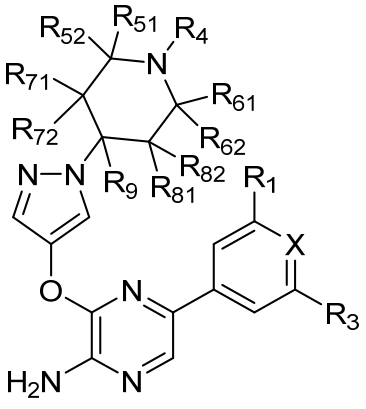
~~WHAT IS~~ CLAIM~~ED I~~S:

1. A compound of Formula (I)



(**I**)

or a pharmaceutically acceptable salt thereof, or a stereoisomer thereof,

wherein

X is N or C**R**$_2$, wherein **R**$_2$ is selected from hydrogen, -C$_{1-8}$alkyl, -C$_{2-8}$alkynyl, halogen, cycloalkyl, aryl, heterocyclyl, heteroaryl, -N**R**^{c}**R**^{d}, -O**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-CON**R**^{c}**R**^{d}, -CON**R**^{c}-(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}CO**R**^{d}, -N**R**^{c}-CO-(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-SO$_2$-N**R**^{c}**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}-SO$_2$-**R**^{d}, -SO$_2$-N**R**^{c}-(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}-CS-N**R**^{d}**R**^{e}, or -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}-CO-N**R**^{d}**R**^{e}; each of said -C$_{1-8}$alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl is optionally substituted with at least one **R**^{f};

R$_1$ and **R**$_3$ are each independently selected from hydrogen, -C$_{1-8}$alkyl, halogen, cycloalkyl, aryl, heterocyclyl, heteroaryl, -N**R**^{c}**R**^{d}, -O**R**^{d}, -Si**R**^{a}**R**^{b}**R**^{c}, -(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-CON**R**^{c}**R**^{d}, -CON**R**^{c}-(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}CO**R**^{d}, -N**R**^{c}-CO-(C**R**^{a}**R**^{b})$_n$-**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-SO$_2$-N**R**^{c}**R**^{d}, -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}-SO$_2$-**R**^{d}, -SO$_2$-N**R**^{c}-(C**R**^{a}**R**^{b})$_n$-**R**^{d}, or -(C**R**^{a}**R**^{b})$_n$-N**R**^{c}-CO-N**R**^{d}**R**^{e}, wherein each of said -C$_{1-8}$alkyl, cycloalkyl, aryl, heterocyclyl or heteroaryl is optionally substituted with at least one **R**^{f};

R$_4$ is selected from hydrogen, -C$_{1-8}$alkyl, cycloalkyl, heterocyclyl (such as monocyclic heterocyclyl) comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or sulfur as ring member(s), wherein each of said -C$_{1-8}$alkyl, cycloalkyl or heterocyclyl are optionally substituted with **R**^{f};

each **R**^{f} is independently selected from oxo, halogen, -C$_{1-8}$alkyl, hydroxy, -N**R**^{1c}**R**^{1d}, -C$_{1-8}$alkoxy, or heterocyclyl, said heterocyclyl or -C$_{1-8}$alkyl is optionally substituted with at least one **R**^{g}, wherein **R**^{1c} and **R**^{1d} are each independently hydrogen or -C$_{1-8}$alkyl;

R$_{51}$, **R**$_{52}$, **R**$_{61}$, **R**$_{62}$, **R**$_{71}$, **R**$_{72}$, **R**$_{81}$, **R**$_{82}$ and **R**$_9$ are each independently selected from hydrogen, halogen, -C$_{1-8}$alkyl, or -C$_{1-8}$alkoxy; or

(**R**$_{51}$ and **R**$_{61}$), (**R**$_{51}$ and **R**$_{62}$), (**R**$_{52}$ and **R**$_{61}$) **or** (**R**$_{52}$ and **R**$_{62}$), together with the atoms to which they are attached, form a 7- to 12-membered bridged heterocyclyl wherein the bridge contains 1-6 atoms selected from carbon, oxygen, nitrogen or sulfur;

R^a and **R**^{b} are each independently hydrogen, -C$_{1-8}$alkyl or heterocyclyl; or

R^a and **R**^{b}, together with the carbon atom to which they are attached, form a 3- to 6-membered ring comprising 0, 1 or 2 heteroatoms selected from nitrogen, oxygen or optionally oxidized sulfur as the ring member(s);

$\mathbf{R^c}$, $\mathbf{R^d}$ and $\mathbf{R^e}$ are each independently hydrogen, -C_{1-8}alkyl, aryl, CN, hydroxyl, -C_{1-8}alkoxy, cycloalkyl, heterocyclyl, heteroaryl or -$N\mathbf{R^{1c}R^{1d}}$, wherein each of said -C_{1-8}alkyl, cycloalkyl, aryl or heterocyclyl or heteroaryl is optionally substituted with at least one $\mathbf{R^g}$, wherein $\mathbf{R^{1c}}$ and $\mathbf{R^{1d}}$ are each independently hydrogen or -C_{1-8}alkyl;

each $\mathbf{R^g}$ is independently selected from oxo, hydroxy, halogen, haloalkyl, -C_{1-8}alkyl, -C_{1-8}alkoxy, cycloalkyl or heterocyclyl; and

n is each independently 0, 1, 2, 3 or 4.

2. The compound according to claim 1, wherein

X is N or $C\mathbf{R_2}$, wherein $\mathbf{R_2}$ is selected from hydrogen, -C_{1-8}alkyl, -C_{2-8}alkynyl, C_{3-7}cycloalkyl, aryl, 5- to 6-membered heteroaryl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as the ring member(s), 3- to 7-membered heterocyclyl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as the ring member(s), -$N\mathbf{R^cR^d}$, -$(C\mathbf{R^aR^b})_n$-$\mathbf{R^d}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^cR^d}$, -$(C\mathbf{R^aR^b})_n$-$CON\mathbf{R^cR^d}$, -$CON\mathbf{R^c}$-$(C\mathbf{R^aR^b})_n$-$\mathbf{R^d}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}CO\mathbf{R^d}$, -$(C\mathbf{R^aR^b})_n$-$SO_2$-$N\mathbf{R^cR^d}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}$-CO-$N\mathbf{R^dR^e}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}$-CS-$N\mathbf{R^dR^e}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}$-SO_2-$\mathbf{R^d}$ or -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}$-CO-$N\mathbf{R^dR^e}$; each of said -C_{1-8}alkyl, C_{3-7}cycloalkyl, aryl, 3- to 7-membered heterocyclyl or 5- to 6-membered heteroaryl is optionally substituted with at least one $\mathbf{R^f}$;

$\mathbf{R_1}$ is selected from hydrogen, -C_{1-8}alkyl, halogen, C_{3-7}cycloalkyl, 5- to 6-membered heteroaryl, 3- to 7-membered heterocyclyl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as the ring member(s), -$N\mathbf{R^cR^d}$, or -$O\mathbf{R^d}$; each of said -C_{1-8}alkyl, C_{3-7}cycloalkyl, 5- to 6-membered heteroaryl, or 3- to 7-membered heterocyclyl is optionally substituted with at least one $\mathbf{R^f}$;

$\mathbf{R_3}$ is selected from hydrogen, -C_{1-8}alkyl, C_{3-7}cycloalkyl, 3- to 7-membered heterocyclyl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen, silicon or optionally oxidized sulfur as the ring member(s), -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^cR^d}$, -$(C\mathbf{R^aR^b})_n$-$CON\mathbf{R^cR^d}$, -$Si\mathbf{R^aR^bR^c}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}CO\mathbf{R^d}$, -$CON\mathbf{R^c}$-$(C\mathbf{R^aR^b})_n$-$\mathbf{R^d}$, -$(C\mathbf{R^aR^b})_n$-$SO_2$-$N\mathbf{R^cR^d}$, -$SO_2$-$N\mathbf{R^c}$-$(C\mathbf{R^aR^b})_n$-$\mathbf{R^d}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}$-$SO_2$-$\mathbf{R^d}$, -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^c}$-CO-$N\mathbf{R^dR^e}$, or -$(C\mathbf{R^aR^b})_n$-$N\mathbf{R^cR^d}$, wherein said -$C_{1-8}$alkyl, C_{3-7}cycloalkyl, 3- to 7-membered heterocyclyl is optionally substituted with at least one $\mathbf{R^f}$;

$\mathbf{R_4}$ is selected from hydrogen, -C_{1-8}alkyl, C_{3-7}cycloalkyl, 3- to 7-membered heterocyclyl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur as the ring member(s); each said -C_{1-8}alkyl, C_{3-7}cycloalkyl or 3- to 7-membered heterocyclyl are optionally substituted with $\mathbf{R^f}$;

each $\mathbf{R^f}$ is independently selected from oxo, halogen, -C_{1-8}alkyl, hydroxy, -$N\mathbf{R^{1c}R^{1d}}$, -C_{1-8}alkoxy, 3- to 7-membered heterocyclyl; said heterocyclyl or -C_{1-8}alkyl is optionally substituted with at least one $\mathbf{R^g}$, wherein $\mathbf{R^{1c}}$ and $\mathbf{R^{1d}}$ are each independently hydrogen or -C_{1-8}alkyl;

$\mathbf{R_{51}}$, $\mathbf{R_{52}}$, $\mathbf{R_{61}}$, $\mathbf{R_{62}}$, $\mathbf{R_{71}}$, $\mathbf{R_{72}}$, $\mathbf{R_{81}}$ and $\mathbf{R_{82}}$ are each independently selected from hydrogen, halogen, -C_{1-8}alkyl, or -C_{1-8}alkoxy; or

(R_{51} and R_{61}), (R_{51} and R_{62}), (R_{52} and R_{61}) **or** (R_{52} and R_{62}), together with the atoms to which they are attached, form a 7- to 12-membered bridged heterocyclyl wherein the bridge contains 1-6 atoms selected from carbon, oxygen, nitrogen or sulfur;

R^a and R^b are each independently hydrogen, -C_{1-8}alkyl or heterocyclyl; or

R^a and R^b, together with the carbon atom to which they are attached, form a 3- to 6-membered ring comprising 0, 1 or 2 heteroatoms selected from nitrogen, oxygen or optionally oxidized sulfur as the ring member(s);

R^c, R^d and R^e are each independently hydrogen, -C_{1-8}alkyl, 5-to 6 membered heteroaryl, aryl, CN, hydroxyl, -C_{1-8}alkoxy, C_{3-7}cycloalkyl, 3- to 7-membered heterocyclyl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur, or -N$R^{1c}R^{1d}$; each said -C_{1-8}alkyl, 5-to 6 membered heteroaryl, C_{3-7}cycloalkyl, aryl or 3- to 7-membered heterocyclyl is optionally substituted with at least one R^g; wherein R^{1c} and R^{1d} are each independently hydrogen or -C_{1-8}alkyl; each R^g is independently selected from oxo, hydroxy, halogen, -C_{1-8}alkyl, -C_{1-8}alkoxy, or 3- to 7-membered heterocyclyl; and

n is each independently 0, 1, 2, 3 or 4.

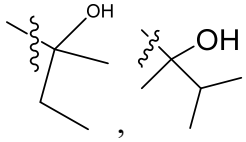
3. The compound according to claims 1 or 2, wherein

R_1 is selected from halogen, -NR^cR^d, or -OR^c, -C_{1-4}alkyl, C_{3-6}cycloalkyl, 4- to 6-membered C-linked heterocyclyl comprising one to three heteroatoms selected from nitrogen, oxygen, silicon or sulfur, 4- to 6-membered Si-linked heterocyclyl comprising 0, 1 or 2 additional heteroatoms selected from nitrogen, oxygen, or sulfur, 5-,6- or 7-membered N-linked heterocyclyl comprising 0, 1 or 2 additional heteroatoms selected from nitrogen, oxygen or optionally oxidized sulfur as ring member(s) or 5- to 6-membered heteroaryl;

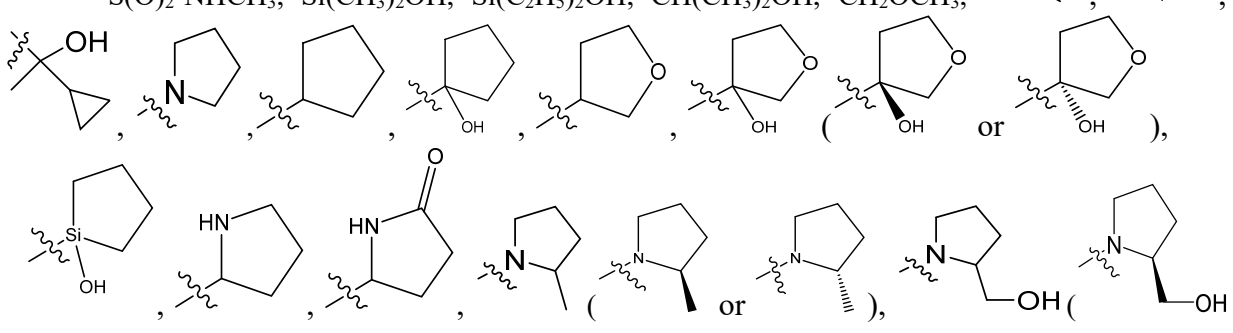
wherein each of said -C_{1-4}alkyl, C_{3-6}cycloalkyl, 4- to 6-membered C-linked heterocyclyl, 4- to 6-membered Si-linked heterocyclyl or 5-,6- or 7-membered N-linked heterocyclyl or 5- to 6-membered heteroaryl is optionally substituted with at least one substituent selected from halogen, hydroxy, oxo, -NH(C_{1-4}alkyl) or -C_{1-4}alkyl; R^c and R^d are each independently hydrogen or -C_{1-4}alkyl.

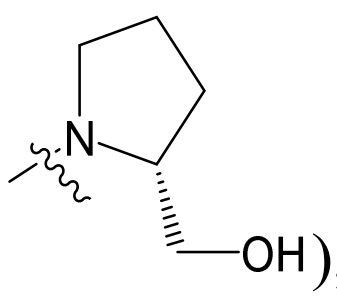
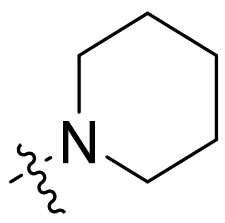
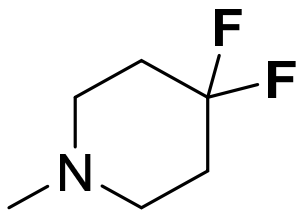
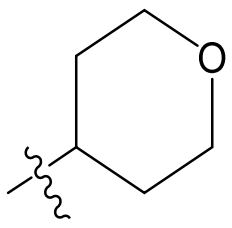
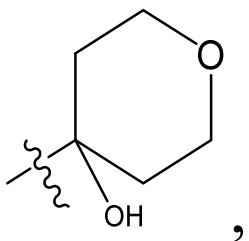
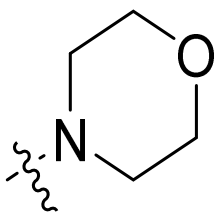
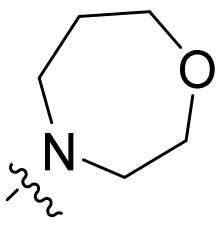
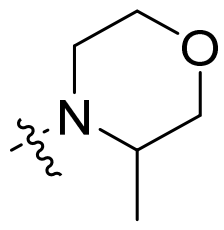
4. The compound according to any one of claims 1-3, wherein

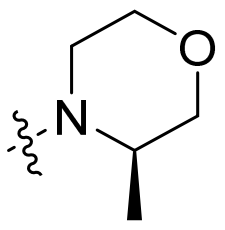
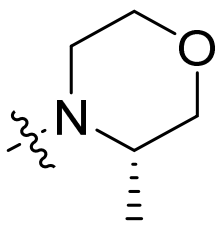
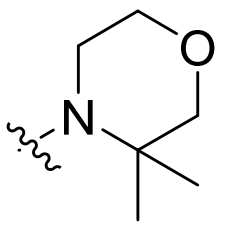
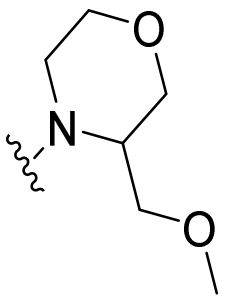
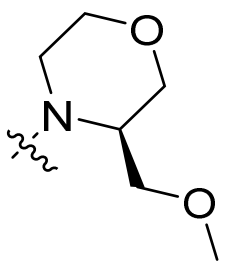
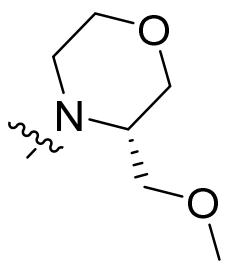
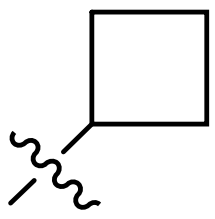
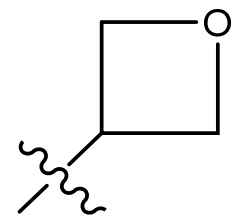
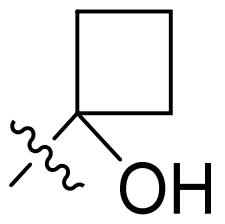
R_1 is selected from hydrogen, methyl, *tert*-butyl, ethyl, n-propyl, iso-propyl, cyclopropyl, 2-methylpropyl, butyl, pentyl, hexyl, chloro, fluoro, methoxy, -CHF_2, -$NHCH_3$, -$N(C_2H_5)_2$, -$OCH(CH_3)_2$,

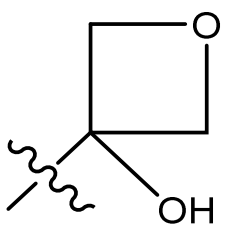
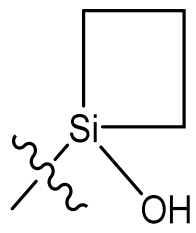
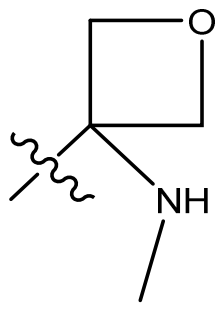
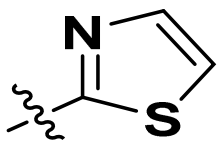
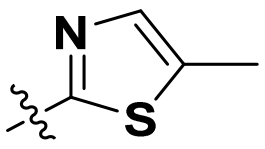
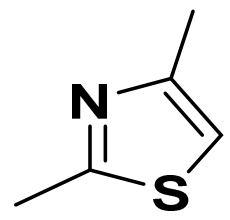
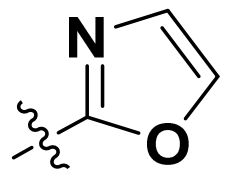
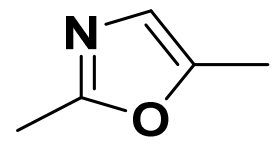
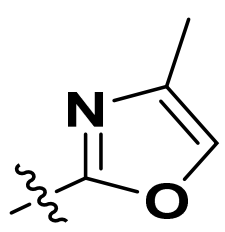


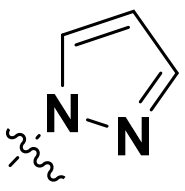
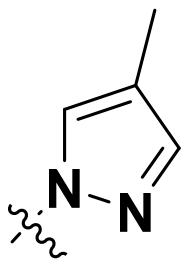
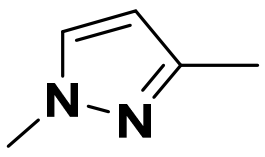
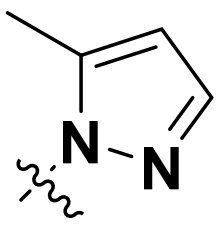
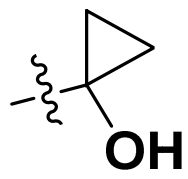
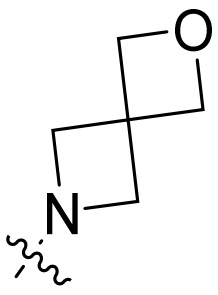
-$S(O)_2$-$NHCH_3$, -$Si(CH_3)_2OH$, -$Si(C_2H_5)_2OH$, -$CH(CH_3)_2OH$, -CH_2OCH_3,



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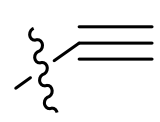
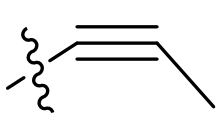
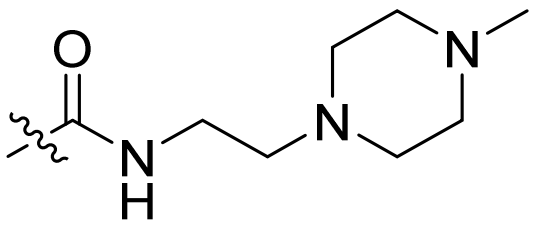
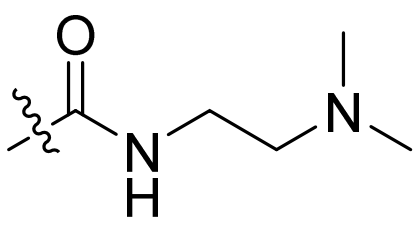
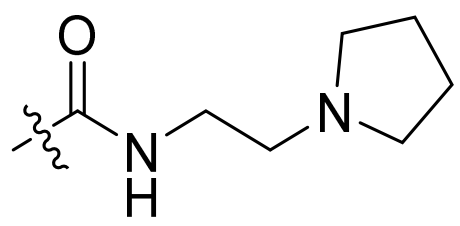
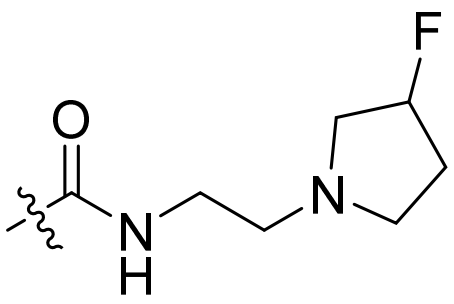
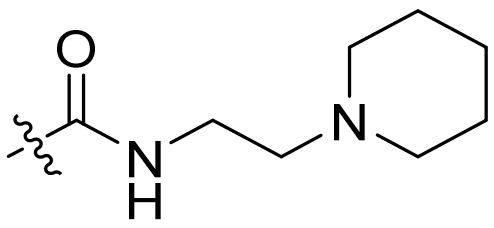
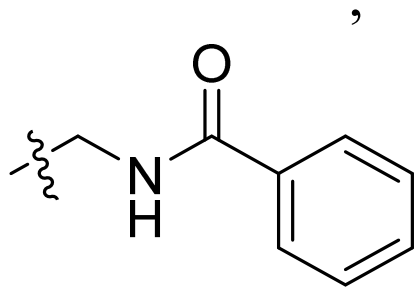
5. The compound according to any one of claims 1-4, wherein

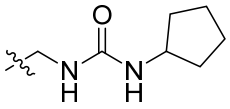
X is -C**R$_2$**, wherein R_2 is selected from hydrogen, -$C_{1\text{-}4}$alkyl, aryl, 5- to 6- membered heteroaryl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur, 3- to 7-membered heterocyclyl comprising one, two or three heteroatoms independently selected from nitrogen, oxygen or optionally oxidized sulfur, -$(CH_2)_n$-CONH**R^d**, -CONH-$(CH_2)_n$-**R^d**, -CONH-**R^d**, -$(CH_2)_n$-NH**R^d**, -$(CH_2)_n$-NHCO**R^d**, -$(CH_2)_n$-**R^d**, -SO_2-NH-$(CH_2)_n$-**R^d**, -$(CH_2)_n$-SO_2-NH**R^d**, -$(CH_2)_n$-NH-CO-N**$R^d$$R^e$**, -$(CH_2)_n$-NH-CS-N**$R^d$$R^e$**, or -$(CH_2)_n$-NH-$SO_2$-**$R^d$**; wherein each of said aryl, 5- to 6-membered heteroaryl or 3- to 7-membered heterocyclyl is optionally substituted with at least one selected from -$C_{1\text{-}4}$alkyl or oxo; and n is 1 or 2;

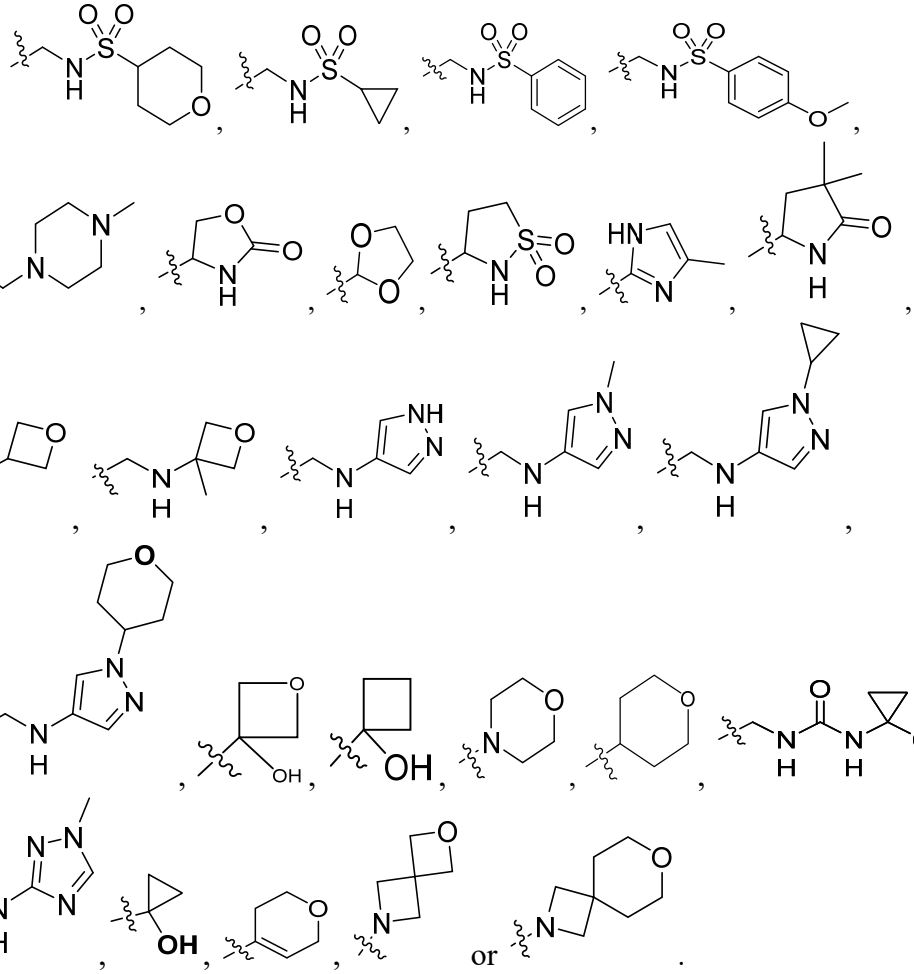
R^d and **R^e** each is independently selected from hydrogen, hydroxy, -$C_{1\text{-}4}$alkyl, phenyl, -$C_{1\text{-}4}$alkoxy, $C_{3\text{-}6}$ cycloalkyl, aryl, 5- to 6-membered heterocyclyl, 5- to 6-membered heteroaryl or -N**$R^{1c}$$R^{1d}$**, wherein each of said -$C_{1\text{-}4}$alkyl, $C_{3\text{-}6}$ cycloalkyl, aryl 5- to 6-membered heteroaryl or 5- to 6-membered heterocyclyl is optionally substituted with at least one halogen, CF_3, -$C_{1\text{-}4}$alkyl or oxo;

R^{1c} and **R^{1d}** are each independently hydrogen or -$C_{1\text{-}4}$alkyl.

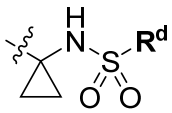
6. The compound according to claim 5, wherein

R_2 is selected from hydrogen, hydroxyl, methyl, halogen,  ,  , -$CONHCH_3$, -$CONHOCH_3$,  ,  ,  ,  ,  ,  , -SO_2NHCH_3, -$CH_2NHCONHCH_3$, -$CH_2NHCSNHCH_3$, -



$CH_2NHCOCH_3$, $-CH_2NHSO_2CH_3$, $-CH_2NHCONHC_2H_5$, , $-C_2H_4NHSO_2CH_3$, $-CH_2SO_2NHCH_3$, $-CH_2NHCH_2CF_3$, $-CH_2NHCONHCH_2CF_3$, $-CH_2NHCONHC(CH_3)_3$, $-CH_2NHCOCN(CH_3)_2$, 

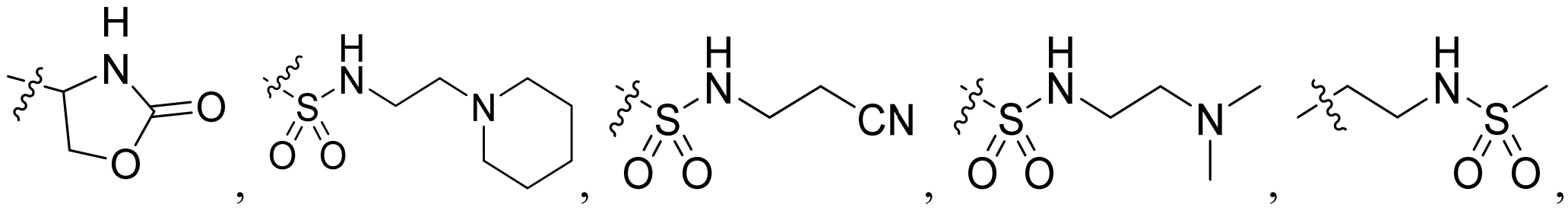
7. The compound according to any one of claims 1 to 6, wherein

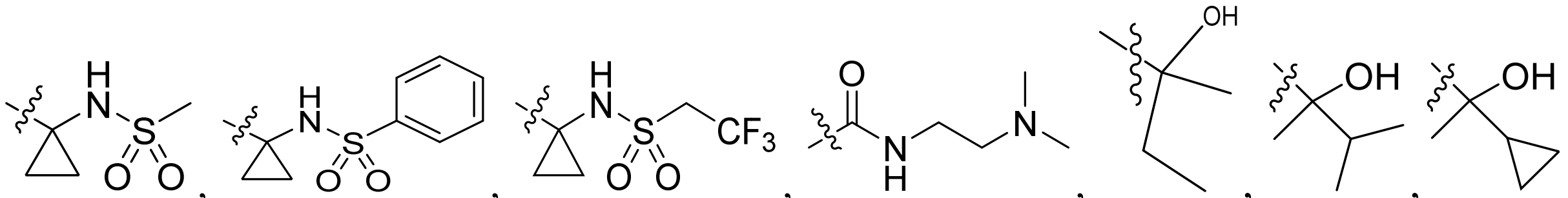
R_3 is selected from hydrogen, $-C_{1-4}$alkyl, C_{3-6}cycloalkyl, 4- to 6-membered heterocyclyl comprising one to three heteroatoms selected from nitrogen, oxygen, silicon or sulfur, $-(CH_2)_n$-CONH**R^d**, -CONH-$(CH_2)_n$-**R^d**, -CONH-**R^d**, $-(CH_2)_n$-NHCO**R^d**, $-SO_2$-NH-$(CH_2)_n$-**R^d**, $-(CH_2)_n-SO_2$-NH**R^d**, $-(CH_2)_n$-NH-CO-N**R^dR^e**, $-(CH_2)_n$-NH-SO_2-**R^d**, -Si**R^aR^{b}R^c**,  or 5- to 6-membered heteroaryl, wherein said $-C_{1-4}$alkyl , C_{3-6}cycloalkyl, 4- to 6-membered heterocyclyl or 5- to 6-membered heteroaryl is optionally substituted with $-C_{1-4}$alkyl, halogen, oxo or hydroxy;

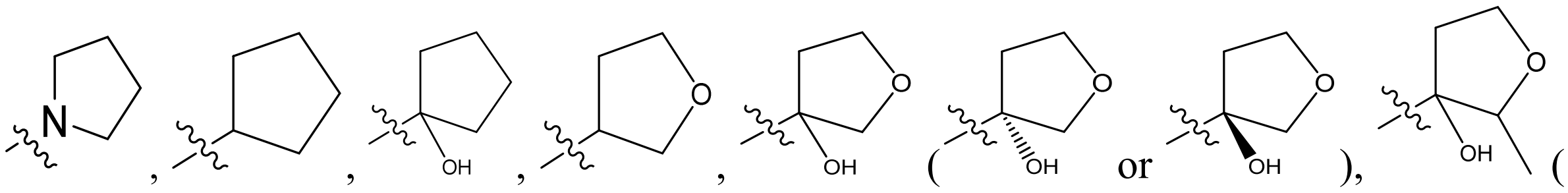
n is 0, 1 or 2;

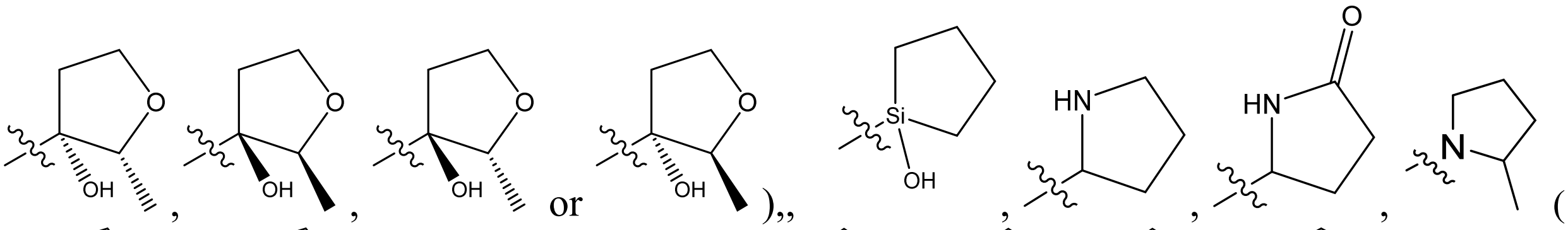
R^d and **R^e** each is independently selected from hydrogen, $-C_{1-4}$alkyl, phenyl, $-C_{1-4}$alkoxy, CN, C_{3-6}cycloalkyl, 5- to 6- membered heterocyclyl, or -N**$R^{1c}R^{1d}$**, wherein each said $-C_{1-4}$alkyl, C_{3-6}cycloalkyl, aryl or 5- to 6- membered heterocyclyl is optionally substituted with at least one of $-C_{1-4}$alkyl, halogen or oxo; and **R^{1c}** and **R^{1d}** are each independently hydrogen or $-C_{1-4}$alkyl.

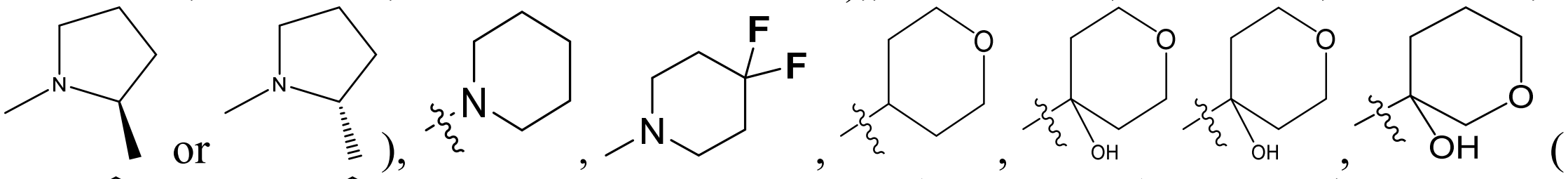
8. The compound according to Claim 7, wherein

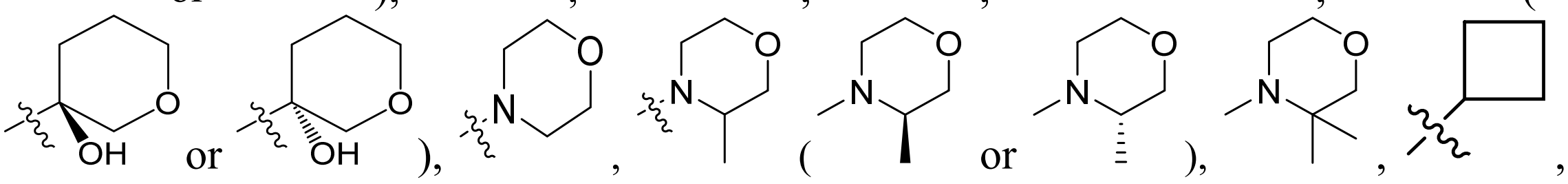
R_3 is selected from methyl, ethyl, propyl, cyclopropyl , $CH(OH)CH_3$, $-C(OH)(CH_3)_2$, $-SO_2NHCH_3$, $-SO_2NH_2$, $-SO_2NHC_2H_5$, $-CH(OH)CF_3$, $-C(OH)(CF_3)_2$, $-C(OH)(CH_3)_2$, $Si(CH_3)_2OH$, $-Si(C_2H_5)_2OH$, $-CH_2NHCH_3$, 

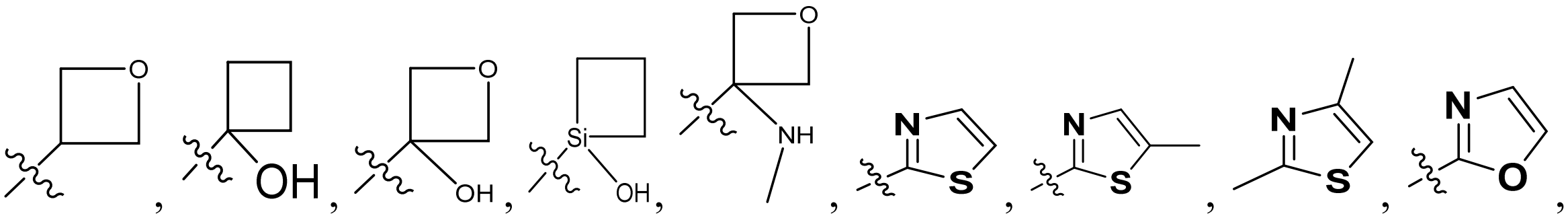


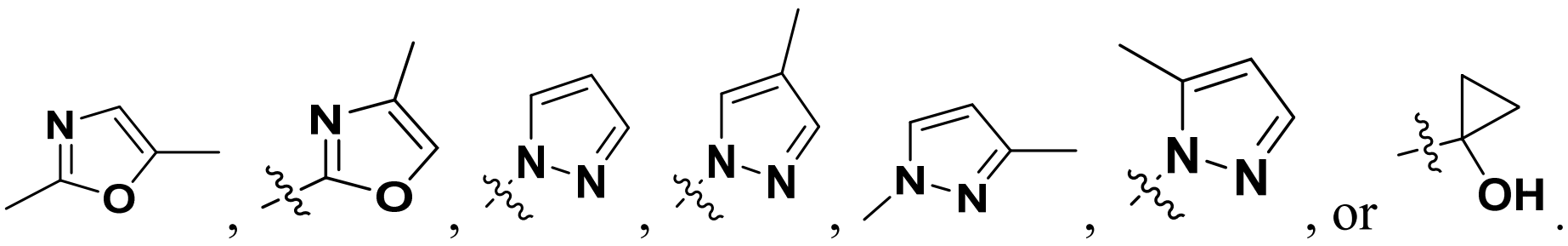










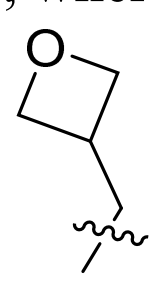


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9. The compound according to any one of claims 1 to 8, wherein

R_4 is selected from C_{3-6}cycloalkyl, or $-C_{1-4}$alkyl optionally substituted with 4- to 6-membered monocyclic heterocyclyl.

10. The compound according to any one of claims 1 to 9, wherein

R_4 is selected from methyl, ethyl, isopropyl, cyclopropyl, or  (oxetan-3-ylmethyl).

11. The compound according to any one of claims 1 to 10, wherein

R_{51}, **R_{52}**, **R_{61}**, and **R_{62}** are hydrogen.

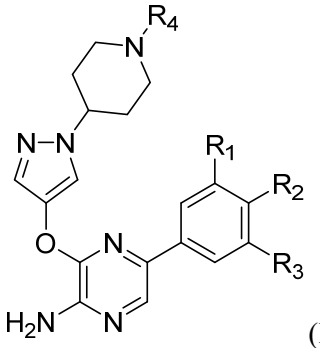
12. The compound according to any one of claims 1 to 11, wherein

R_{51} and **R_{61},** together with the atoms to which they are attached, form an 8-membered bridged heterocyclyl wherein the bridge contains two carbon atoms (i.e., -CH_2-CH_2-); and **R_{52}** and **R_{62}** are hydrogen.

13. The compound according to any one of claims 1 to 12, wherein

R_{71}, **R_{72}**, **R_{81}** and **R_{82}** and R_9 are hydrogen**.**

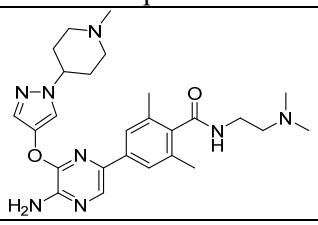
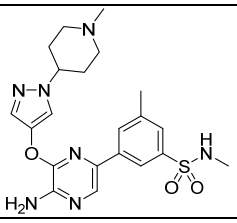
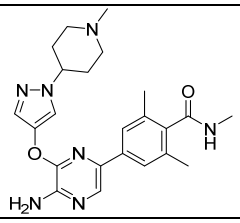
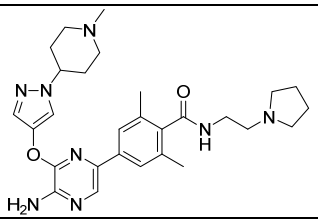
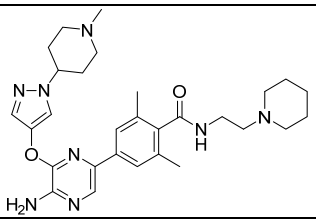
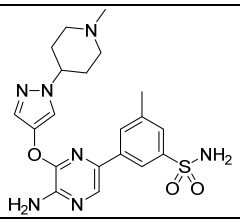
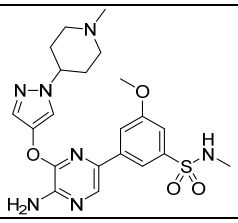
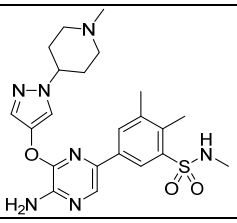
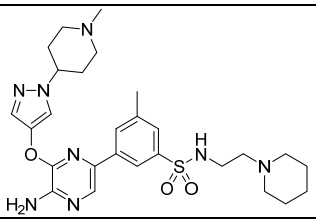
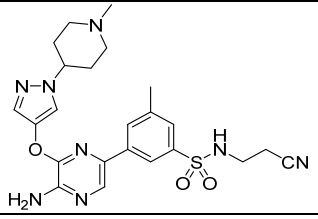
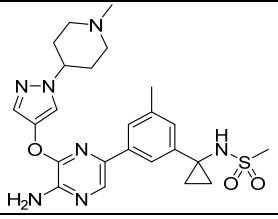
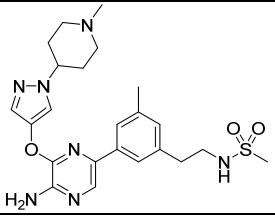
14. The compound according to any one of claims 1 to 13, which is Formula (II),

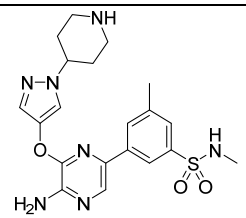
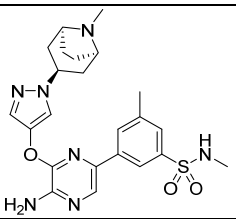
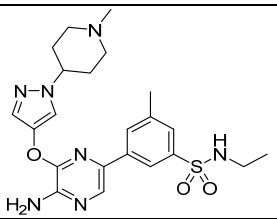
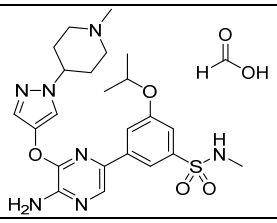
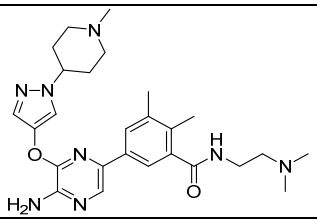
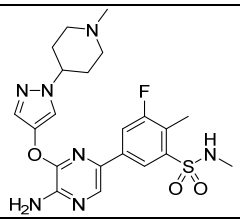
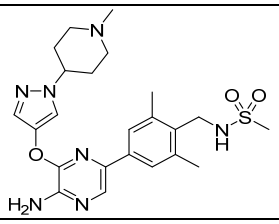
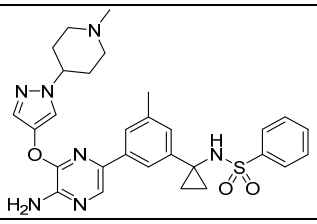
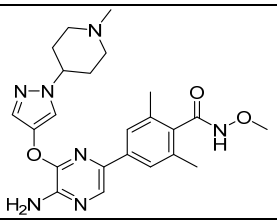
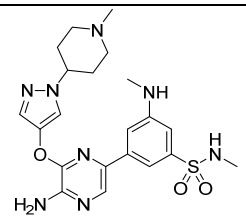
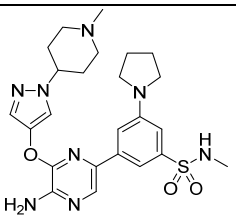
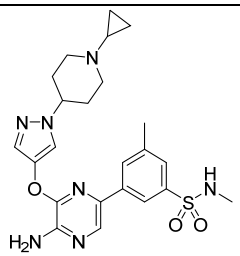
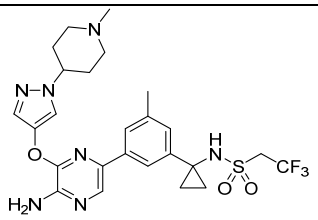
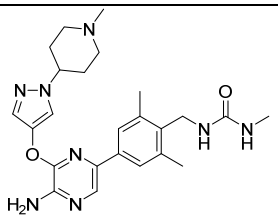
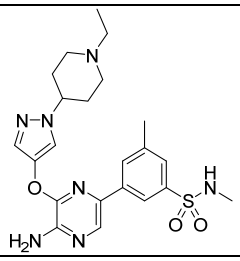
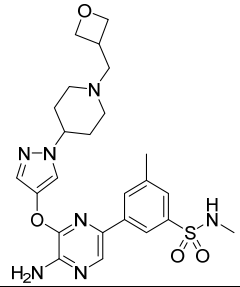
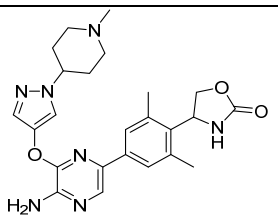
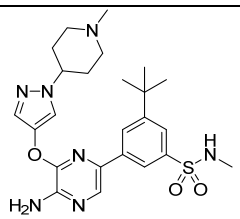


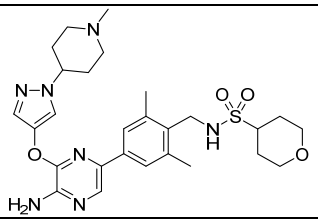
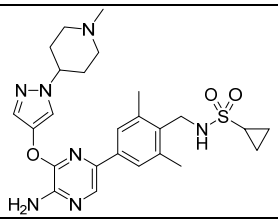
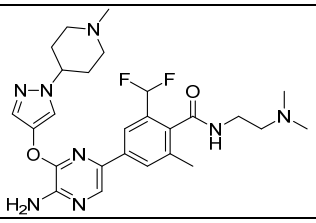
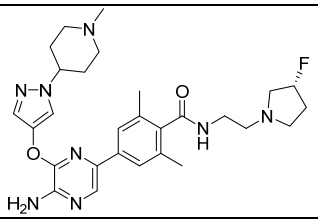
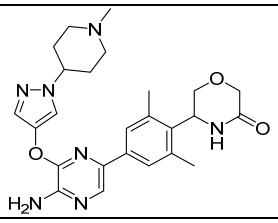
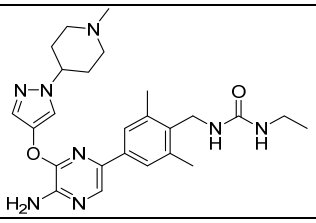
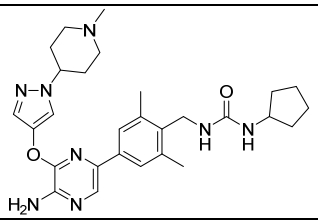
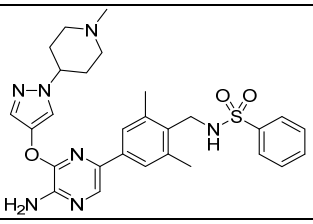
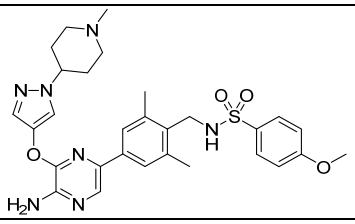
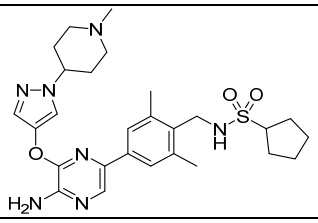
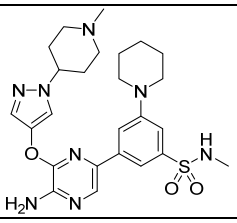
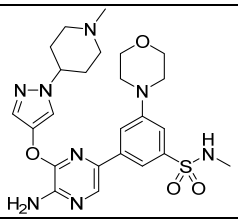
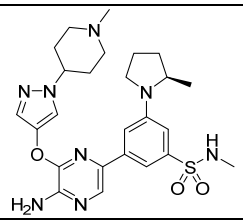
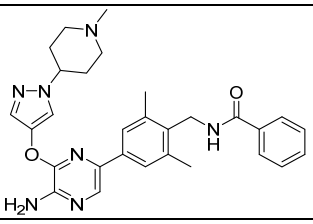
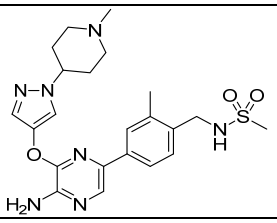
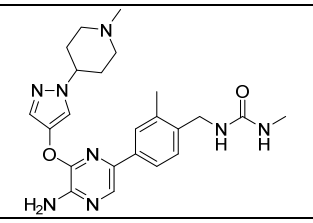
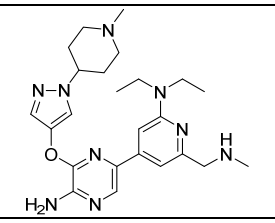
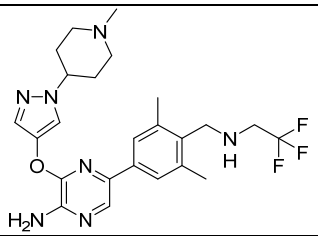
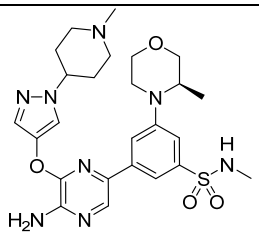
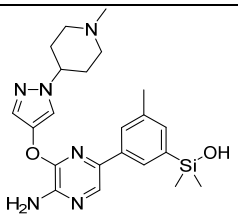
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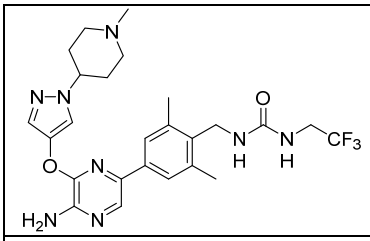
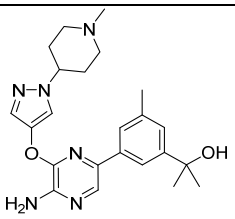
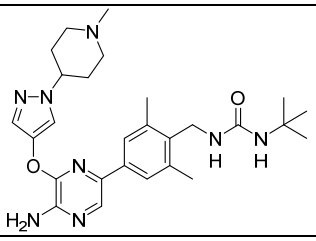
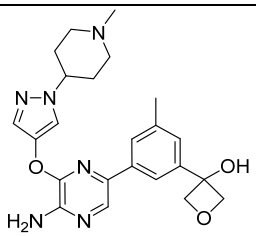
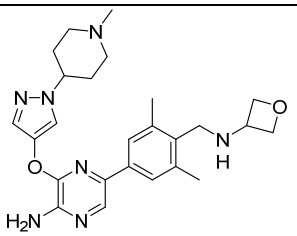
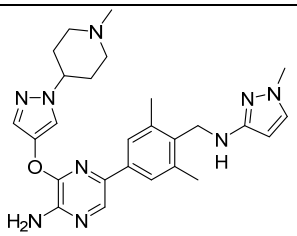
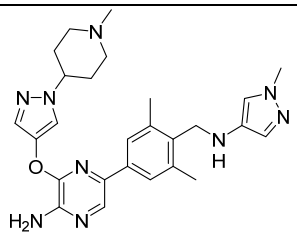
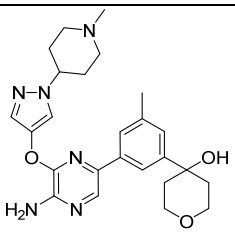
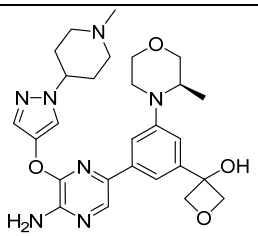
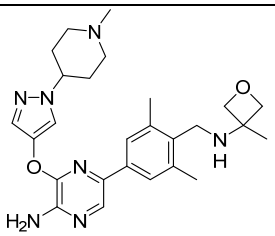
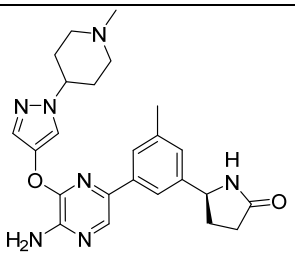
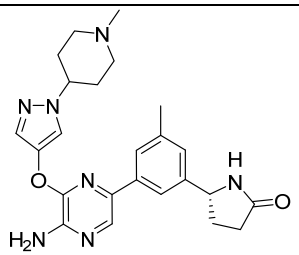
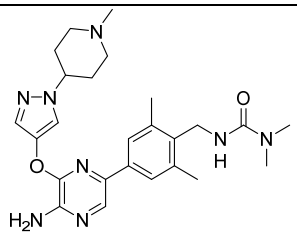
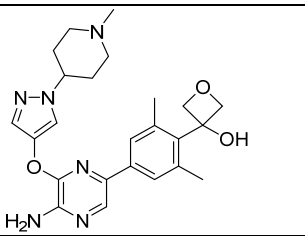
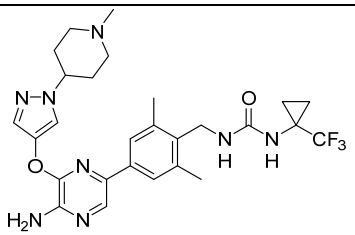
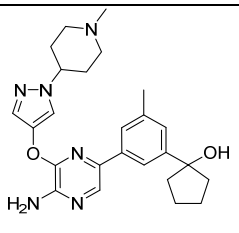
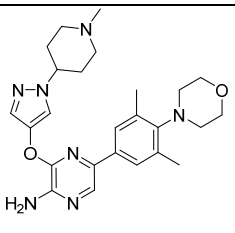
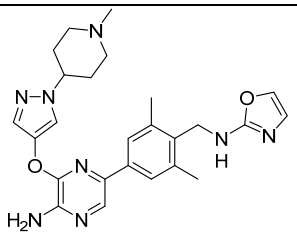
wherein R_1, R_2, R_3 and R_4 are defined as in claim 1.

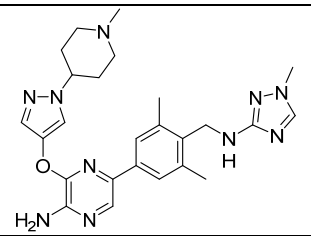
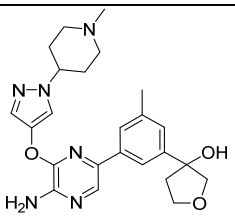
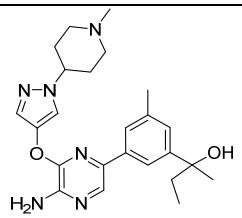
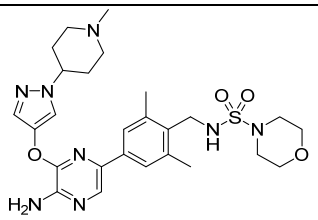
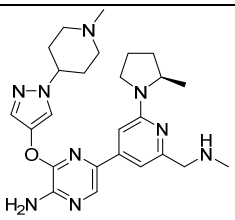
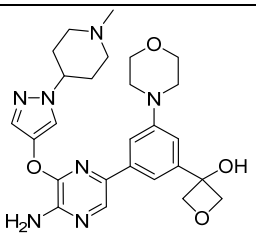
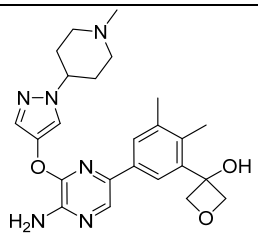
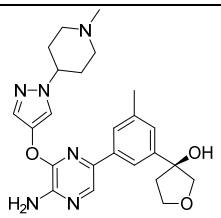
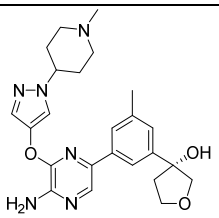
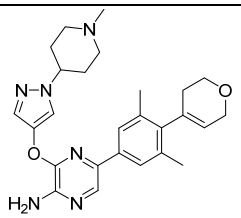
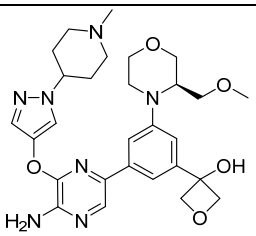
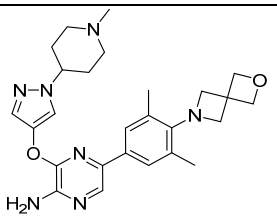
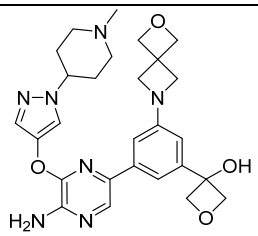
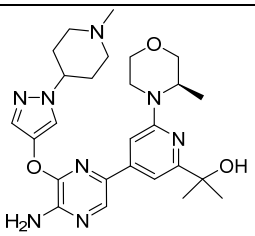
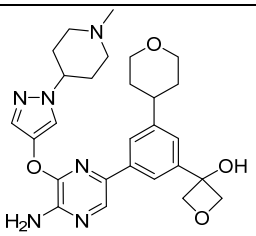
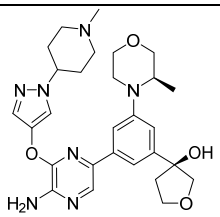
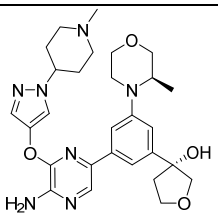
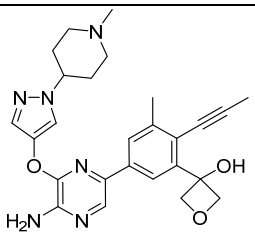
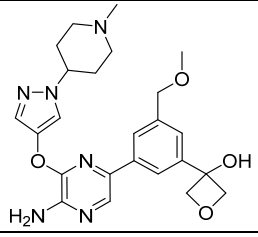
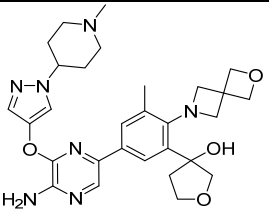
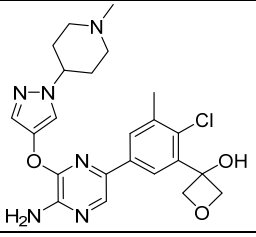
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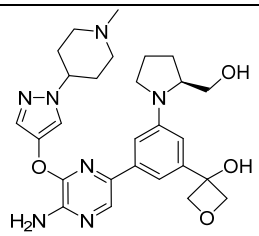
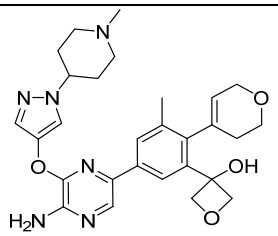
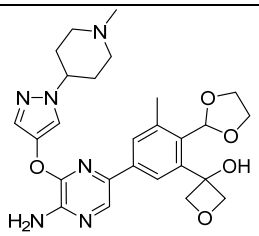
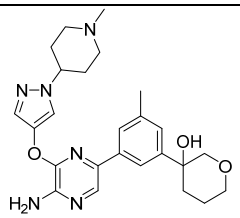
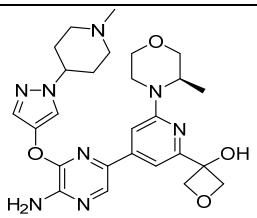
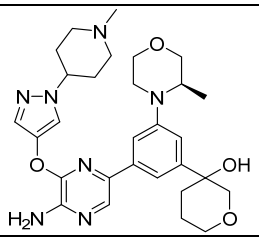
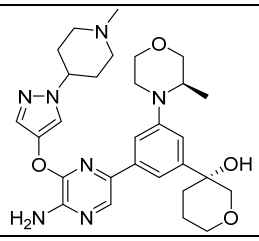
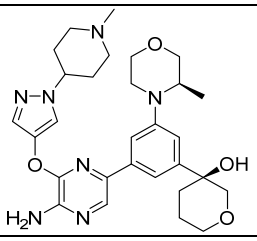
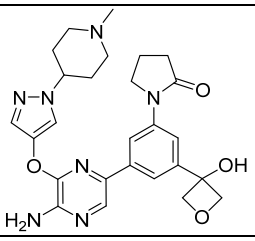
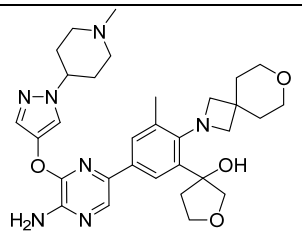
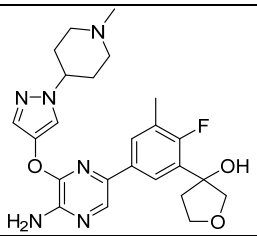
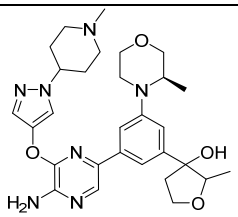
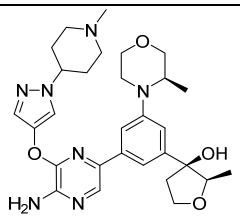
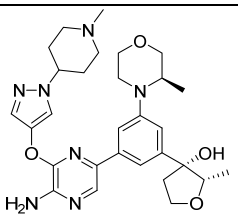
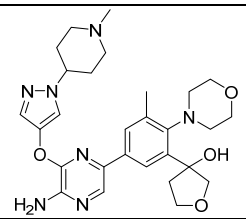
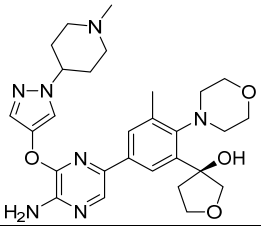
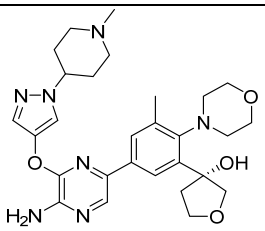
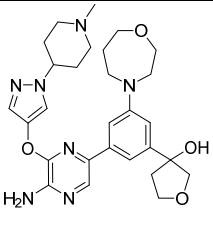
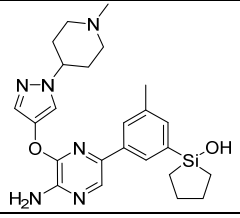
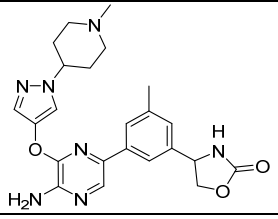
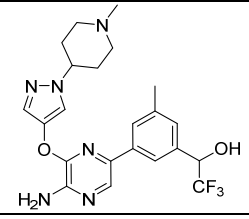
		
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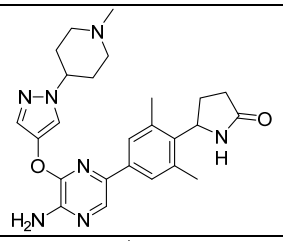
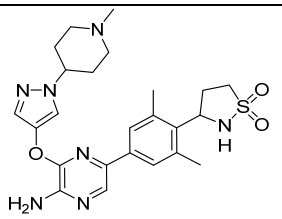
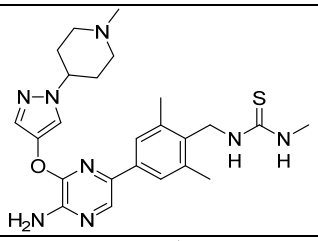
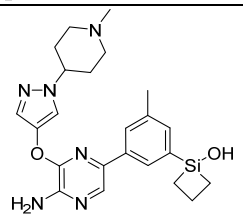
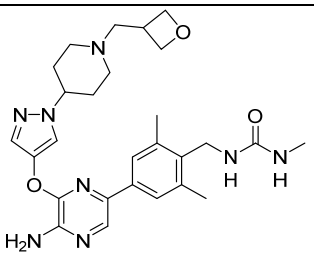
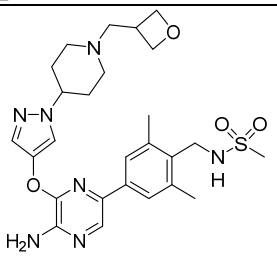
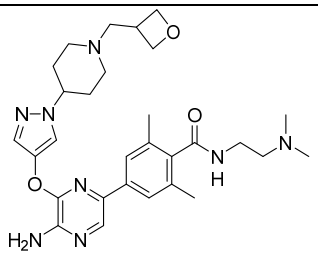
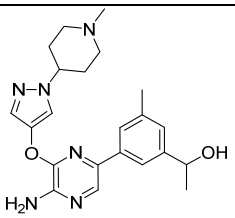
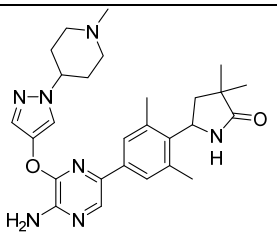
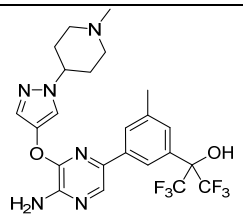
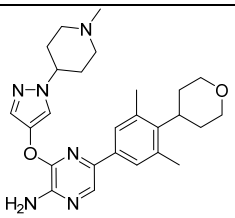
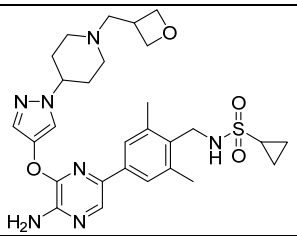
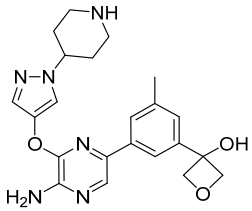
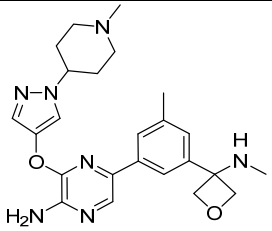
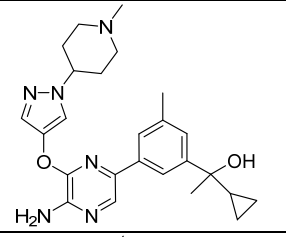
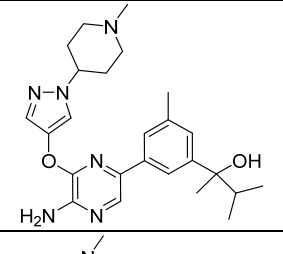
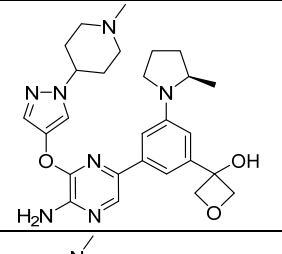
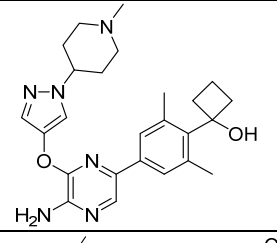
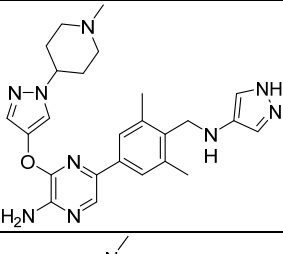
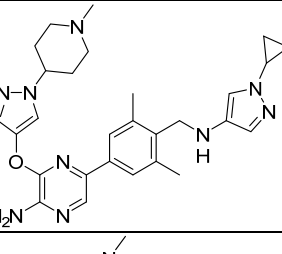
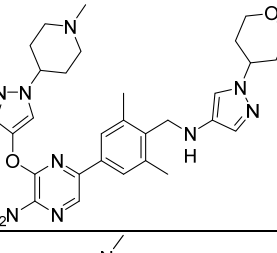
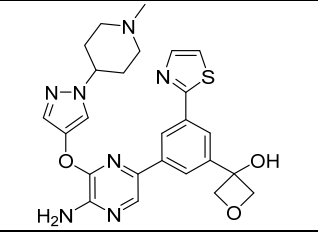
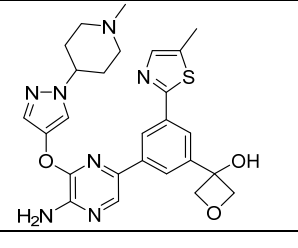
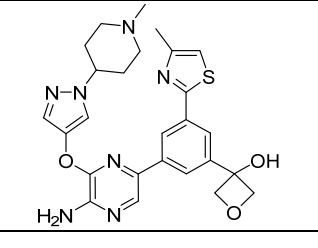
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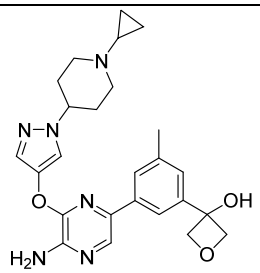
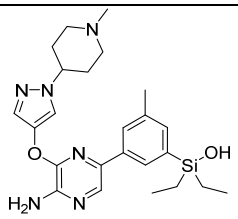
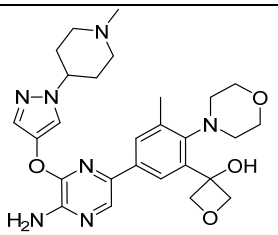
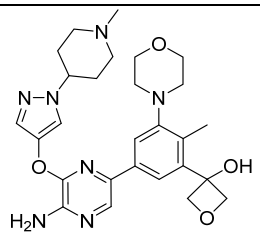
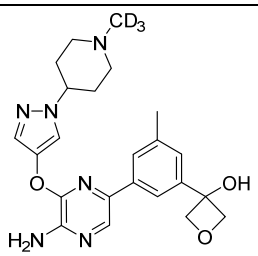
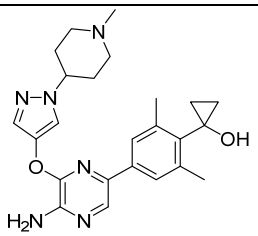
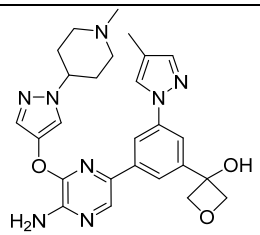
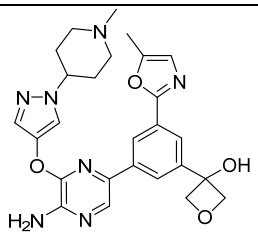
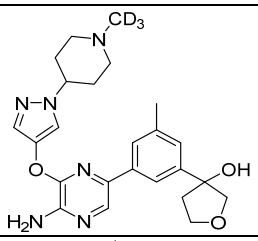
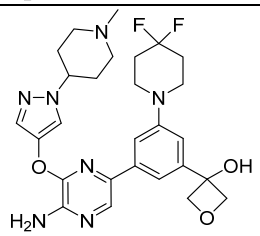
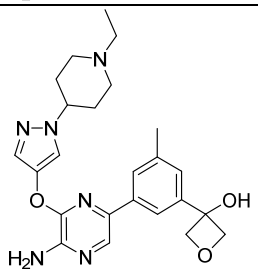
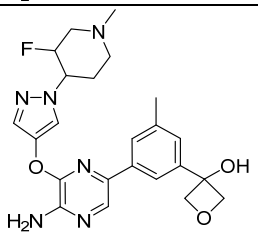
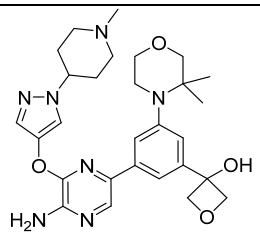
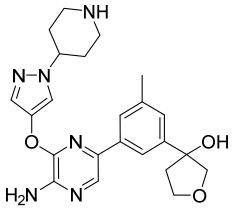
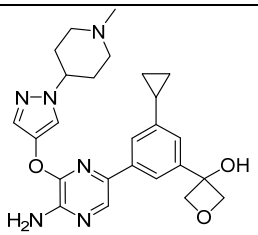
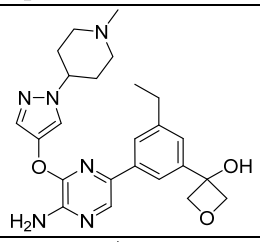
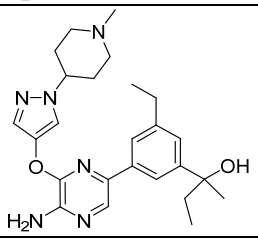
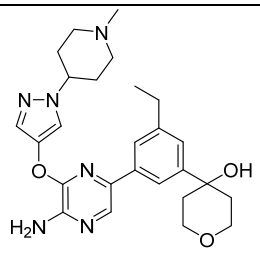
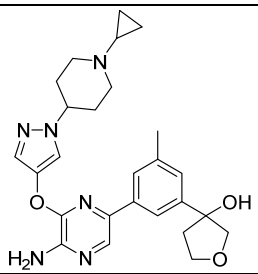
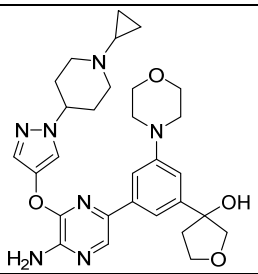
		
A31	A32	A33
		
A34	A35	A36
		
A37	A38	A39
		
A40	A41	A42
		
A43	A44	A45
		
A46	A47	B1
		
A48	A49	A50

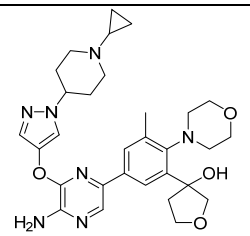
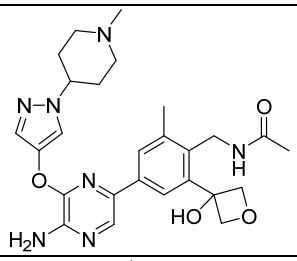
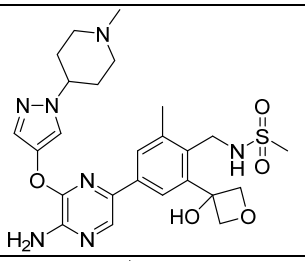
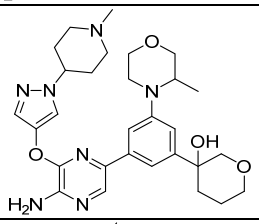
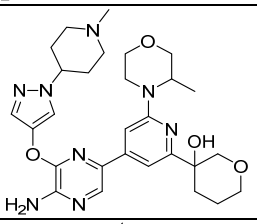
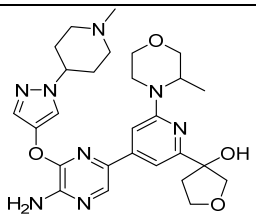
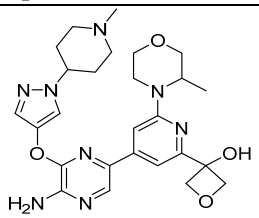
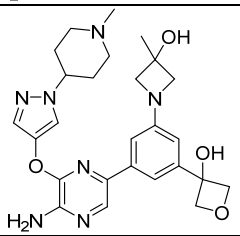
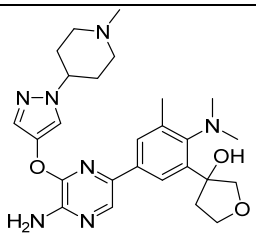
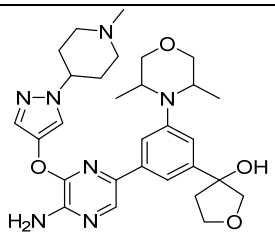
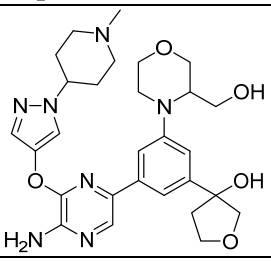
		
A51	A52	A53
		
A54	A55	A56
		
A57	A58	A59
		
A60	A61A & A61B	
		
A62	A63	A64
		
A65	A66	A67

		
A68	A69	A70
		
A71	B2	A72
		
A73	A74A	A74B
		
A75	A76	A77
		
A78	B3	A79
		
A80A	A80B	A81
		

A82	A83	A84
		
A85	A86	A87
		
A88	B4	A89
		
A90A & A90B		A91
		
A92	A93	A94
		
A95A & A95B		A96
		
A97A & A97B		A98
		

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

16. A pharmaceutical composition comprising the compound of any of claims 1-15 or a stereoisomer thereof, or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable excipient.

~~**17.** A method of treating cancer, comprising administering a subject in need thereof the compound of any of claims 1-15 or a stereoisomer thereof, or a pharmaceutically acceptable salt thereof.~~