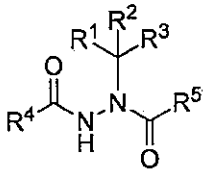
What is claimed is:

1. A compound having Formula I:



I

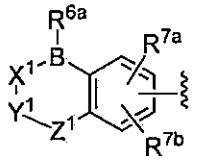
wherein:

R^1 and R^2 are each independently selected from the group consisting of hydrogen, optionally substituted alkyl, and haloalkyl; or

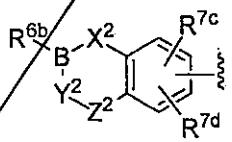
R^1 and R^2 taken together with the carbon atom to which they are attached form a 4- to 8-membered cycloalkyl;

R^3 is selected from the group consisting of hydrogen, optionally substituted alkyl, haloalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted aryl, and optionally substituted heteroaryl;

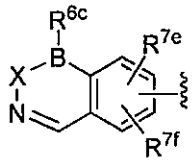
R^4 is selected from the group consisting of:



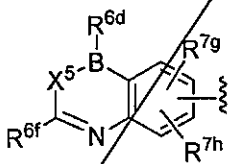
R^4-1



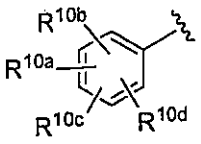
R^4-2



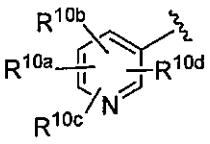
R^4-3



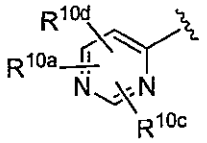
R^4-4



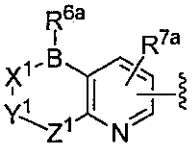
R^4-5



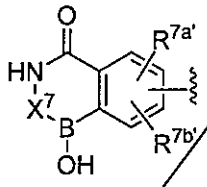
R^4-6



R^4-7

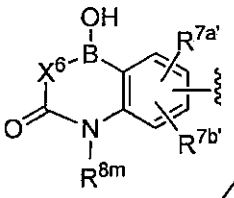


R^4-8



R^4-9

and



R^4-10

;

X^1 is selected from the group consisting of -O- and -N(R^{8a})-;

Y^1 is -($CR^{9a}R^{9b}$)$_m$-;

Z^1 is selected from the group consisting of -O- and -N(R^{8b})-, or Z^1 is absent;

R^{6a} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6a} forms a hydroxy acid adduct or an amino acid adduct;

R^{7a} and R^{7b} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

$R^{7a'}$ and $R^{7b'}$ are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8a} and R^{8b} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9a} and R^{9b} are each independently selected from the group consisting of hydrogen and alkyl;

m is 1, 2, 3, or 4;

X^2 is selected from the group consisting of -O- and -N(R^{8c})-;

Y^2 is -($CR^{9c}R^{9d}$)$_n$-;

Z^2 is selected from the group consisting of -O- and -N(R^{8d})-, or Z^2 is absent;

R^{6b} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6b} forms a hydroxy acid adduct or an amino acid adduct;

R^{7c} and R^{7d} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8c} and R^{8d} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9c} and R^{9d} are each independently selected from the group consisting of hydrogen and alkyl;

n is 1, 2, 3, or 4;

X is selected from the group consisting of -O- and -N(R^{8e})-;

R^{6c} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6c} forms a hydroxy acid adduct or an amino acid adduct;

R^{7e} and R^{7f} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8e} is selected from the group consisting of hydrogen and alkyl;

R^{6d} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6d} forms a hydroxy acid adduct or an amino acid adduct;

R^{6f} is selected from the group consisting of hydrogen, alkyl, amino, and hydroxy;

[00100] X^5 is selected from the group consisting of -O- and -N(R^{8k})-;

R^{7g} and R^{7h} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8k} is selected from the group consisting of hydrogen and alkyl;

X^6 is selected from the group consisting of -O- and -N(R^{8l})-;

X^7 is selected from the group consisting of -O- and -N(R^{8n})-;

R^{8l} is selected from the group consisting of hydrogen and alkyl;

R^{8m} is selected from the group consisting of hydrogen and alkyl;

R^{8n} is selected from the group consisting of hydrogen and alkyl;

R^{10a} is selected from the group consisting of hydrogen and -($CR^{11a}R^{11b}$)$_o$-B(R^{12a})(R^{12b}); and

R^{10b}, R^{10c}, and R^{10d} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, alkoxyalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, heteroalkyl, carboxamido, sulfonamido, -COR^{16}, -SO_2R^{17}, -$N(R^{18})COR^{19}$, -$N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; or

R^{10b} is selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, -N(H)CHO, -N(H)CN, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, heteroalkyl, carboxamido, sulfonamido, -COR^{16}, -SO_2R^{17}, -$N(R^{18})COR^{19}$, -$N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; and/or

R^{10c} and R^{10d} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group;

R^{11a} and R^{11b} are each independently selected from the group consisting of hydrogen and alkyl;

R^{12a} and R^{12b} are selected from the group consisting of hydroxy and alkoxy; or

R^{12a} and R^{12b} taken together form a linkage -$O(CR^{13a}R^{13b})_pO$-; or

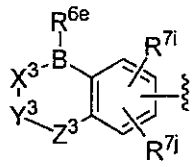
-$B(R^{12a})(R^{12b})$ forms a fluoride adduct;

R^{13a} and R^{13b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl;

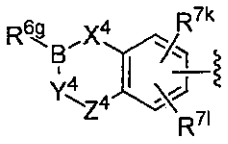
o is 0, 1, 2, 3, 4, or 5;

p is 2, 3, or 4;

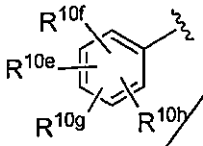
R^5 is R^4-3, R^4-4, R^4-8, R^4-9, or R^4-10; or R^5 is selected from the group consisting of:



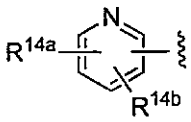
R^5-1



R^5-2

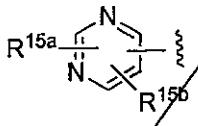


R^5-3



R^5-4

and



R^5-5 ;

X^3 is selected from the group consisting of -O- and -N(R^{8f})-;

Y^3 is -($CR^{9e}R^{9f}$)$_q$-;

Z^3 is selected from the group consisting of -O- and -N(R^{8g})-, or Z^3 is absent;

R^{6e} is selected from the group consisting of hydroxy and alkyl; or

R^{6e} forms a hydroxy acid adduct or an amino acid adduct;

R^{7i} and R^{7j} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8f} and R^{8g} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9e} and R^{9f} are each independently selected from the group consisting of hydrogen and alkyl;

q is 1, 2, 3, or 4;

X^4 is selected from the group consisting of -O- and -N(R^{8h})-;

Y^4 is -($CR^{9g}R^{9h}$)$_r$-;

Z^4 is selected from the group consisting of -O- and -N(R^{8i})-, or Z^4 is absent;

R^{6g} is selected from the group consisting of hydroxy and alkyl; or

R^{6g} forms a hydroxy acid adduct or an amino acid adduct;

R^{7k} and R^{7l} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8h} and R^{8i} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9g} and R^{9h} are each independently selected from the group consisting of hydrogen and alkyl;

r is 1, 2, 3, or 4;

R^{10e} is selected from the group consisting of hydrogen and $-(CR^{11c}R^{11d})_s-B(R^{12c})(R^{12d})$; and

R^{10f}, R^{10g}, and R^{10h} are independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; or

R^{10f} is selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; and

R^{10g} and R^{10h} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group; or

R^{11c} and R^{11d} are each independently selected from the group consisting of hydrogen and alkyl;

R^{12c} and R^{12d} are selected from the group consisting of hydroxy and alkoxy; or

R^{12c} and R^{12d} taken together form a linkage $-O(CR^{13c}R^{13d})_tO-$; or

$-B(R^{12c})(R^{12d})$ forms a fluoride adduct;

R^{13c} and R^{13d} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl;

s is 0, 1, 2, 3, 4, or 5;

t is 2, 3, or 4;

R^{14a} and R^{14b} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino;

R^{15a} and R^{15b} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino;

R^{16} is selected from the group consisting of hydrogen, hydroxy, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, optionally substituted heteroaryl, alkoxy, aryloxy, and arylalkyloxy;

R^{17} is selected from the group consisting of haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, and optionally substituted heteroaryl;

R^{18} is selected from the group consisting of hydrogen, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, and optionally substituted heteroaryl;

R^{19} is selected from the group consisting of hydrogen, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, optionally substituted heteroaryl, alkoxy, aryloxy, arylalkyloxy, and amino;

R^{20} is selected from the group consisting of haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, optionally substituted heteroaryl, and amino;

R^{21} is selected from the group consisting of hydrogen, alkyl, aryl, cyano, and nitro;

with the provisos:

a) when R^4 is R^4-5, R^4-6, or R^4-7 and R^5 is R^5-3, then one of R^{10a} or R^{10e} is not hydrogen; and

b) when R^4 is R^4-5, R^4-6, or R^4-7 and R^5 is R^5-4 or R^5-5, then R^{10a} is not hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

2. The compound of claim 1, wherein:

R^4 is R^4-1;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

3. The compound of claim 1, wherein:

R^4 is R^4-2;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

4. The compound of claim 1, wherein:

R^4 is R^4-3;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

5. The compound of claim 1, wherein:

R^4 is R^4-4;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

5. The compound of claim 1, wherein:

R^4 is R^4-5;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10a} is $-(CR^{11a}R^{11b})_o$-$B(R^{12a})(R^{12b})$,

or a pharmaceutically acceptable salt or solvate thereof.

6. The compound of claim 1, wherein:

R^4 is R^4-5;

R^5 is R^5-3; and

R^{10e} is $-(CR^{11c}R^{11d})_s$-$B(R^{12c})(R^{12d})$,

or a pharmaceutically acceptable salt or solvate thereof.

7. The compound of claim 1, wherein:

R^4 is R^4-6;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10a} is $-(CR^{11a}R^{11b})_o$-$B(R^{12a})(R^{12b})$;

or a pharmaceutically acceptable salt or solvate thereof.

8. The compound of claim 1, wherein:

R^4 is R^4-7;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10a} is $-(CR^{11a}R^{11b})_o$-$B(R^{12a})(R^{12b})$;

or a pharmaceutically acceptable salt or solvate thereof.

9. The compound of claim 1, wherein:

R^4 is R^4-8;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10a} is $-(CR^{11a}R^{11b})_o-B(R^{12a})(R^{12b})$;

or a pharmaceutically acceptable salt or solvate thereof.

10. The compound of claim 1, wherein:

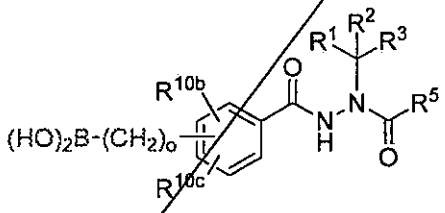
R^4 is R^4-9;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen;

or a pharmaceutically acceptable salt or solvate thereof.

11. The compound of claims 1 or 5 having Formula **II**:



II

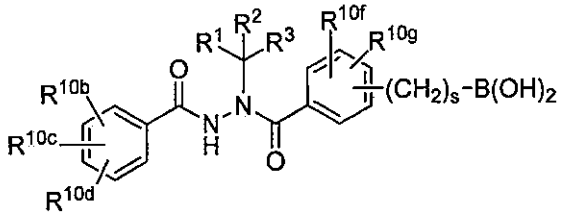
wherein R^5 is selected from the group consisting of R^5-3, R^5-4 and R^5-5, or a pharmaceutically acceptable salt or solvate thereof.

12. The compound of claims 1, 5, or 11, wherein o is 0, or a pharmaceutically acceptable salt or solvate thereof.

13. The compound of claims 1, 5, 11, or 12, wherein R^{10b} and R^{10c} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, alkoxyalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy,

or a pharmaceutically acceptable salt or solvate thereof.

14. The compound of claims 1 or 6, having Formula **III**:



III

or a pharmaceutically acceptable salt or solvate thereof.

15. The compound of claims 1, 6, or 14, wherein s is 0, or a pharmaceutically acceptable salt or solvate thereof

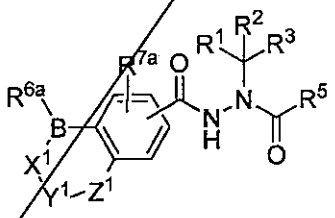
16. The compound of claims 1, 6, 14, or 15, wherein:

R^{10b}, R^{10c}, and R^{10d} are independently selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy; or

R^{10b} is selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy; and

R^{10c} and R^{10d} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group, or a pharmaceutically acceptable salt or solvate thereof.

17. The compound of claim 1, having Formula **IV**:



IV

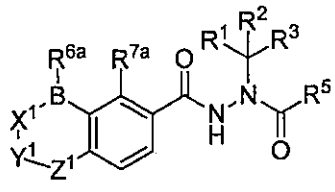
wherein:

R^5 is selected from the group consisting of R^5-3, R^5-4 and R^5-5;

R^{10e} is hydrogen;

and R^{6a} is hydroxy, or a pharmaceutically acceptable salt or solvate thereof.

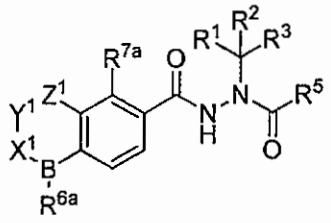
18. The compound of claim 17 having Formula **V**:



V

or a pharmaceutically acceptable salt or solvate thereof.

19. The compound of claim 17 having Formula **VI**:



VI

or a pharmaceutically acceptable salt or solvate thereof.

20. The compound of any one of claims 1 or 17-19, wherein R^{7a} is selected from the group consisting of hydrogen, halogen, and alkyl, or a pharmaceutically acceptable salt or solvate thereof.

21. The compound of any one of claims 1 or 17-19, wherein Z^1 is absent, or a pharmaceutically acceptable salt or solvate thereof.

22. The compound of any one of claims 1 or 17-19, wherein Z^1 is -O-, or a pharmaceutically acceptable salt or solvate thereof.

23. The compound of any one of claims 1 or 17-19, wherein Z^1 is -N(H)-, or a pharmaceutically acceptable salt or solvate thereof.

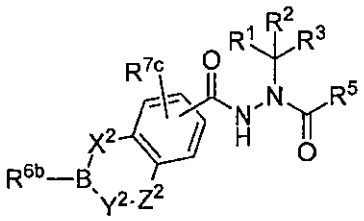
24. The compound of any one of claims 1 or 17-23, wherein X^1 is -O-, or a pharmaceutically acceptable salt or solvate thereof.

25. The compound of any one of claims 1 or 17-23, wherein X^1 is -N(H)-, or a pharmaceutically acceptable salt or solvate thereof.

26. The compound of any one of claims 1 or 17-25, wherein R^{9a} and R^{9b} are selected from the group consisting of hydrogen and methyl, or a pharmaceutically acceptable salt or solvate thereof.

27. The compound of any one of claims 1, 17-21, or 24-26, wherein Z^1 is absent and m is 1, 2, or 3, or a pharmaceutically acceptable salt or solvate thereof.

28. The compound of claim 1 having Formula **VII**:



VII

wherein,

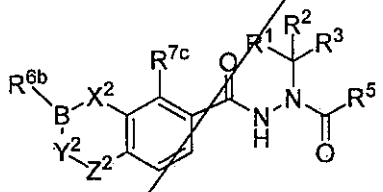
R^5 is selected from the group consisting of R^5-3, R^5-4 and R^5-5;

R^{6b} is hydroxy; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

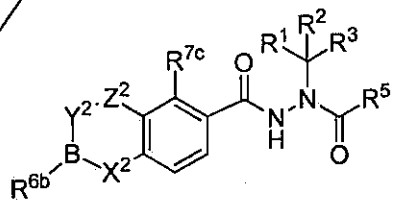
29. The compound of claim 28 having Formula **VIII**:



VIII

or a pharmaceutically acceptable salt or solvate thereof.

30. The compound of claim 28 having Formula **IX**:



IX

or a pharmaceutically acceptable salt or solvate thereof.

31. The compound of any one of claims 1 or 28-30, wherein R^{7c} is selected from the group consisting of hydrogen, halogen, and alkyl, or a pharmaceutically acceptable salt or solvate thereof.

32. The compound of any one of claims 1 or 28-31, wherein Z^2 is absent, or a pharmaceutically acceptable salt or solvate thereof.

33. The compound of any one of claims 1 or 28-31, wherein Z^2 is -O-, or a pharmaceutically acceptable salt or solvate thereof.

34. The compound of any one of claims 1 or 28-31, wherein Z^2 is -N(H)-, or a pharmaceutically acceptable salt or solvate thereof.

35. The compound of any one of claims 1 or 28-31, wherein X^2 is -O-, or a pharmaceutically acceptable salt or solvate thereof.

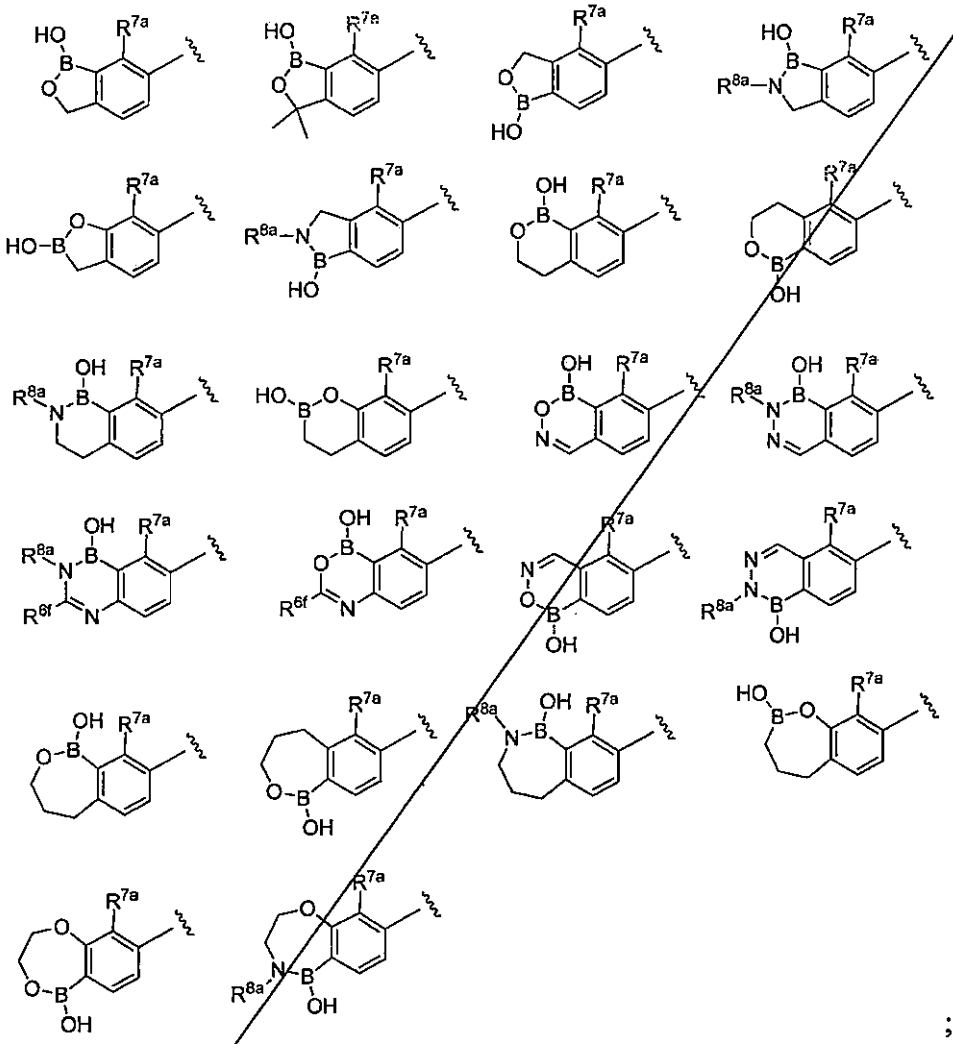
36. The compound of any one of claims 1 or 28-31, wherein X^2 is -N(H)-, or a pharmaceutically acceptable salt or solvate thereof.

37. The compound of any one of claims 1 or 28-36, wherein R^{9c} and R^{9d} are selected from the group consisting of hydrogen and methyl, or a pharmaceutically acceptable salt or solvate thereof.

38. The compound of any one of claims 1, 28-32, or 35-37, wherein Z^2 is absent and n is 1, 2, or 3, or a pharmaceutically acceptable salt or solvate thereof.

39. The compound of claim 1, wherein:

R^4 is selected from the group consisting of:



;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

40. The compound of claim 39, wherein

R^{7a} is selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy;

R^{8a} is selected from the group consisting of hydrogen and C_{1-4} alkyl; and

R^{6f} is selected from the group consisting of hydrogen, C_{1-4} alkyl, hydroxy, and $-NH_2$,

or a pharmaceutically acceptable salt or solvate thereof.

41. The compound of claim 1, wherein:

R^4 is R^4-5;

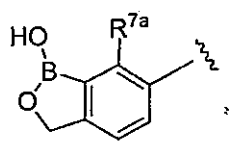
R^{10a} is hydrogen;

R^{10b}, R^{10c}, and R^{10d} are independently selected from the group consisting of hydrogen, halogen, amino, cyano, -N(H)CHO, -N(H)CN, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy; or

R^{10b} is selected from the group consisting of hydrogen, halogen, hydroxy, amino, cyano, -N(H)CHO, -N(H)CN, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy; and

R^{10c} and R^{10d} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group;

R^5 is selected from the group consisting of:



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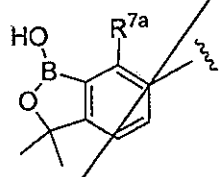
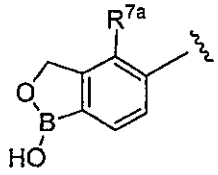


and


; and

R^{7a} is selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy,

or a pharmaceutically acceptable salt or solvate thereof.

42. The compound of any one of claims 1-41, wherein:

R^1 is optionally substituted C_{1-6} alkyl;

R^2 is selected from the group consisting of hydrogen and optionally substituted C_{1-6} alkyl; and

R^3 is optionally substituted C_{1-6} alkyl,

or a pharmaceutically acceptable salt or solvate thereof.

43. The compound of any one of claims 1-42, wherein:

R^1 is selected from the group consisting of methyl, ethyl, n-propyl, and n-butyl; and

R^2 is selected from the group consisting of hydrogen and methyl,

or a pharmaceutically acceptable salt or solvate thereof.

44. The compound of claim 43, wherein R^3 is selected from the group consisting of methyl and tert-butyl, or a pharmaceutically acceptable salt or solvate thereof.

45. The compound of claim 44, wherein R^2 is hydrogen and R^3 is tert-butyl, or a pharmaceutically acceptable salt or solvate thereof.

46. The compound of any one of claims 1-42, wherein R^1 is optionally substituted C_{1-6} alkyl; R^2 is hydrogen; and R^3 is selected from the group consisting of optionally substituted phenyl, optionally substituted pyridyl, and optionally substituted pyrimidinyl, or a pharmaceutically acceptable salt or solvate thereof.

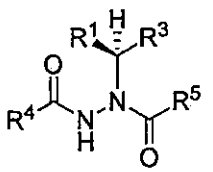
47. The compound of claim 44, wherein R^1, R^2, and R^3 are each methyl, or a pharmaceutically acceptable salt or solvate thereof.

48. The compound of any one of claims 1-47, wherein the compound does not exhibit optical activity, or a pharmaceutically acceptable salt or solvate thereof.

49. The compound of any one of claims 1-46, wherein the carbon atom bearing R^1, R^2, and R^3 is an asymmetric carbon atom and the absolute configuration of said asymmetric carbon atom is *R*, or a pharmaceutically acceptable salt or solvate thereof.

50. The compound of any one of claims 1-46, wherein the carbon atom bearing R^1, R^2, and R^3 is an asymmetric carbon atom and the absolute configuration of said asymmetric carbon atom is *S*, or a pharmaceutically acceptable salt or solvate thereof.

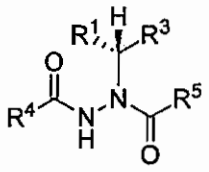
51. The compound of any one of any one of claims 1-46 having Formula **X**



X

wherein R^1 does not equal R^3, or a pharmaceutically acceptable salt or solvate thereof.

52. The compound of any one of claims 1-46 having Formula **XI**

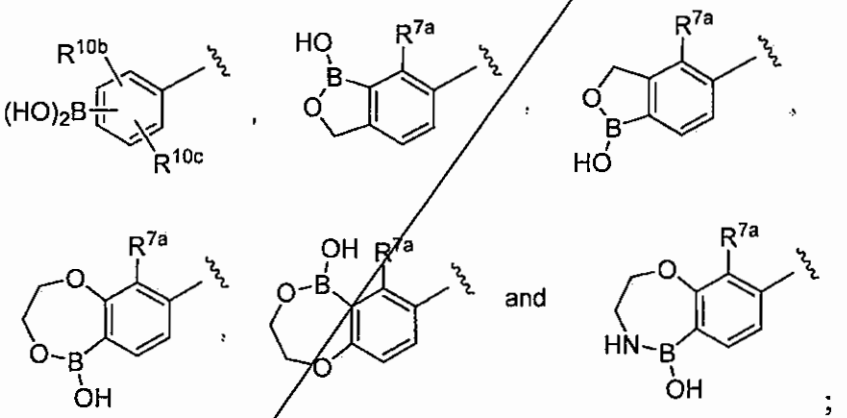


XI

wherein R^1 does not equal R^3, or a pharmaceutically acceptable salt or solvate thereof.

53. The compound of claim 51 or 52, wherein:

R^4 is selected from the group consisting of:



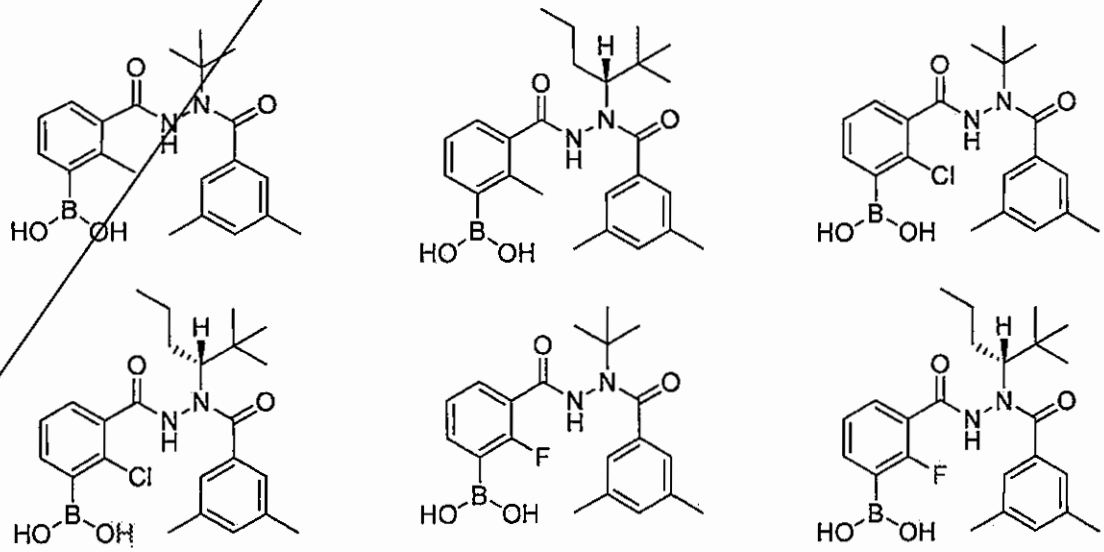
;

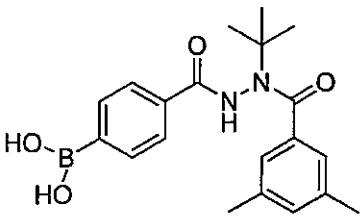
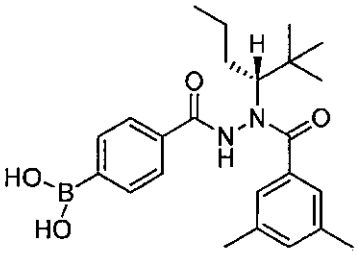
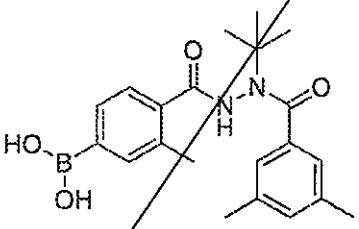
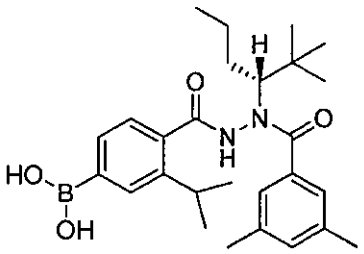
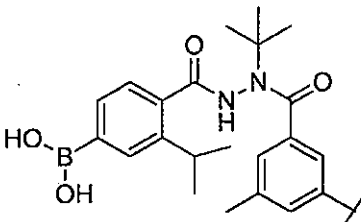
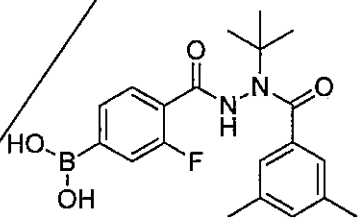
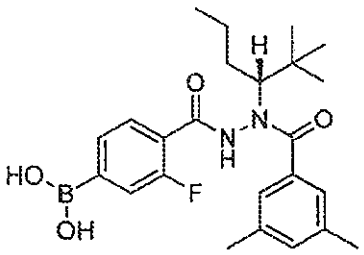
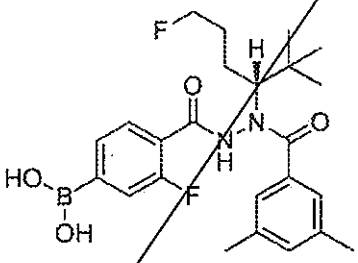
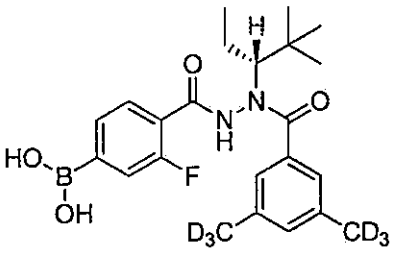
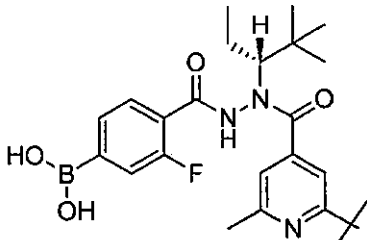
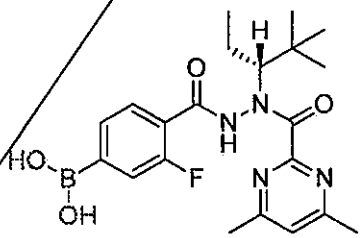
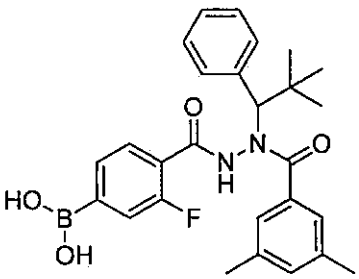
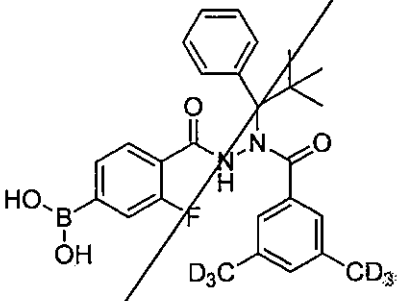
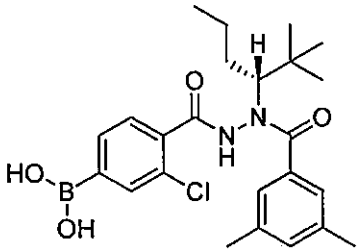
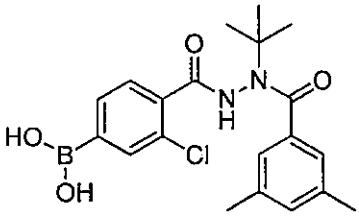
R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

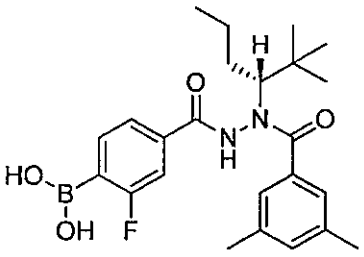
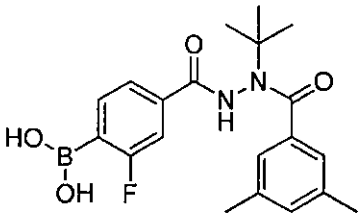
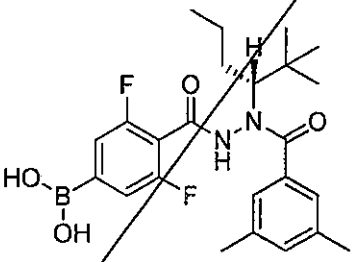
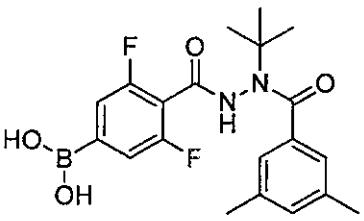
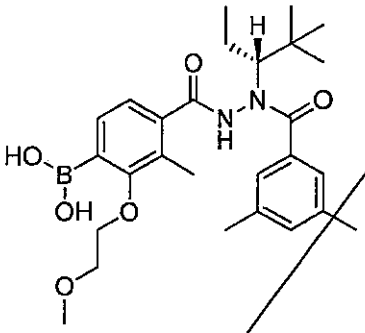
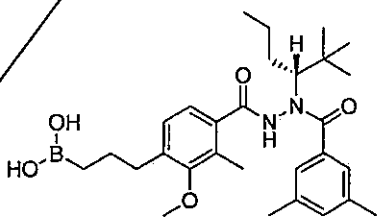
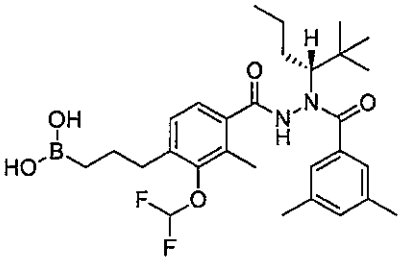
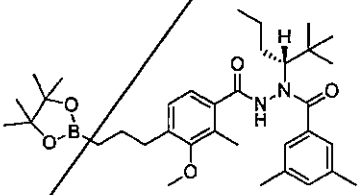
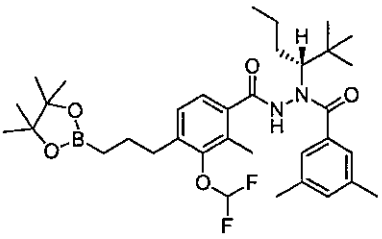
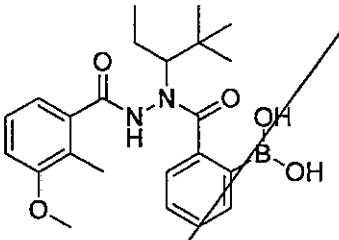
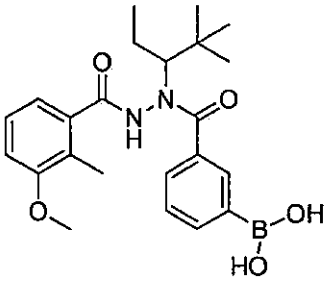
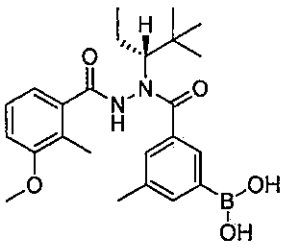
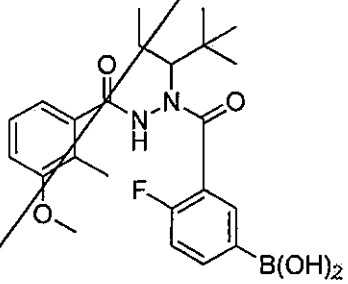
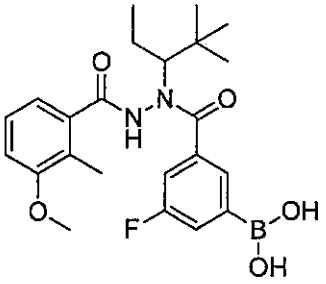
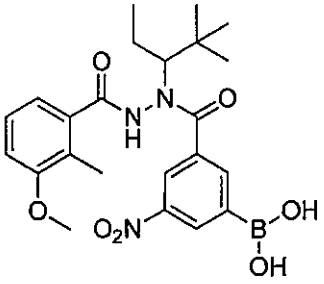
R^{10c} is hydrogen,

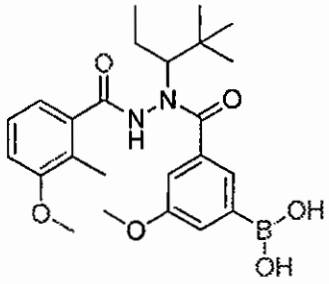
or a pharmaceutically acceptable salt or solvate thereof

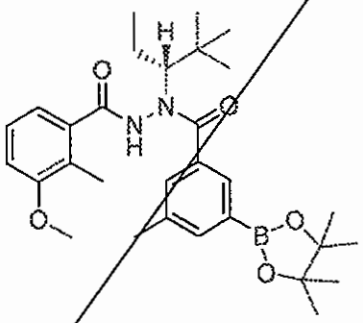
54. The compound of claim 1 selected from the group consisting of:

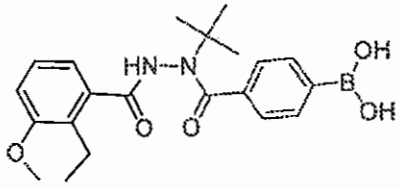


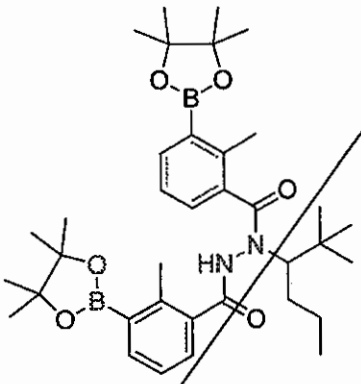
















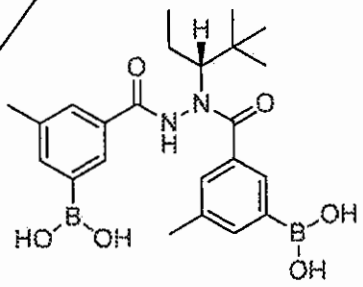
















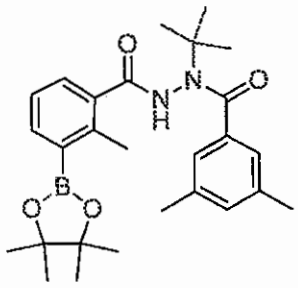


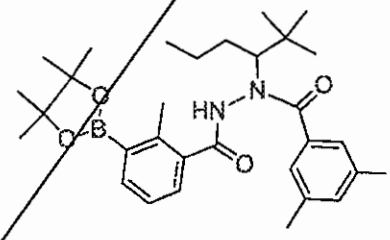


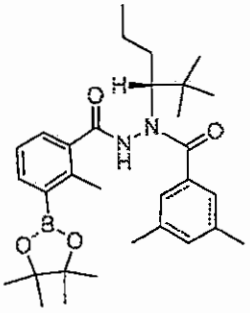


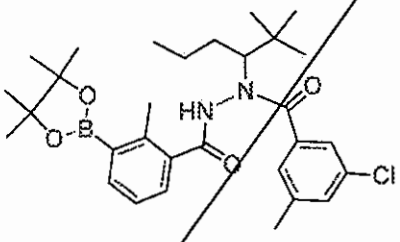


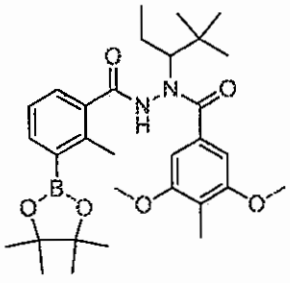


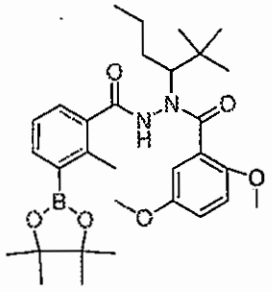


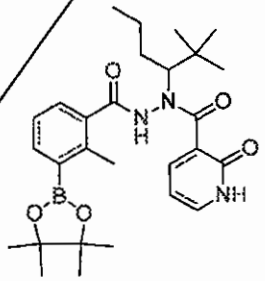


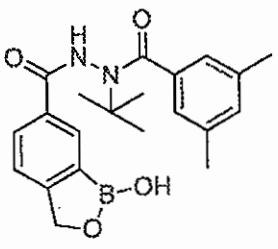


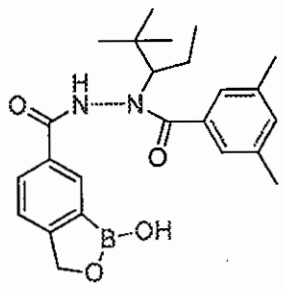


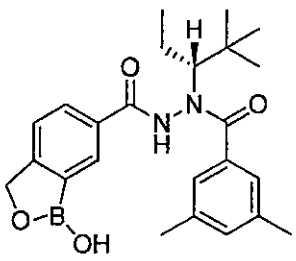
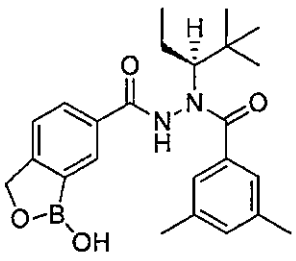
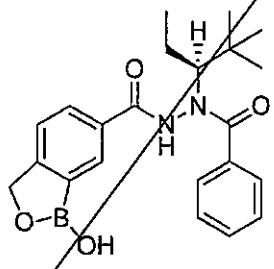
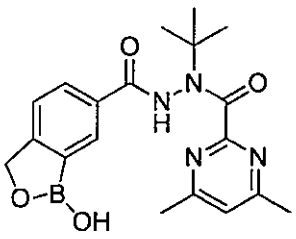
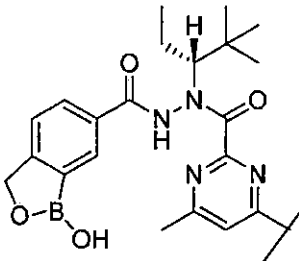
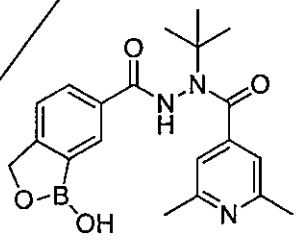
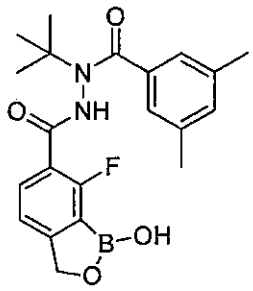
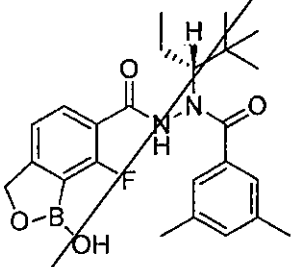
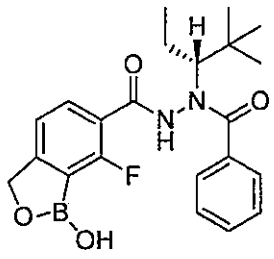
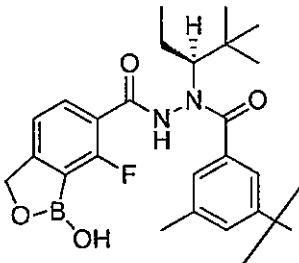
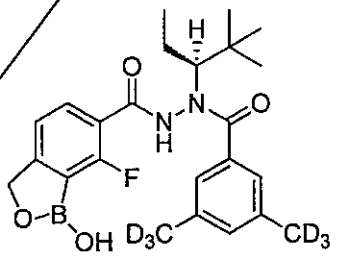
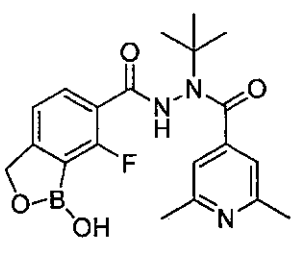
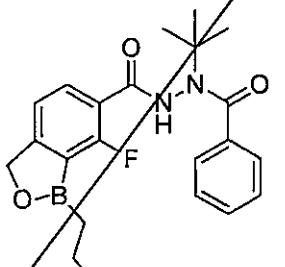
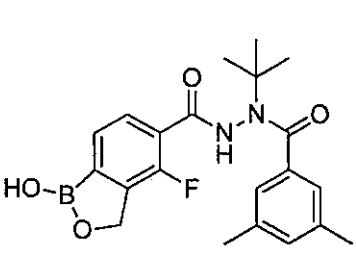
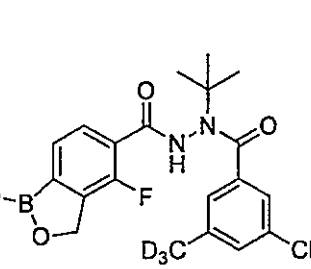


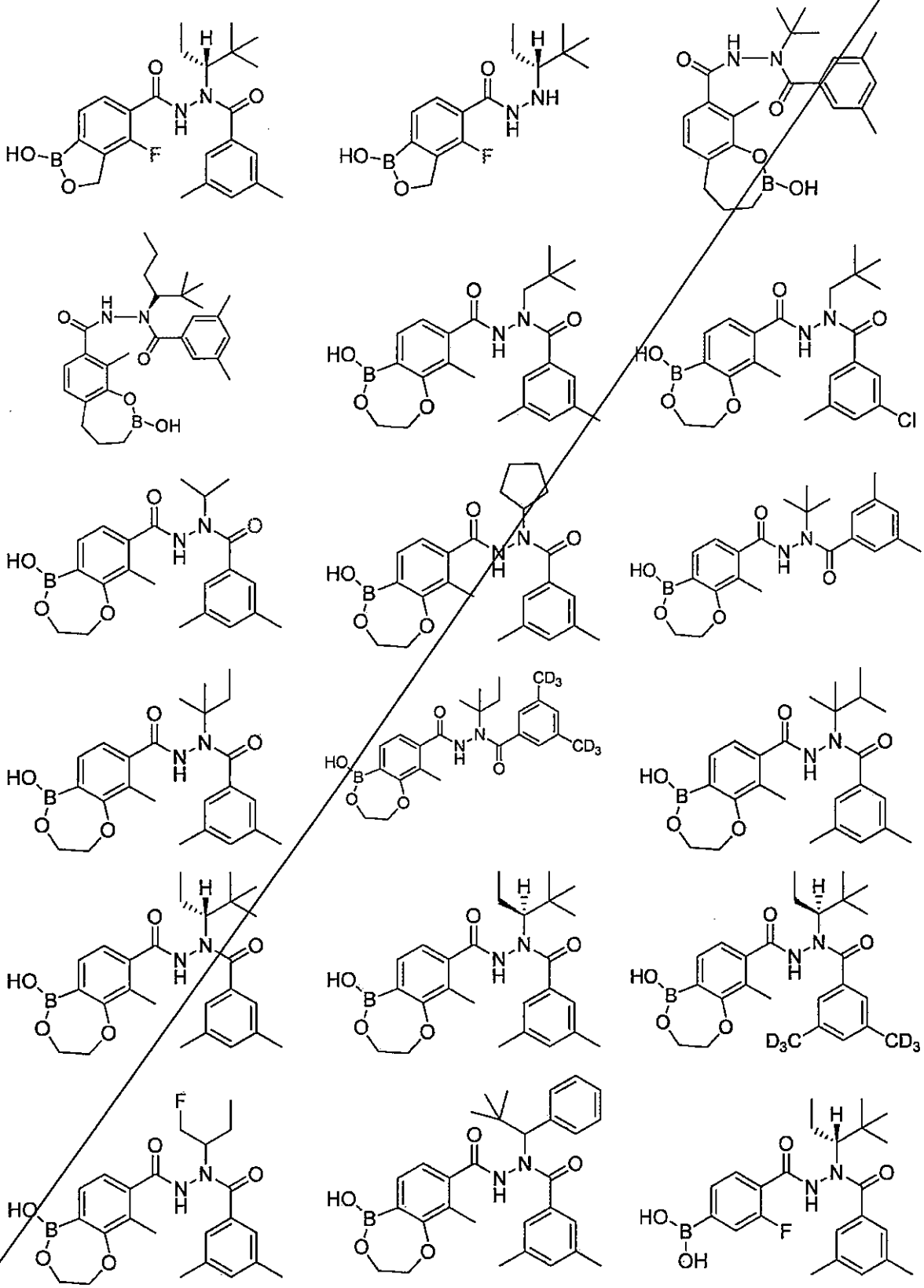


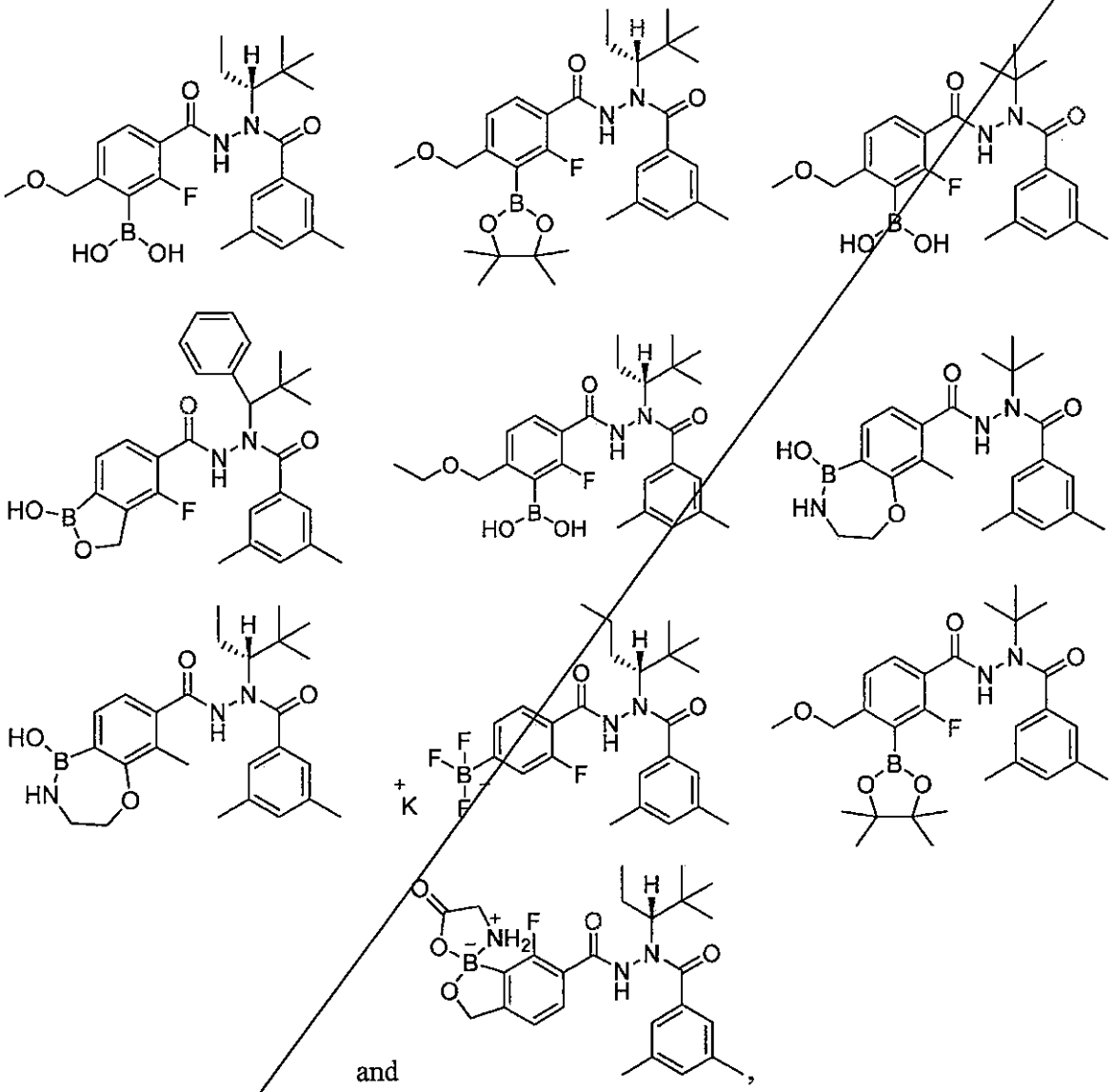








and

or a pharmaceutically acceptable salt or solvate thereof.

55. A pharmaceutical composition comprising the compound of any one of claims 1-54, or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

56. A method of regulating gene expression of a gene of interest in an isolated host cell or a non-human organism, the method comprising contacting said host cell with the compound of any one of claims 1-54, or pharmaceutically acceptable salt thereof.

57. The method of claim 56, wherein the host cell or non-human organism comprises a polynucleotide encoding a gene switch that comprises a ligand binding domain that binds said compound, or pharmaceutically acceptable salt thereof.

58. The method of claim 56, wherein the level of expression of said gene of interest is increased, relative to the level of expression of said gene of interest in the absence of said compound, or pharmaceutically acceptable salt thereof.

59. A method of treating a disease, disorder, injury, or condition in a subject, the method comprising administering to said subject the compound of any one of claims 1-54, or a pharmaceutically acceptable salt or solvate thereof.

60. The method of 59, wherein a host cell within said subject comprises a polynucleotide encoding a gene switch that comprises a ligand binding domain that binds said compound.

61. The method of claim 60, wherein said subject is human.

62. The method of claim 61, wherein said disease, disorder, injury, or condition is selected from the group consisting of cancer, metabolic-related disorder, kidney disease, anemia, autoimmune disorder, ocular disorder, blood disorder, neurological disorder, pulmonary disorder, rheumatologic disorder, cardiac disorder, hepatic disorder and infectious disease.

63. The method of claim 60, wherein said gene switch comprises an ecdysone receptor ligand binding domain.

64. The method of claim 60, wherein said host cell further comprises a polynucleotide encoding a peptide, protein, or polypeptide whose expression is regulated by said gene switch.

65. The method of claim 64, wherein said polynucleotide encodes IL-12 or a subunit thereof.

66. A compound of any one of claims 1-54, or a pharmaceutically acceptable salt or solvate thereof, for use in treating a disease, disorder, injury, or condition.

67. The compound of claim 66, or pharmaceutically acceptable salt thereof, wherein said disease, disorder, injury, or condition is selected from the group consisting of cancer, metabolic-related disorder, kidney disease, anemia, autoimmune disorder, ocular disorder, blood disorder, neurological disorder, pulmonary disorder, cardiac disorder, hepatic disorder, rheumatologic disorder, and infectious disease.

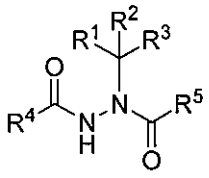
68. Use of a compound of any one of claims 1-54, or a pharmaceutically acceptable salt or solvate thereof, in the manufacture of a medicament for treating a disease, disorder, injury, or condition.

69. A method of controlling insects, the method comprising contacting said insects or their habitat with an insecticidally effective amount of a compound of any one of claims 1-54, or a pharmaceutically acceptable salt or solvate thereof.

70. A kit comprising the compound of any one of claims 1-54, or a pharmaceutically acceptable salt or solvate thereof.

What is claimed is:

1. A compound having Formula I:



I

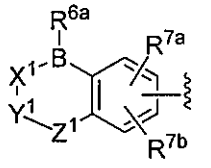
wherein:

R^1 and R^2 are each independently selected from the group consisting of hydrogen, optionally substituted alkyl, and haloalkyl; or

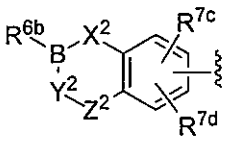
R^1 and R^2 taken together with the carbon atom to which they are attached form a 4- to 8-membered cycloalkyl;

R^3 is selected from the group consisting of hydrogen, optionally substituted alkyl, haloalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted aryl, and optionally substituted heteroaryl;

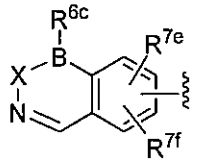
R^4 is selected from the group consisting of:



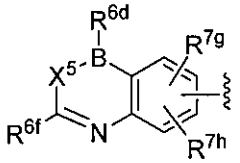
R^4-1



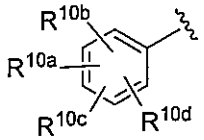
R^4-2



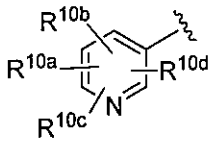
R^4-3



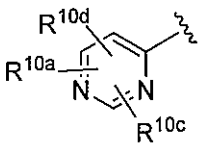
R^4-4



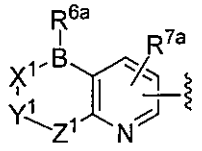
R^4-5



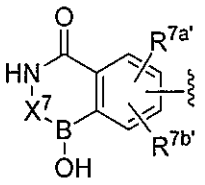
R^4-6



R^4-7

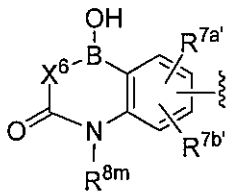


R^4-8



R^4-9

and



R^4-10 ;

X^1 is selected from the group consisting of -O- and -N(R^{8a})-;

Y^1 is -($CR^{9a}R^{9b}$)$_m$-;

Z^1 is selected from the group consisting of -O- and -N(R^{8b})-, or Z^1 is absent;

R^{6a} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6a} forms a hydroxy acid adduct or an amino acid adduct;

R^{7a} and R^{7b} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

$R^{7a'}$ and $R^{7b'}$ are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8a} and R^{8b} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9a} and R^{9b} are each independently selected from the group consisting of hydrogen and alkyl;

m is 1, 2, 3, or 4;

X^2 is selected from the group consisting of -O- and -N(R^{8c})-;

Y^2 is -($CR^{9c}R^{9d}$)$_n$-;

Z^2 is selected from the group consisting of -O- and -N(R^{8d})-, or Z^2 is absent;

R^{6b} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6b} forms a hydroxy acid adduct or an amino acid adduct;

R^{7c} and R^{7d} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8c} and R^{8d} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9c} and R^{9d} are each independently selected from the group consisting of hydrogen and alkyl;

n is 1, 2, 3, or 4;

X is selected from the group consisting of -O- and -N(R^{8e})-;

R^{6c} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6c} forms a hydroxy acid adduct or an amino acid adduct;

R^{7e} and R^{7f} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8e} is selected from the group consisting of hydrogen and alkyl;

R^{6d} is selected from the group consisting of hydroxy, alkyl, and alkoxy; or

R^{6d} forms a hydroxy acid adduct or an amino acid adduct;

R^{6f} is selected from the group consisting of hydrogen, alkyl, amino, and hydroxy;

X^5 is selected from the group consisting of -O- and -N(R^{8k})-;

R^{7g} and R^{7h} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8k} is selected from the group consisting of hydrogen and alkyl;

X^6 is selected from the group consisting of -O- and -N(R^{8l})-;

X^7 is selected from the group consisting of -O- and -N(R^{8n})-;

R^{8l} is selected from the group consisting of hydrogen and alkyl;

R^{8m} is selected from the group consisting of hydrogen and alkyl;

R^{8n} is selected from the group consisting of hydrogen and alkyl;

R^{10a} is selected from the group consisting of hydrogen and -$(CR^{11a}R^{11b})_o$-B(R^{12a})(R^{12b}); and

R^{10b}, R^{10c}, and R^{10d} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, alkoxyalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, heteroalkyl, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; or

R^{10b} is selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, -N(H)CHO, -N(H)CN, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, heteroalkyl, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; and/or

R^{10c} and R^{10d} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group;

R^{11a} and R^{11b} are each independently selected from the group consisting of hydrogen and alkyl;

R^{12a} and R^{12b} are selected from the group consisting of hydroxy and alkoxy; or

R^{12a} and R^{12b} taken together form a linkage $-O(CR^{13a}R^{13b})_pO-$; or

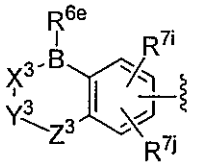
$-B(R^{12a})(R^{12b})$ forms a fluoride adduct;

R^{13a} and R^{13b} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl;

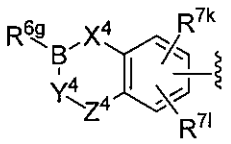
o is 0, 1, 2, 3, 4, or 5;

p is 2, 3, or 4;

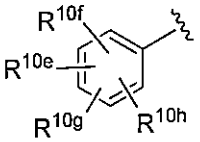
R^5 is R^4-3, R^4-4, R^4-8, R^4-9, or R^4-10; or R^5 is selected from the group consisting of:



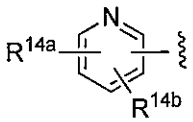
R^5-1



R^5-2



R^5-3



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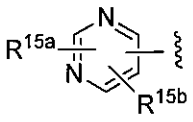


R^5-4 **R^5-5**

;

X^3 is selected from the group consisting of -O- and -N(R^{8f})-;

Y^3 is -($CR^{9e}R^{9f}$)$_q$-;

Z^3 is selected from the group consisting of -O- and -N(R^{8g})-, or Z^3 is absent;

R^{6e} is selected from the group consisting of hydroxy and alkyl; or

R^{6e} forms a hydroxy acid adduct or an amino acid adduct;

R^{7i} and R^{7j} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8f} and R^{8g} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9e} and R^{9f} are each independently selected from the group consisting of hydrogen and alkyl;

q is 1, 2, 3, or 4;

X^4 is selected from the group consisting of -O- and -N(R^{8h})-;

Y^4 is -($CR^{9g}R^{9h}$)$_r$-;

Z^4 is selected from the group consisting of -O- and -N(R^{8i})-, or Z^4 is absent;

R^{6g} is selected from the group consisting of hydroxy and alkyl; or

R^{6g} forms a hydroxy acid adduct or an amino acid adduct;

R^{7k} and R^{7l} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, optionally substituted alkyl, haloalkyl, hydroxyalkyl, alkoxy, and alkylthio;

R^{8h} and R^{8i} are each independently selected from the group consisting of hydrogen and alkyl;

R^{9g} and R^{9h} are each independently selected from the group consisting of hydrogen and alkyl;

r is 1, 2, 3, or 4;

R^{10e} is selected from the group consisting of hydrogen and $-(CR^{11c}R^{11d})_s-B(R^{12c})(R^{12d})$; and

R^{10f}, R^{10g}, and R^{10h} are independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; or

R^{10f} is selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino; and

R^{10g} and R^{10h} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group; or

R^{11c} and R^{11d} are each independently selected from the group consisting of hydrogen and alkyl;

R^{12c} and R^{12d} are selected from the group consisting of hydroxy and alkoxy; or

R^{12c} and R^{12d} taken together form a linkage $-O(CR^{13c}R^{13d})_tO-$; or

$-B(R^{12c})(R^{12d})$ forms a fluoride adduct;

R^{13c} and R^{13d} are each independently selected from the group consisting of hydrogen and C_{1-4} alkyl;

s is 0, 1, 2, 3, 4, or 5;

t is 2, 3, or 4;

R^{14a} and R^{14b} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino;

R^{15a} and R^{15b} are each independently selected from the group consisting of hydrogen, halo, nitro, cyano, hydroxy, amino, -N(H)CHO, -N(H)CN, optionally substituted alkyl, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, alkoxy, aryloxy, arylalkyloxy, alkylthio, carboxamido, sulfonamido, $-COR^{16}$, $-SO_2R^{17}$, $-N(R^{18})COR^{19}$, $-N(R^{18})SO_2R^{20}$ or $N(R^{18})C=N(R^{21})$-amino;

R^{16} is selected from the group consisting of hydrogen, hydroxy, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, optionally substituted heteroaryl, alkoxy, aryloxy, and arylalkyloxy;

R^{17} is selected from the group consisting of haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, and optionally substituted heteroaryl;

R^{18} is selected from the group consisting of hydrogen, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, and optionally substituted heteroaryl;

R^{19} is selected from the group consisting of hydrogen, haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, optionally substituted heteroaryl, alkoxy, aryloxy, arylalkyloxy, and amino;

R^{20} is selected from the group consisting of haloalkyl, hydroxyalkyl, arylalkyl, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted heterocycle, optionally substituted aryl, optionally substituted heteroaryl, and amino;

R^{21} is selected from the group consisting of hydrogen, alkyl, aryl, cyano, and nitro;

with the provisos:

a) when R^4 is R^4-5, R^4-6, or R^4-7 and R^5 is R^5-3, then one of R^{10a} or R^{10e} is not hydrogen; and

b) when R^4 is R^4-5, R^4-6, or R^4-7 and R^5 is R^5-4 or R^5-5, then R^{10a} is not hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

2. The compound of claim 1, wherein:

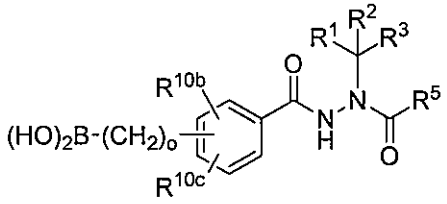
R^4 is R^4-5;

R^5 is R^5-3; and

R^{10e} is $-(CR^{11c}R^{11d})_s$-$B(R^{12c})(R^{12d})$,

or a pharmaceutically acceptable salt or solvate thereof.

3. The compound of claim 1 having Formula **II**:



II

wherein R^5 is selected from the group consisting of R^5-3, R^5-4 and R^5-5, or a pharmaceutically acceptable salt or solvate thereof.

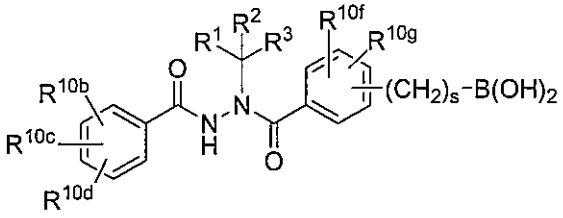
4. The compound of claim 3, wherein:

o is 0; and

R^{10b} and R^{10c} are each independently selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, alkoxyalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy,

or a pharmaceutically acceptable salt or solvate thereof.

5. The compound of claim 1, having Formula **III**:



III

or a pharmaceutically acceptable salt or solvate thereof.

6. The compound of claim 5, wherein:

s is 0; and

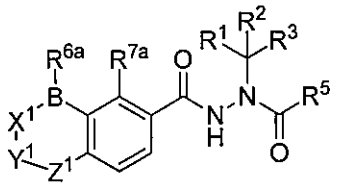
R^{10b}, R^{10c}, and R^{10d} are independently selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy; or

R^{10b} is selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy; and

R^{10c} and R^{10d} taken together with two adjacent carbon atoms form a fused optionally substituted cycloalkyl, optionally substituted heterocyclo, or optionally substituted heteroaryl group,

or a pharmaceutically acceptable salt or solvate thereof.

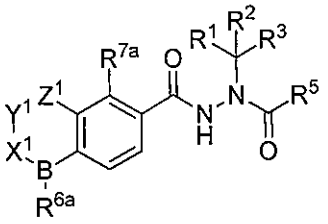
7. The compound of claim 1 having Formula **V**:



V

or a pharmaceutically acceptable salt or solvate thereof.

8. The compound of claim 1 having Formula **VI**:



VI

or a pharmaceutically acceptable salt or solvate thereof.

9. The compound of claims 7 or 8, wherein:

R^{7a} is selected from the group consisting of hydrogen, halogen, and alkyl;

Z^1 is absent or -O-; and

X^1 is -O- or -N(H)-,

or a pharmaceutically acceptable salt or solvate thereof.

10. The compound of claim 9, wherein:

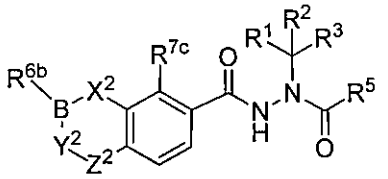
R^{9a} and R^{9b} are selected from the group consisting of hydrogen and methyl;

Z^1 is absent; and

m is 1, 2, or 3,

or a pharmaceutically acceptable salt or solvate thereof.

11. The compound of claim 1 having Formula **VIII**:



VIII

or a pharmaceutically acceptable salt or solvate thereof.

12. The compound of any one of claims 11, wherein:

R^{7c} is selected from the group consisting of hydrogen, halogen, and alkyl;

Z^2 is absent;

X^2 is -O-;

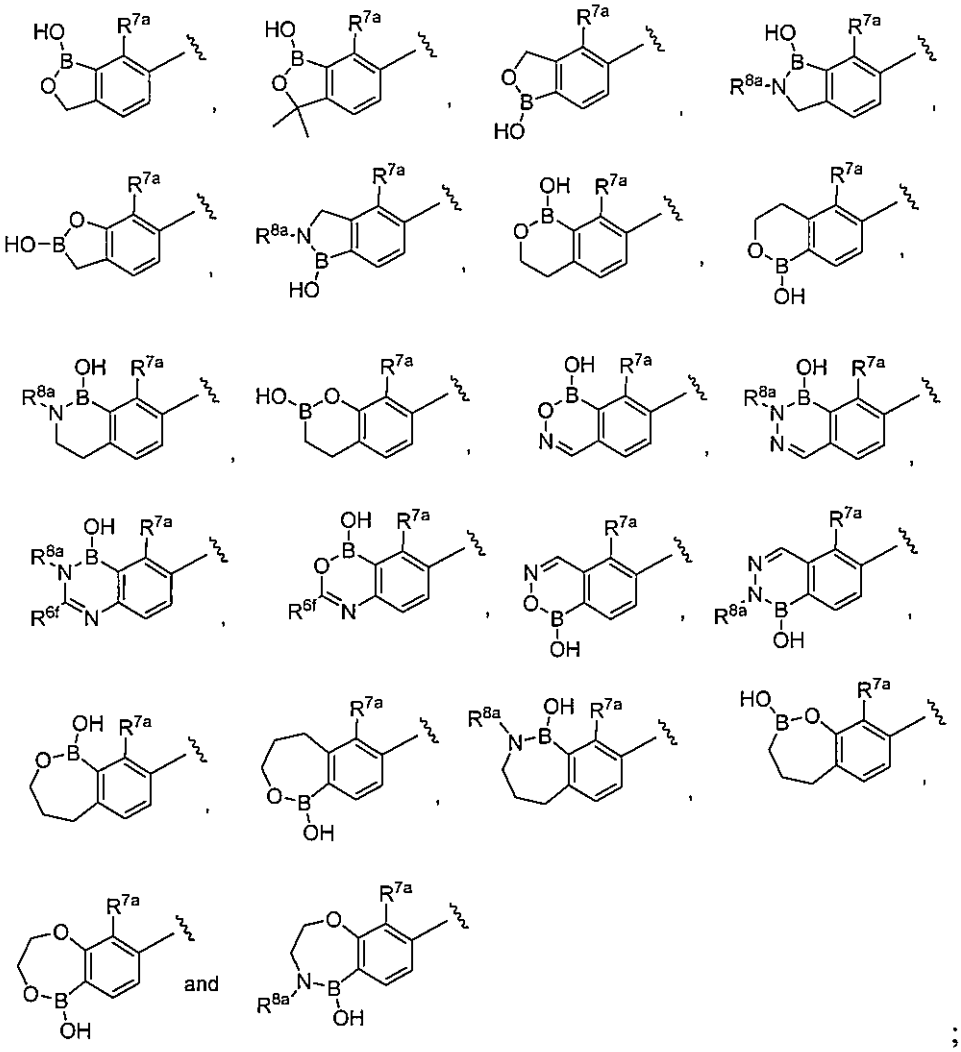
R^{9c} and R^{9d} are selected from the group consisting of hydrogen and methyl; and

n is 1, 2, or 3,

or a pharmaceutically acceptable salt or solvate thereof.

13. The compound of claim 1, wherein:

R^4 is selected from the group consisting of:



;

R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

R^{10e} is hydrogen,

or a pharmaceutically acceptable salt or solvate thereof.

14. The compound of claim 13, wherein

R^{7a} is selected from the group consisting of hydrogen, halogen, hydroxy, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy, and C_{1-4} haloalkoxy;

R^{8a} is selected from the group consisting of hydrogen and C_{1-4} alkyl; and

R^{6f} is selected from the group consisting of hydrogen, C_{1-4} alkyl, hydroxy, and $-NH_2$,

or a pharmaceutically acceptable salt or solvate thereof.

15. The compound of claim 1, wherein:

R^1 is optionally substituted C_{1-6} alkyl;

R^2 is selected from the group consisting of hydrogen and optionally substituted C_{1-6} alkyl; and

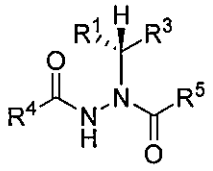
R^3 is optionally substituted C_{1-6} alkyl,

or a pharmaceutically acceptable salt or solvate thereof.

16. The compound of claim 15, wherein R^2 is hydrogen and R^3 is tert-butyl, or a pharmaceutically acceptable salt or solvate thereof.

17. The compound of claim 1, wherein R^1, R^2, and R^3 are each methyl, or a pharmaceutically acceptable salt or solvate thereof.

18. The compound of claim 1 having Formula **XI**:

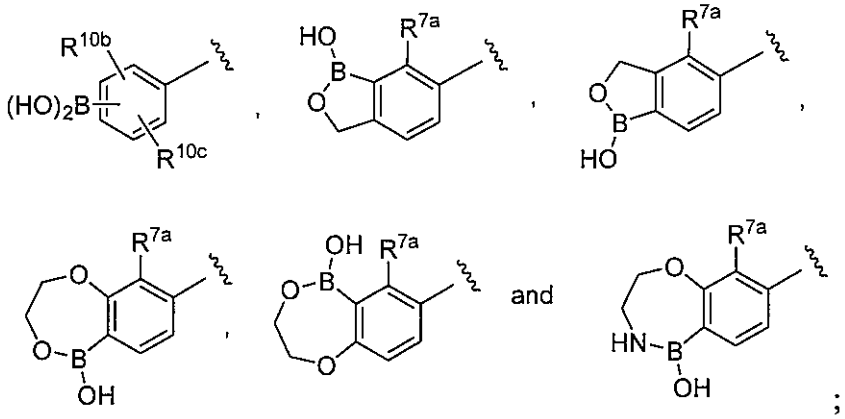


XI

wherein R^1 does not equal R^3, or a pharmaceutically acceptable salt or solvate thereof.

19. The compound of claim 18, wherein:

R^4 is selected from the group consisting of:



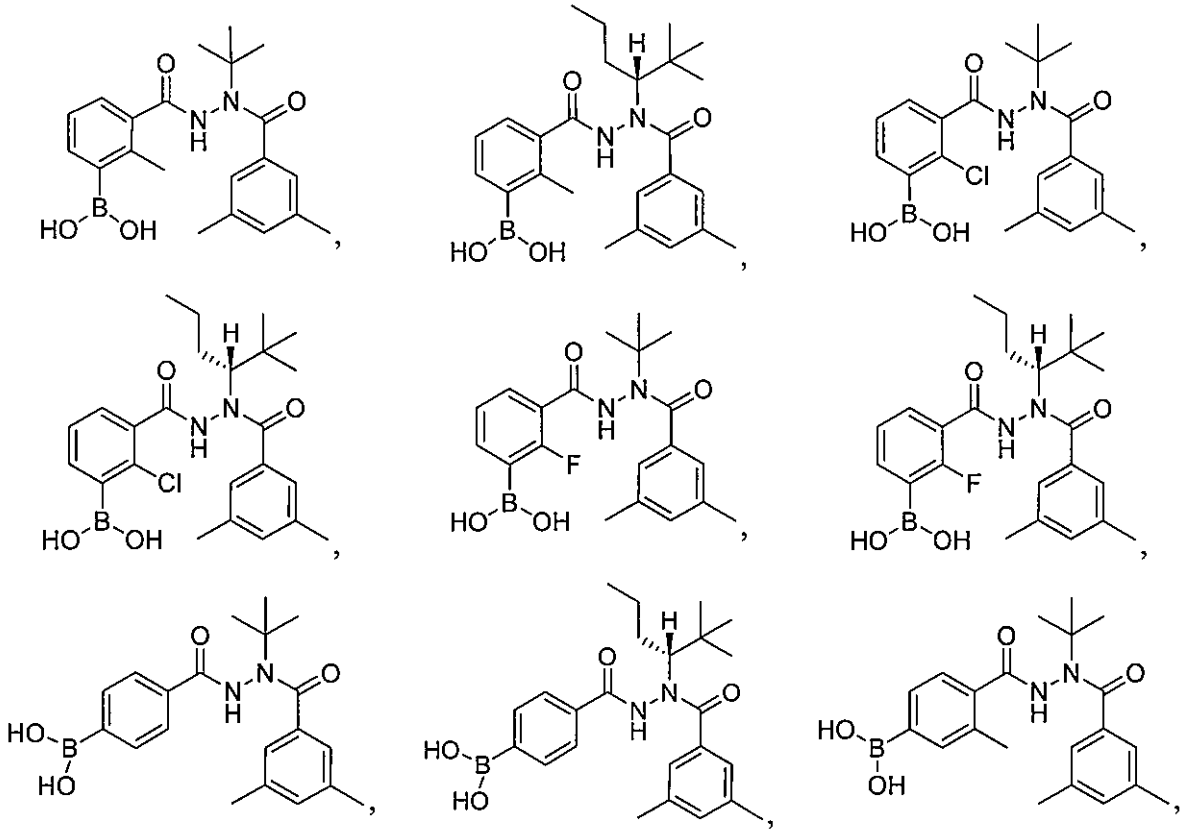
;

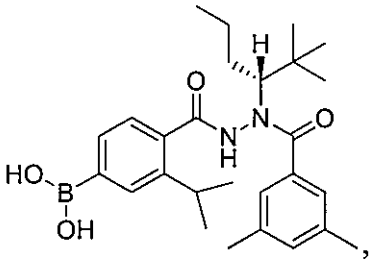
R^5 is selected from the group consisting of R^5-3, R^5-4, and R^5-5; and

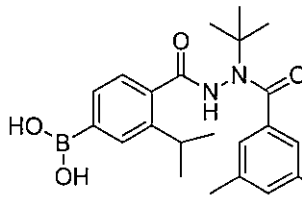
R^{10e} is hydrogen,

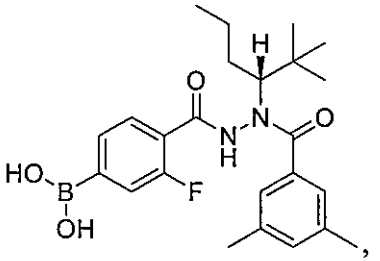
or a pharmaceutically acceptable salt or solvate thereof.

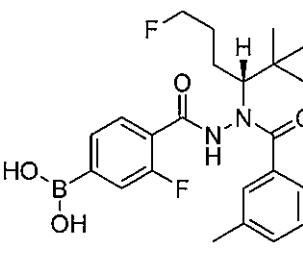
20. The compound of claim 1 selected from the group consisting of:

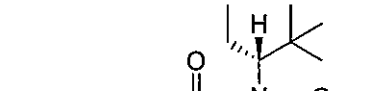


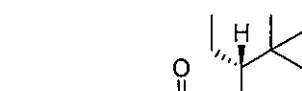


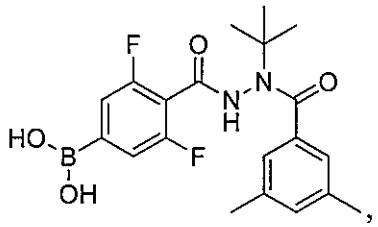








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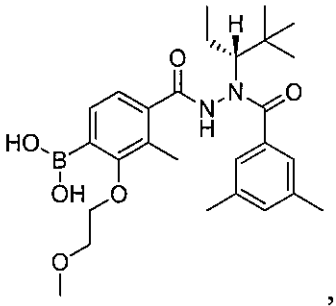
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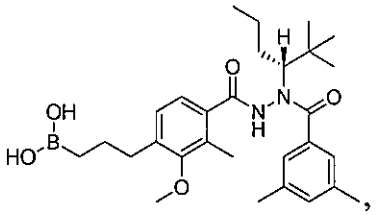
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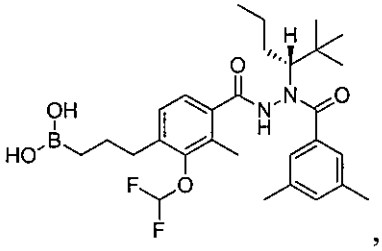
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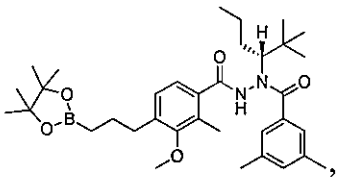
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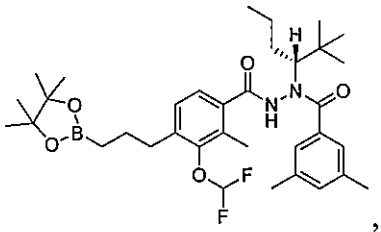
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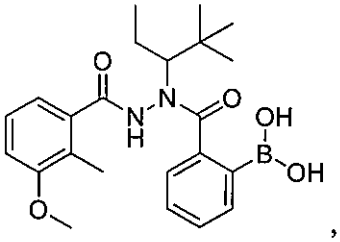
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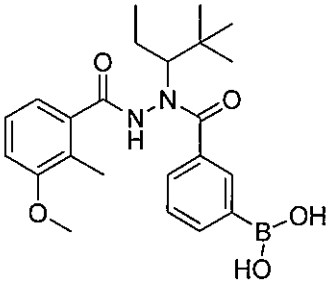
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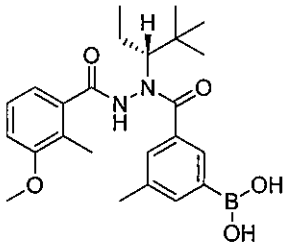
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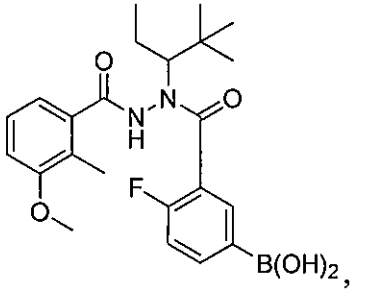
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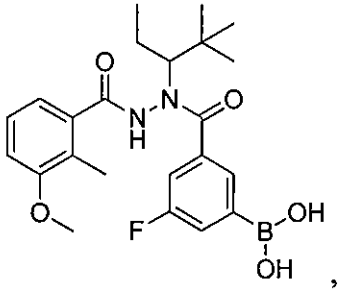
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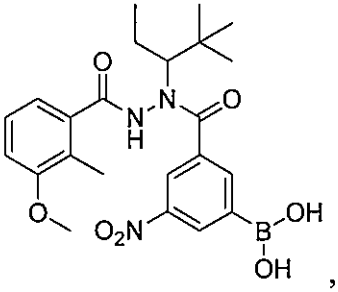
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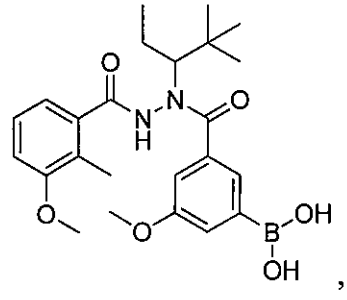
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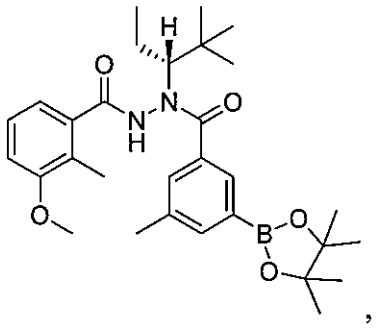
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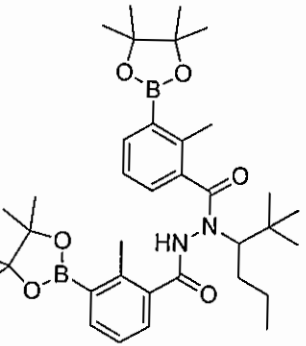
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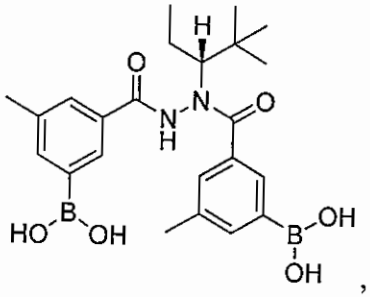
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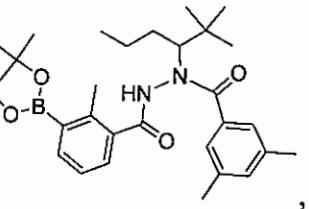
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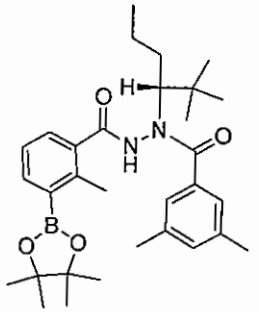
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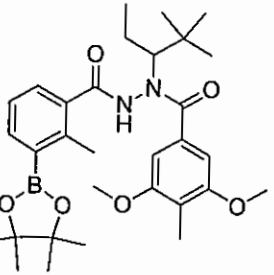
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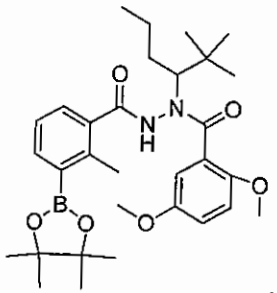
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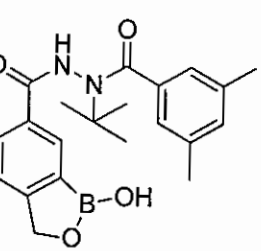
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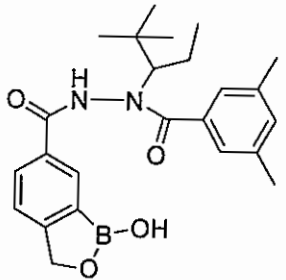

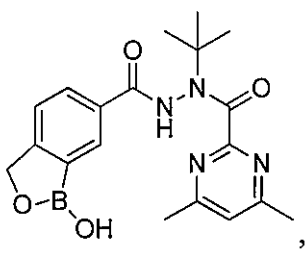
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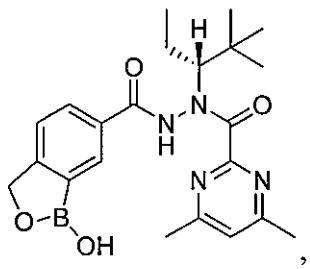
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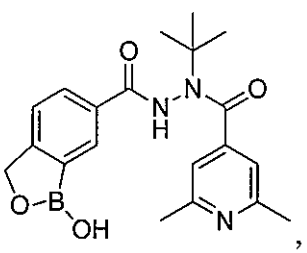
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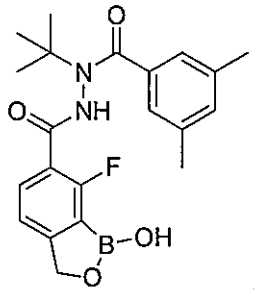
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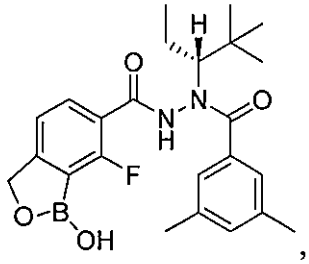
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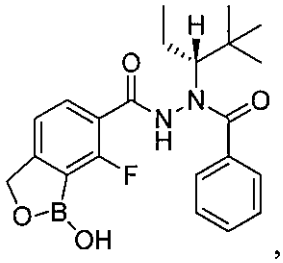
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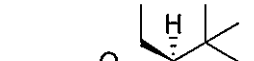

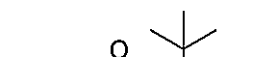

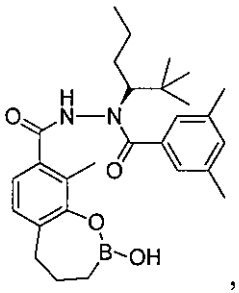

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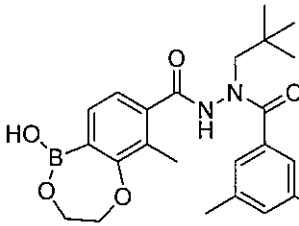

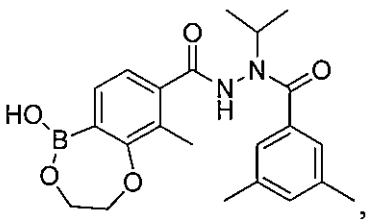

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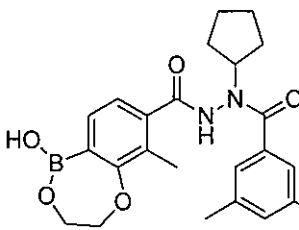

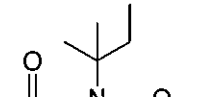

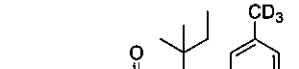

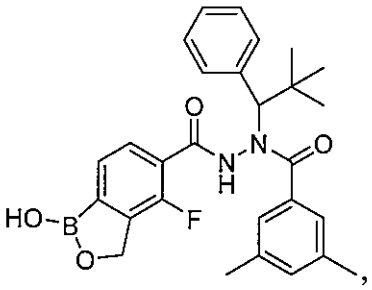
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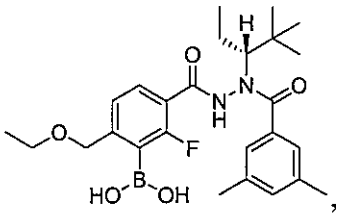
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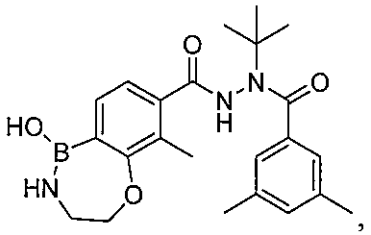
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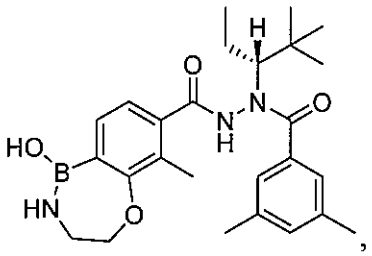
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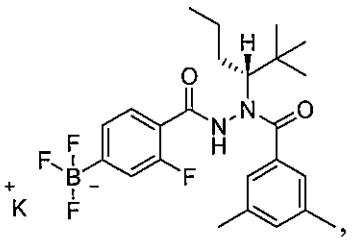
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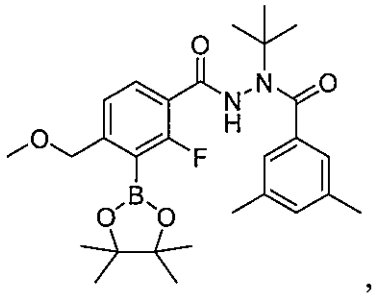
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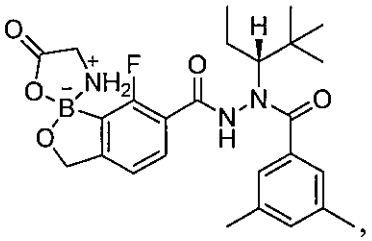
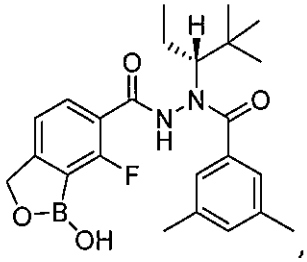

and

or a pharmaceutically acceptable salt or solvate thereof.

21. The compound of claim 20 selected from the group consisting of: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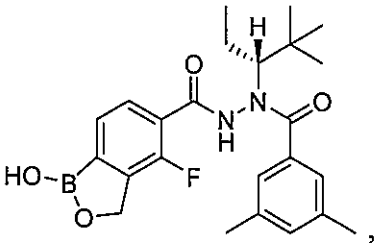
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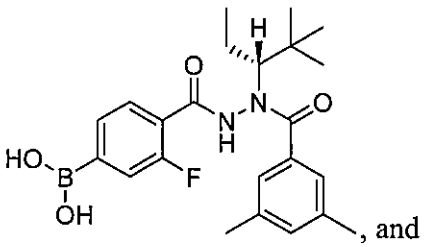
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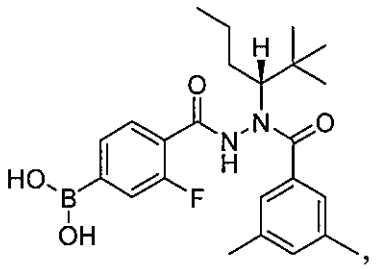
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or a pharmaceutically acceptable salt or solvate thereof.

22. A pharmaceutical composition comprising the compound of claim 1, or a pharmaceutically acceptable salt or solvate thereof, and a pharmaceutically acceptable carrier.

23. Use of a compound of claim 1, or a pharmaceutically acceptable salt or solvate thereof, in the manufacture of a medicament for treating a disease, disorder, injury, or condition.

24. The use of claim 23, wherein said disease, disorder, injury, or condition is cancer.

25. The use of claim 24, wherein said cancer is selected from the group consisting of breast cancer, lymphoma, pancreatic cancer, colon cancer, melanoma, glioma, non-small cell lung cancer, head or neck carcinoma, lung carcinoma, cervical carcinoma, renal cell carcinoma, mycosis fungoides, leukemia, acute lymphocytic leukemia, chronic lymphocytic leukemia, Kaposi's sarcoma, Hodgkin's disease, and non-Hodgkin's lymphoma.

26. A method of controlling insects, the method comprising contacting said insects or their habitat with an insecticidally effective amount of a compound of claim 1, or a pharmaceutically acceptable salt or solvate thereof.

27. A kit comprising the compound of claim 1, or a pharmaceutically acceptable salt or solvate thereof.