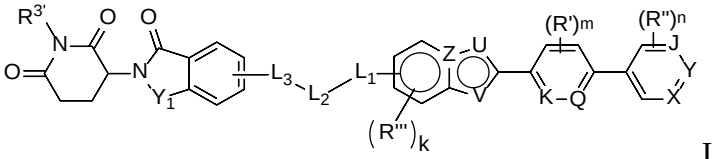
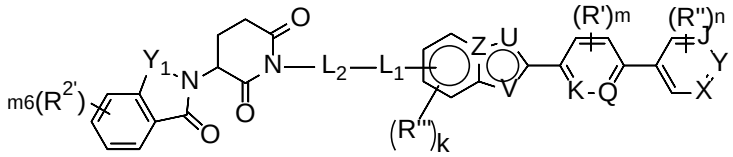
## CLAIMS

WHAT IS CLAIMED IS:

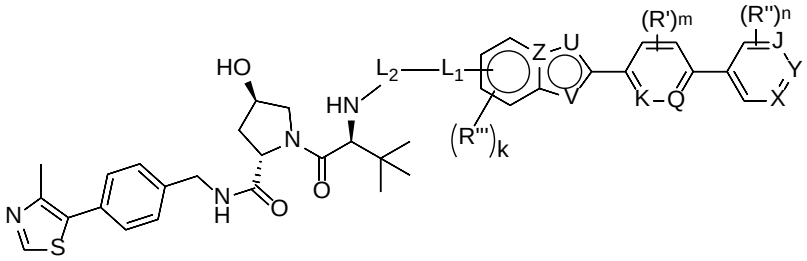
1. A compound of any one of Formula I to Formula VI,



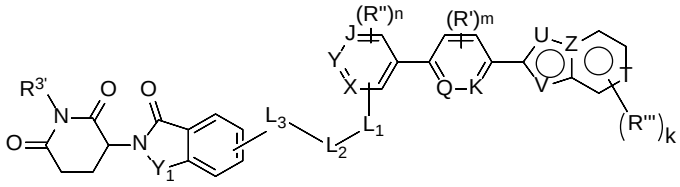
I



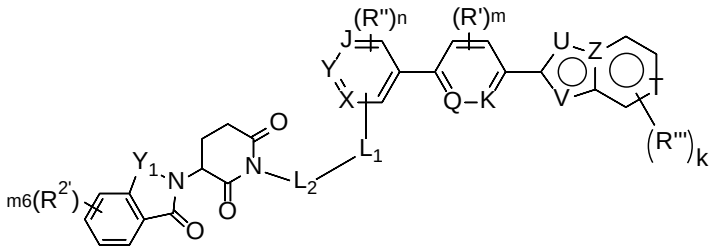
II



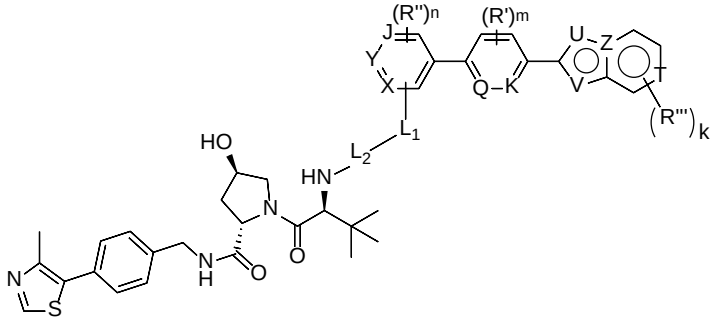
III



IV



V



VI

or, a pharmaceutical acceptable salt, an enantiomer, a non-enantiomer, a tautomer, a racemate, a solvate, a metabolic precursor, a prodrug thereof;

wherein,

$L_1$ is a bond, -NR-, -O-, or -S-, wherein R is hydrogen, optionally substituted acyl, optionally substituted alkyl or a nitrogen protecting group;

$L_2$ is a substituted or unsubstituted $C_{1-50}$ hydrocarbon chain;

Z is C or N; U is O, S or CH;

K is CH or N; Q is CH or N; where K and Q are not N at the same time;

each occurrence of R’’’ is independently selected from the group consisting of H, OH, $NH_2$, $C_{1-6}$ alkyl, $C_{1-6}$ alkylamino, $C_{1-6}$ alkoxy and halogen; k is 0, 1, 2 or 3;

each occurrence of R’ is independently selected from the group consisting of H, halogen, OH, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl and $C_{1-6}$ alkoxy; m is 0, 1, 2, 3 or 4;

each occurrence of R" is independently selected from the group consisting of H, halo, OH, $NH_2$, $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkylamino, $C_{3-6}$ cycloalkylamino, $C_{3-6}$ cycloalkyl and $C_{3-6}$ heterocycloalkyl; n is 0, 1 or 2;

J is $CR^6$ or N; X is $CR^6$ or N; Y is $CR^6$ or N; where at least one of J, X and Y is N, but J and Y are not N at the same time, X and Y are not N at the same time;

$R^6$ is independently selected from the group consisting of H, $NH_2$, $C_{1-6}$ alkyl and $C_{1-6}$ alkoxy, wherein $NH_2$, $C_{1-6}$ alkyl or $C_{1-6}$ alkoxy is optionally substituted by 1 to 3 of $C_{1-3}$ alkyl, $C_{3-6}$ cycloalkyl and/or halo;

in Formula I, $L_3$ is a bond, -NR-, -O-, or -S-, wherein R is hydrogen, optionally substituted acyl, optionally substituted alkyl or a nitrogen protecting group; $R^{3'}$ is H or $C_{1-6}$ alkyl; $Y_1$ is $CH_2$ or ; V is N, where Z and U are not heteratoms at the same time;

in Formula II, each occurrence of $R^{2'}$ is independently selected from the group consisting of H, OH, $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkylamino and $NH_2$; m6 is 0, 1, 2, 3 or 4; $Y_1$ is $CH_2$ or ; V is N, where Z and U are not heteratoms at the same time;

in Formula III, V is N, where Z and U are not heteratoms at the same time;

in Formula IV, $L_3$ is a bond, -NR-, -O-, or -S-, wherein R is hydrogen, optionally substituted acyl, optionally substituted alkyl or a nitrogen protecting group; $R^{3'}$ is H or $C_{1-6}$ alkyl; $Y_1$ is $CH_2$ or ; V is N or NH; T is CH or N; where up to two of U, Z, V and T contain heteroatoms;

in Formula V, each occurrence of $R^{2'}$ is independently selected from the group consisting of H, OH, $C_{1-6}$ alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkylamino and $NH_2$; m6 is 0, 1, 2, 3 or 4; $Y_1$ is $CH_2$ or ; V is N or NH; T is CH or N; where up to two of U, Z, V and T contain heteroatoms;

in Formula VI, V is N; T is CH or N; where up to two of U, Z, V and T contain heteroatoms.

2. The compound of claim 1, wherein $L_2$ is an optionally substituted $C_{1-45}$ hydrocarbon chain, optionally wherein one or more chain atoms of the hydrocarbon chain are independently replaced with –C(=O)–, –O–, –$NR^{a1}$–, -S- or a cyclic moiety, wherein $R^{a1}$ is independently hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl or a nitrogen protecting group;

preferably, $L_2$ is a substituted or unsubstituted $C_{5-40}$ hydrocarbon chain;

preferably, $L_2$ is a substituted or unsubstituted $C_{1-30}$, $C_{1-24}$, or $C_{1-20}$ hydrocarbon chain, optionally wherein one or more chain atoms of the hydrocarbon chain are independently replaced with –C(=O)–, –O–, –$NR^{a1}$–, -S- or a cyclic moiety, wherein $R^{a1}$ is independently hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl or a nitrogen protecting group;

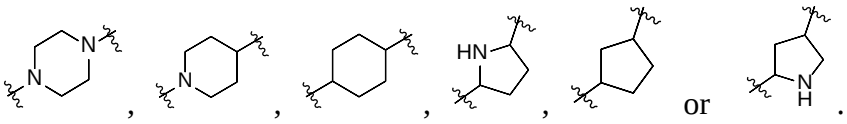
preferably, $L_2$ is an unsubstituted $C_{1-26}$, $C_{5-26}$, $C_{5-20}$, $C_{5-15}$, $C_{15-20}$, or $C_{20-25}$ hydrocarbon chain, wherein one or more chain atoms of the hydrocarbon chain are independently replaced with –C(=O)–, –O– or–$NR^{a1}$–, wherein $R^{a1}$ is independently hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl or a nitrogen protecting group.

3. The compound of claim 1, wherein $L_2$ is an optionally substituted $C_{1-30}$ hydrocarbon chain, wherein one or more chain atoms of the hydrocarbon chain are independently replaced with –O– or –$NR^{a1}$–, wherein $R^{a1}$ is independently hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl or a nitrogen protecting group;

preferably, at least one chain atom of the hydrocarbon chain of $L_2$ is independently replaced with –C(=O)–, –O–, –S–, –$NR^{a1}$–, –N= or =N–, wherein $R^{a1}$ is independently hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl or a nitrogen protecting group; preferably replaced with –O–.

4. The compound of claim 1, wherein $L_2$ is selected from the group consisting of substituted or unsubstituted carbocyclylene, substituted or unsubstituted heterocyclylene, substituted or unsubstituted arylene, substituted or unsubstituted heteroarylene, or substituted or unsubstituted heteroalkylene, and combinations thereof;
preferably, $L_2$ is selected from the group consisting of substituted and unsubstituted alkylene, substituted and unsubstituted alkenylene, substituted and unsubstituted alkynylene, substituted and unsubstituted heteroalkylene, substituted and unsubstituted heteroalkenylene, substituted and unsubstituted heteroalkynylene, substituted and unsubstituted heterocyclylene, substituted and unsubstituted carbocyclylene, substituted and unsubstituted arylene, substituted and unsubstituted heteroarylene, and combinations thereof.

5. The compound of claim 4, wherein the carbocyclylene or the heterocyclylene is




6. The compound of claim 4, wherein $L_2$ comprises at least one instance selected from the group consisting of substituted or unsubstituted $C_{1–6}$ alkylene, substituted or unsubstituted $C_{2–6}$ alkenylene, substituted or unsubstituted $C_{2–6}$ alkynylene, substituted or unsubstituted hetero$C_{1–6}$alkylene, substituted or unsubstituted hetero$C_{2–6}$alkenylene, substituted or unsubstituted hetero$C_{2–6}$alkynylene, substituted or unsubstituted $C_{3–6}$carbocyclylene, substituted or unsubstituted 3-6 membered heterocyclylene, substituted or unsubstituted phenylene, and substituted or unsubstituted 5- to 6-membered heteroarylene.

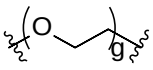
7. The compound of claim 4, wherein $L_2$ comprises at least one instance selected from the group consisting of substituted or unsubstituted methylene, ethylene, n-propylene, n-

butylene, n-pentylene, n-hexylene, $–(CH_2)_2–O(CH_2)_2–$, $–OCH_2–$, $–CH_2O–$, $–O(CH_2)_2–$, $–(CH_2)_2O–$, $–O(CH_2)_3–$, $–(CH_2)_3O–$, $–O(CH_2)_4–$, $–(CH_2)_4O–$, $–O(CH_2)_5–$, $–(CH_2)_5O–$, $–O(CH_2)_6–$, $–O(CH_2)_6O–$, -NH-C(=O)- and -C(=O)NH-.

8. The compound of claim 4, wherein at least one chain atom of the hydrocarbon chain of $L_2$ is independently replaced with a 6-membered heterocyclyl group with 1-3 ring heteroatoms selected from the group consisting of nitrogen and oxygen;
preferably, at least one chain atom of the hydrocarbon chain of $L_2$ is independently replaced with piperidine, piperazine or morpholine;
preferably, at least one chain atom of the hydrocarbon chain of $L_2$ is independently replaced with an optionally substituted phenyl group.

9. The compound of claim 4, wherein $L_2$ is an unsubstituted hydrocarbon chain, optionally wherein one or more chain atoms of the hydrocarbon chain are independently replaced with $–NR^{a1}–$, and each instance of $R^{a1}$ is independently hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl or a nitrogen protecting group, or optionally two instances of $R^{a1}$ are taken together with their intervening atoms to form a substituted or unsubstituted heterocyclic or substituted or unsubstituted heteroaryl ring.

10. The compound of claim 9, wherein at least one instance of $R^{a1}$ is hydrogen, substituted or unsubstituted $C_{1-6}$ alkyl (e.g., substituted or unsubstituted methyl or ethyl), a nitrogen protecting group (e.g., benzyl, t-butyl carbonate, benzyl carbamate, 9-fluorenylmethyl carbonate, trifluoroacetyl, triphenylmethyl, acetyl or p-toluenesulfonamide).

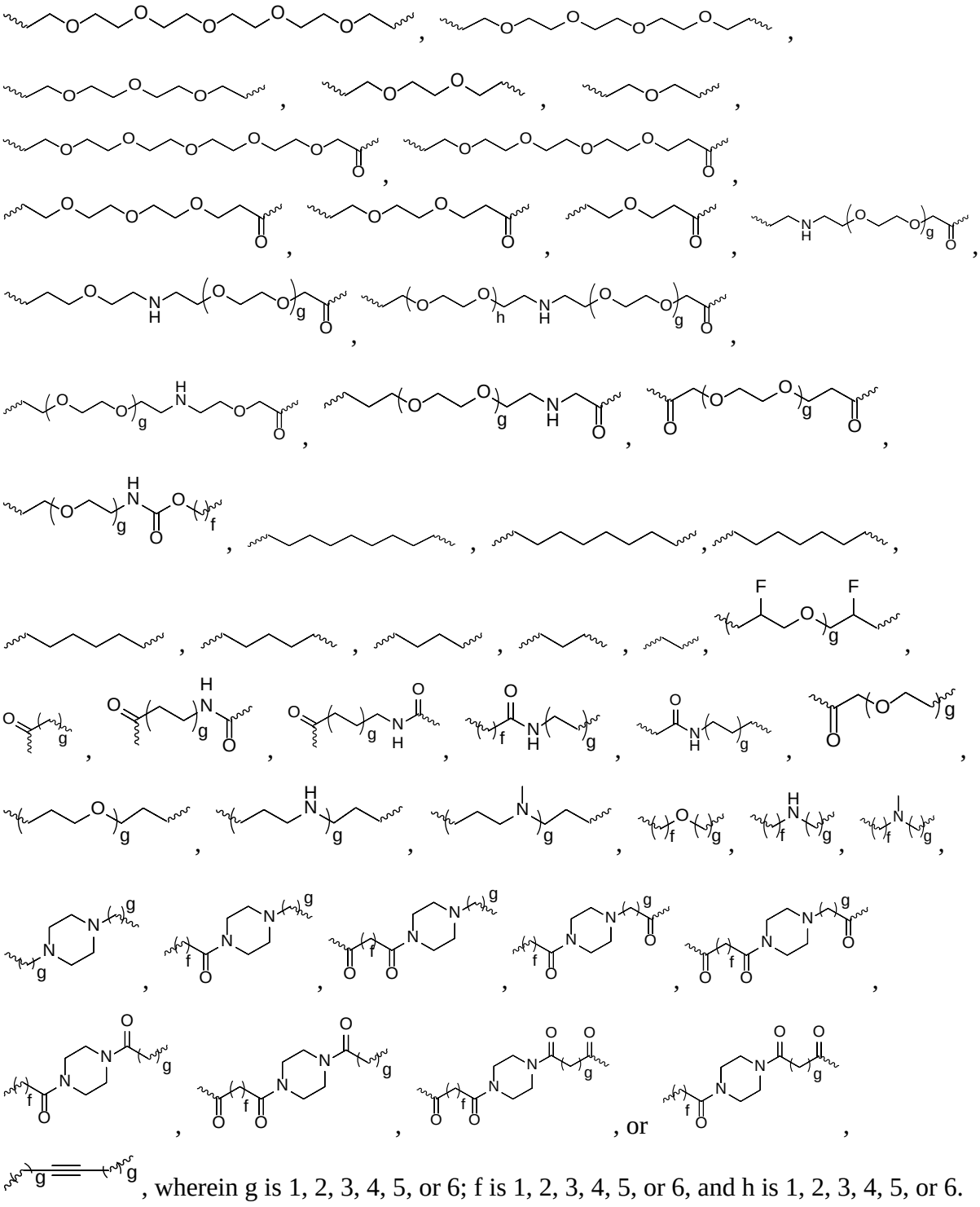
11. The compound of claim 1, wherein $L_2$ is 

, wherein g is 1, 2, 3, 4, 5, or 6.

12. The compound of claim 1, wherein $L_2$ includes the moiety –O-,

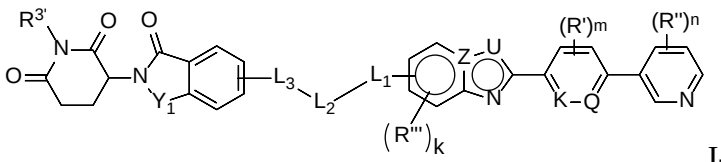
, –NHC(=O)- or –NH-.

13. The compound of claim 1, wherein $L_2$ is selected from the group consisting of



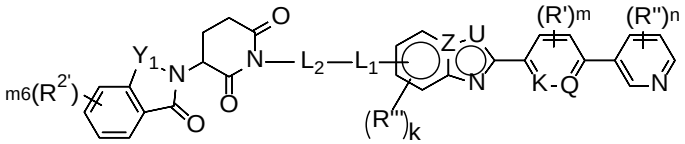
, wherein g is 1, 2, 3, 4, 5, or 6; f is 1, 2, 3, 4, 5, or 6, and h is 1, 2, 3, 4, 5, or 6.

14. The compound of claim 1, wherein the compound of Formula I is of Formula I-1;



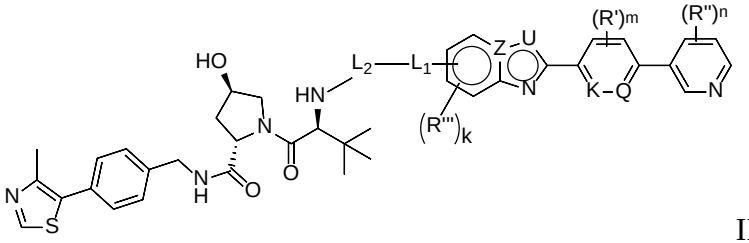
I-1.

15. The compound of claim 1, wherein the compound of Formula II is of Formula II-1;



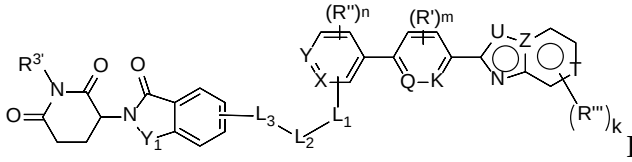
II-1.

16. The compound of claim 1, wherein the compound of Formula III is of Formula III-1;



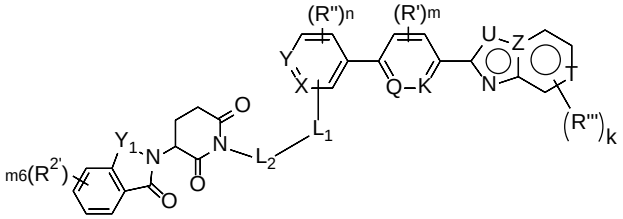
III-1.

17. The compound of claim 1, wherein the compound of Formula IV is of Formula IV-1;



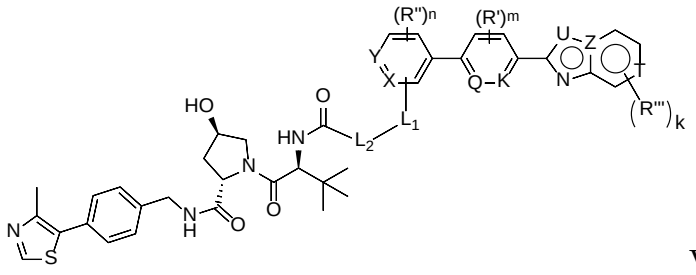
IV-1.

18. The compound of claim 1, wherein the compound of Formual V is of Formula V-1;



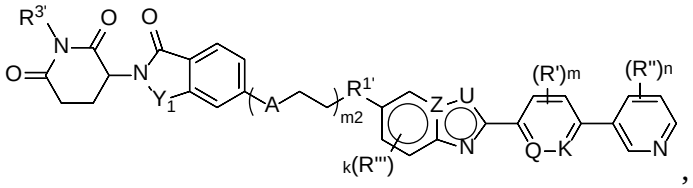
V-1.

19. The compound of claim 1, wherein the compound of Formula VI is of Formula VI-1;



VI-1.

20. The compound of claim 14, wherein the compound of Formual I-1 is of Formula 1, 5, 6, 8, 10 or 13;



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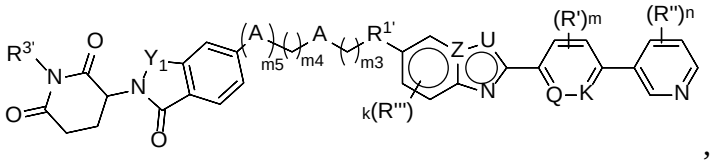
Formula 1



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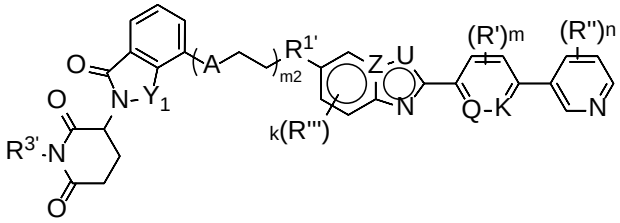
Formula 5



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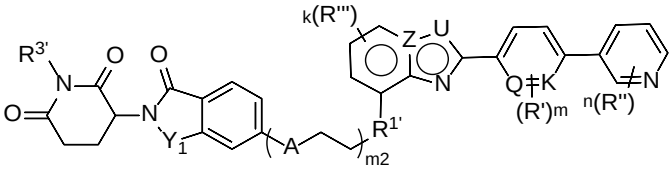
Formula 6



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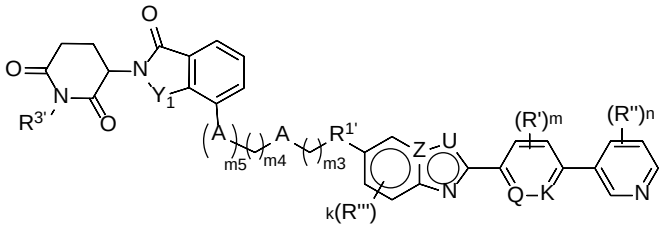
Formula 8



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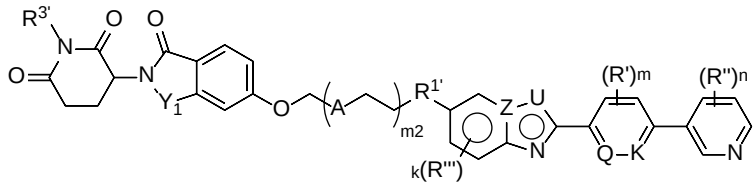
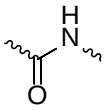
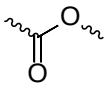
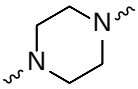
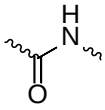
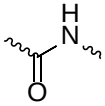
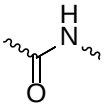
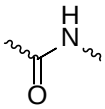
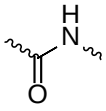
Formula 10



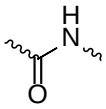
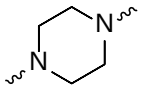
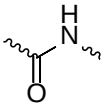
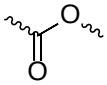
Formula 13.

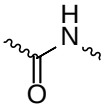
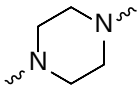
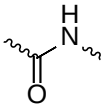
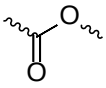
21. The compound of claim 20, wherein the compound is of Formula 1, and wherein R’ is H, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkoxy, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino and $C_{3-5}$ heterocycloalkyl; and/or, R’’’ is H, OH or halogen; and/or, $R^{1'}$ is O, NH,  or  ; and/or, A is O, NH,  or  ; and/or, m2 is 2, 3, 4 or 6; and/or, $R^{3'}$ is H or $C_{1-3}$ alkyl.

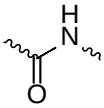
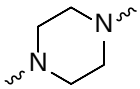
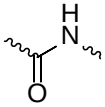
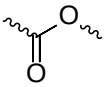
22. The compound of claim 20, wherein the compound is of Formula 5, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH or  ; and/or, m4 is 0, 1, 2, or 3; and/or, m5 is 0, 1, 2 or 3; and/or, m3 is 1, 2, 3, 4, 5 or 6; and/or, $R^{1'}$ is O, NH or  ; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; and/or, R’ is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1, 2 or 3; and/or, R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl.

23. The compound of claim 20, wherein the compound is of Formula 6, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH or  ; and/or, m2 is 1, 2, 3, 4, 5, 6 or 7; and/or, $R^{1'}$ is O, NH or  ; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; and/or, R’ is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1, 2 or 3; and/or, R’’ is

H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, n is 0 or 1.

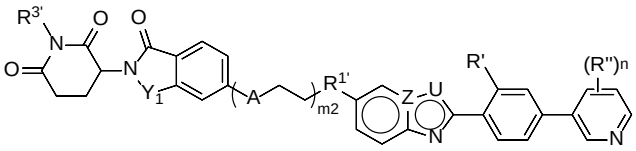
24. The compound of claim 20, wherein the compound is of Formula 8, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m2 is 1, 2, 3 or 4; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; and/or, k is 0 or 1; and/or, R’ is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1 or 2; and/or, R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, n is 0, 1 or 2.

25. The compound of claim 20, wherein the compound is of Formula 10, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m5 is 0 or 1; and/or, m4 is 0 or 1; and/or, m3 is 1, 2, 3, 4 or 5; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; and/or, k is 0 or 1; and/or, R’ is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0 or 1; and/or, R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, n is 0 or 1.

26. The compound of claim 20, wherein the compound is of Formula 13, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m2 is 1, 2, 3 or 4; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; and/or, R’ is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is

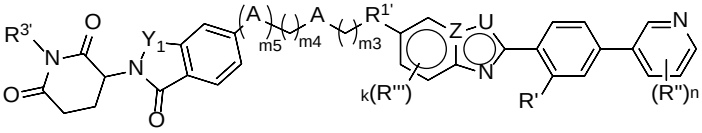
0, 1, 2 or 3; and/or, R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl.

27. The compound of claim 20, wherein the compound of Formual 1 is of Formula 1-1;



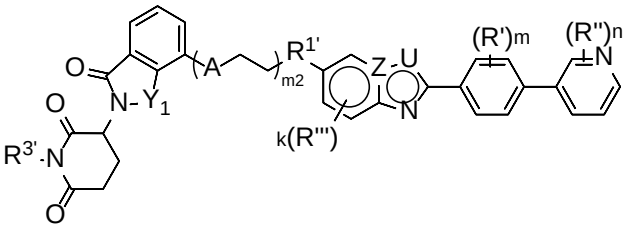
Formula 1-1.

28. The compound of claim 20, wherein the compound of Formual 5 is of Formula 5-1;

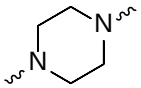
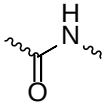
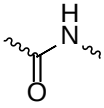
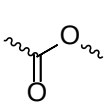


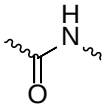
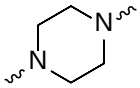
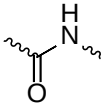
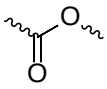
Formula 5-1.

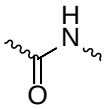
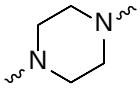
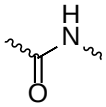
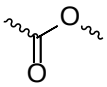
29. The compound of claim 20, wherein the compound of Formual 6 is of Formula 6-1;



Formula 6-1.

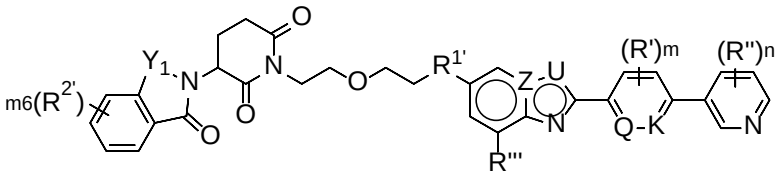
30. The compound of claim 27, wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; A is O, NH,  or  ; m2 is 1, 2, 3, 4, 5, 6 and 7; $R^{1'}$ is O, NH,  or  ; R’ is H, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; R’’ is H, F, Cl, OH, $NH_2$, $C_{1-3}$ alkoxy, methylamino, dimethylamino, diethylamino or cyclopropylamino.

31. The compound of claim 28, wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; A is O, NH,  or  ; m5 is 0 or 1; m4 is 0, 1, 2, 3 or 4; m3 is 1, 2, 3, 4, 5 or 6; $R^{1'}$ is O, NH,  or  ; R''' is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino and $C_{3-5}$ heterocycloalkyl.

32. The compound of claim 29, wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; A is O, NH,  or  ; m2 is 1, 2, 3, 4, 5, 6 or 7; $R^{1'}$ is O, NH,  or  ; R''' is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; m is 0, 1, 2 or 3; R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl.

33. The compound of claim 20, wherein the compound is of Formula 1, 5, 6, 8, 10 or 13, and wherein K is CH and Q is N, or K is N and Q is CH, or both of K and Q are CH.

34. The compound of claim 15, wherein the compound is of Formula 3;



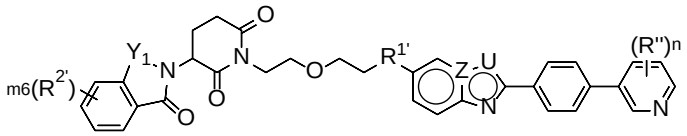
Formula 3.

35. The compound of claim 34, wherein $R^{2'}$ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkylamino or $NH_2$; and/or, m6 is 0, 1, 2 or 3; and/or, $R^{1'}$ is O or NH; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkoxy, $C_{3-5}$

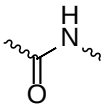
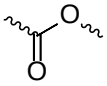
cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{1-3}$ alkylamino; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen.

36. The compound of claim 34, wherein Z is C and U is O or S; or Z is N and U is CH; and/or, K is CH and Q is N, or K is N and Q is CH, or both of K and Q are CH.

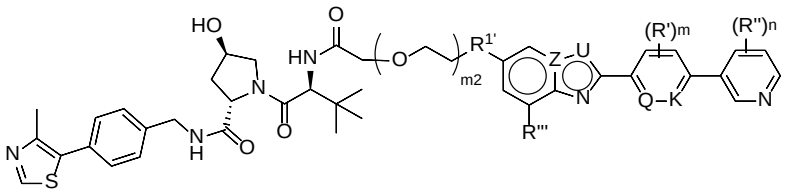
37. The compound of claim 34, wherein the compound is of Formula 3-1;



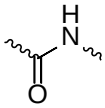
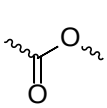
Formula 3-1.

38. The compound of claim 37, wherein $R^{2'}$ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkylamino or $NH_2$; m6 is 0, 1, 2 or 3; $R^{1'}$ is O, NH,  or  ; R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{1-3}$ alkylamino.

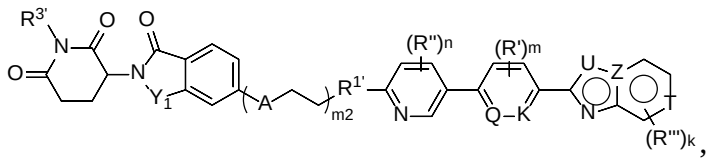
39. The compound of claim 16, wherein the compound is of Formula 15;



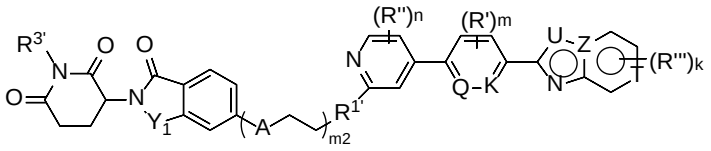
Formula 15.

40. The compound of claim 39, wherein m2 is 1, 2, 3, 4, 5, 6 or 7; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R’’’ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy or halogen; and/or, R’ is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; m is 0, 1, 2 or 3; and/or, R’’ is H, halo, OH, $NH_2$, $C_{1-3}$ alkoxy, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{1-3}$ alkylamino.

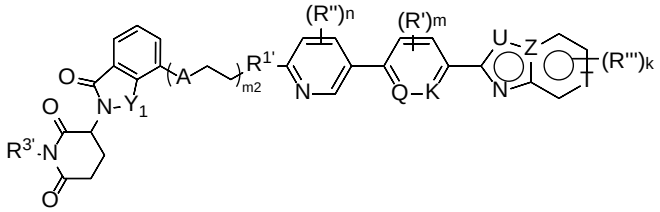
41. The compound of claim 17, wherein the compound is of Formula 2, 7, 9, 11, 12 or 14;



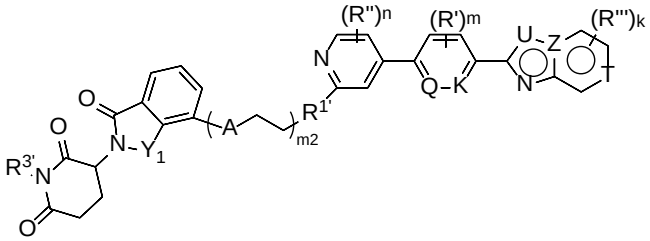
Formula 2



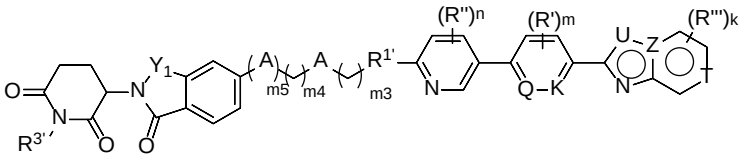
Formula 7



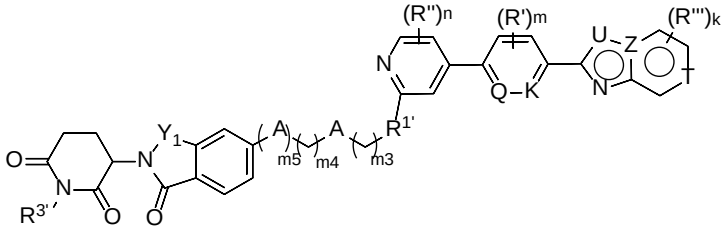
Formula 9



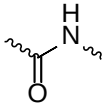
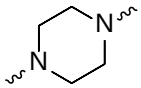
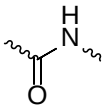
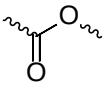
Formula 11

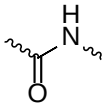
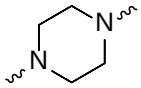
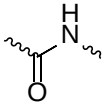
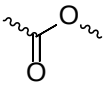


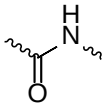
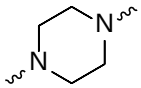
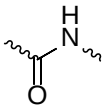
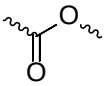
Formula 12

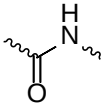
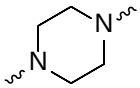
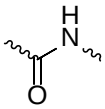
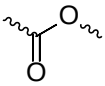


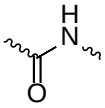
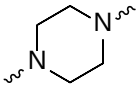
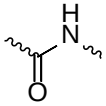
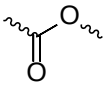
Formula 14.

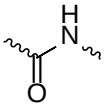
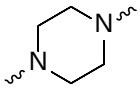
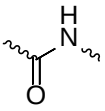
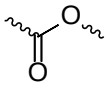
42. The compound of claim 41, wherein the compound is of Formula 2, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m2 is 2, 3, 4, 5 or 6; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1, 2 or 3; and/or, R''' is H, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkylamino, $C_{1-3}$ alkoxy or halogen.

43. The compound of claim 41, wherein the compound is of Formula 7, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m2 is 2, 3, 4, 5 or 6; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1, 2 or 3.

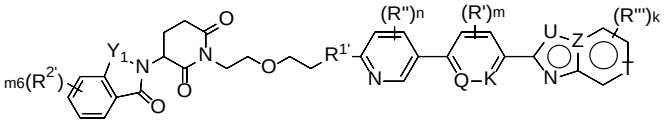
44. The compound of claim 41, wherein the compound is of Formula 9, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m2 is 2, 3, 4, 5 or 6; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1, 2 or 3; and/or, R''' is H, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkylamino, $C_{1-3}$ alkoxy or halogen.

45. The compound of claim 41, wherein the compound is of Formula 11, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m2 is 2, 3, 4, 5 or 6; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; and/or, m is 0, 1, 2 or 3; and/or, R''' is H, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkylamino, $C_{1-3}$ alkoxy or halogen.

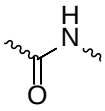
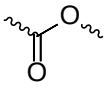
46. The compound of claim 41, wherein the compound is of Formula 12, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; A is O, NH,  or  ; m3 is 1, 2, 3, 4, 5 or 6; m4 is 0 or 1, 2 or 3; m5 is 0 or 1, 2 or 3; $R^{1'}$ is O, NH,  or  ; R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; m is 0, 1, 2 or 3; R''' is H, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkylamino, $C_{1-3}$ alkoxy or halogen.

47. The compound of claim 41, wherein the compound is of Formula 14, and wherein $R^{3'}$ is H or $C_{1-3}$ alkyl; and/or, A is O, NH,  or  ; and/or, m3 is 1, 2, 3, 4, 5 or 6; and/or, m4 is 0 , 1, 2, 3 or 4; and/or, m5 is 0 or 1, 2 or 3; and/or, $R^{1'}$ is O, NH,  or  ; and/or, R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkylamino, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{3-5}$ heterocycloalkyl; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; m is 0, 1, 2 or 3; and/or, R''' is H, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkylamino, $C_{1-3}$ alkoxy or halogen.

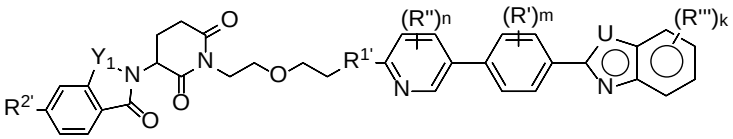
48. The compound of claim 18, wherein the compound is of Formula 4;



Formula 4.

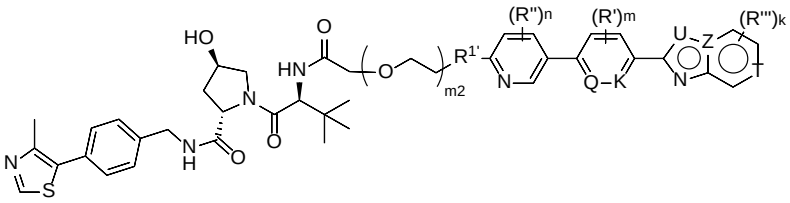
49. The compound of claim 48, wherein $R^{2'}$ is H, OH, $C_{1-3}$ alkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkylamino or $NH_2$; and/or, m6 is 0, 1, 2 or 3; and/or, $R^{1'}$ is O, NH,  and  ; and/or, R' is H, halogen, OH, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl or $C_{1-3}$ alkoxy; R'' is H, halo, OH, $NH_2$, $C_{1-3}$ alkoxy, $C_{3-5}$ cycloalkyl, $C_{3-5}$ cycloalkylamino or $C_{1-3}$ alkylamino; and/or, R''' is H, OH, $NH_2$, $C_{1-3}$ alkyl, $C_{1-3}$ alkylamino, $C_{1-3}$ alkoxy or halogen.

50. The compound of claim 48, wherein the compound is of Formula 4-1;



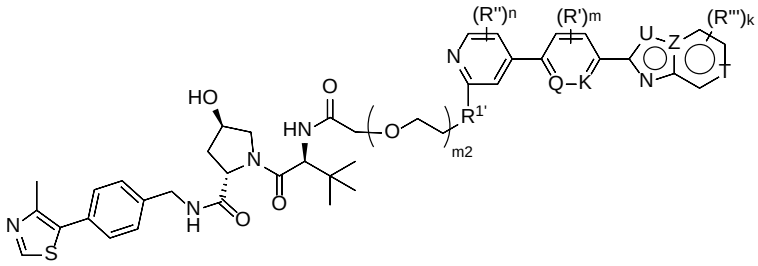
Formula 4-1.

51. The compound of claim 19, wherein the compound is of Formula 16 or 17;



,

Formula 16



Formula 17.

52. The compound of claim 51, wherein the compound is of Formual 16, and wherein Z is C, U is O or S and T is CH; or, Z is N, U is CH and T is CH; Z is C, T is N and U is CH.

53. The compound of claim 51, wherein the compound is of Formual 17, and wherein Z is C, U is O or S and T is CH; or, Z is N, U is CH and T is CH; Z is C, T is N and U is CH.

54. The compound of claim 1, wherein the compound is selected from the compound listed in Table 1.

55. A composition comprising the compound of any one of claims 1 to 54, and a pharmaceutically acceptable excipient.

56. A use of the compound of any one of claims 1 to 54, or the composition of claim 55 in the manufacture of a medicament for treating tauopathy.