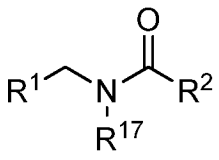
What is Claimed is:

1. A compound of formula I or a salt, ester, or prodrug thereof:



I

wherein:

R^1 is selected from the group consisting of C_1 to C_{12} alkyl, —L^1—C_3-C_6 cycloalkyl, —L^2—C_2-C_6 heterocycloalkyl, benzyl, —L^3—aryl, and —L^4—heteroaryl;

R^2 is selected from the group consisting of —L^5—C(=O)R^3, —L^6—R^4, and NHR^5;

R^3 is selected from the group consisting of OR^6, NR^7R^8, and $NHNHR^9$;

R^5 is selected from the group consisting of OR^{10}, C_1 to C_{12} alkyl, —L^7—C_3-C_6 cycloalkyl, —L^8—C_2-C_6 heterocycloalkyl, benzyl, —L^9—aryl, and —L^{10}—heteroaryl;

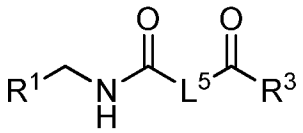
R^8 is selected from the group consisting of OR^{11}, N=$R^{12}R^{13}$, —L^{11}—R^{14}, $NHSO_2R^{15}$, and NHR^{16};

R^6, R^7, R^9, R^{10}, R^{11}, R^{12}, R^{13}, and R^{17} are independently selected from the group consisting of H and C_1 to C_{12} alkyl;

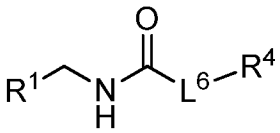
R^4, R^{14}, R^{15}, and R^{16} are independently selected from the group consisting of —L^{12}—C_3-C_6 cycloalkyl, —L^{13}—C_2-C_6 heterocycloalkyl, benzyl, —L^{14}—aryl, and —L^{15}—heteroaryl; and

L^1, L^2, L^3, L^4, L^5, L^6, L^7, L^8, L^9, L^{10}, L^{11}, L^{12}, L^{13}, L^{14}, and L^{15} are independently selected from the group consisting of null, C_1 to C_{12} alkylene, and C_1 to C_{12} alkenylene.

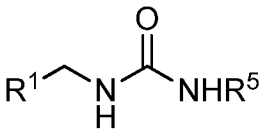
2. The compound of claim 1 having a formula selected from the group consisting of II, III, IV, and salts, esters, or prodrugs thereof:



II,

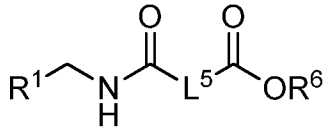


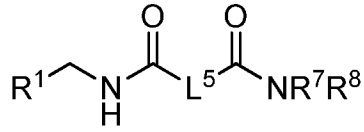
III,

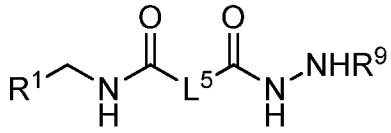


IV.

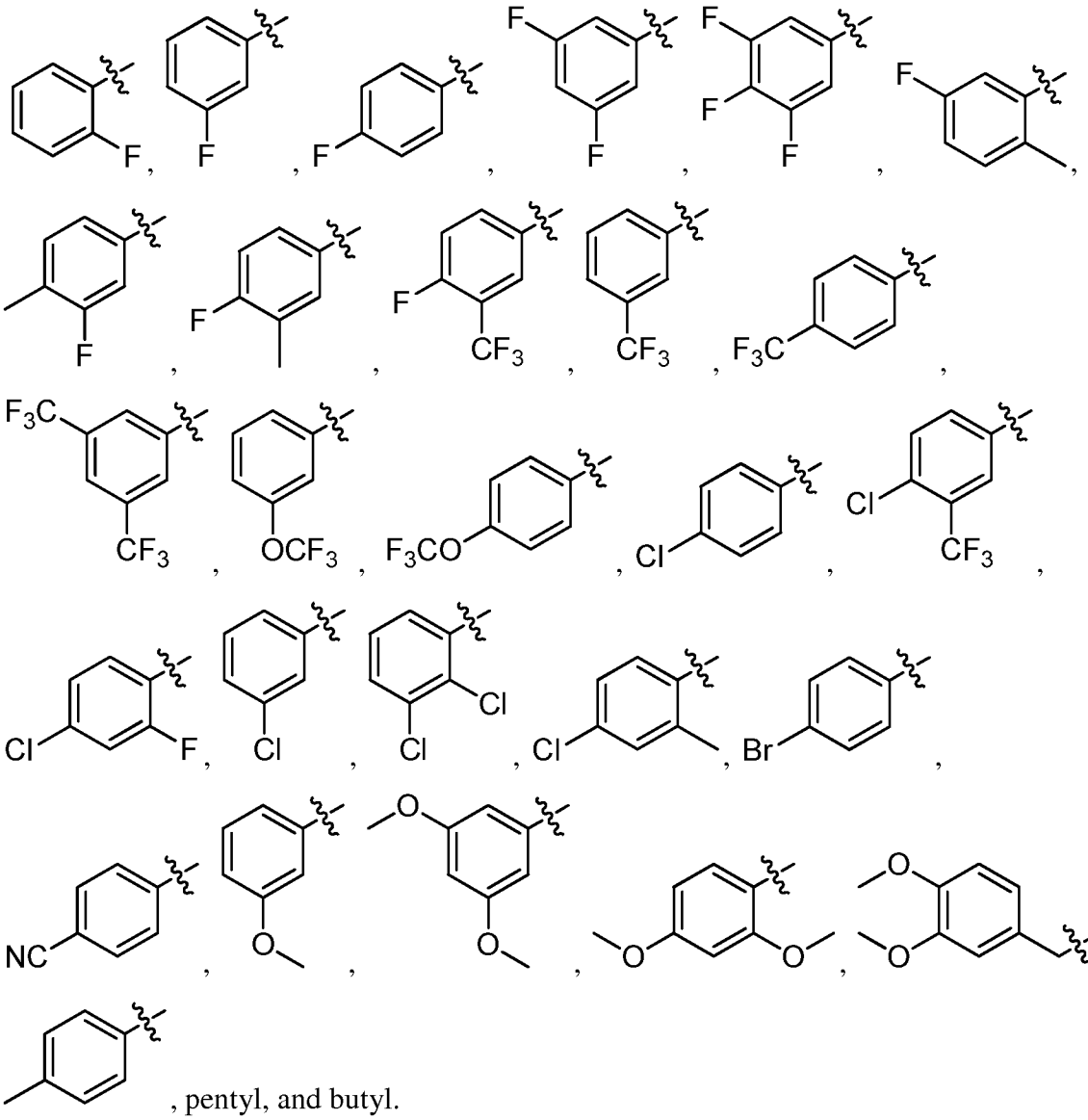
3. The compound of claim 1 having a formula selected from the group consisting of V, VI, VII, and salts, esters, or prodrugs thereof:


V,

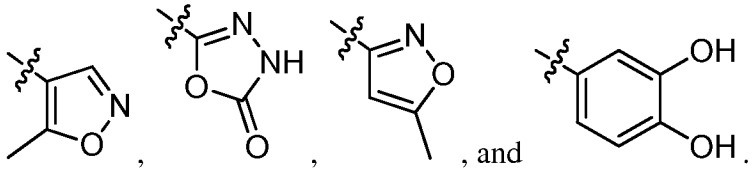

VI,


VII.

4. The compound of claim 1, wherein R^1 is selected from the group consisting of:

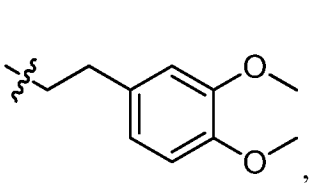
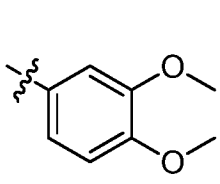
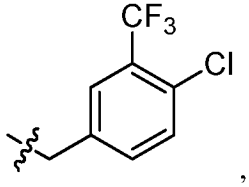
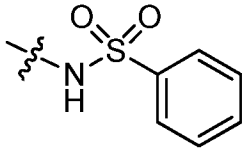
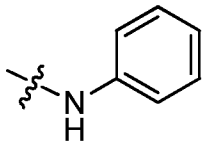

, pentyl, and butyl.

5. The compound of claim 1, wherein R^4 is selected from the group consisting of:

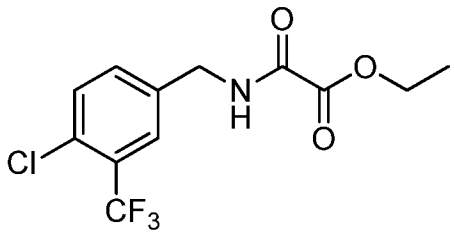

.

6. The compound of claim 1, wherein R^5 is OH.

7. The compound of claim 1, wherein R^8 is selected from the group consisting of:

OH, OCH_3, $N=(CH_3)_2$,  ,  ,  ,  , and  .

8. The compound of claim 1 having a formula selected from the group consisting of C256, C259, C265, C267, C276, C277, C288, C309, C311, C280, C300, C313, C314, C320, C323, C326, C328, C334, C342, C240, C241, C246, C248, C251, C255, C260, C261, C262, C263, C264, C266, C268, C278, C281, C282, C287, C289, C295, C296, C297, C301, C304, C305, C307, C310, C322, C336, C339, C340, C341, C362, C279, C285, C286, C299, C306, C330, C344, C345, C346, C347, C348, C356, C357, C358, C359, C360, C361, C363, C364, C284, and salts, esters, or prodrugs thereof: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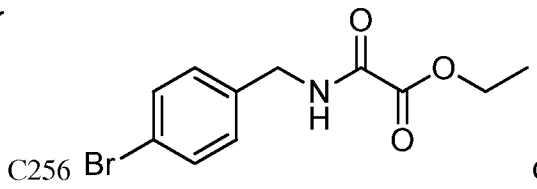
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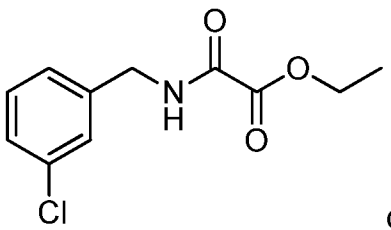
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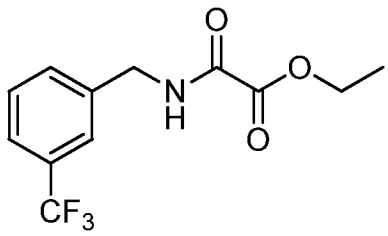
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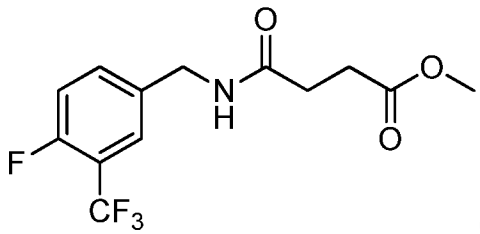
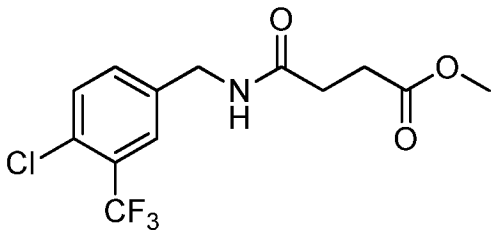

C276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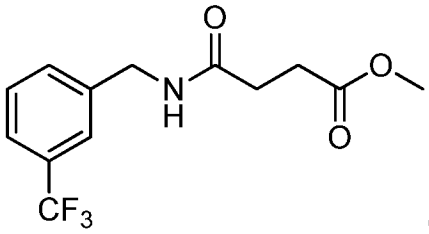

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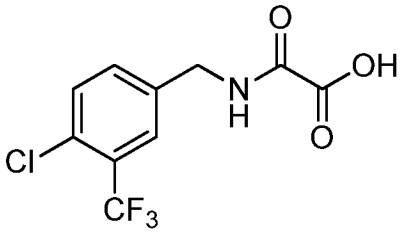

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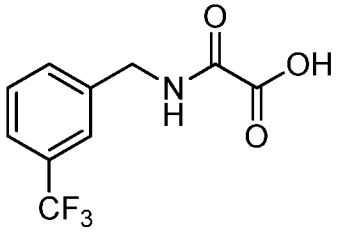
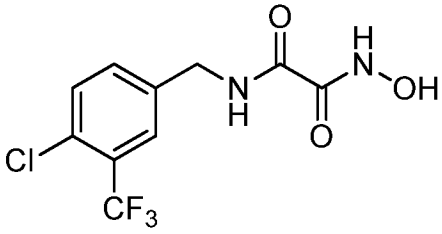


C311,



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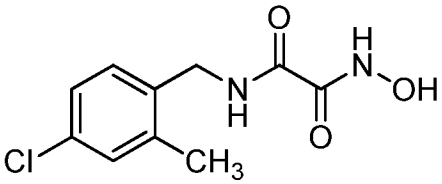
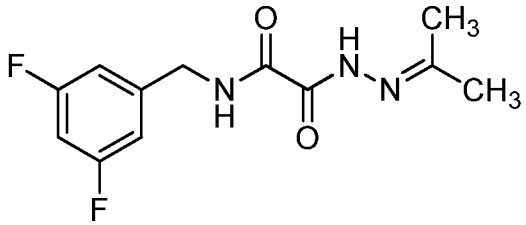


C300



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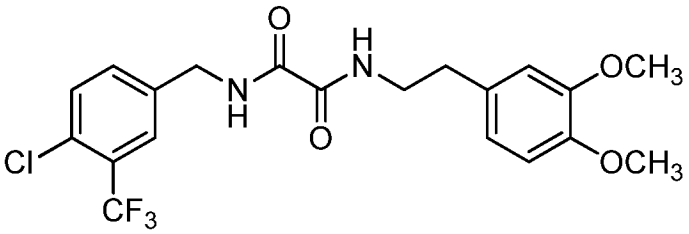

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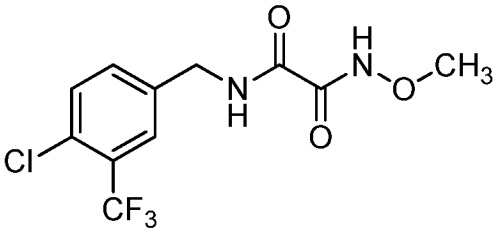
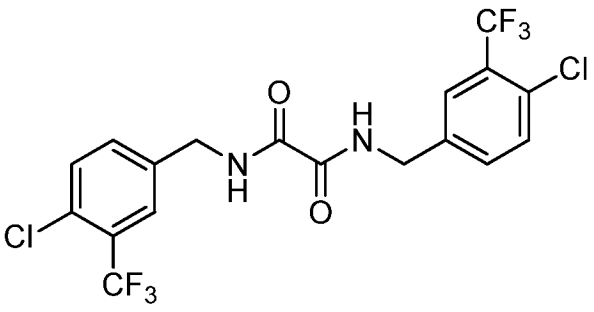


C320



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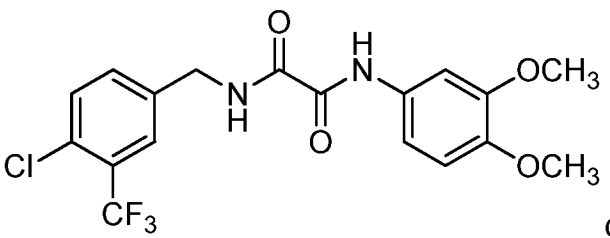
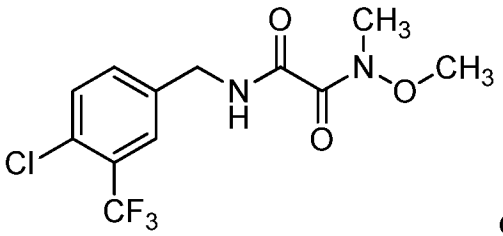
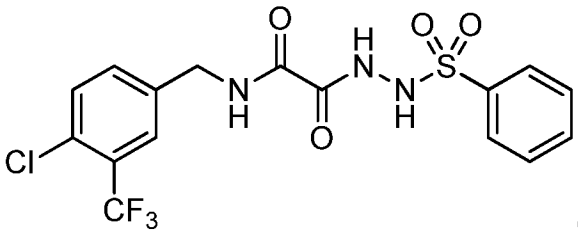


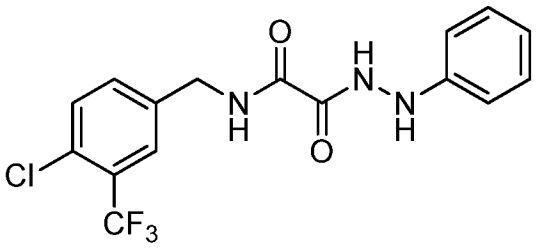
C326



C328



C334



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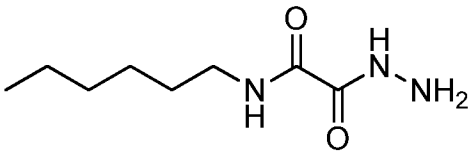

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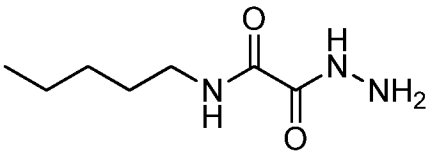

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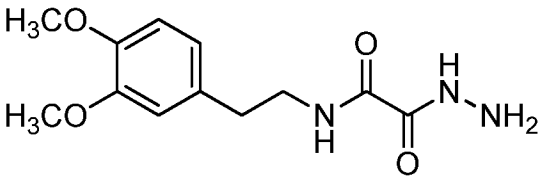
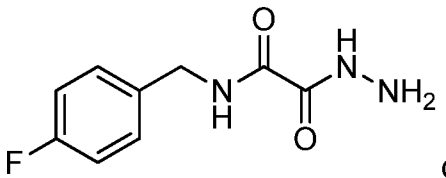
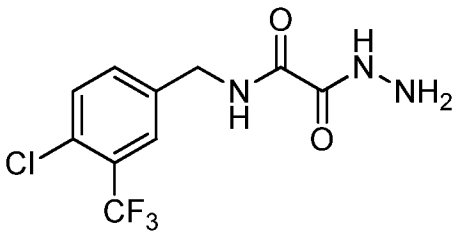


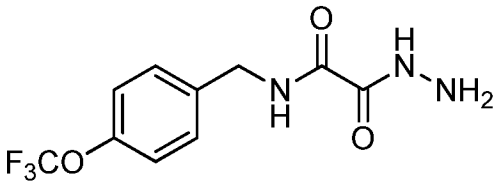
C246



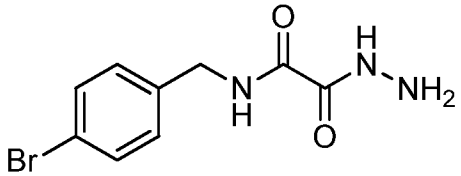
C248



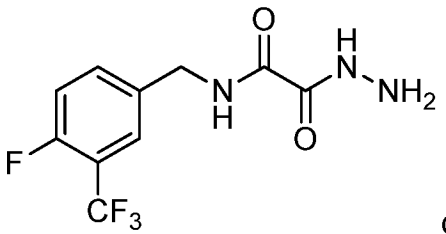
C251



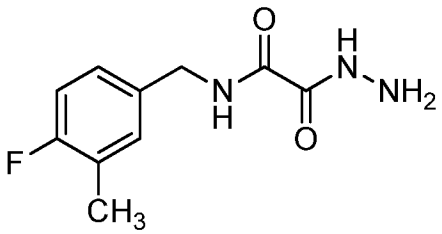
C255



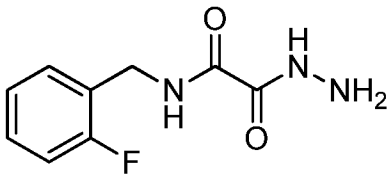
C260

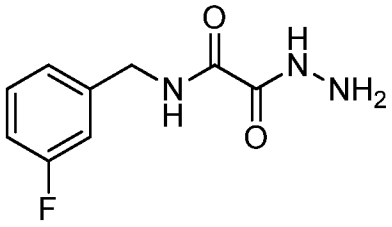


C261



C262


C263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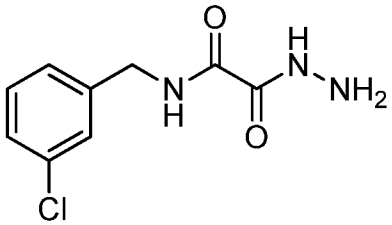
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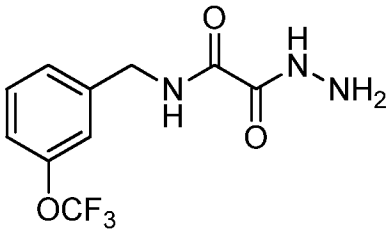
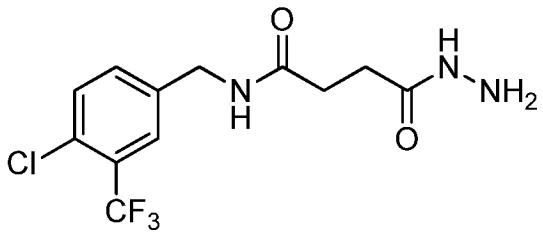
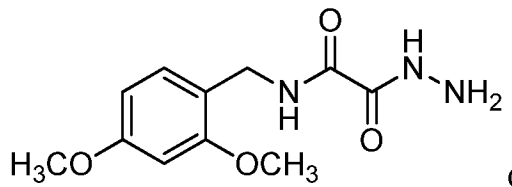
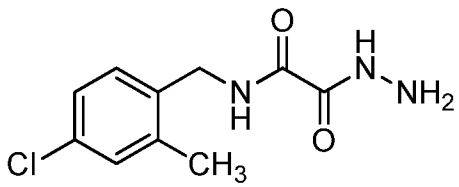
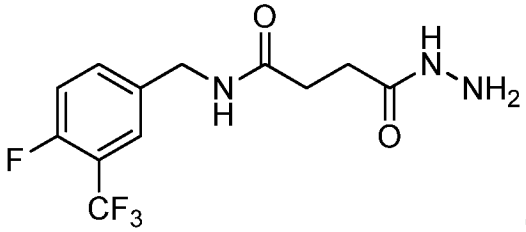
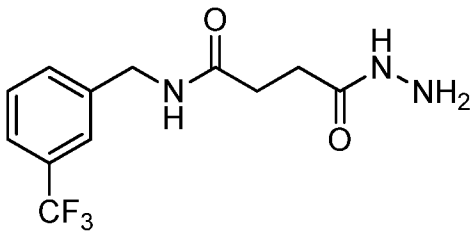

C268

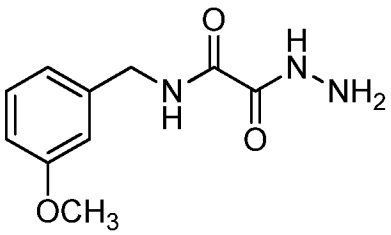

C278

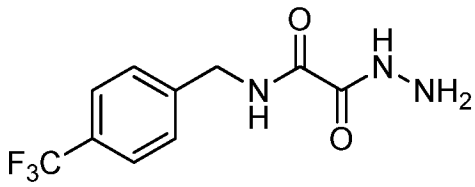

C281


C282


C287


C289


C295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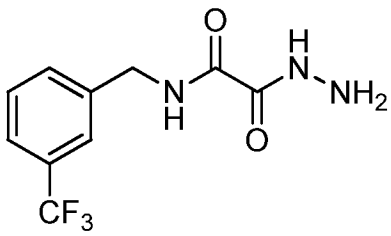
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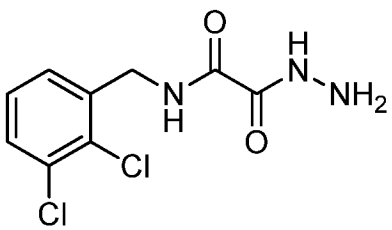
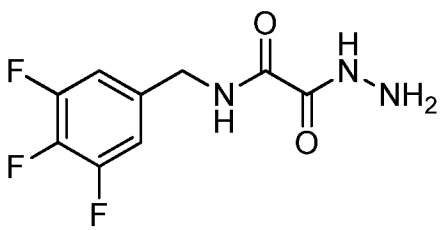
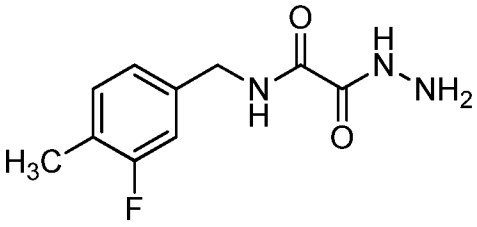
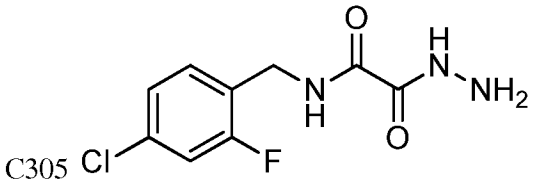
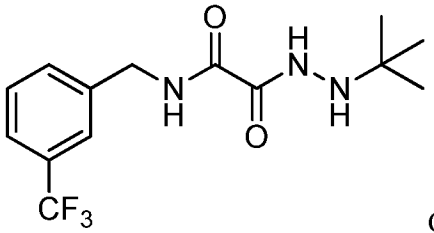

C301


C304

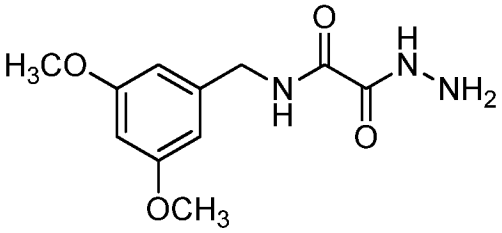




C305 C307



C310



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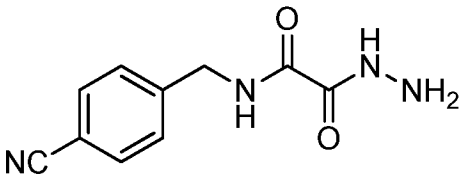
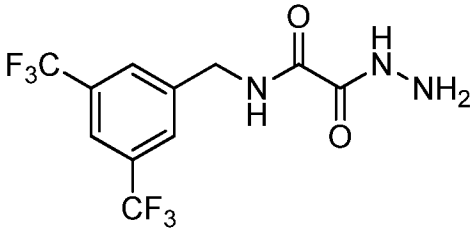
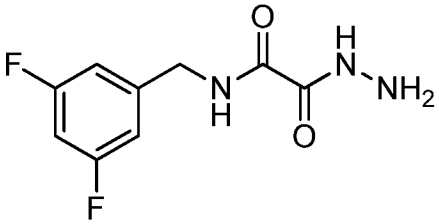


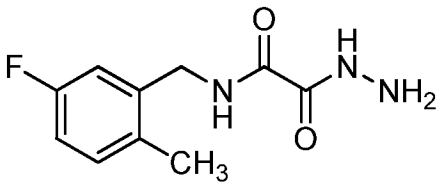
C336



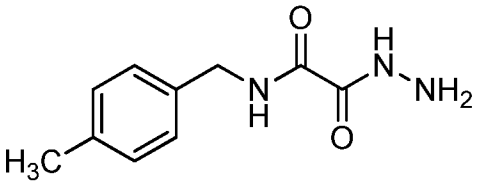
C339



C340



C341



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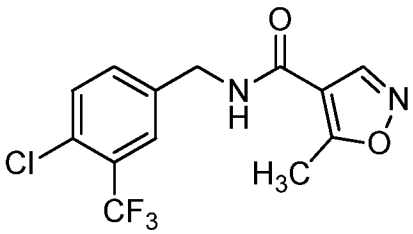

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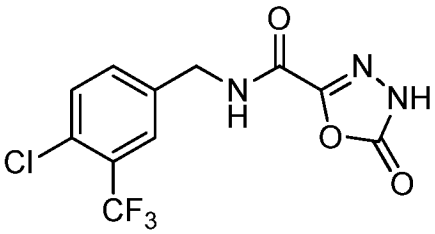

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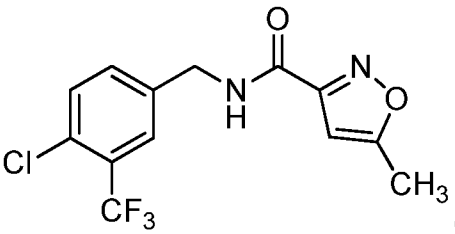
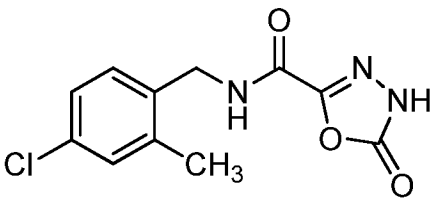


C286



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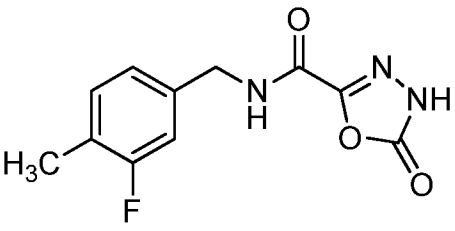

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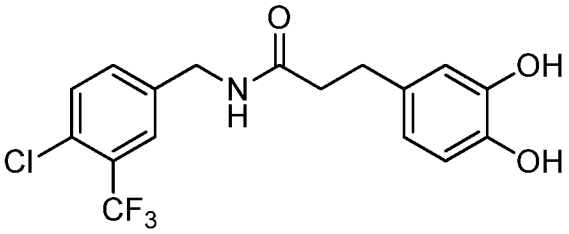
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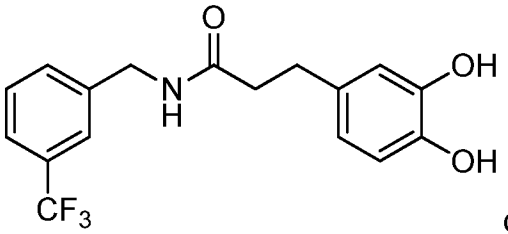
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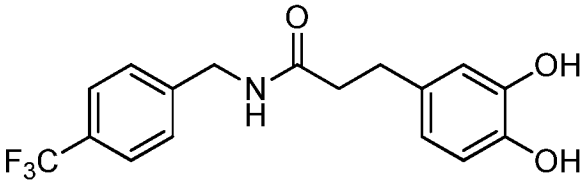
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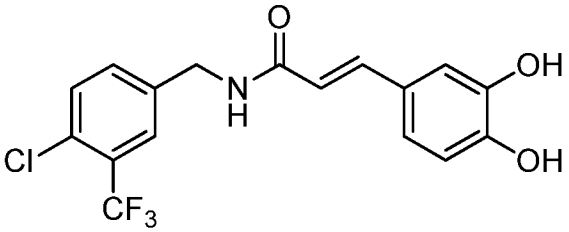
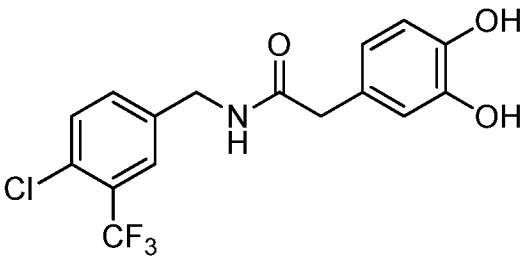
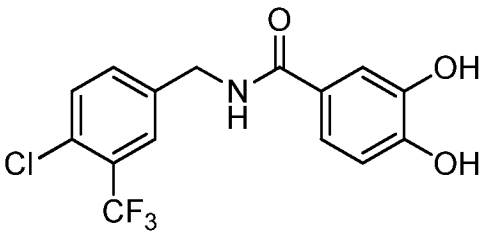
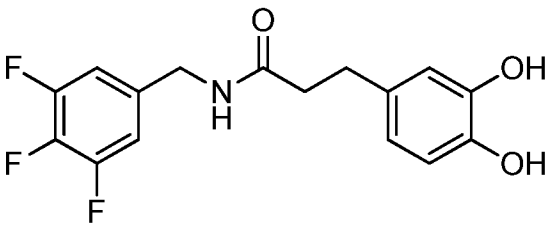
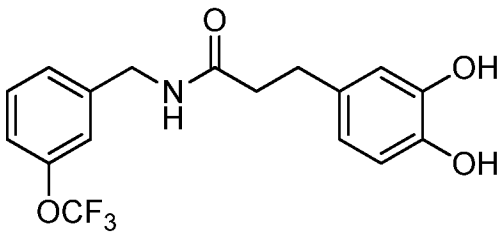
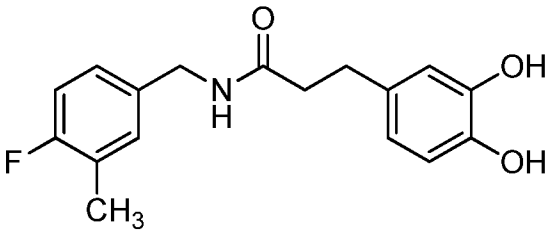

C346


C347


C348


C356


C357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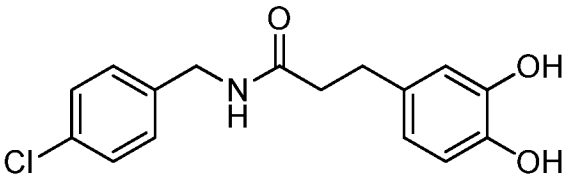
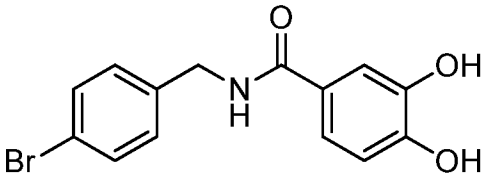

C359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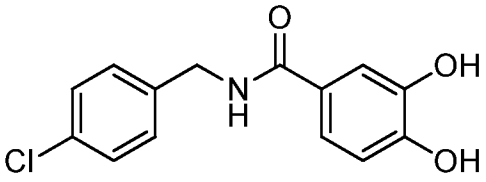
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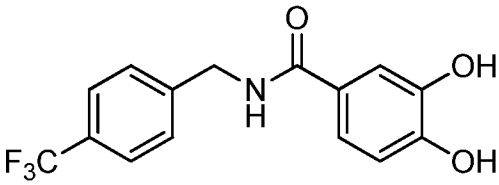
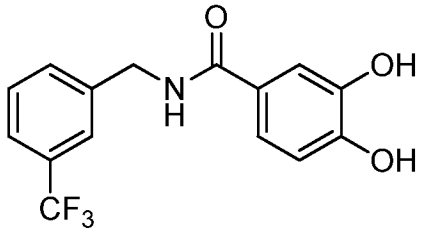
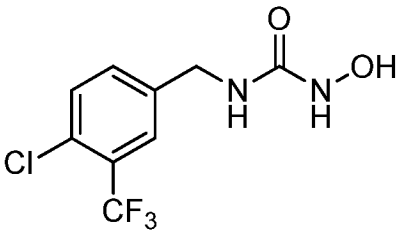
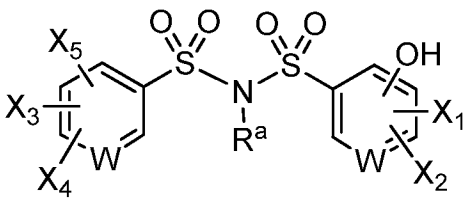

C363


C364


C284.

9. A compound of formula VIII or a salt, ester, or prodrug thereof:


VIII

wherein:

W is C or N;

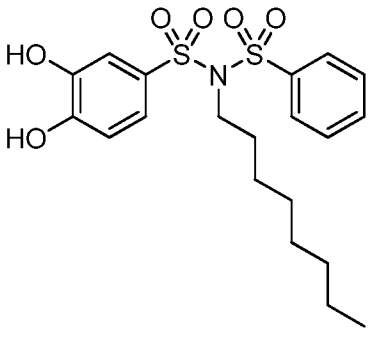
X_1, X_2, X_3, X_4, and X_5 are independently selected from the group consisting of —H, —OH, —OR, —F, —Cl, —Br, —I, —NO_2, —NO, —$N(R)_2$, —$N(R)_3^+$, —C(O)R, —C(O)OR, —CHO, —$C(O)NH_2$, —C(O)SR, —CN, —$S(O)_2R$, —SO_3R, —SO_3H, —$SO_2N(R)_2$, —S=O, C_1 to C_{12} alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R is selected from the group consisting of C_1 to C_6 alkyl, C_3 to C_6 cycloalkyl, CH_2—C_3-C_6 cycloalkyl, phenyl, tolyl, and benzyl;

R^a is selected from the group consisting of C_1 to C_{12} alkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof; and

m is 1, 2, 3, 4, 5, or 6;

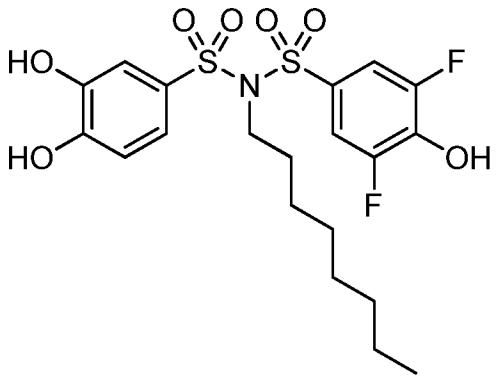
with the proviso that at most two of X_1, X_2, X_3, X_4, and X_5 are OH; and



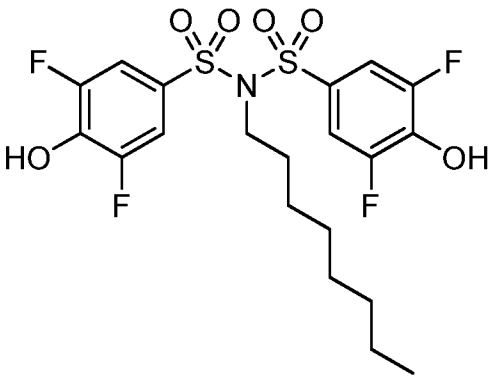
excluding a compound of formula .

10. The compound of claim 9, wherein at most three of X_1, X_2, X_3, X_4, and X_5 are H.

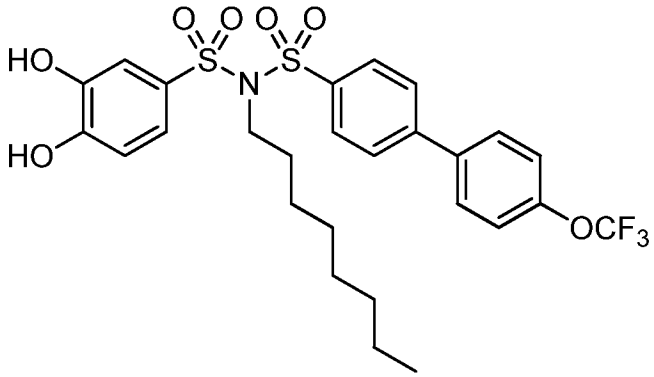
11. The compound of claim 9 having a formula selected from the group consisting of C152, C155, C173, C189, C191, C197, C224, C292, C293, C294, and salts, esters, or prodrugs thereof:



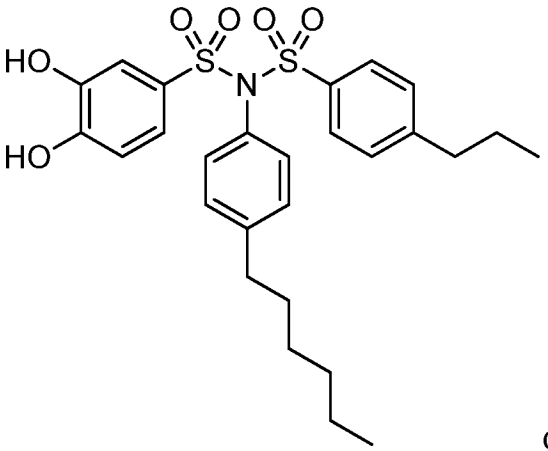
C152,



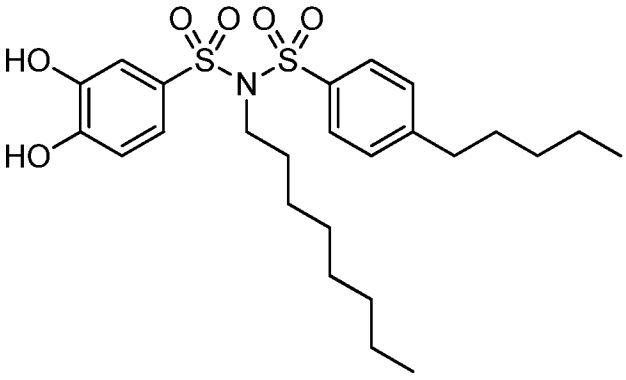
C155,



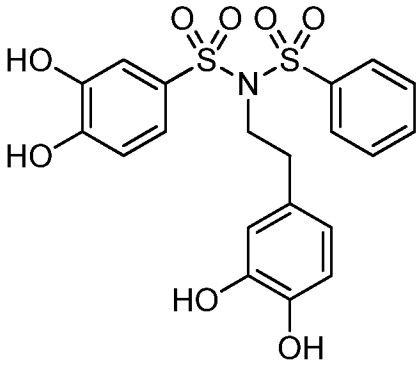
C173,



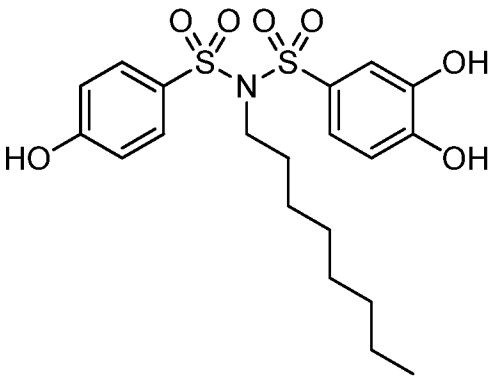
C189,



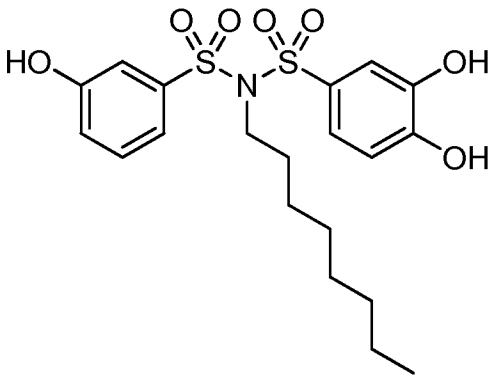
C191,



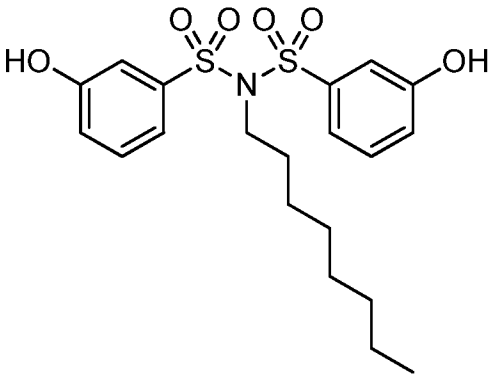
C197,



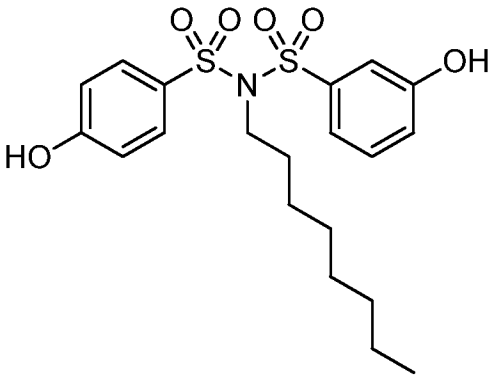
C224,



C292,

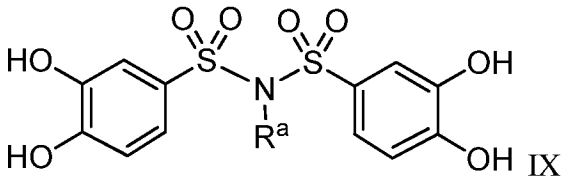


C293,



C294.

12. A compound of formula IX or a salt, ester, or prodrug thereof:

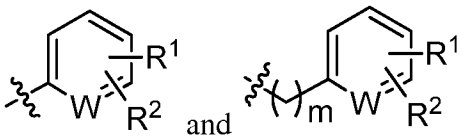


IX

wherein R^a is selected from the group consisting of aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof; and

m is 1, 2, 3, 4, 5, or 6.

13. The compound of claim 12, wherein R^a is selected from the group consisting of:

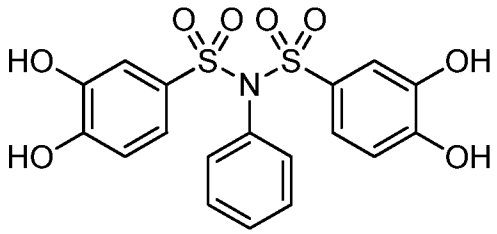


and

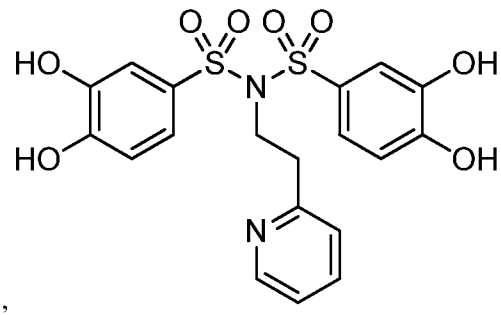
wherein: W is C or N; and

R^1 and R^2 are independently selected from the group consisting of —H, —F, —Cl, —Br, —I, —CF_3, C_1 to C_{12} alkyl, and phenyl.

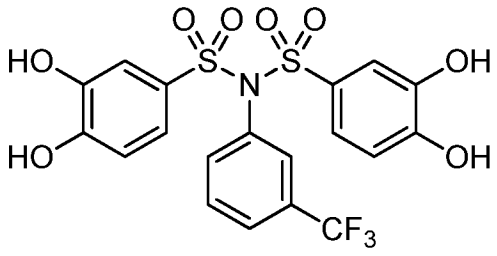
14. The compound of claim 12 having a formula selected from the group consisting of C153, C162, C163, C165, C188, C195, and salts, esters, or prodrugs thereof:



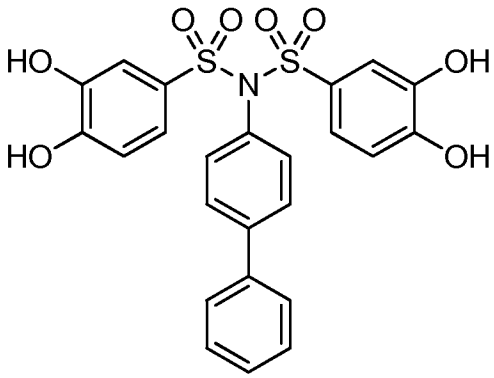
C153,



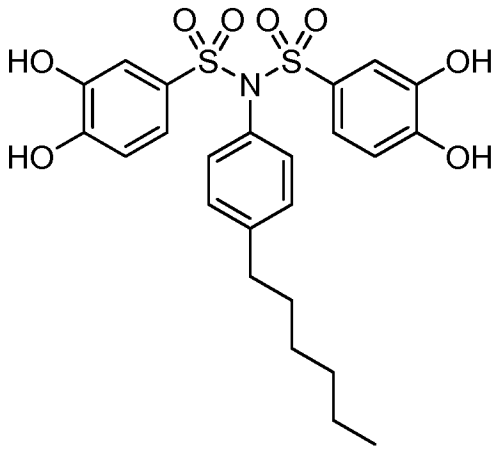
C162,



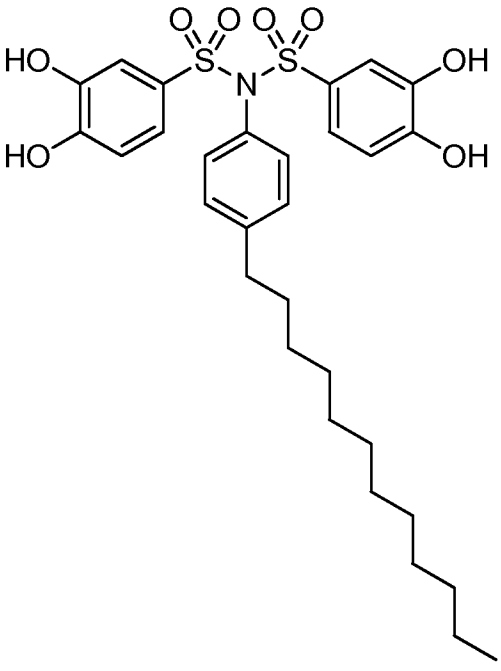
C163,



C165,

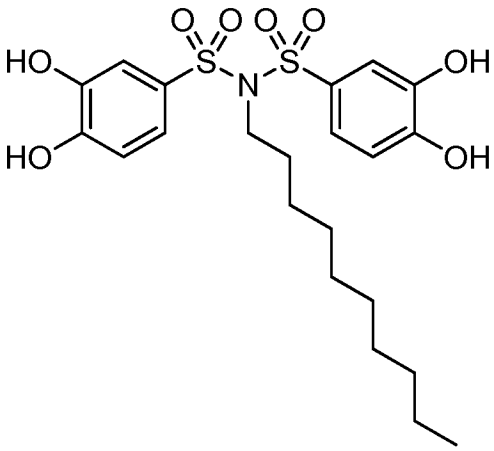


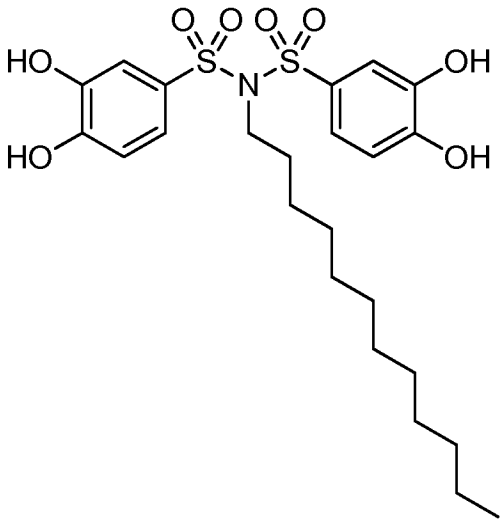
C188,

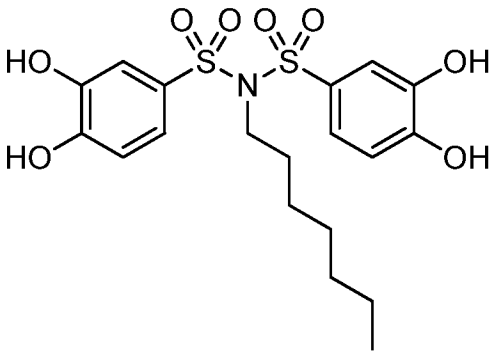


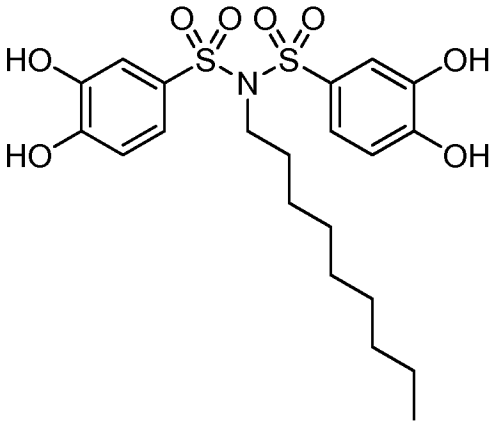
C195.

15. A compound having a formula selected from the group consisting of C157, C158, C182, C183, and salts, esters, or prodrugs thereof:

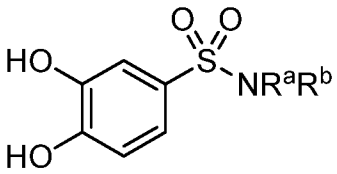

C157,


C158,


C182,

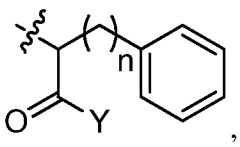

C183.

16. A compound of formula X or a salt, ester, or prodrug thereof:


X

wherein

R^a is C_1 to C_{12} alkyl,

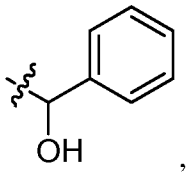
R^b is selected from the group consisting of C_1 to C_{12} alkyl, aryl, heteroaryl, $(CH_2)_m$—R, and  , or

R^a and R^b taken together with the N atom to which they are bonded form an optionally substituted 3-, 4-, 5-, 7-, or 8–membered heterocyclic ring;

m is 1, 2, 3, 4, 5, or 6;

n is 0, 1, 2, 3, 4, 5, or 6;

Y is selected from the group consisting of NH_2 and OH; and

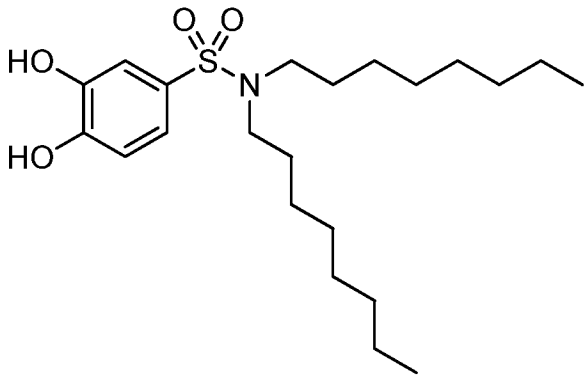
R selected from the group consisting of 

, substituted phenyl and heteroaryl.

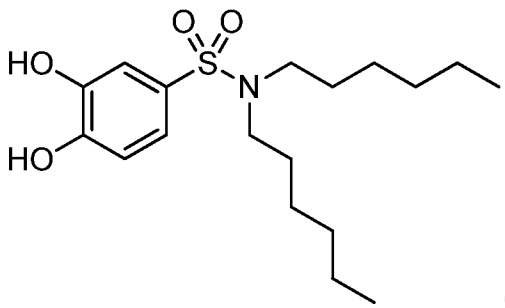
17. The compound of claim 16, wherein R^a is selected from the group consisting of butyl, pentyl, hexyl, heptyl, octyl, nonyl, and decyl.

18. The compound of claim 16, wherein R^b is selected from the group consisting of butyl, pentyl, hexyl, heptyl, octyl, nonyl, decyl, fluorophenyl, chlorophenyl, bromophenyl, iodophenyl, trifluoromethylphenyl, and dichlorohydroxyphenyl.

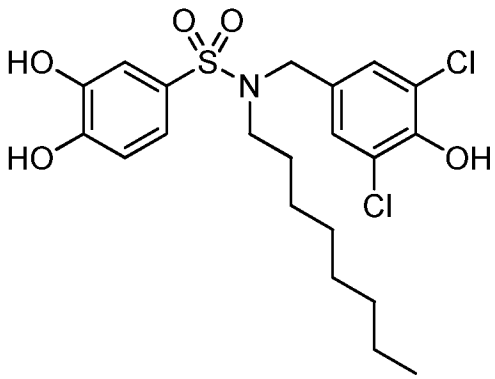
19. The compound of claim 16 having a formula selected from the group consisting of C170, C171, C172, C175, C177, C179, C180, C186, C193, C205, and salts, esters, or prodrugs thereof:



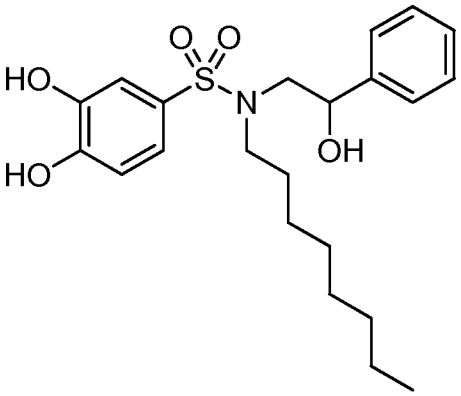
C170



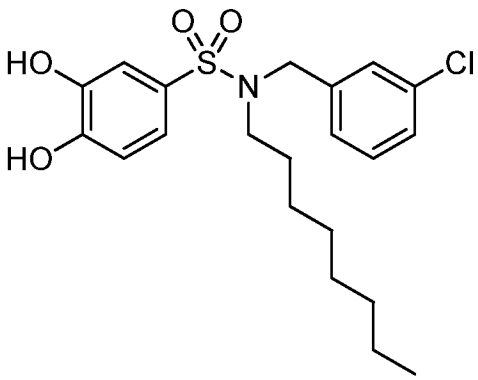
C171



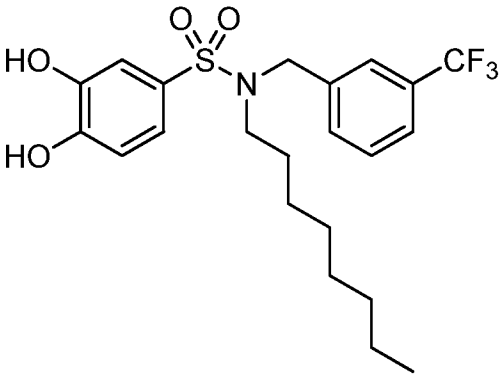
C172



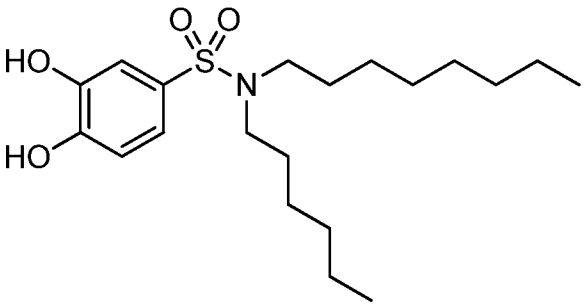
C175



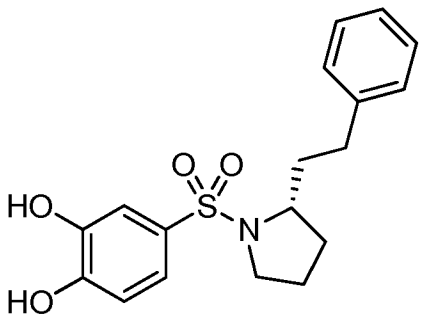
C177



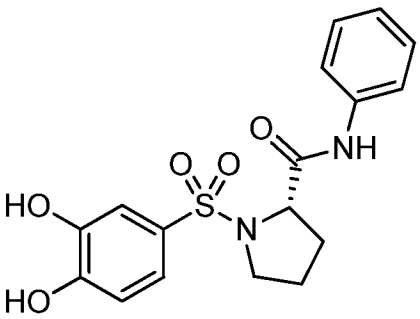
C179



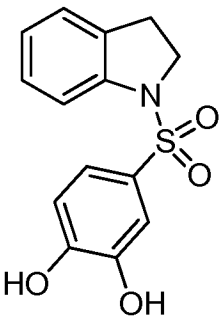
C180



C186

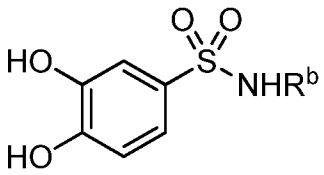


C193



C205.

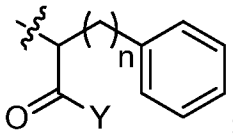
20. A compound of formula XI or a salt, ester, or prodrug thereof:



XI

wherein

R^b is selected from the group consisting of aryl, heteroaryl, $(CH_2)_m$—R, and

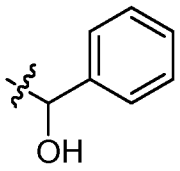


;

m is 1, 2, 3, 4, 5, or 6;

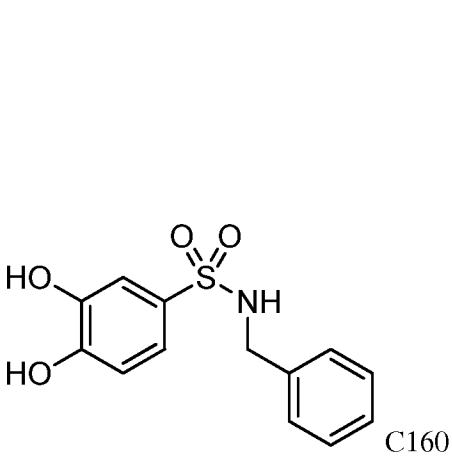
n is 0, 1, 2, 3, 4, 5, or 6;

Y is selected from the group consisting of NH_2 and OH; and

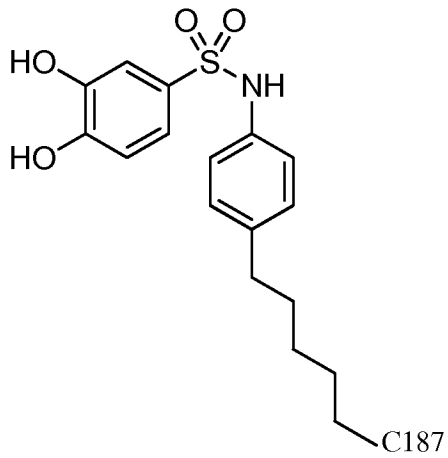
R selected from the group consisting of 

, CO_2H, phenyl, substituted phenyl and heteroaryl.

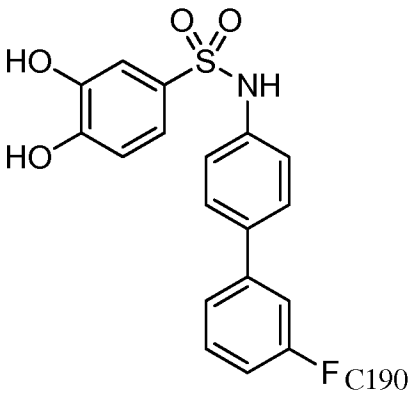
21. The compound of claim 20 having a formula selected from the group consisting of C160, C187, C190, C198, C232, C233, C249, C270, C271, C272, C273, C274, C275, C303, and salts, esters, or prodrugs thereof:



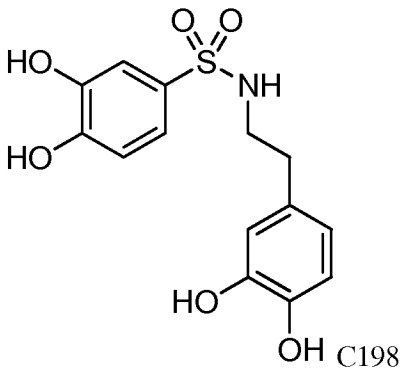
C160



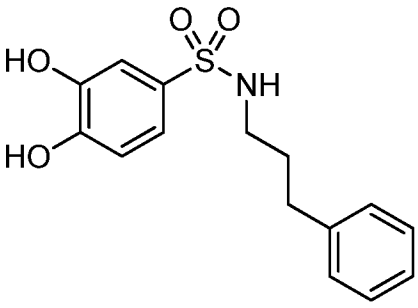
C187

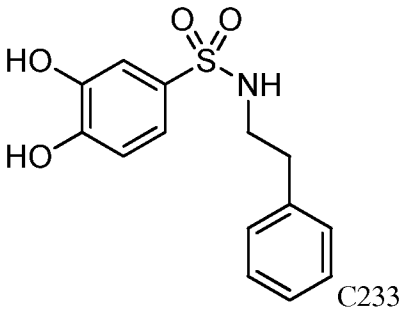


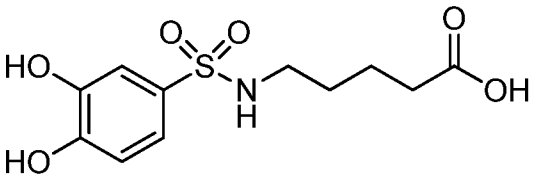
C190

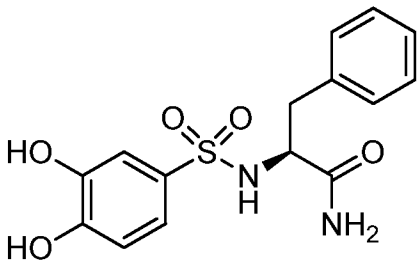


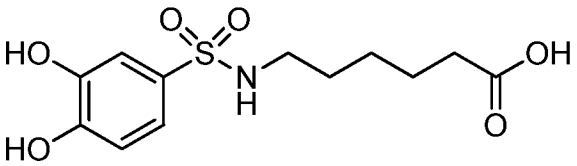
C198

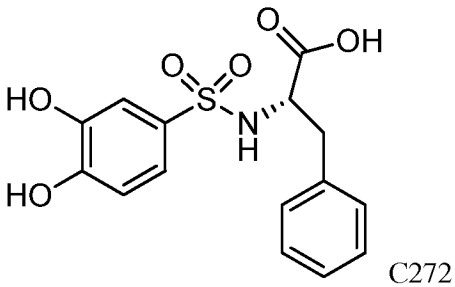

C232

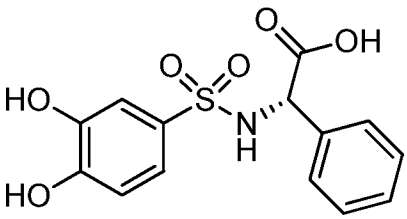

C233

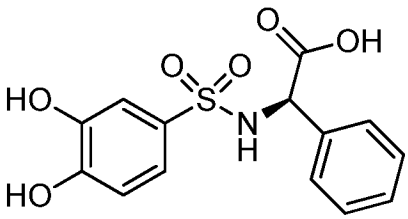

C249

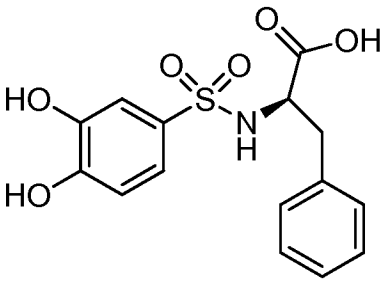

C270

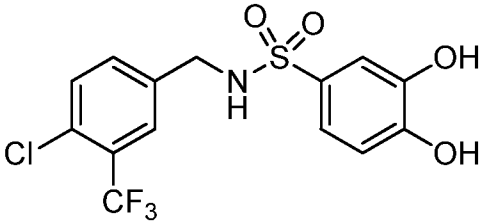

C271


C272

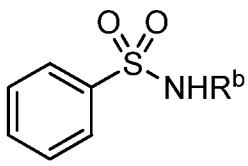

C273


C274


C275

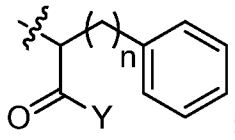

C303.

22. A compound of formula XII or a salt, ester, or prodrug thereof:


XII

wherein

R^b is selected from the group consisting of aryl, heteroaryl, $(CH_2)_m$—R, and

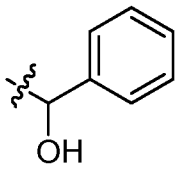


;

m is 1, 2, 3, 4, 5, or 6;

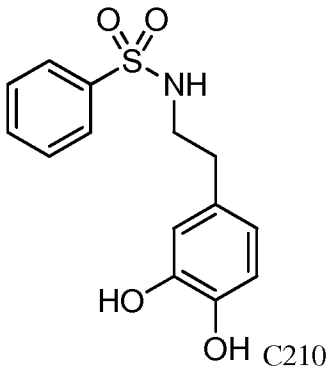
n is 0, 1, 2, 3, 4, 5, or 6;

Y is selected from the group consisting of NH_2 and OH; and

R selected from the group consisting of 

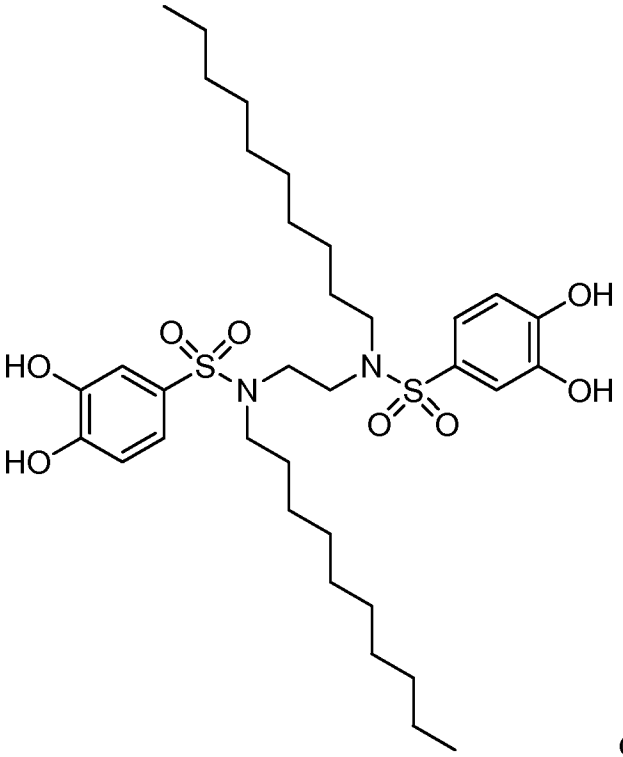
, CO_2H, phenyl, substituted phenyl and heteroaryl.

23. The compound of claim 22 having a formula selected from the group consisting of C210 and salts, esters, or prodrugs thereof:

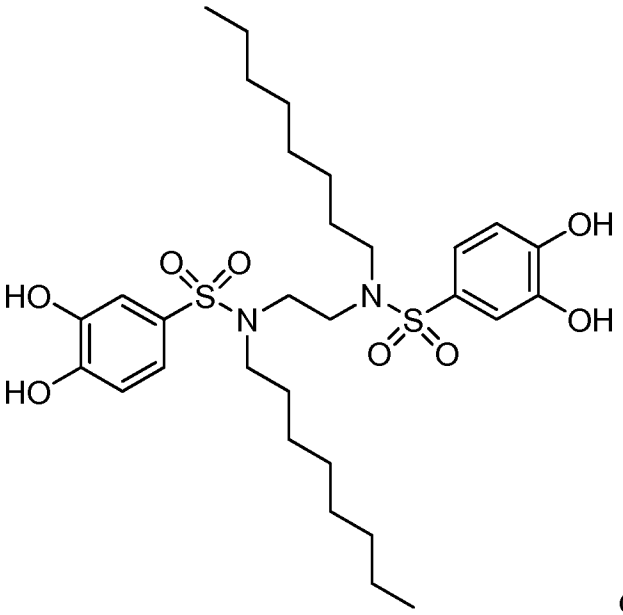


C210

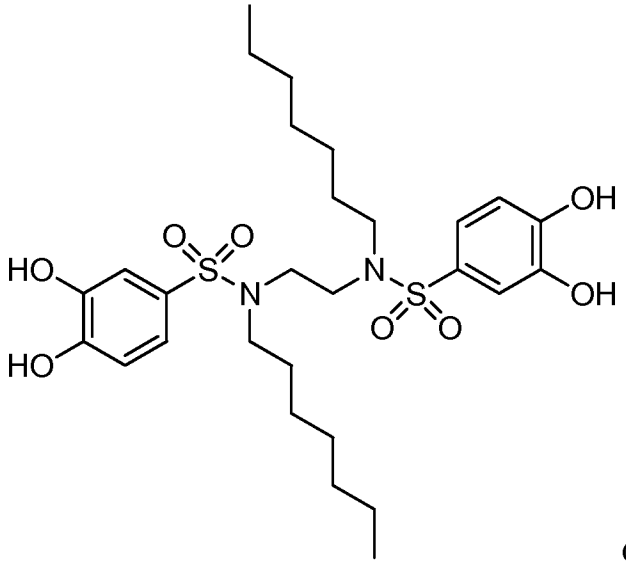
24. A compound having a formula selected from the group consisting of C168, C176, C184, C185, C196, and salts, esters, or prodrugs thereof:



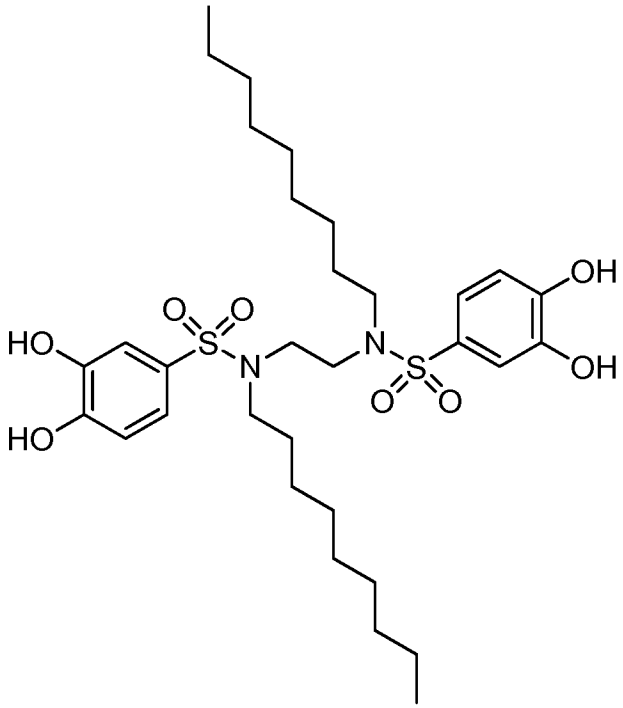
C168



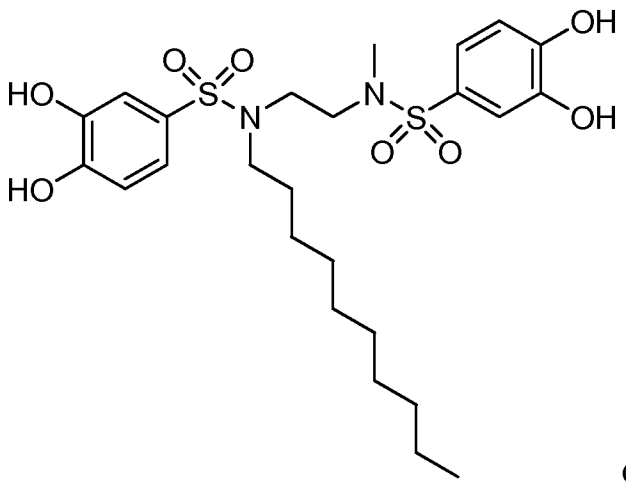
C176



C184

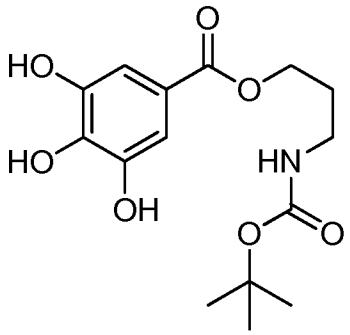


C185

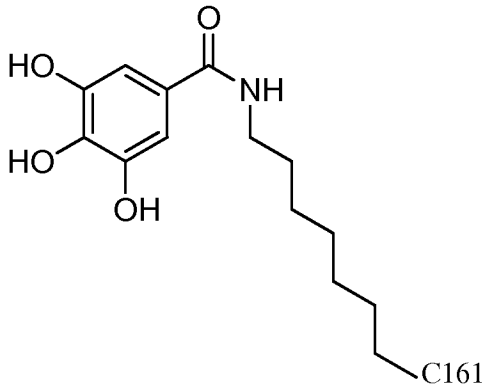


C196.

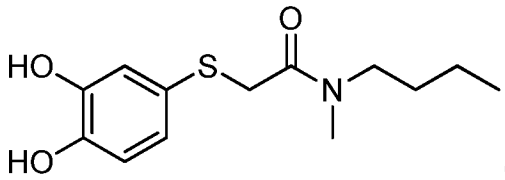
25. A compound having a formula selected from the group consisting of C156, C161, C200, C204, C236, and salts, esters, or prodrugs thereof:



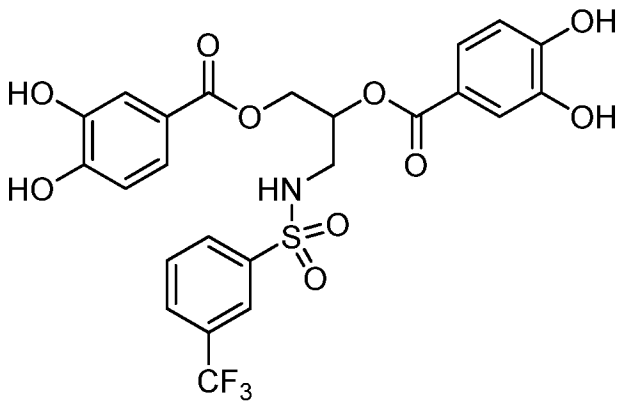
C156



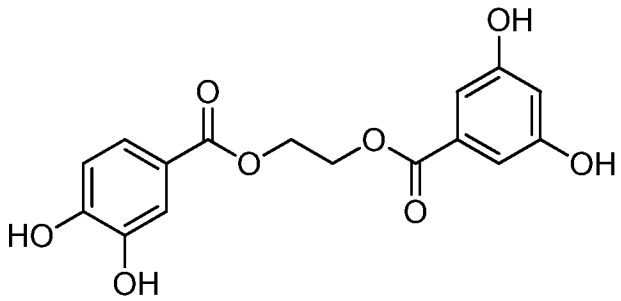
C161



C200,

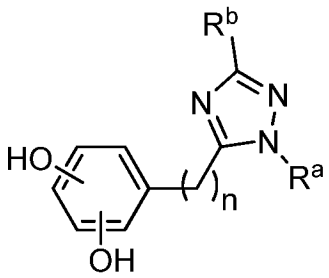


C204



C236.

26. A compound of formula XIII or a salt, ester, or prodrug thereof:



XIII

wherein

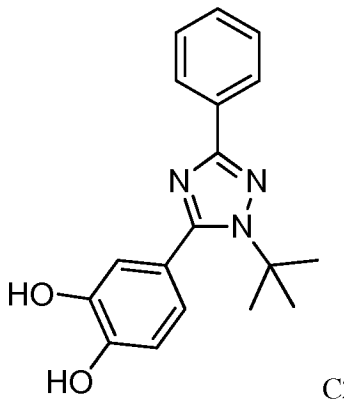
n is 0 or 1;

R^a and R^b are independently selected from the group consisting of C_1 to C_{12} alkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof; and

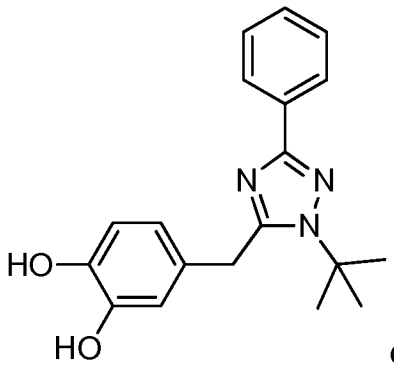
m is 1, 2, 3, 4, 5, or 6.

27. The compound of claim 26, wherein R^a and R^b are independently selected from the group consisting of butyl, pentyl, cyclopropyl, phenyl, difluorophenyl, and hydroxyphenyl.

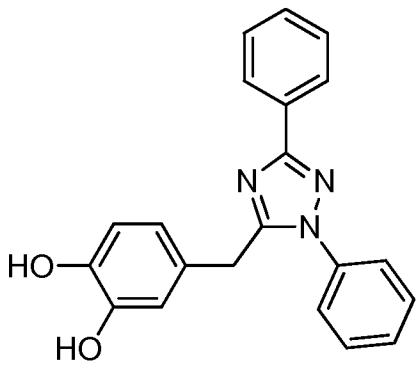
28. The compound of claim 26 having a formula selected from the group consisting of C201, C208, C213, C216, C220, C221, C222, C223, and salts, esters, or prodrugs thereof:



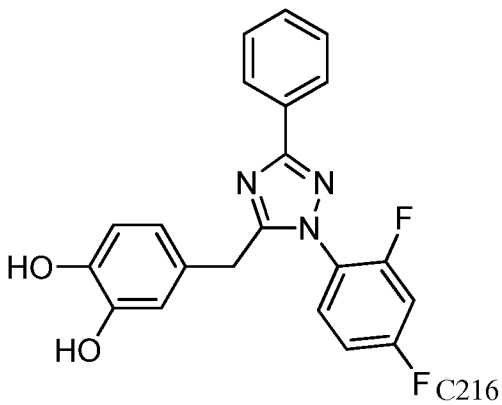
C201



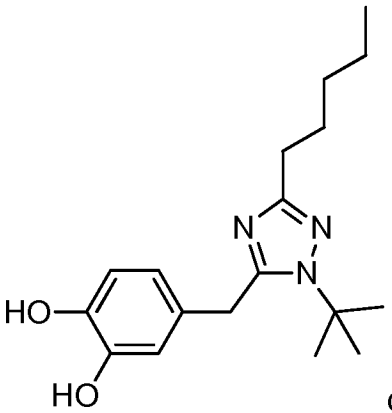
C208

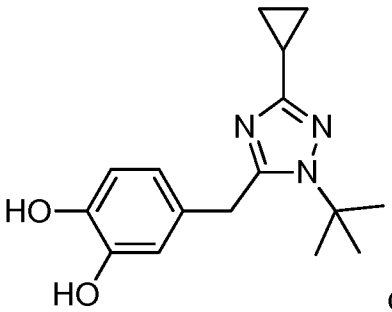


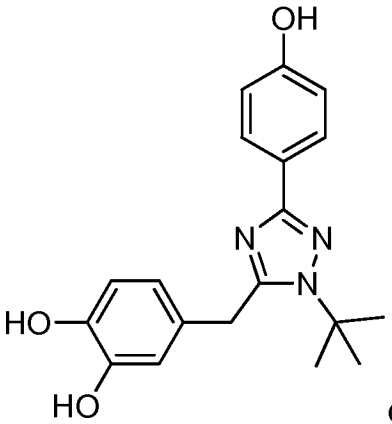
C213

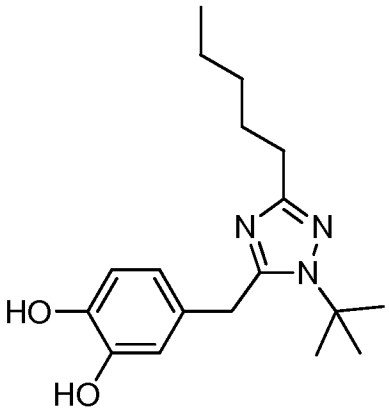


C216

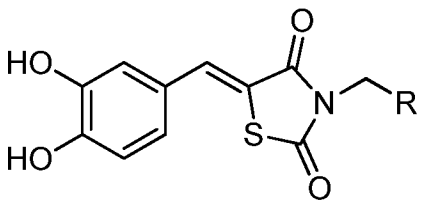

C220


C221


C222

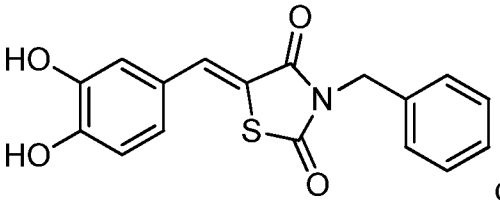

C223.

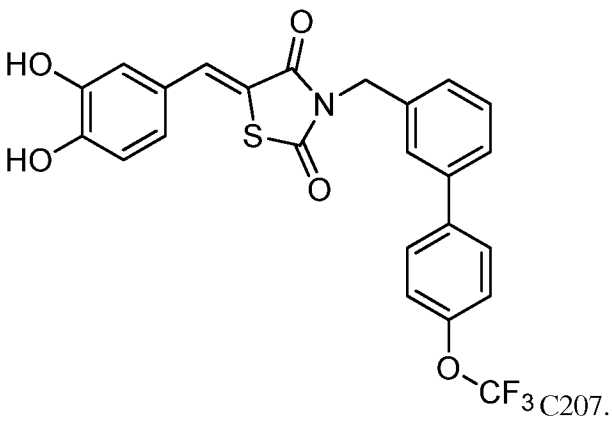
29. A compound of formula XIV or a salt, ester, or prodrug thereof:


XIV

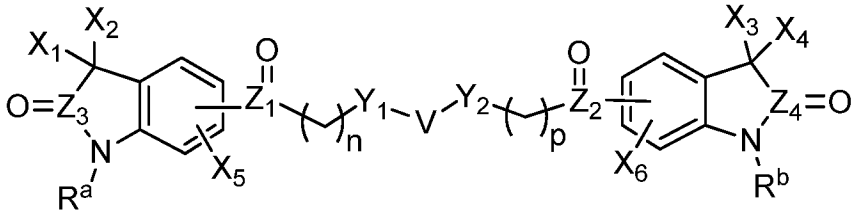
wherein R is selected from the group consisting of phenyl and substituted biphenyl.

30. The compound of claim 29 having a formula selected from the group consisting of C199, C207, and salts, esters, or prodrugs thereof:


C199


C207.

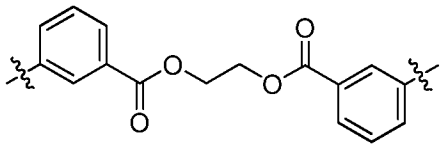
31. A compound of formula XV or a salt, ester, or prodrug thereof:



XV

wherein:

V is selected from the group consisting of $(CH_2)_n$, C_3 to C_8 cycloalkyl, $(CH_2)_n$—C_3-C_8 cycloalkyl—$(CH_2)_p$, aryl, $(CH_2)_n$—aryl—$(CH_2)_p$, heteroaryl, $(CH_2)_n$—heteroaryl—$(CH_2)_p$,



, and substituted derivatives thereof;

n and p are independently 0, 1, 2, 3, 4, 5, or 6;

X_1, X_2, X_3, X_4, X_5 and X_6 are independently selected from the group consisting of —H, —OH, —OR, —F, —Cl, —Br, —I, —NO_2, —NO, —$N(R)_2$, —$N(R)_3^+$, —C(O)R,—C(O)OR, —CHO, —$C(O)NH_2$, —C(O)SR, —CN, —$S(O)_2R$, —SO_3R, —SO_3H, —$SO_2N(R)_2$, —S=O, C_1 to C_{12} alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R is selected from the group consisting of C_1 to C_6 alkyl, C_3 to C_6 cycloalkyl, CH_2—C_3-C_6 cycloalkyl, phenyl, tolyl, and benzyl;

Y_1 is selected from the group consisting of O, NH, NR^a, S, and CH_2;

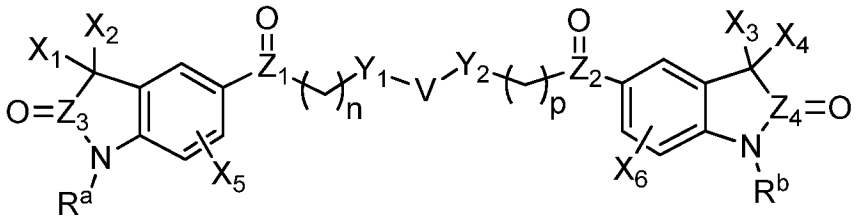
Y_2 is selected from the group consisting of O, NH, NR^b, S, and CH_2;

R^a and R^b are independently selected from the group consisting of C_1 to C_{12} alkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof;

m is 1, 2, 3, 4, 5, or 6; and

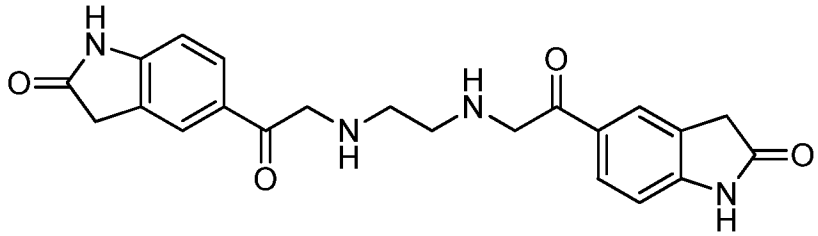
Z_1, Z_2, Z_3, and Z_4 are independently selected from the group consisting of C, P-OH, S, and S=O.

32. The compound of claim 31 having a formula XVI or a salt, ester, or prodrug thereof:



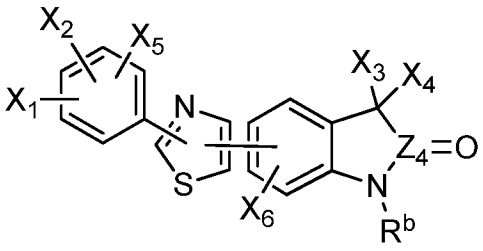
XVI.

33. The compound of claim 31 having a formula selected from the group consisting of C225, and salts, esters, or prodrugs thereof:



C225.

34. A compound of formula XVII or a salt, ester, or prodrug thereof:



XVII

wherein:

X_1, X_2, X_3, X_4, X_5 and X_6 are independently selected from the group consisting of —H, —OH, —OR, —F, —Cl, —Br, —I, —NO_2, —NO, —$N(R)_2$, —$N(R)_3^+$, —C(O)R,—C(O)OR, —CHO, —$C(O)NH_2$, —C(O)SR, —CN, —$S(O)_2R$, —SO_3R, —SO_3H, —$SO_2N(R)_2$, —S=O, C_1 to C_{12} alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

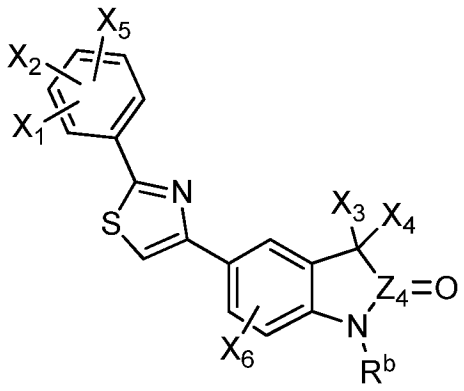
R is selected from the group consisting of C_1 to C_6 alkyl, C_3 to C_6 cycloalkyl, CH_2—C_3-C_6 cycloalkyl, phenyl, tolyl, and benzyl;

R^b is selected from the group consisting of H, C_1 to C_{12} alkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof;

m is 1, 2, 3, 4, 5, or 6; and

Z_4 is selected from the group consisting of C, P-OH, S, and S=O.

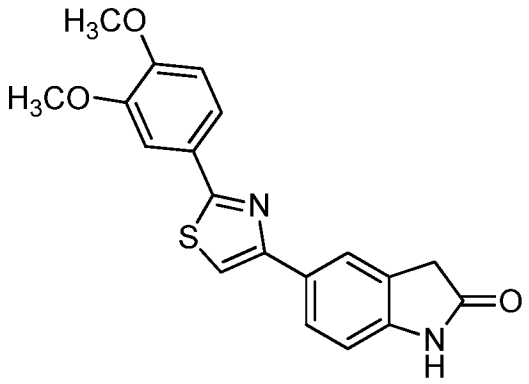
35. The compound of claim 34 having a formula XVIII or a salt, ester, or prodrug thereof:



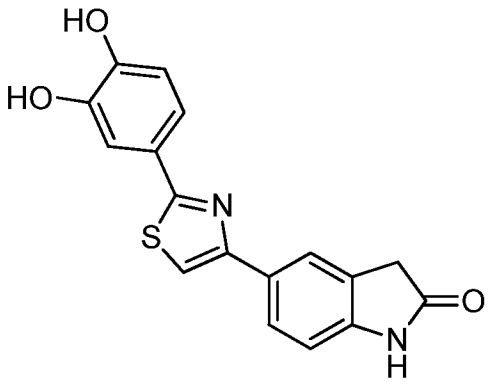
XVIII.

36. The compound of claim 34, wherein X_1 and X_2 are independently selected from the group consisting of —OH and —OR.

37. The compound of claim 34 having a formula selected from the group consisting of C227, C228, and salts, esters, or prodrugs thereof:

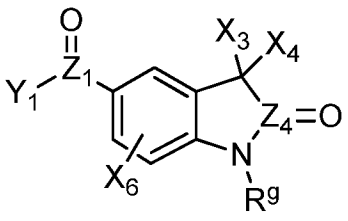


C227



C228.

38. A compound of formula XIX or a salt, ester, or prodrug thereof:



XIX

wherein:

X_3, X_4, and X_6 are independently selected from the group consisting of —H, —OH, —OR, —F, —Cl, —Br, —I, —NO_2, —NO, —$N(R)_2$, —$N(R)_3^+$, —C(O)R, —C(O)OR, —CHO, —$C(O)NH_2$, —C(O)SR, —CN, —$S(O)_2R$, —SO_3R, —SO_3H, —$SO_2N(R)_2$, —S=O, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R is selected from the group consisting of C_1 to C_6 alkyl, C_3 to C_6 cycloalkyl, CH_2—C_3-C_6 cycloalkyl, phenyl, tolyl, and benzyl;

Y_1 is selected from the group consisting of CHR^aR^b, OR^a, NHR^a, NR^aR^b, and SR^a;

R^a and R^b are independently selected from the group consisting of C_1 to C_{12} alkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, —U, $(CH_2)_m$—U, and substituted derivatives thereof, or R^a and R^b taken together with the N atom to which they are bonded form a 3- to 8–membered heterocyclic ring;

U is selected from the group consisting of —NR^cR^d, —$NR^cC(O)R^e$, —$NR^cC(O)OR^e$, —$NR^cC(O)NR^fR^e$, —$NR^cC(O)SR^e$, —$NR^cP(O)(OH)R^e$, —$NR^cP(O)(OH)OR^e$, —$NR^cP(O)(OH)NR^fR^e$, —$NR^cP(O)(OH)SR^e$, —$NR^cS(O)R^e$, —$NR^cS(O)OR^e$, —$NR^cS(O)NR^fR^e$, —$NR^cS(O)SR^e$, —$NR^cS(O)_2R^e$, —$NR^cS(O)_2OR^e$, —$NR^cS(O)_2NR^fR^e$, —$NR^cS(O)_2SR^e$, —OR^f, —$OC(O)R^e$, —$OC(O)OR^e$, —$OC(O)NR^fR^e$, —$OC(O)SR^e$, —$OP(O)(OH)R^e$, —$OP(O)(OH)OR^e$, —$OP(O)(OH)NR^dR^e$, —$OP(O)(OH)SR^e$, —$OS(O)R^e$, —$OS(O)OR^e$, —$OS(O)NR^dR^e$, —$OS(O)SR^e$, —$OS(O)_2R^e$, —$OS(O)_2OR^e$, —$OS(O)_2NR^dR^e$,—$OS(O)_2SR$, —$C(O)OR^c$, —$C(O)NR^cR^d$, —$C(O)SR^c$, and —$C(O)R^c$;

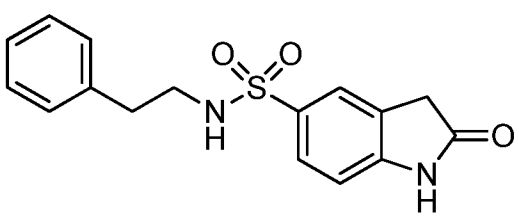
R^c and R^d are independently selected from the group consisting of H, C_1 to C_{12} alkyl, C_1 to C_{12} haloalkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof, or R^c and R^d taken together with the N atom to which they are bonded form a 3- to 8–membered heterocyclic ring;

R^e, R^f, and R^g are independently selected from the group consisting of H, C_1 to C_{12} alkyl, C_1 to C_{12} haloalkyl, C_3 to C_6 cycloalkyl, $(CH_2)_m$—C_3-C_6 cycloalkyl, C_2 to C_6 heterocycloalkyl, $(CH_2)_m$—C_2-C_6 heterocycloalkyl, benzyl, aryl, $(CH_2)_m$—aryl, heteroaryl, $(CH_2)_m$—heteroaryl, and substituted derivatives thereof;

m is 1, 2, 3, 4, 5, or 6; and

Z_1 and Z_4 are independently selected from the group consisting of C, P-OH, S, and S=O.

39. The compound of claim 38 having a formula selected from the group consisting of C229, and salts, esters, or prodrugs thereof:



C229.

40. A composition comprising an isolated compound according to any one of Formulas I to XIX, C256, C259, C265, C267, C276, C277, C288, C309, C311, C280, C300, C313, C314, C320, C323, C326, C328, C334, C342, C240, C241, C246, C248, C251, C255, C260, C261, C262, C263, C264, C266, C268, C278, C281, C282, C287, C289, C295, C296, C297, C301, C304, C305, C307, C310, C322, C336, C339, C340, C341, C362, C279, C285, C286, C299, C306, C330, C344, C345, C346, C347, C348, C356, C357, C358, C359, C360, C361, C363, C364, C284, C152, C155, C173, C189, C191, C197, C224, C292, C293, C294, C153, C162, C163, C165, C188, C195, C157, C158, C182, C183, C170, C171, C172, C175, C177, C179, C180, C186, C193, C205, C160, C187, C190, C198, C232, C233, C249, C270, C271, C272, C273, C274, C275, C303, C210, C168, C176, C184, C185, C196, C156, C161, C200, C204, C236, C201, C208, C213, C216, C220, C221, C222, C223, C199, C207, C225, C227, C228, or C229, or a salt, ester, or prodrug thereof, wherein said compound is present in an amount effective to inhibit PAI-1.

41. A composition comprising the compound according to any one of Formulas I to XIX, C256, C259, C265, C267, C276, C277, C288, C309, C311, C280, C300, C313, C314, C320, C323, C326, C328, C334, C342, C240, C241, C246, C248, C251, C255, C260, C261, C262, C263, C264, C266, C268, C278, C281, C282, C287, C289, C295, C296, C297, C301, C304, C305, C307, C310, C322, C336, C339, C340, C341, C362, C279, C285, C286, C299, C306, C330, C344, C345, C346, C347, C348, C356, C357, C358, C359, C360, C361, C363, C364, C284, C152, C155, C173, C189, C191, C197, C224, C292, C293, C294, C153, C162, C163, C165, C188, C195, C157, C158, C182, C183, C170, C171, C172, C175, C177, C179, C180, C186, C193, C205, C160, C187, C190, C198, C232, C233, C249, C270, C271, C272, C273, C274, C275, C303, C210, C168, C176, C184, C185, C196, C156, C161, C200, C204, C236, C201, C208, C213, C216, C220, C221, C222, C223, C199, C207, C225, C227, C228, or C229, or a salt, ester, or prodrug thereof and a pharmaceutically acceptable carrier.

42. A method of treating or preventing a disease or disorder associated with an elevated level of PAI-1 in a subject comprising administering an effective amount of a composition comprising the compound according to any one of Formulas I to XXIX, C256, C259, C265, C267, C276, C277, C288, C309, C311, C280, C300, C313, C314, C320, C323, C326, C328, C334, C342, C240, C241, C246, C248, C251, C255, C260, C261, C262, C263, C264, C266, C268, C278, C281, C282, C287, C289, C295, C296, C297, C301, C304, C305, C307, C310, C322, C336, C339, C340, C341, C362, C279, C285, C286, C299, C306, C330, C344, C345, C346, C347, C348, C356, C357, C358, C359, C360, C361, C363, C364, C284,

C152, C155, C173, C189, C191, C197, C224, C292, C293, C294, C153, C162, C163, C165, C188, C195, C157, C158, C182, C183, C170, C171, C172, C175, C177, C179, C180, C186, C193, C205, C160, C187, C190, C198, C232, C233, C249, C270, C271, C272, C273, C274, C275, C303, C210, C168, C176, C184, C185, C196, C156, C161, C200, C204, C236, C201, C208, C213, C216, C220, C221, C222, C223, C199, C207, C225, C227, C228, or C229, or a salt, ester, or prodrug thereof and a pharmaceutically acceptable carrier to decrease the elevated level of PAI-1 in the subject.

43. The method of claim 42, wherein the disease or disorder is cancer, septicemia, obesity, insulin resistance, a disease or disorder associated with dysregulation of lipid metabolism, a disease or disorder associated with an elevated level of VLDL or LDL, high cholesterol, a proliferative disease or disorder, fibrosis and fibrotic disease, coagulation homeostasis, cerebrovascular disease, microvascular disease, hypertension, dementia, atherosclerosis, osteoporosis, osteopenia, arthritis, asthma, heart failure, arrhythmia, angina, hormone insufficiency, Alzheimer's disease, hypertension, inflammation, sepsis, fibrinolytic disorder, stroke, dementia, coronary heart disease, myocardial infarction, stable and unstable angina, vascular disease, peripheral arterial disease, acute vascular syndrome, thrombosis, prothrombosis, deep vein thrombosis, pulmonary embolism, cerebrovascular disease, microvascular disease, hypertension, diabetes, hyperglycemia, hyperinsulinemia, malignant lesions, premalignant lesions, gastrointestinal malignancies, liposarcoma, epithelial tumor, and psoriasis, an extracellular matrix accumulation disorder, neoangiogenesis, myelofibrosis, fibrinolytic impairment, polycystic ovary syndrome, bone loss induced by estrogen deficiency, angiogenesis, neoangiogenesis, myelofibrosis, or fibrinolytic impairment.

44. The method of claim 43, wherein the disease or disorder involving thrombosis or prothrombosis is formation of atherosclerotic plaques, venous thrombosis, arterial thrombosis, myocardial ischemia, atrial fibrillation, deep vein thrombosis, a coagulation syndrome, pulmonary thrombosis, cerebral thrombosis, a thromboembolic complication of surgery, and peripheral arterial occlusion.

45. The method of claim 43, wherein the disease or disorder is fibrosis.

46. The method of claim 43, wherein the extracellular matrix accumulation disorder is renal fibrosis, chronic obstructive pulmonary disease, polycystic ovary syndrome, restenosis, renovascular disease, diabetic nephropathy, or organ transplant rejection.

47. A method of modulating cholesterol, lipid clearance, and/or lipid uptake in a subject with an elevated level of PAI-1 comprising administering an effective amount of a composition comprising the compound according to any one of Formulas I to XXIX, C256, C259, C265, C267, C276, C277, C288, C309, C311, C280, C300, C313, C314, C320, C323, C326, C328, C334, C342, C240, C241, C246, C248, C251, C255, C260, C261, C262, C263, C264, C266, C268, C278, C281, C282, C287, C289, C295, C296, C297, C301, C304, C305, C307, C310, C322, C336, C339, C340, C341, C362, C279, C285, C286, C299, C306, C330, C344, C345, C346, C347, C348, C356, C357, C358, C359, C360, C361, C363, C364, C284, C152, C155, C173, C189, C191, C197, C224, C292, C293, C294, C153, C162, C163, C165, C188, C195, C157, C158, C182, C183, C170, C171, C172, C175, C177, C179, C180, C186, C193, C205, C160, C187, C190, C198, C232, C233, C249, C270, C271, C272, C273, C274, C275, C303, C210, C168, C176, C184, C185, C196, C156, C161, C200, C204, C236, C201, C208, C213, C216, C220, C221, C222, C223, C199, C207, C225, C227, C228, or C229, or a salt, ester, or prodrug thereof and a pharmaceutically acceptable carrier in an amount effective to decrease the elevated level of PAI and modulate cholesterol, lipid clearance, and/or lipid uptake in the subject.

48. The method of claim 47, wherein the composition increases circulating high density lipoprotein (HDL) and/or decreases circulating very low density lipoprotein (VLDL) in the subject.

49. The method of claim 47, wherein the composition inhibits apolipoprotein E (ApoE) or apolipoprotein A (ApoA) binding to VLDL-R.

50. The method of claim 47, wherein the composition affects HDL or apolipoprotein E (ApoE) or apolipoprotein A (ApoA) binding to an ApoA receptor.

51. The method of claim 47, wherein the composition decreases PAI-1 binding to apolipoprotein E (ApoE).

52. The method of claim 47, wherein the composition decreases PAI-1 binding to apolipoprotein A (ApoA).

53. The method of claim 47, wherein the composition decreases PAI-1 binding to VLDL.

54. The method of claim 47, wherein the composition binds to PAI-1 in the presence of vitronectin.

55. The method of claim 47, wherein the composition binds to PAI-1 in the presence of urokinase type plasminogen activator (uPA).

56. The method of any one of claims 42-55 wherein the subject is human.