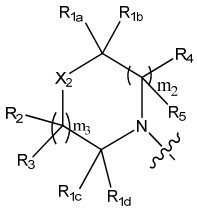
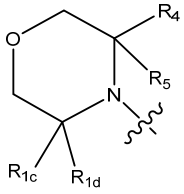
~~6~~**9.** The compound according to Claims 1 or 2, wherein $\mathbf{R_2}$, $\mathbf{R_3}$, $\mathbf{R_4}$, and $\mathbf{R_5}$ are each hydrogen, methyl or ethyl, -CH_2OH, -CH_2OCH_3, -$CH_2OC_2H_5$, -CHF_2, -$CH_2OCH(CH_3)_2$, cyclopropyl, or CF_3; or~~.~~

~~10. The compound according to Claims 1 or 2, wherein~~ $\mathbf{R_4}$ and $\mathbf{R_5}$ together with the same carbon atom to which they are attached form a spiro 3-to 5-membered carbon ring.

~~7~~**11.** The compound according to Claim 1 or 2, wherein  is , , , , or ,

Wherein R_{1a}, R_{1b}, R_{1c}, R_{1d}, R_2, R_3, R_4, R_5 and R_a are defined as for Formula (**I**)~~.~~

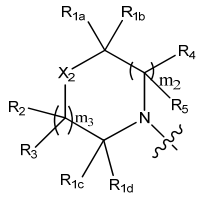
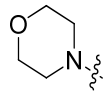
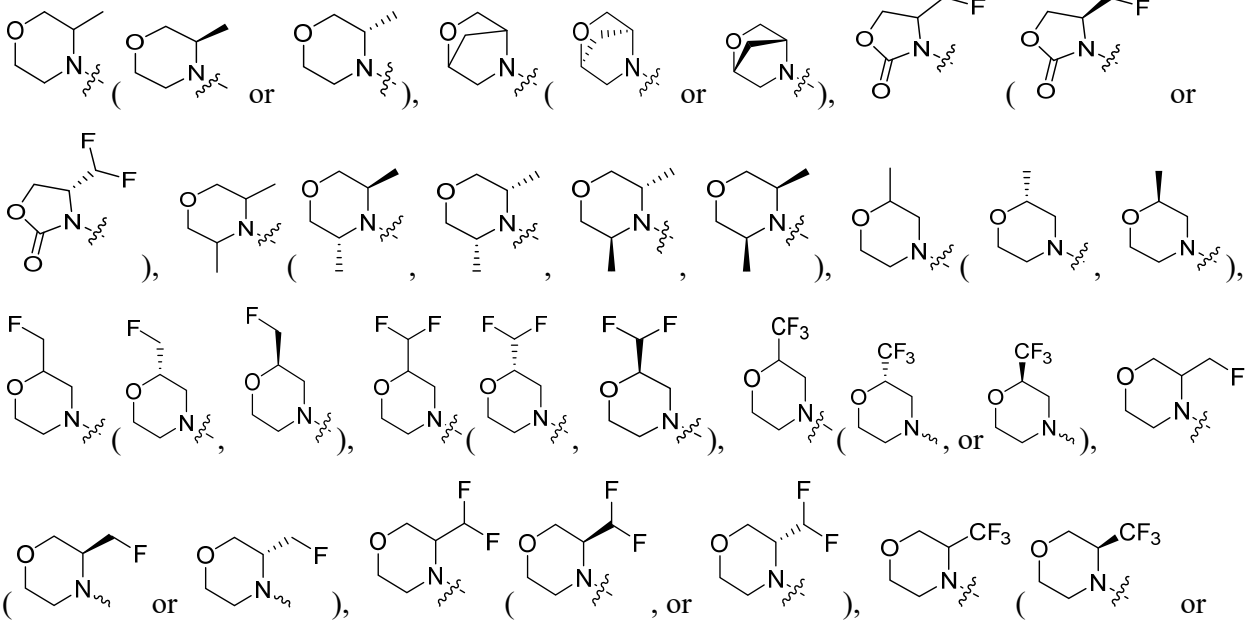
~~12. The compound according to Claim 11;~~,

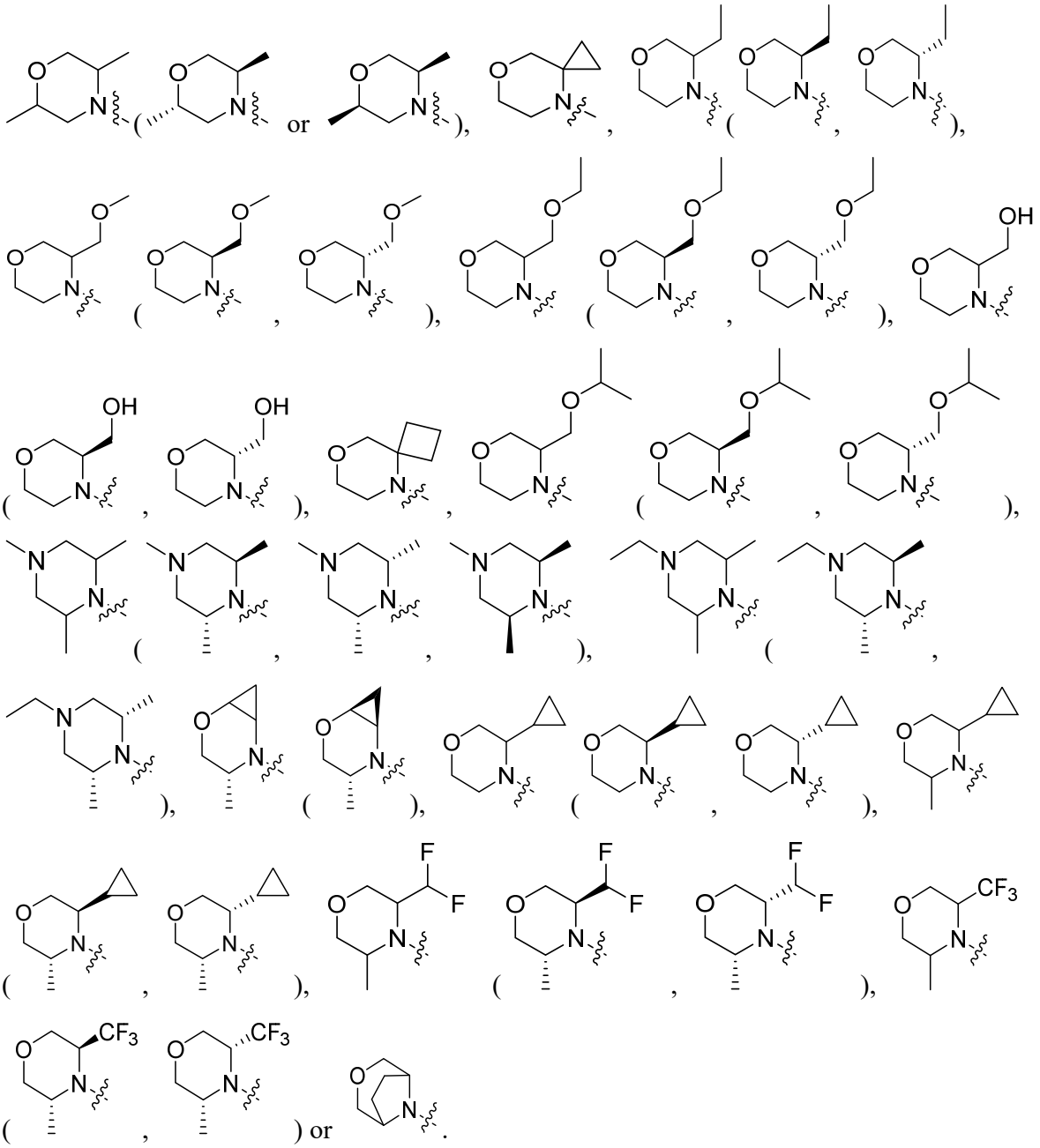
~~wherein~~ preferably,  is ; wherein R_{1c}, R_{1d}, R_4 and R_5 are independently hydrogen or -C_{1-8}alkyl.

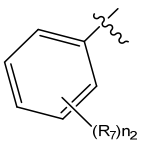
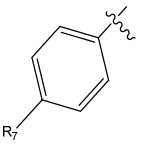
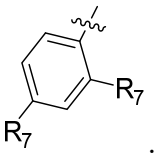
8~~13.~~. The compound according to Claim 7~~12~~, wherein R_{1c} and R_4 are hydrogen, R_{1d} and R_5 are independently hydrogen or -$C_{1\text{-}8}$alkyl; preferably, R_{1c} and R_4 are hydrogen, R_{1d} and R_5 are methyl.

9~~14~~. The compound according to Claim 7~~11~~, wherein R_{1a}, R_{1b}, R_{1c}, R_{1d}, R_2, R_3, R_4, R_5 and R_a are each independently hydrogen, halogen, -$C_{1\text{-}8}$alkyl (such as -CH_3 or -C_2H_5), or oxo, wherein said -$C_{1\text{-}8}$alkyl is optionally substituted with at least one halogen (for example 1-3 halogen).

10~~15~~. The compound according to Claim 9~~14~~, wherein $\mathbf{R_5}$ is halogen, -C_2H_5, -CH_3, oxo, cyclopropyl, CHF_2, -CH_2F, -CF_3, -CH_2OH, -CH_2OCH_3, -$CH_2OC_2H_5$, -$CH_2OCH(CH_3)_2$; and $\mathbf{R_4}$ is hydrogen or -CH_3; or $\mathbf{R_5}$ and $\mathbf{R_4}$ together with the carbon atom attached form a 3-to 5-membered carbon ring; or $\mathbf{R_2}$ and $\mathbf{R_4}$ together form a bridge comprising one -CH_2- moiety in the bridge, and $\mathbf{R_3}$ and $\mathbf{R_5}$ are each hydrogen; or $\mathbf{R_{1b}}$ and $\mathbf{R_4}$ together form a 3-membered carbon ring, and $\mathbf{R_{1a}}$ and $\mathbf{R_5}$ are each hydrogen; or $\mathbf{R_{1d}}$ and $\mathbf{R_4}$ together form a bridge comprising two -CH_2- moieties in the bridge, and $\mathbf{R_{1c}}$ and $\mathbf{R_5}$ are each hydrogen.

11~~16~~. The compound according to Claims 7~~11 or 12~~, wherein  is , 

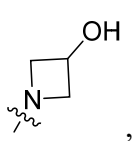


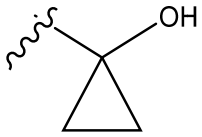
12~~17~~. The compound according to Claims 1 or 2, wherein  is  or .

13~~18~~. The compound according to Claim 12~~17~~, wherein $\mathbf{R_7}$ is halogen~~.~~

~~**19.** The compound according to Claim18, wherein~~ ; preferably, $\mathbf{R_7}$ is F.

14~~20~~. The compound according to Claims 1 or 2, wherein $\mathbf{R_6}$ is hydrogen, -CN, halogen, morpholine, -CON$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO$\mathbf{R^b}$, -N$\mathbf{R^a}$S$O_2$$\mathbf{R^b}$, -O$\mathbf{R^a}$, -N$\mathbf{R^aR^b}$, -$C_{3\text{-}5}$cycloalkyl, phenyl, or -$C_{1\text{-}}$

$_{8}$alkyl, said -C_{1-8}alkyl or -C_{3-5}cycloalkyl is optionally substituted with at least one $\mathbf{R^d}$; $\mathbf{R^a}$ and $\mathbf{R^b}$ are each hydrogen, -C_{3-6}cycloalkyl or -C_{1-8}alkyl; $\mathbf{R^d}$, at each of its occurrences, is independently -CH_2F, -CHF_2, -CF_3, -F, -Cl, -Br, -I, -OH, -NH_2, -SH, -CN, -$CONH_2$, -H, -CH_3, -C_2H_5, -C_3H_7, -CH_2OH, -OCH_3, -OC_2H_5, morpholino, 

, 

or cyclopropyl.

15~~21~~. The compound according to Claims 1 or 2, wherein $\mathbf{R_6}$ is -CON$\mathbf{R^aR^b}$, -N$\mathbf{R^aR^b}$, -N$\mathbf{R^a}$CO-$\mathbf{R^b}$, -N$\mathbf{Ra}$-SO_2-$\mathbf{R^b}$, or -O$\mathbf{R^a}$; $\mathbf{R^a}$ and $\mathbf{R^b}$ are each hydrogen, -C_{3-6} cycloalkyl, -C_{1-8}alkyl, -5- or 6- membered heterocyclyl, aryl or heteroaryl; each of said -C_{1-8}alkyl, -C_{3-6} cycloalkyl, -5- or 6- membered heterocyclyl, aryl, or heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$; or

$\mathbf{R^a}$ and $\mathbf{R^b}$ together with the atom(s) to which they are attached, form a 3- to 8-member ring, said ring comprising 0, 1 or 2 additional heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as ring member(s), said ring is optionally substituted with 1-3 $\mathbf{R^d}$;

$\mathbf{R^d}$, at each of its occurrences, is independently hydrogen, halogen, -C_{1-8}alkyl, -C_{2-8}alkenyl, -C_{2-8}alkynyl, -C_{3-8}cycloalkyl, heterocyclyl, aryl, heteroaryl, oxo, -CN, -NO_2, -O$\mathbf{R^e}$, -S$\mathbf{R^a}$, -$SO_2$$\mathbf{R^e}$, -$SO_2N\mathbf{R^eR^f}$, -CO$\mathbf{R^e}$, -$CO_2$$\mathbf{R^e}$, -CON$\mathbf{R^eR^f}$, -C(=N$\mathbf{R^e}$)N$\mathbf{R^fR^g}$, -N$\mathbf{R^eR^f}$, -N$\mathbf{R^e}CO\mathbf{R^f}$, -N$\mathbf{R^e}CON\mathbf{R^fR^g}$, -N$\mathbf{R^e}$$CO_2$$\mathbf{R^f}$, -N$\mathbf{R^e}SON\mathbf{R^fR^g}$, -N$\mathbf{R^e}$$SO_2N\mathbf{R^fR^g}$, or –N$\mathbf{R^e}$$SO_2$$\mathbf{R^f}$, each of said -$C_{1-8}$alkyl, -$C_{2-8}$alkenyl, -$C_{2-8}$alkynyl, -$C_{3-8}$cycloalkyl, heterocyclyl, aryl, or heteroaryl is optionally substituted with at least one substituent selected from halogen, -C_{1-8}alkyl, -O$\mathbf{R^h}$, -N$\mathbf{R^hR^i}$, cycloalkyl, heterocyclyl, aryl, or heteroaryl; and

$\mathbf{R^e}$, $\mathbf{R^f}$, $\mathbf{R^g}$, $\mathbf{R^h}$, and $\mathbf{R^i}$ are each independently hydrogen, -C_{1-8}alkyl, C_{1-8}alkoxy-C_{1-8}alkyl-, -C_{2-8}alkenyl, -C_{2-8}alkynyl, cycloalkyl, heterocyclyl, aryl, aryl-C_{1-8}alkyl- or heteroaryl-

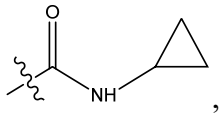
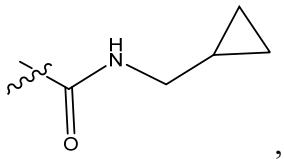
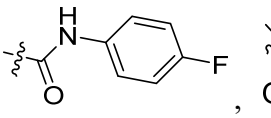
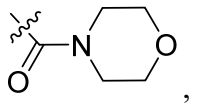
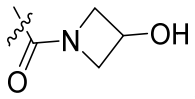
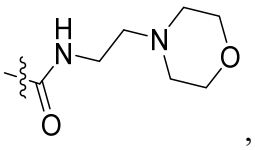
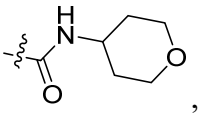
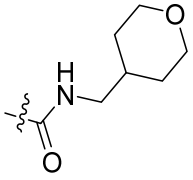
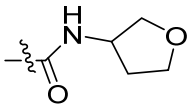
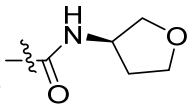
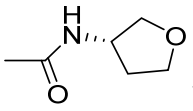
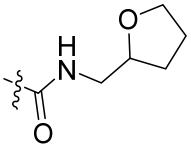
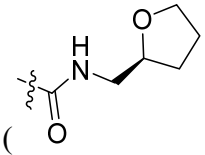
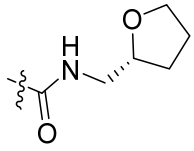
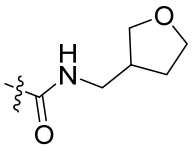
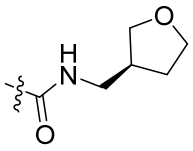
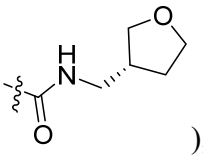
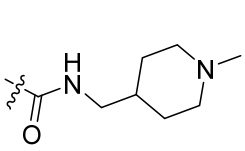
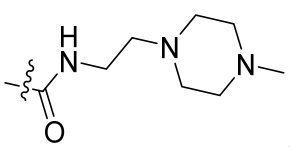
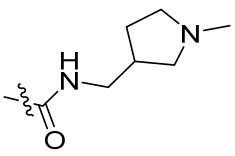
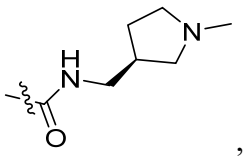
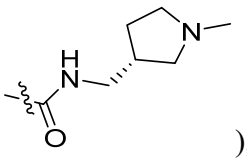
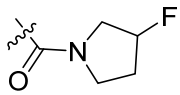
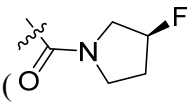
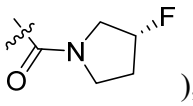
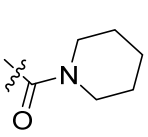
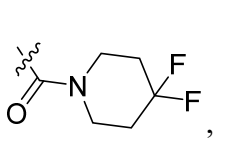
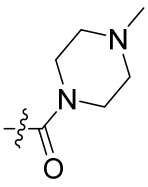
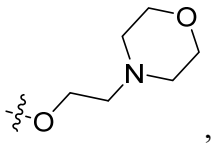
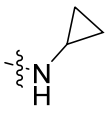
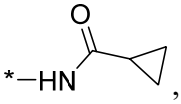
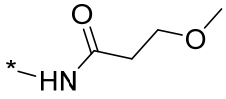
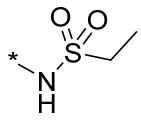
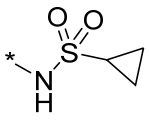
~~22. The compound according to Claim 21, wherein~~;

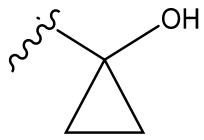
preferably, $\mathbf{R_6}$ is -CON$\mathbf{R^aR^b}$ or -N$\mathbf{R^a}$CO-$\mathbf{R^b}$, $\mathbf{R^a}$ and $\mathbf{R^b}$ are each hydrogen, -C_{1-3}alkyl, -C_{3-6} cycloalkyl, phenyl, 5- or 6- membered heterocyclyl (such as azetidine, pyrrolidine, piperidine, oxazolidine, isoxazolidine, oxazinane, morpholine, piperazine, tetrahydropyran or tetrahydrofuran); said -C_{1-3}alkyl, -C_{3-6} cycloalkyl, phenyl, 5- or 6- membered heterocyclyl(such as azetidine, pyrrolidine, piperidine, oxazolidine, isoxazolidine, oxazinane, morpholine, piperazine, tetrahydropyran or tetrahydrofuran) optionally substituted with at least one substituent selected from halogen, -C_{1-3}alkyl, morpholine, O$\mathbf{R^e}$ or -N$\mathbf{R^eR^f}$; $\mathbf{R^e}$ and $\mathbf{R^f}$ are independently hydrogen, halogen, -C_{1-8}alkyl or C_{1-8}alkoxy-C_{1-8}alkyl-

~~23. The compound according to Claim 21, wherein~~;

more preferably, $\mathbf{R_6}$ is -CON$\mathbf{R^aR^b}$, $\mathbf{R^a}$ and $\mathbf{R^b}$ together with the nitrogen atom to which they are attached, form a 4- to 6-member ring, said ring comprising 0, 1 or 2 additional heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as ring member(s), said

ring is optionally substituted with 1-3 $\mathbf{R^d}$; $\mathbf{R^d}$, at each of its occurrences, is independently halogen, -$C_{1\text{-}3}$alkyl, or O$\mathbf{R^e}$; $\mathbf{R^e}$ is independently hydrogen, halogen or -$C_{1\text{-}8}$alkyl.

16~~24~~. The compound according to Claim 15~~21~~, wherein $\mathbf{R_6}$ is -NH_2, -$CONH_2$, -$CONHCH_3$, -$CONHC_2H_5$, -$CON(CH_3)_2$, -$CONHCH_2CF_3$, -$CONHC_2H_4OCH_3$, -$CONHC_2H_4OC_2H_4OCH_3$, -$CONHCH(CH_3)_2$, -$CONHC_2H_4OH$, -$CONHC_2H_4N(CH_3)_2$, , , , , , , , , , (, ), , (, ), , (, ), , , , (, ), , (, ), , , , -O-$(CH_2)_2$-OCH_3, -O-$(CH_2)_2$-OH, , -NH-$(CH_2)_2$-OCH_3, -NH-$(CH_2)_2$-OH, , -NH-CO-CH_3, -NH-CO-C_2H_5, -NH-CO-$CH(CH_3)_2$, , , , , , -NHC_2H_5, -NH-CH_2-CF_3, $CH_3CH_2SO_2NH$-, or CyclopropylSO_2NH-.

17~~25~~. The compound according to Claim 14~~20~~, wherein $\mathbf{R_6}$ is hydrogen, halogen, -CN, -$CONH_2$, , cyclopropyl, $-CH_2OH$, $-CF_3$, -OH, $-CH_3$ or $-OC_2H_5$.

18~~26~~. The compound according to Claims 1 or 2, wherein $\mathbf{R_{12}}$ and $\mathbf{R_{13}}$ are each independently hydrogen or -$C_{1\text{-}8}$alkyl.

~~27. The compound according to Claim 26, wherein~~; preferably, $\mathbf{R_{12}}$ is hydrogen or $-CH_3$; $\mathbf{R_{13}}$ is hydrogen or $-CH_3$.

19~~28~~. The compound according to Claims 1 or 2, wherein

$\mathbf{R_{10}}$ and $\mathbf{R_{11}}$ are each independently hydrogen, -$C_{1\text{-}8}$alkyl, -$C_{3\text{-}8}$cycloalkyl, -$C_{5\text{-}6}$aryl, 5- to 6-membered heteroaryl, or -CON$\mathbf{R^aR^b}$, wherein each of said -$C_{1\text{-}8}$alkyl, -$C_{3\text{-}8}$cycloalkyl, -$C_{5\text{-}6}$ aryl, or 5- to 6-membered heteroaryl is optionally substituted with 1-3 $\mathbf{R^d}$;

$\mathbf{R^a}$ and $\mathbf{R^b}$ are each independently hydrogen or -$C_{1\text{-}8}$alkyl; or

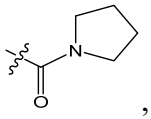
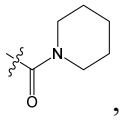
($\mathbf{R^a}$ and $\mathbf{R^b}$), together with the nitrogen atom to which they are attached, form a 3- to 6-member ring, said ring comprising 0 or 1 additional oxygen atom; said ring is optionally substituted with one $\mathbf{R^d}$;

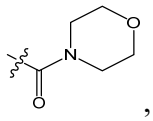
$\mathbf{R^d}$, at each of its occurrences, is independently -$C_{1\text{-}8}$alkyl, halogen, aryl or -O$\mathbf{R^e}$, wherein $\mathbf{R^e}$ are each independently hydrogen or -$C_{1\text{-}8}$alkyl, phenylethyl, benzyl, or phenyl.

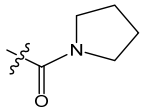
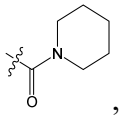
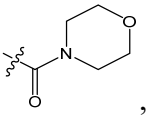
~~29. The compound according to Claim 28~~;

preferably,~~, wherein~~ R_{10} is hydrogen; R_{11} is -CON$\mathbf{R^aR^b}$, phenyl, benzyl, pyridinyl, or furyl, wherein each of said phenyl, benzyl, pyridinyl or furyl is optionally substituted with 1-3 $\mathbf{R^d}$ selected from methyl, methoxy, or halogen; $\mathbf{R^a}$ and $\mathbf{R^b}$ each are independently hydrogen or methyl, or ($\mathbf{R^a}$ and $\mathbf{R^b}$), together with the nitrogen atom to which they are attached, form a 5- to 6-member ring, said ring comprising 0 or 1 additional oxygen atom.

~~30. The compound according to Claim 29, wherein~~;

more preferably, $\mathbf{R_{10}}$ is hydrogen; and $\mathbf{R_{11}}$ is hydrogen, methyl, ethyl, 1-propyl, 2-propyl, 1-butyl, *tert*-butyl, 1-methylpropyl, 1, 1-dimethylethyl, 1-pentyl, 2-pentyl, 3-pentyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 3-methyl-1-butyl, 2-methyl-1-butyl, 1-hexyl, 2-hexyl, 3-hexyl, 2-methyl-2-pentyl, 3-methyl-2-pentyl, 4-methyl-2-pentyl, 3-methyl-3-pentyl, 2-methyl-3-pentyl, 2, 3-dimethyl-2-butyl, 3, 3-dimethyl-2-butyl, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, vinyl, $-CH_2OH$, $-CH_2CH_2OH$, $-CH_2CH_2OCH_3$, $-CH_2OCH_3$, $-CH_2OCH(CH_3)_2$, $-CH_2OC_2H_5$, $-CH_2F$, $-CHF_2$, $-CF_3$, -H, -F, -Cl, -Br, -I, -OH, $-NH_2$, -SH, $-CONH_2$, $-CONHCH_3$, $-CON(CH_3)_2$, , ,

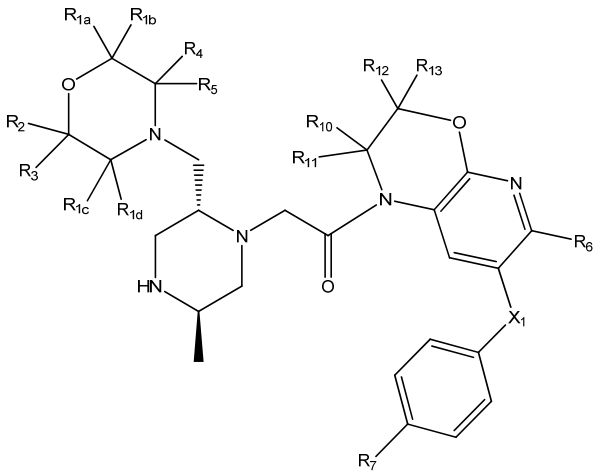

, -CH_2O-Ph, -CH_2-Ph, -CH_2O-CH_2-Ph, phenyl, 4-methyl-phenyl, 4-methoxy-phenyl, 4-F-phenyl, benzyl, pyridinyl (4-pyridinyl, 3-pyridinyl or 2-pyridinyl), or furyl (2-furyl or 3-furyl).

~~31. The compound according to Claim 30, wherein~~even more preferably, **R_{10}** is hydrogen; and **R_{11}** is hydrogen, methyl, ethyl, 2-propyl, -CF_3, -CHF_2, -$CH_2CH_2OCH_3$, -CH_2OH, -CH_2OCH_3, -$CH_2OCH(CH_3)_2$, -$CH_2OC_2H_5$, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -$CONH_2$, -$CONHCH_3$, -$CON(CH_3)_2$, , , , -CH_2O-Ph, -CH_2O-CH_2-Ph, phenyl, 4-methyl-phenyl, 4-methoxy-phenyl, 4-F-phenyl, benzyl, 4-pyridinyl, 3-pyridinyl, 2-pyridinyl, 2-furyl or 3-furyl.

20~~32~~. The compound according to any one of Claims 1-19~~31~~, wherein the carbon atom to which **R_{10}** and **R_{11}** are attached is in (S)-configuration in the case that **R_{10}** and **R_{11}** are different.

21~~33~~. The compound according to Claims 1 or 2, wherein **R_{10}** and **R_{11}** together form a 3-membered carbon ring.

22~~34~~. The compound according to Claims 1 or 2, which is

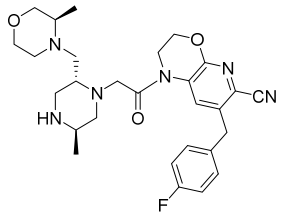
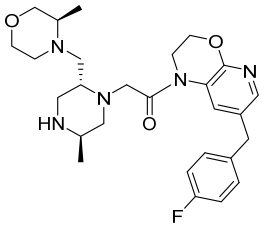
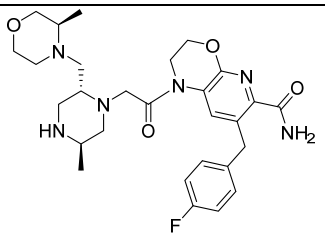


(**III**),

wherein R_{1a}, R_{1b}, R_{1c}, R_{1d}, R_2, R_3, R_4, R_5, R_6, R_7, R_{10}, R_{11}, R_{12}, R_{13} and X_1 are defined as for Formula (**II**).

23~~35~~. The compound according to Claims 1 or 2, wherein **R_{14}** and **R_{15}** are each independently selected from hydrogen or -$C_{1\text{-}8}$alkyl (methyl or ethyl).

24~~36~~. The compound according to Claim 1, which is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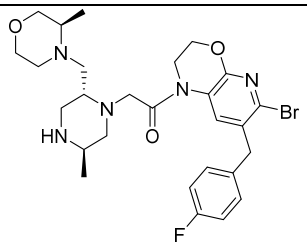	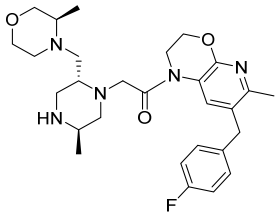	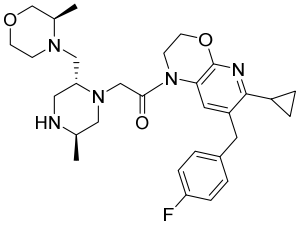
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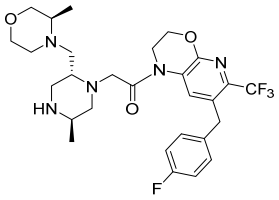	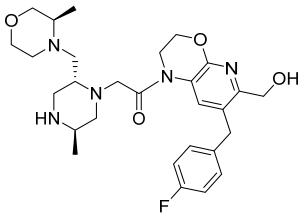	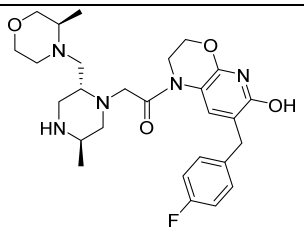
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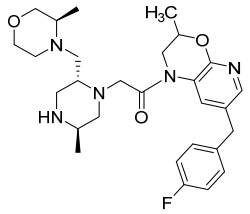	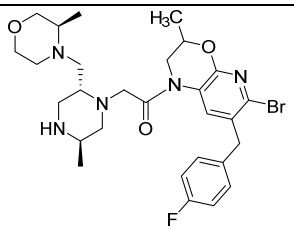	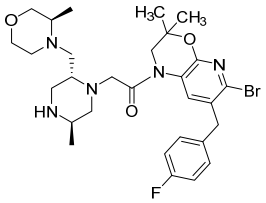
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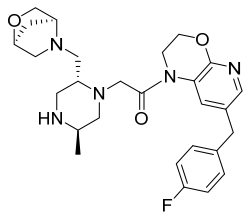	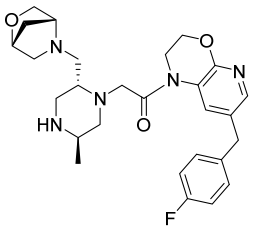	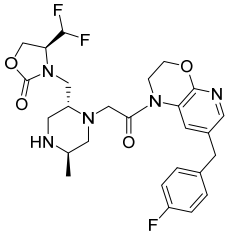
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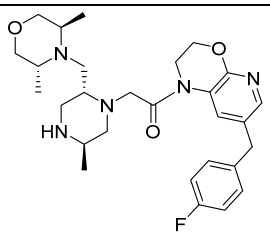	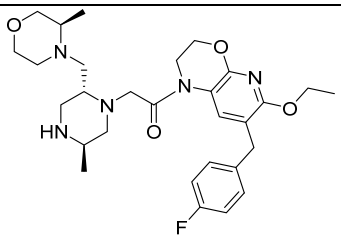	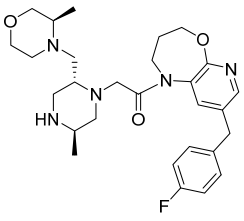
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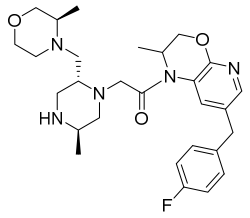	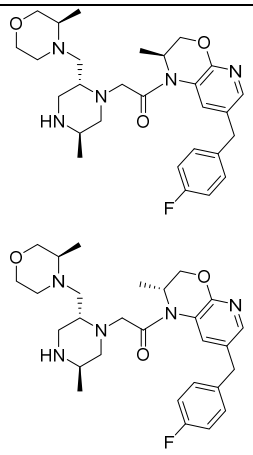	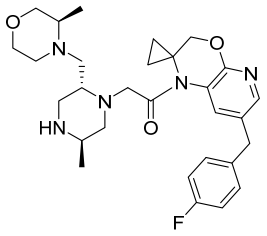
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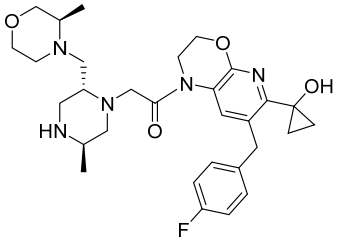	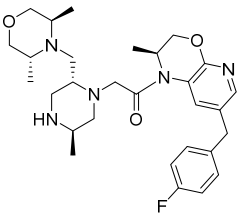	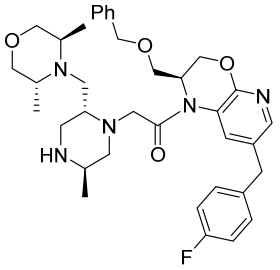
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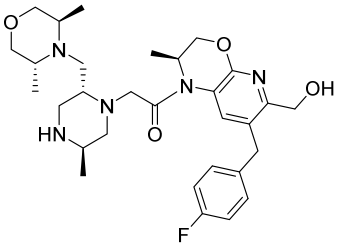	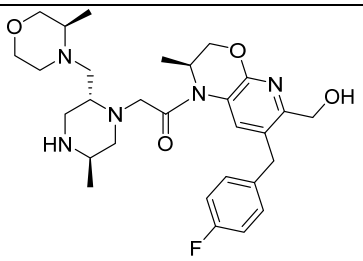	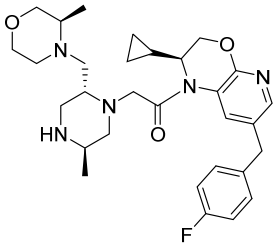
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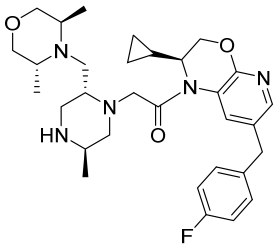	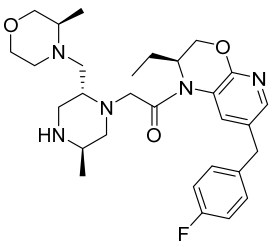	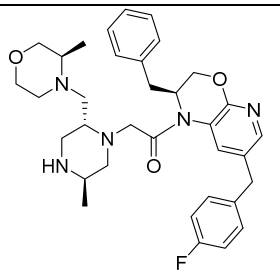
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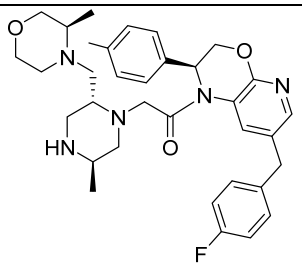	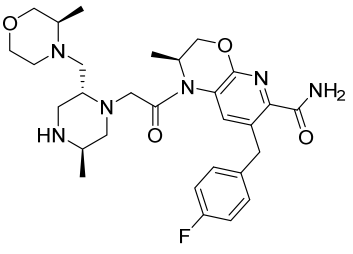	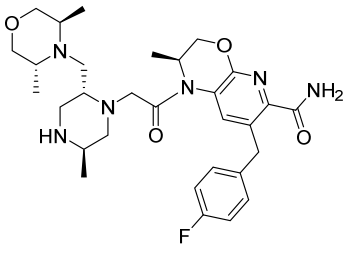
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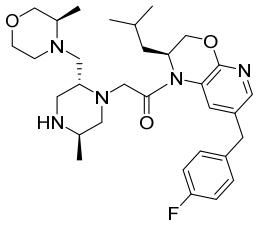	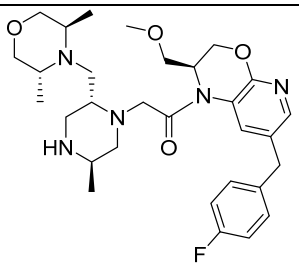	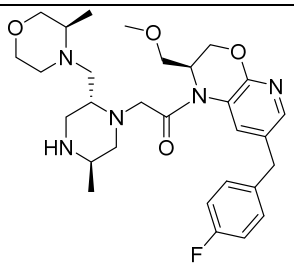
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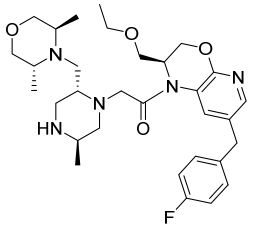	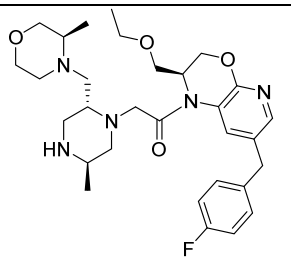	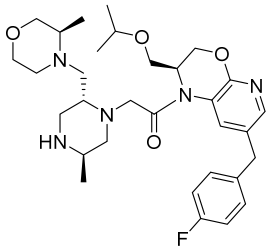
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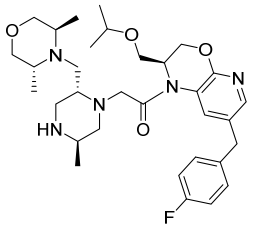	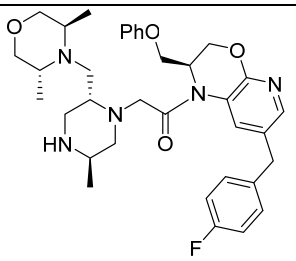	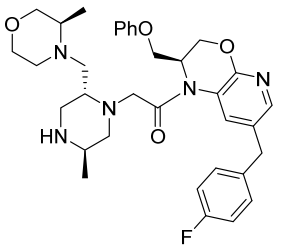
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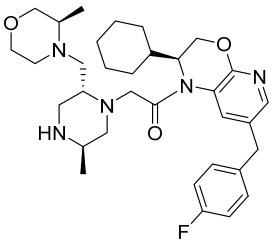	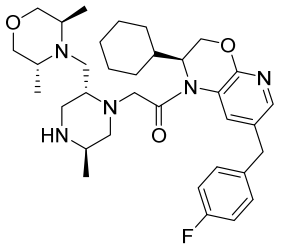	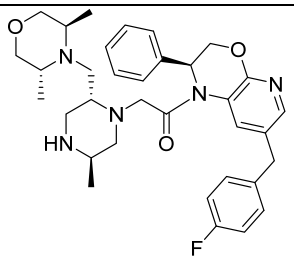
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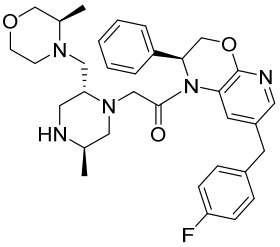	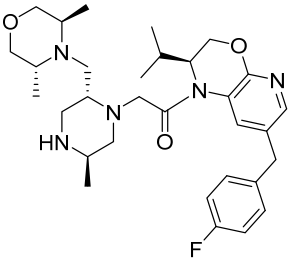	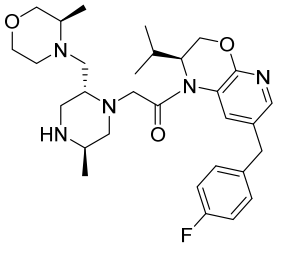
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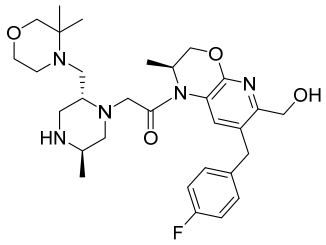	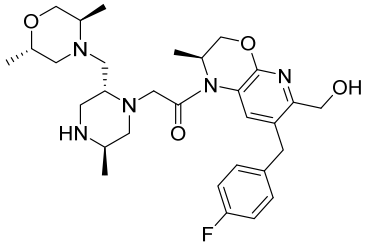	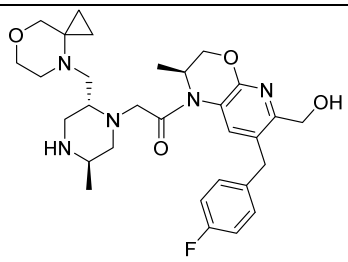
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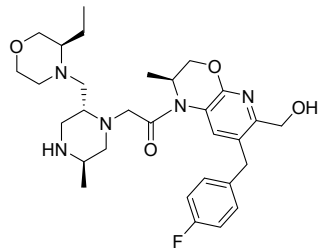	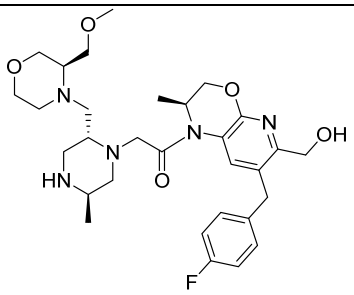	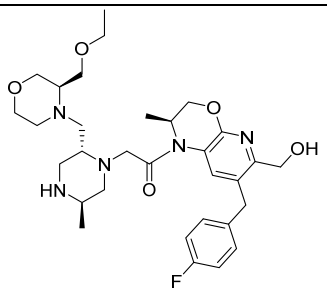
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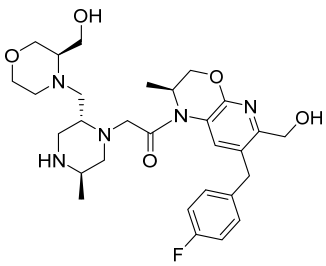	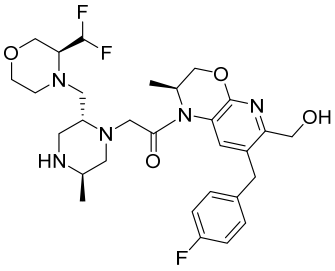	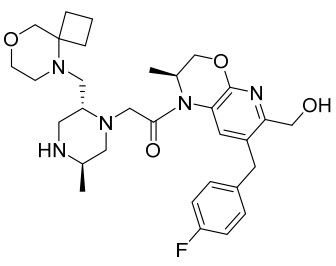
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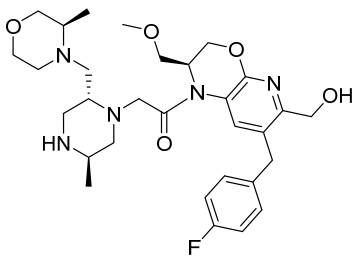	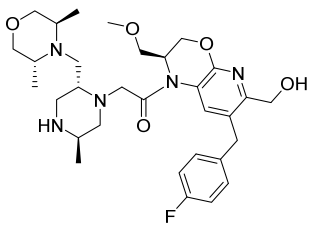	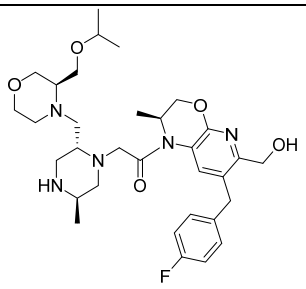
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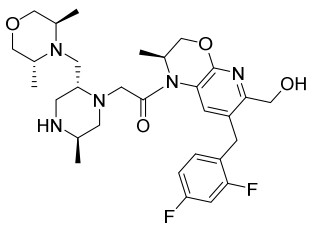	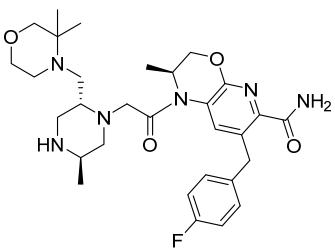	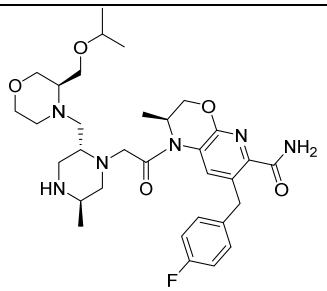
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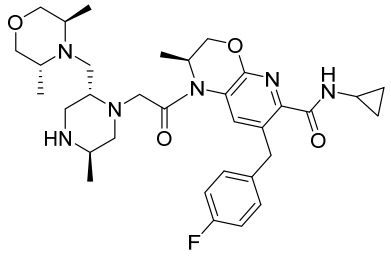	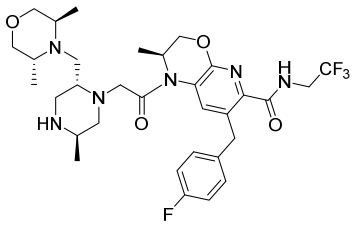	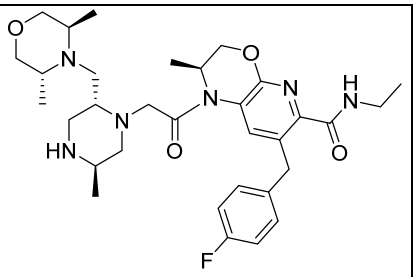
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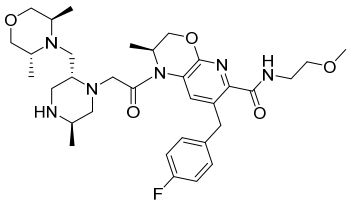	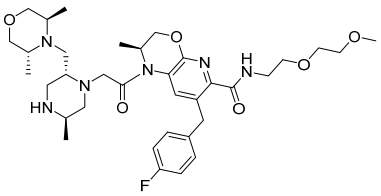	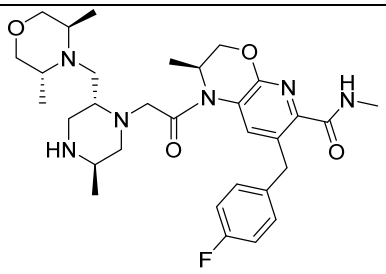
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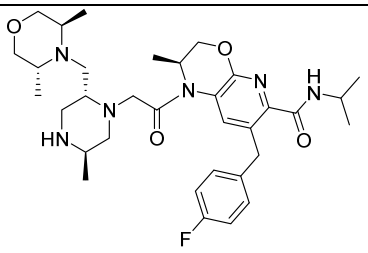	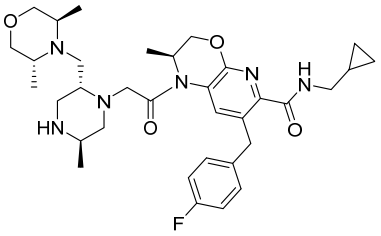	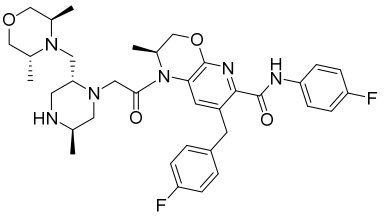
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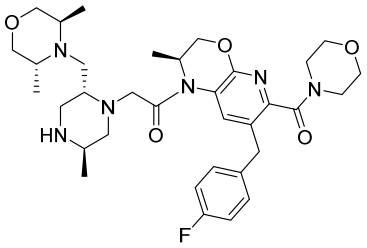	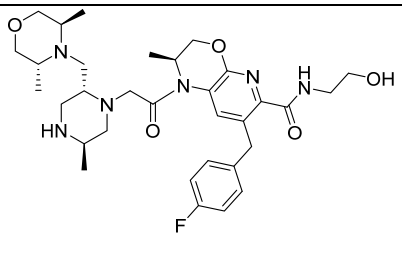	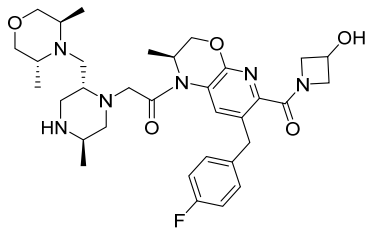
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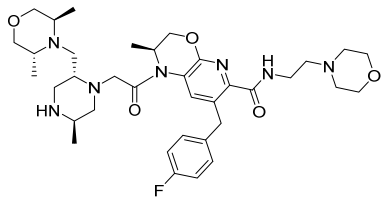	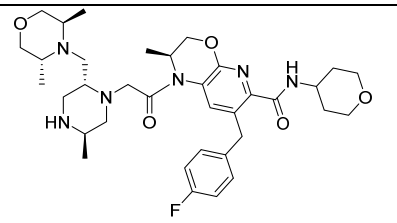	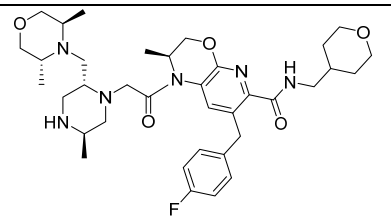
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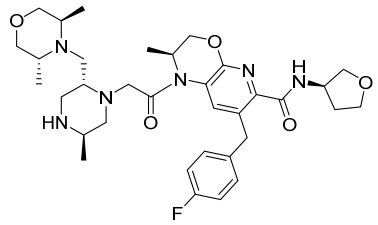	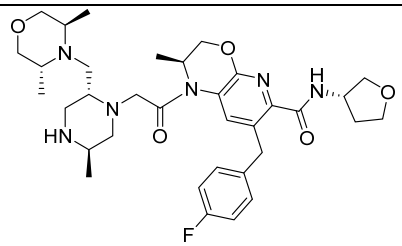	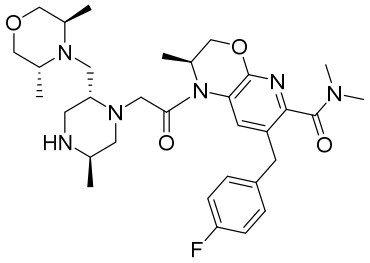
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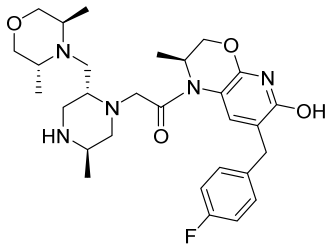	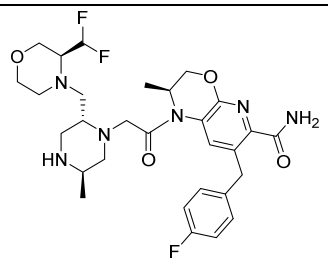	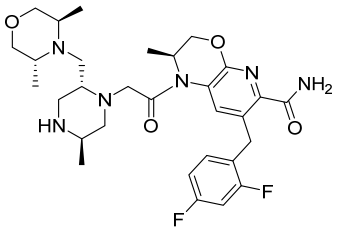
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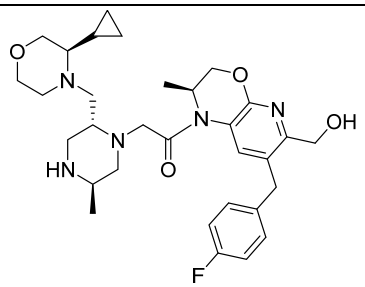 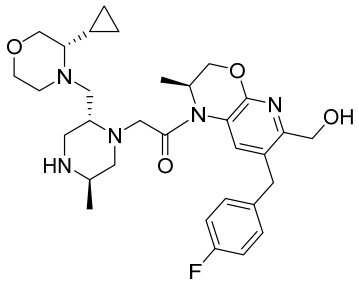	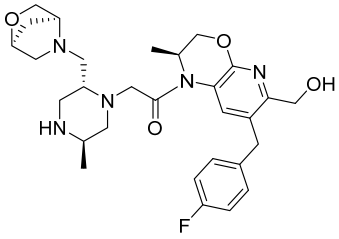	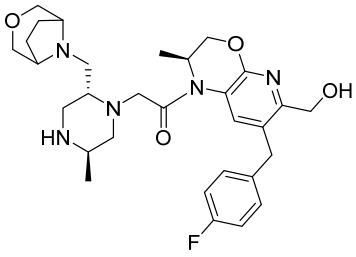
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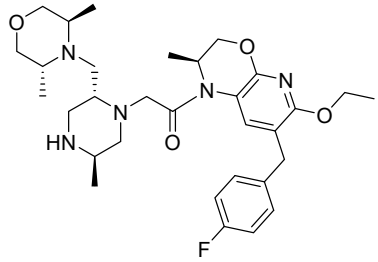	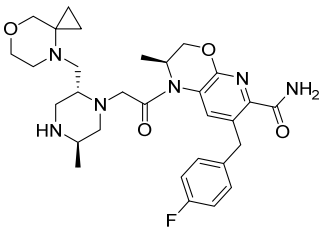	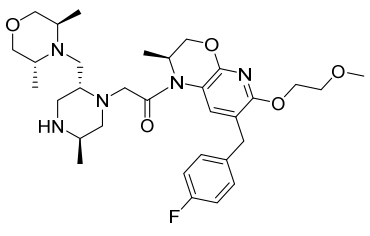
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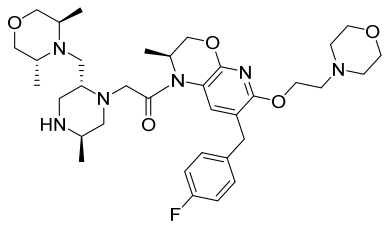	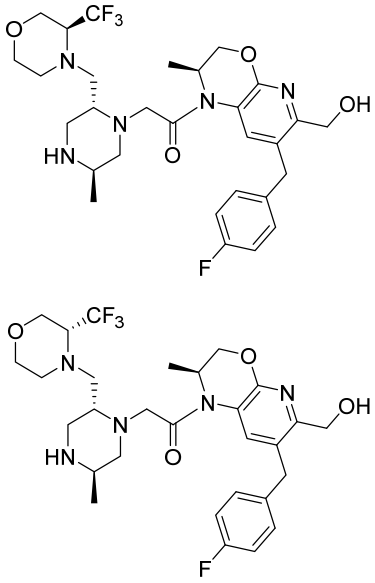	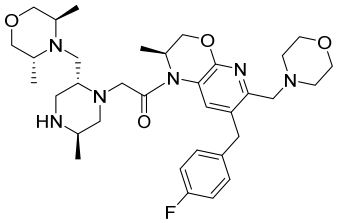
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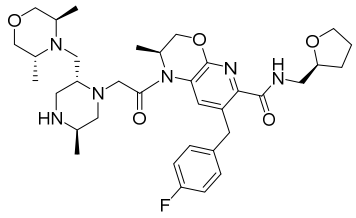	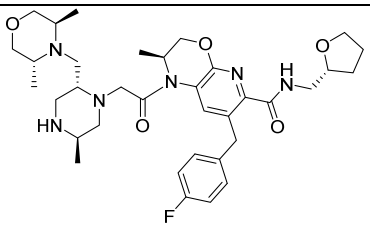	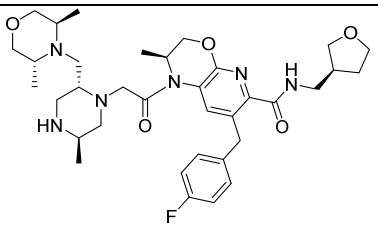
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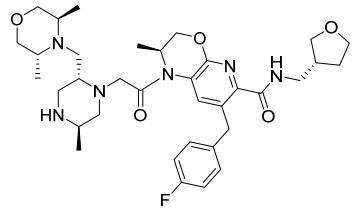	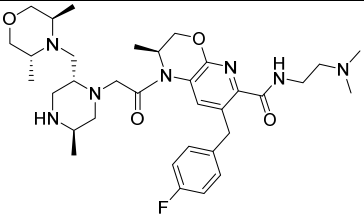	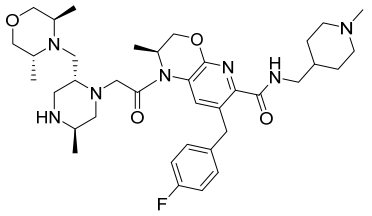
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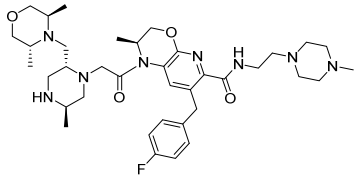	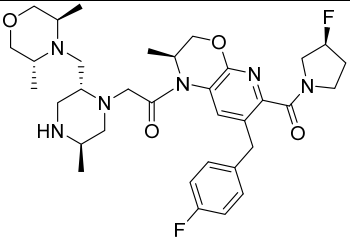	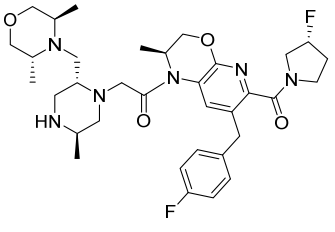
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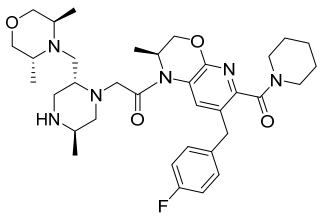	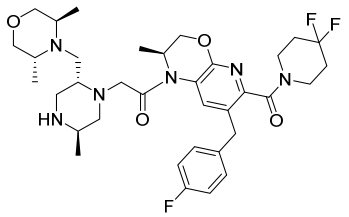	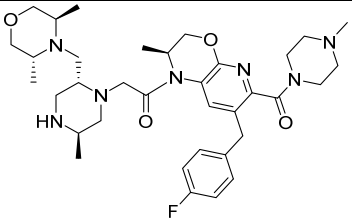
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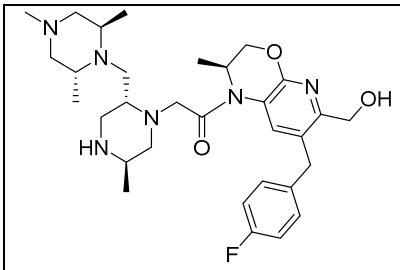	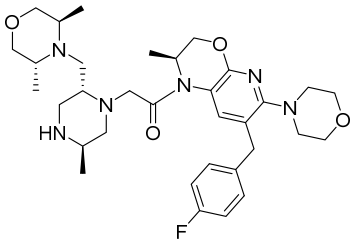	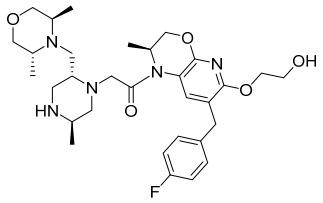
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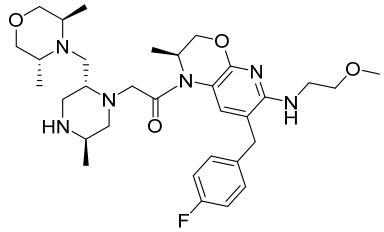	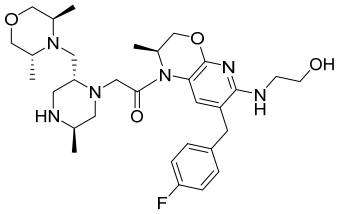	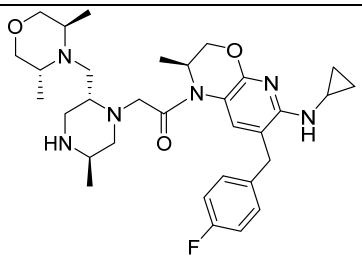
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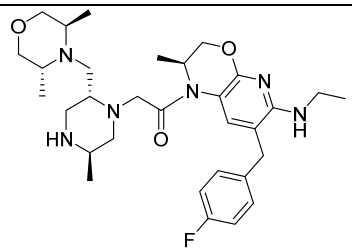	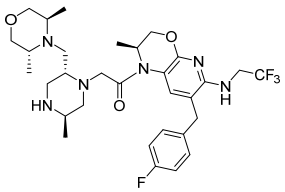	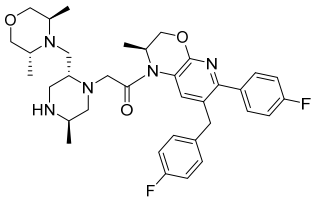
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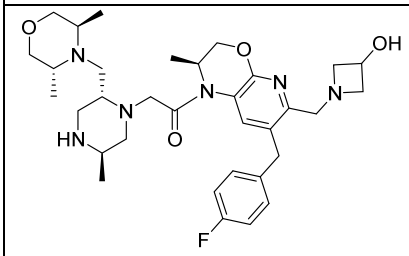	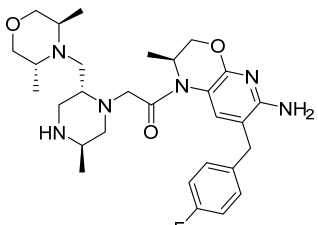	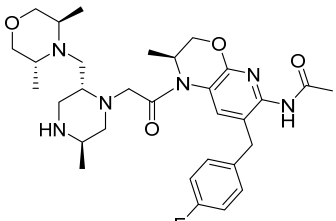
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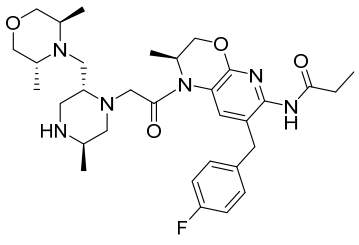	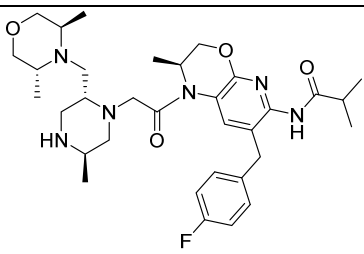	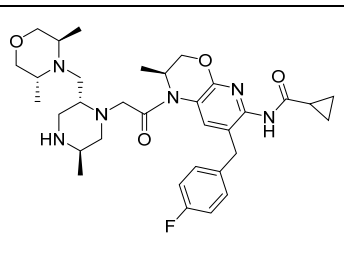
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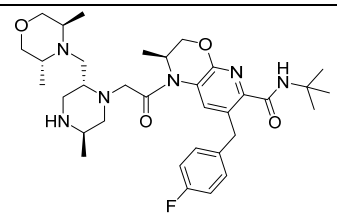	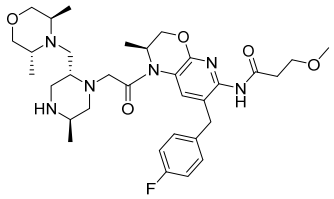	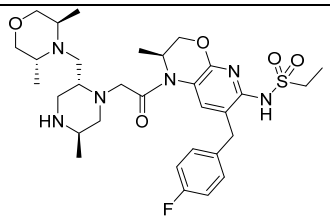
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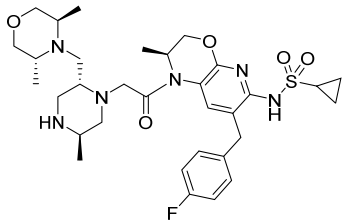	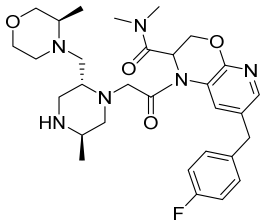	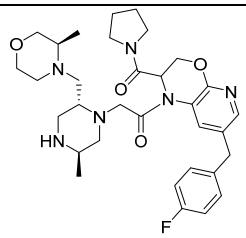
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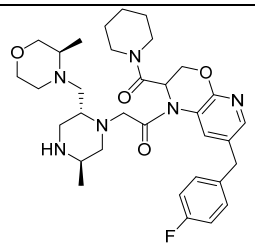	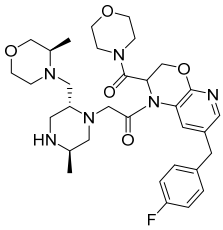	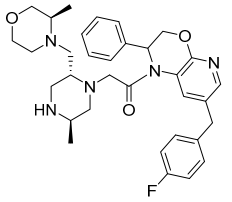
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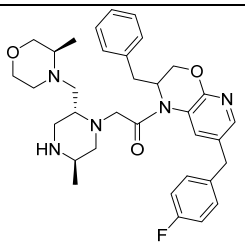	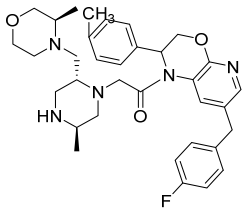	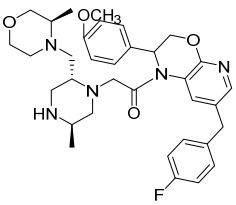
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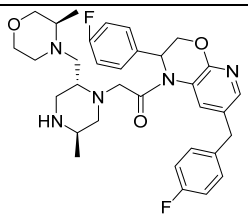	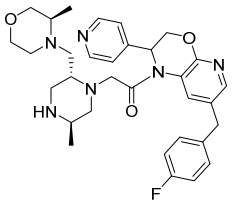	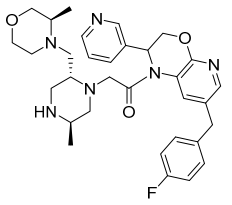
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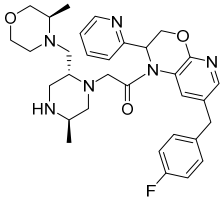	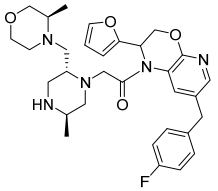	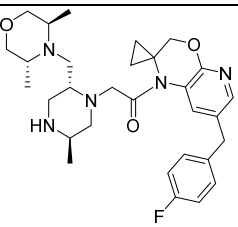
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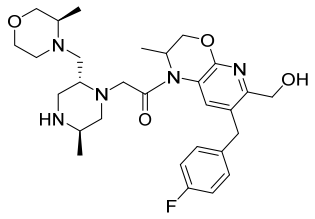	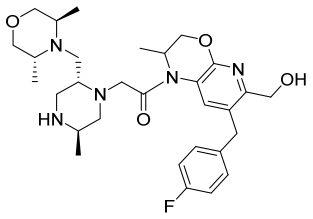	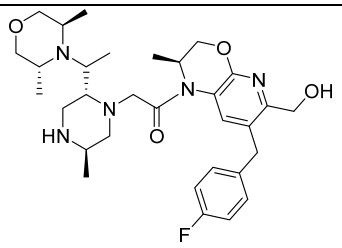
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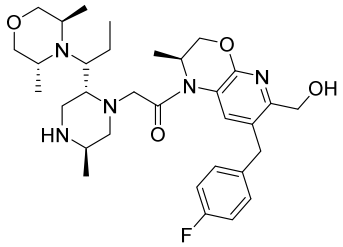	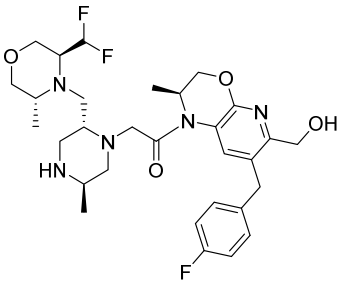	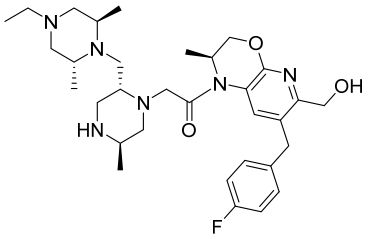
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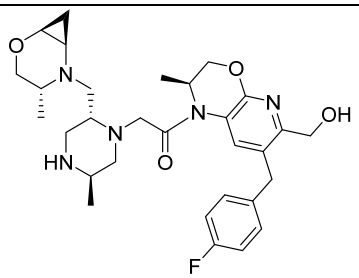	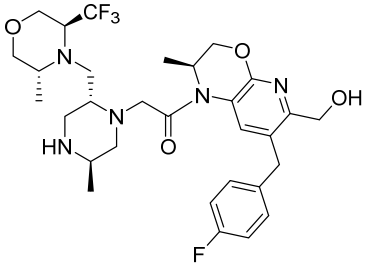	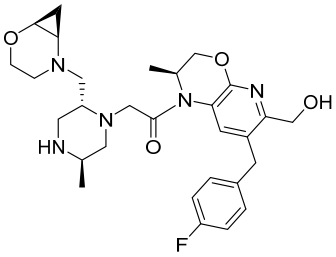
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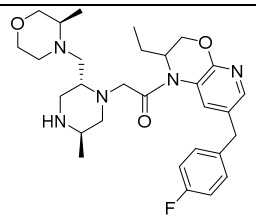	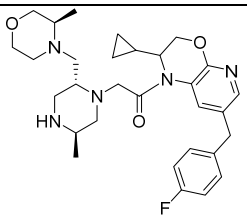	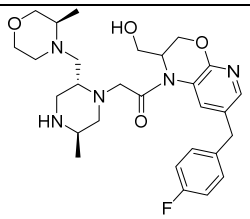
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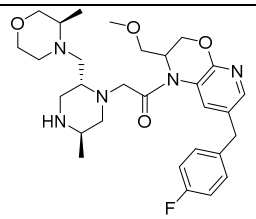	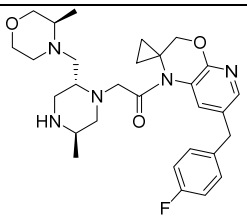	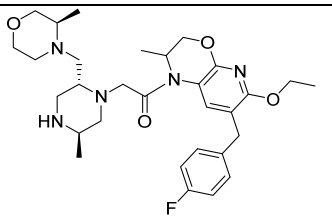
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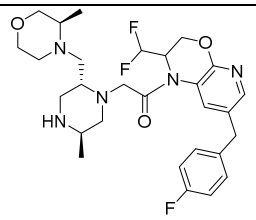	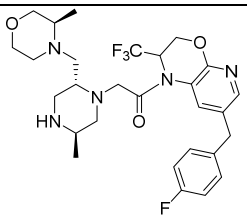	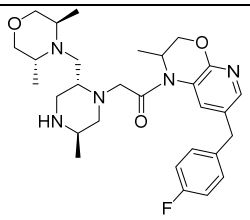
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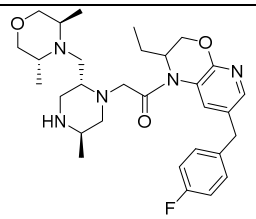	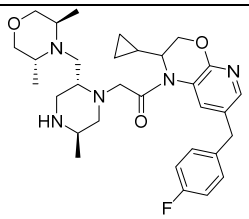	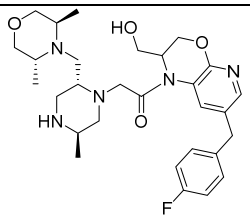
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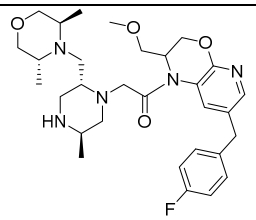	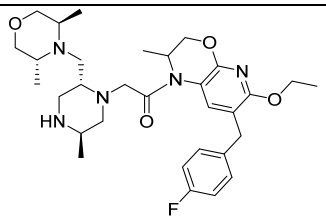	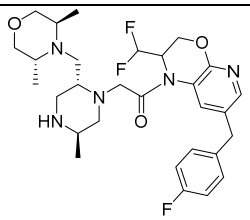
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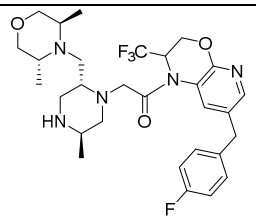	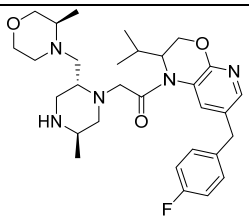	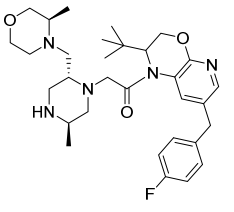
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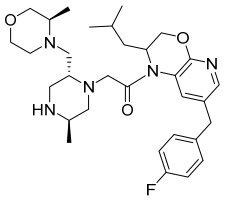	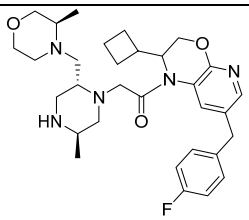	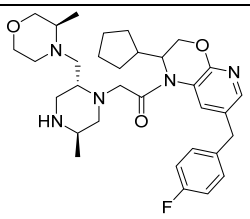
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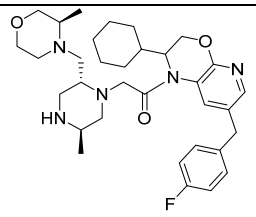	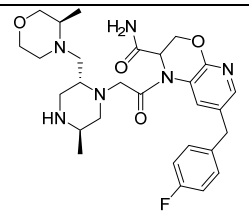	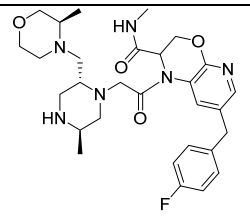
168	169	170

or a pharmaceutically acceptable salt thereof, or a stereoisomer, a tautomer or a prodrug thereof.

25~~37~~. A pharmaceutical composition comprising a compound of any one of claims 1-24~~36~~, or a pharmaceutically acceptable salt thereof, or a stereoisomer, a tautomer or a prodrug thereof, and at least one pharmaceutically acceptable carrier or excipient.

26~~38~~. ~~A method of treating a disease responsive to inhibition of cIAPs, comprising administering to a subject in need thereof a~~A use of the compound of any one of claims 1-24~~36~~, or a pharmaceutically acceptable salt, or a stereoisomer, a tautomer or a prodrug thereof in the manufacture of a medicament for treating a disease responsive to inhibition of cIAPs.

27~~39~~. A use of the ~~method of treating cancer modulated by cIAPs, comprising administering to a subject in need thereof a~~ compound of any one of claims 1-~~36~~24, or a pharmaceutically acceptable salt, or a stereoisomer, a tautomer or a prodrug thereof in the manufacture of a medicament for~~.~~ treating cancer modulated by cIAPs.