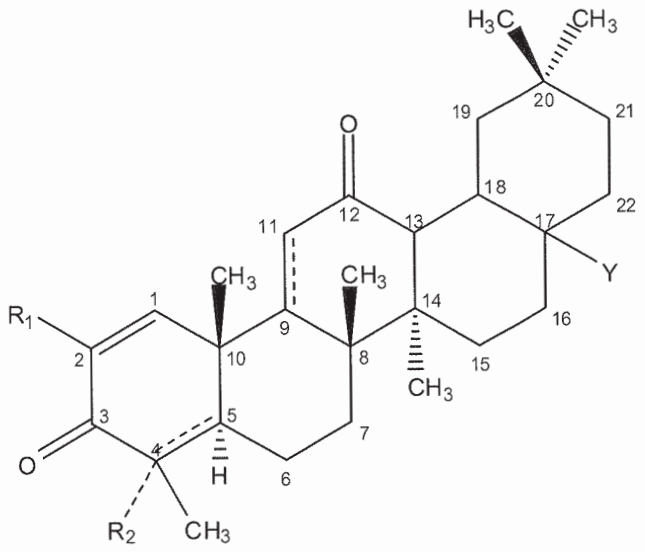
## CLAIMS

1. A compound of the formula:




(II),

wherein:

$R_1$ is –H, –CN, halo, $-CF_3$, or $-C(O)R_a$, wherein $R_a$ is –OH, $alkoxy_{(C1-4)}$, $-NH_2$, $alkylamino_{(C1-4)}$, or $-NH-S(O)_2-alkyl_{(C1-4)}$;

$R_2$ is hydrogen or $R_2$ is absent when the atom to which it is bound forms part of a double bond; and

Y is:

–H, –OH, –SH, –CN, –F, $-CF_3$, $-NH_2$ or –NCO;

$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $aryl_{(C\leq12)}$, $aralkyl_{(C\leq12)}$, $heteroaryl_{(C\leq8)}$, $heterocycloalkyl_{(C\leq12)}$, $alkoxy_{(C\leq8)}$, $aryloxy_{(C\leq12)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $alkenylamino_{(C\leq8)}$, $arylamino_{(C\leq8)}$, $aralkylamino_{(C\leq8)}$, $alkylthio_{(C\leq8)}$, $acylthio_{(C\leq8)}$, $alkylsulfonylamino_{(C\leq8)}$, or substituted versions of any of these groups;

$-alkanediyl_{(C\leq8)}-R_b$, $-alkenediyl_{(C\leq8)}-R_b$, or a substituted version of any of these groups, wherein $R_b$ is:

hydrogen, hydroxy, halo, or amino; or

$heteroaryl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkenyloxy_{(C\leq8)}$, $aryloxy_{(C\leq8)}$, $aralkoxy_{(C\leq8)}$, $heteroaryloxy_{(C\leq8)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $alkenylamino_{(C\leq8)}$, $arylamino_{(C\leq8)}$, $aralkylamino_{(C\leq8)}$, $heteroarylamino_{(C\leq8)}$, $alkylsulfonylamino_{(C\leq8)}$, $amido_{(C\leq8)}$, $-OC(O)NH-alkyl_{(C\leq8)}$,

$-OC(O)CH_2NHC(O)O-t$-butyl, $-OCH_2-$alkylthio$_{(C\leq 8)}$, or a substituted version of any of these groups;

$-(CH_2)_mC(O)R_c$, wherein m is 0–6 and $R_c$ is:

hydrogen, hydroxy, halo, amino, –NHOH, or  ; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, aryl$_{(C\leq 8)}$, aralkyl$_{(C\leq 8)}$, heteroaryl$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkenyloxy$_{(C\leq 8)}$, aryloxy$_{(C\leq 8)}$, aralkoxy$_{(C\leq 8)}$, heteroaryloxy$_{(C\leq 8)}$, acyloxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, arylamino$_{(C\leq 8)}$, alkylsulfonylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, –NH–alkoxy$_{(C\leq 8)}$, –NH–heterocycloalkyl$_{(C\leq 8)}$, –NHC(NOH)–alkyl$_{(C\leq 8)}$, –NH–amido$_{(C\leq 8)}$, or a substituted version of any of these groups; or

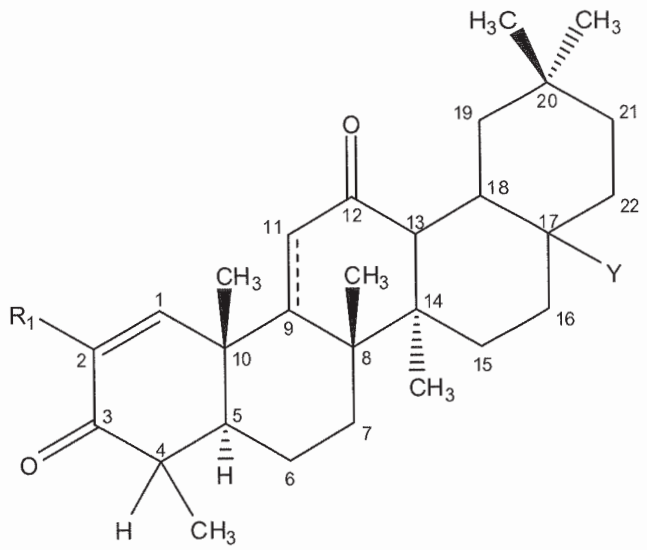
$-NHC(O)R_e$, wherein $R_e$ is:

hydrogen, hydroxy, amino; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, aryl$_{(C\leq 8)}$, aralkyl$_{(C\leq 8)}$, heteroaryl$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, aryloxy$_{(C\leq 8)}$, aralkoxy$_{(C\leq 8)}$, heteroaryloxy$_{(C\leq 8)}$, acyloxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, arylamino$_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt or tautomer thereof.

2. The compound of claim 1, further defined by the formula:



(III),

wherein:

$R_1$ is −H, −CN, halo, $-CF_3$, or $-C(O)R_a$, wherein $R_a$ is −OH, $alkoxy_{(C1\text{-}4)}$, $-NH_2$, $alkylamino_{(C1\text{-}4)}$, or $-NH-S(O)_2-alkyl_{(C1\text{-}4)}$; and

Y is:

−H, −OH, −SH, −CN, −F, $-CF_3$, $-NH_2$ or −NCO;

$alkyl_{(C\leq 8)}$, $alkenyl_{(C\leq 8)}$, $alkynyl_{(C\leq 8)}$, $aryl_{(C\leq 12)}$, $aralkyl_{(C\leq 12)}$, $heteroaryl_{(C\leq 8)}$, $heterocycloalkyl_{(C\leq 12)}$, $alkoxy_{(C\leq 8)}$, $aryloxy_{(C\leq 12)}$, $acyloxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $alkenylamino_{(C\leq 8)}$, $arylamino_{(C\leq 8)}$, $aralkylamino_{(C\leq 8)}$, $alkylthio_{(C\leq 8)}$, $acylthio_{(C\leq 8)}$, $alkylsulfonylamino_{(C\leq 8)}$, or substituted versions of any of these groups;

$-alkanediyl_{(C\leq 8)}-R_b$, $-alkenediyl_{(C\leq 8)}-R_b$, or a substituted version of any of these groups, wherein $R_b$ is:

hydrogen, hydroxy, halo, or amino; or

$heteroaryl_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $alkenyloxy_{(C\leq 8)}$, $aryloxy_{(C\leq 8)}$, $aralkoxy_{(C\leq 8)}$, $heteroaryloxy_{(C\leq 8)}$, $acyloxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $alkenylamino_{(C\leq 8)}$, $arylamino_{(C\leq 8)}$, $aralkylamino_{(C\leq 8)}$, $heteroarylamino_{(C\leq 8)}$, $alkylsulfonylamino_{(C\leq 8)}$, $amido_{(C\leq 8)}$, $-OC(O)NH-alkyl_{(C\leq 8)}$, $-OC(O)CH_2NHC(O)O-t$-butyl, $-OCH_2-alkylthio_{(C\leq 8)}$, or a substituted version of any of these groups;

$-(CH_2)_mC(O)R_c$, wherein m is 0–6 and $R_c$ is:

hydrogen, hydroxy, halo, amino, –NHOH, or  ; or

$alkyl_{(C\leq 8)}$, $alkenyl_{(C\leq 8)}$, $alkynyl_{(C\leq 8)}$, $aryl_{(C\leq 8)}$, $aralkyl_{(C\leq 8)}$, $heteroaryl_{(C\leq 8)}$, $heterocycloalkyl_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $alkenyl\text{-}oxy_{(C\leq 8)}$, $aryloxy_{(C\leq 8)}$, $aralkoxy_{(C\leq 8)}$, $heteroaryloxy_{(C\leq 8)}$, $acyloxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $arylamino_{(C\leq 8)}$, $alkylsulfonylamino_{(C\leq 8)}$, $amido_{(C\leq 8)}$, –NH–$alkoxy_{(C\leq 8)}$, –NH–$heterocycloalkyl_{(C\leq 8)}$, –NHC(NOH)–$alkyl_{(C\leq 8)}$, –NH–$amido_{(C\leq 8)}$, or a substituted version of any of these groups; or

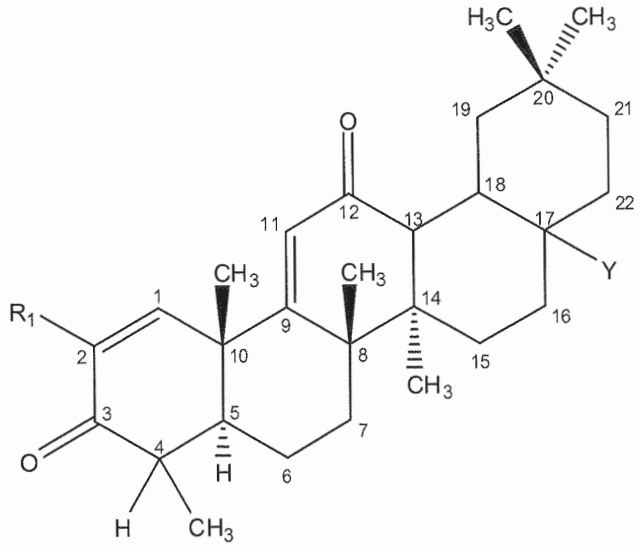
$-NHC(O)R_e$, wherein $R_e$ is:

hydrogen, hydroxy, amino; or

$alkyl_{(C\leq 8)}$, $alkenyl_{(C\leq 8)}$, $alkynyl_{(C\leq 8)}$, $aryl_{(C\leq 8)}$, $aralkyl_{(C\leq 8)}$, $heteroaryl_{(C\leq 8)}$, $heterocycloalkyl_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $aryloxy_{(C\leq 8)}$, $aralkoxy_{(C\leq 8)}$, $heteroaryloxy_{(C\leq 8)}$, $acyloxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $arylamino_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt or tautomer thereof.

3. The compound of claim 2, further defined by the formula:




(IV),

wherein:

$R_1$ is –H, –CN, halo, $-CF_3$, or $-C(O)R_a$, wherein $R_a$ is –OH, $alkoxy_{(C1\text{-}4)}$, $-NH_2$, $alkylamino_{(C1\text{-}4)}$, or $-NH-S(O)_2-alkyl_{(C1\text{-}4)}$; and

Y is:

–H, –OH, –SH, –CN, –F, $-CF_3$, $-NH_2$ or –NCO;

$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $aryl_{(C\leq12)}$, $aralkyl_{(C\leq12)}$, $heteroaryl_{(C\leq8)}$, $heterocycloalkyl_{(C\leq12)}$, $alkoxy_{(C\leq8)}$, $aryloxy_{(C\leq12)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $alkenylamino_{(C\leq8)}$, $arylamino_{(C\leq8)}$, $aralkylamino_{(C\leq8)}$, $alkylthio_{(C\leq8)}$, $acylthio_{(C\leq8)}$, $alkylsulfonylamino_{(C\leq8)}$, or substituted versions of any of these groups;

$-alkanediyl_{(C\leq8)}-R_b$, $-alkenediyl_{(C\leq8)}-R_b$, or a substituted version of any of these groups, wherein $R_b$ is:

hydrogen, hydroxy, halo, or amino; or

$heteroaryl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkenyloxy_{(C\leq8)}$, $aryloxy_{(C\leq8)}$, $aralkoxy_{(C\leq8)}$, $heteroaryloxy_{(C\leq8)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $alkenylamino_{(C\leq8)}$, $arylamino_{(C\leq8)}$, $aralkylamino_{(C\leq8)}$, $heteroarylamino_{(C\leq8)}$, $alkylsulfonylamino_{(C\leq8)}$, $amido_{(C\leq8)}$, $-OC(O)NH-alkyl_{(C\leq8)}$, $-OC(O)CH_2NHC(O)O-t$-butyl, $-OCH_2-alkylthio_{(C\leq8)}$, or a substituted version of any of these groups;

$-(CH_2)_mC(O)R_c$, wherein m is 0–6 and $R_c$ is:

hydrogen, hydroxy, halo, amino, –NHOH, or  ; or

$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $aryl_{(C\leq8)}$, $aralkyl_{(C\leq8)}$, $heteroaryl_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkenyloxy_{(C\leq8)}$, $aryloxy_{(C\leq8)}$, $aralkoxy_{(C\leq8)}$, $heteroaryloxy_{(C\leq8)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $arylamino_{(C\leq8)}$, $alkylsulfonylamino_{(C\leq8)}$, $amido_{(C\leq8)}$, $-NH-alkoxy_{(C\leq8)}$, $-NH-heterocycloalkyl_{(C\leq8)}$, $-NHC(NOH)-alkyl_{(C\leq8)}$, $-NH-amido_{(C\leq8)}$, or a substituted version of any of these groups; or

$-NHC(O)R_e$, wherein $R_e$ is:

hydrogen, hydroxy, amino; or

$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $aryl_{(C\leq8)}$, $aralkyl_{(C\leq8)}$, $heteroaryl_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $aryloxy_{(C\leq8)}$, $aralkoxy_{(C\leq8)}$, $heteroaryloxy_{(C\leq8)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $arylamino_{(C\leq8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt or tautomer thereof.

4. The compound of claim 1, wherein the bond between carbon atoms 4 and 5 is a single bond.

5. The compound of claim 1, wherein the bond between carbon atoms 4 and 5 is a double bond.

6. The compound according to any one of claims 1-2 and 4-5, wherein the bond between carbon atoms 9 and 11 is a double bond.

7. The compound according to any one of claims 1-2 and 4-5, wherein the bond between carbon atoms 9 and 11 is a single bond.

8. The compound according to any one of claims 1-7, wherein $R_1$ is $-CN$.

9. The compound according to any one of claims 1-7, wherein $R_1$ is $-C(O)R_a$, wherein $R_a$ is $-OH$, $alkoxy_{(C1-4)}$, $-NH_2$, $alkylamino_{(C1-4)}$, or $-NH-S(O)_2-alkyl_{(C1-4)}$.

10. The compound of claim 9, wherein $R_a$ is $-OH$.

11. The compound of claim 9, wherein $R_a$ is $alkoxy_{(C1-4)}$.

12. The compound of claim 11, wherein $R_a$ is methoxy.

13. The compound of claim 11, wherein $R_a$ is $-NH_2$.

14. The compound according to any one of claims 1-7, wherein $R_1$ is $-H$.

15. The compound according to any one of claims 1-7, wherein $R_1$ is halo.

16. The compound of claim 15, wherein $R_1$ is iodo.

17. The compound according to one of claims 1 and 6-16, wherein $R_2$ is hydrogen.

18. The compound according to one of claims 1 and 6-16, wherein $R_2$ is absent.

19. The compound according to any one of claims 1-18, wherein Y is $-(CH_2)_mC(O)R_c$, wherein m is 0–6 and $R_c$ is hydrogen, hydroxy, amino, $-NHOH$,  , $alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $aryl_{(C\leq8)}$, $aralkyl_{(C\leq8)}$, $heteroaryl_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkenyloxy_{(C\leq8)}$, $aryloxy_{(C\leq8)}$, $aralkoxy_{(C\leq8)}$, $acyloxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$,

dialkylamino$_{(C\leq 8)}$, arylamino$_{(C\leq 8)}$, alkylsulfonylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, –NH–alkoxy$_{(C\leq 8)}$, –NH–heterocycloalkyl$_{(C\leq 8)}$, –NHC(NOH)–alkyl$_{(C\leq 8)}$, –NH–amido$_{(C\leq 8)}$, or a substituted version of any of these groups other than hydrogen, hydroxy, amino, and –NHOH.

20. The compound of claim 19, wherein $R_c$ is alkoxy$_{(C\leq 8)}$.

21. The compound of claim 20, wherein $R_c$ is methoxy, ethoxy or isopropoxy.

22. The compound of claim 19, wherein $R_c$ is hydroxy.

23. The compound of claim 19, wherein $R_c$ is amino.

24. The compound of claim 19, wherein $R_c$ is alkylamino$_{(C\leq 8)}$ or substituted alkylamino$_{(C\leq 8)}$.

25. The compound of claim 24, wherein $R_c$ is methylamino, ethylamino, *n*-butylamino or 2,2,2-trifluoroethylamino.

26. The compound of claim 19, wherein $R_c$ is heteroaryl$_{(C\leq 8)}$.

27. The compound of claim 26, wherein $R_c$ is imidazolyl or dimethylimidazolyl.

28. The compound of claim 19, wherein $R_c$ is –NHOH or –NHOCH$_3$.

29. The compound of claim 19, wherein $R_c$ is heterocycloalkyl$_{(C\leq 8)}$ or substituted heterocycloalkyl$_{(C\leq 8)}$.

30. The compound of claim 29, wherein $R_c$ is *N*-pyrrolidinyl, *N*-morpholinyl, *N*-piperidinyl or *N*-azetidinyl.

31. The compound of claim 19, wherein $R_c$ is –NH–heterocycloalkyl$_{(C\leq 8)}$.

32. The compound of claim 19, wherein $R_c$ is –NH–amido$_{(C\leq 8)}$ or a substituted version thereof.

33. The compound of claim 32, wherein, $R_c$ is –NHNHC(O)H, –NHNHC(O)CH$_3$ or –NHNHC(O)CH$_2$OCH$_3$.

34. The compound of claim 19, wherein $R_c$ is –NHC(NOH)CH$_3$.

35. The compound according to any one of claims 1-34, wherein m is 0.

36. The compound according to any one of claims 1-34, wherein m is 2.

37. The compound according to any one of claims 1-18, wherein Y is $-\text{alkanediyl}_{(C\leq 8)}-R_b$.

38. The compound of claim 37, wherein Y is $-CH_2-R_b$.

39. The compound according to either claim 37 or 38, wherein $R_b$ is hydroxy.

40. The compound according to either claim 37 or 38, wherein $R_b$ is $\text{acyloxy}_{(C\leq 8)}$ or substituted $\text{acyloxy}_{(C\leq 8)}$.

41. The compound of claim 40, wherein $R_b$ is acetyloxy, trifluoroacetyloxy, or $-OC(O)CH_2NH_2$.

42. The compound according to either claim 37 or 38, wherein $R_b$ is $\text{alkoxy}_{(C\leq 8)}$ or substituted $\text{alkoxy}_{(C\leq 8)}$.

43. The compound of claim 42, wherein $R_b$ is methoxy or fluoromethoxy.

44. The compound according to either claim 37 or 38, wherein $R_b$ is $\text{heteroaryl}_{(C\leq 8)}$.

45. The compound according to either claim 37 or 38, wherein $R_b$ is $-OC(O)NH-\text{alkyl}_{(C\leq 8)}$, $-OC(O)CH_2NHC(O)O-t\text{-butyl}$, or $-OCH_2-\text{alkylthio}_{(C\leq 8)}$.

46. The compound according to any one of claims 1-18, wherein Y is $-CN$.

47. The compound according to any one of claims 1-18, wherein Y is isocyanate.

48. The compound according to any one of claims 1-18, wherein Y is fluoro.

49. The compound according to any one of claims 1-18, wherein Y is $\text{alkylsulfonylamino}_{(C\leq 8)}$ or substituted $\text{alkylsulfonylamino}_{(C\leq 8)}$.

50. The compound of claim 49, wherein Y is $-NHS(O)_2CH_3$ or $-NHS(O)_2CH_2CF_3$.

51. The compound according to any one of claims 1-18, wherein Y is $\text{heteroaryl}_{(C\leq 8)}$.

52. The compound of claim 51, wherein Y is oxadiazolyl, methyloxadiazolyl, or methoxymethyloxadiazolyl.

53. The compound according to any one of claims 1-18, wherein Y is $-NHC(O)R_e$, wherein $R_e$ is hydrogen, hydroxy, amino, $alkyl_{(C\leq 8)}$, $aryl_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $acyloxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, or substituted version of any of these groups other than hydrogen, hydroxy and amino.

54. The compound of claim 53, wherein $R_e$ is hydrogen.

55. The compound of claim 53, wherein $R_e$ is amino.

56. The compound of claim 53, wherein $R_e$ is $alkyl_{(C\leq 8)}$ or substituted $alkyl_{(C\leq 8)}$.

57. The compound of claim 56, wherein $R_e$ is methyl, ethyl, cyclopropyl, cyclobutyl, *n*-hexyl, 1,1-difluoroethyl, or 2,2,2-trifluoroethyl.

58. The compound of claim 53, wherein $R_e$ is $aryl_{(C\leq 8)}$.

59. The compound of claim 58, wherein $R_e$ is phenyl.

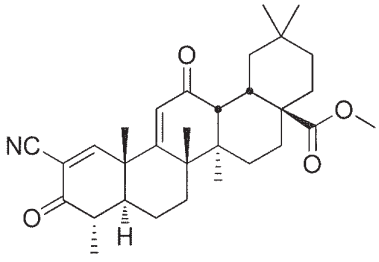
60. The compound of claim 53, wherein $R_e$ is $alkoxy_{(C\leq 8)}$.

61. The compound of claim 60, wherein $R_e$ is methoxy, ethoxy, or isopropoxy.

62. The compound of claim 53, wherein $R_e$ is $alkylamino_{(C\leq 8)}$ or $dialkylamino_{(C\leq 8)}$.

63. The compound of claim 62, wherein $R_e$ is methylamino, ethylamino, or dimethylamino.

64. The compound of claim 1, further defined as:



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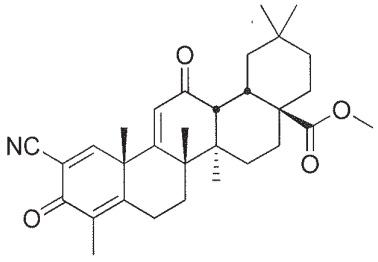

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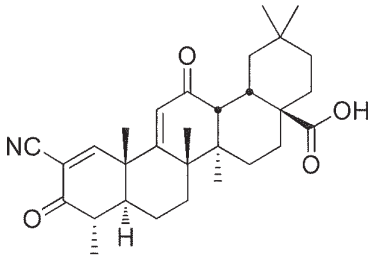

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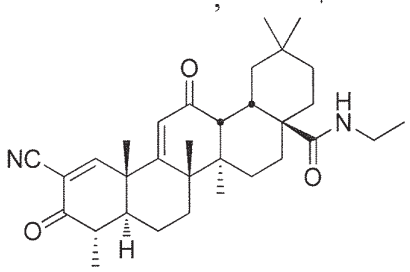

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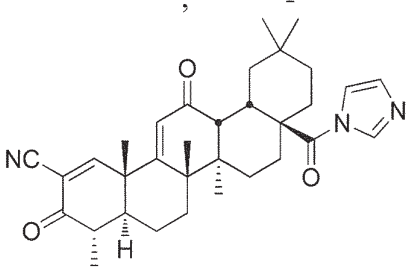

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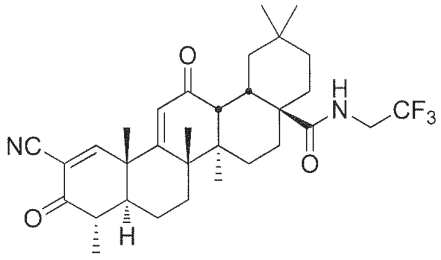
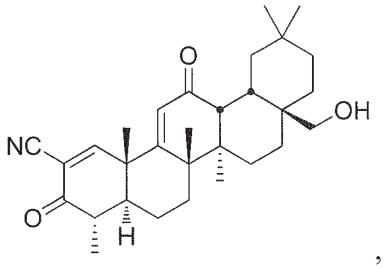
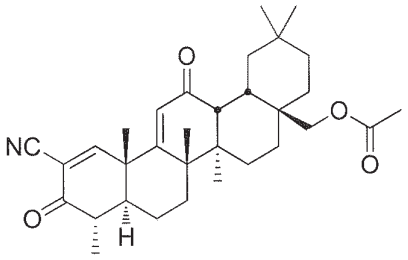
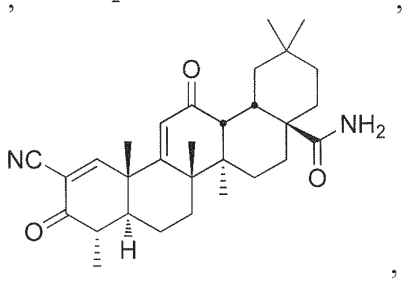
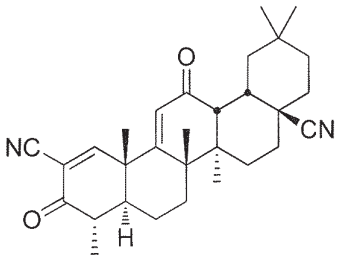
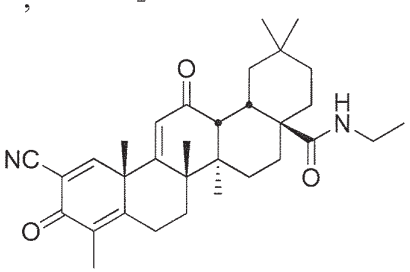
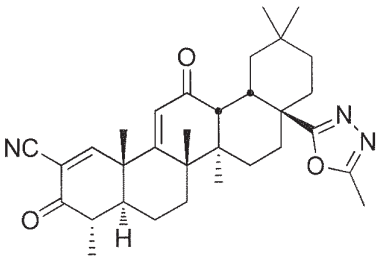
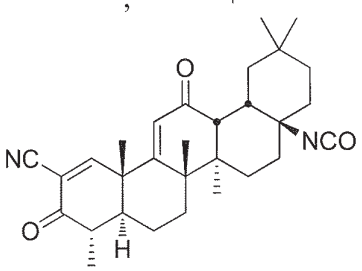
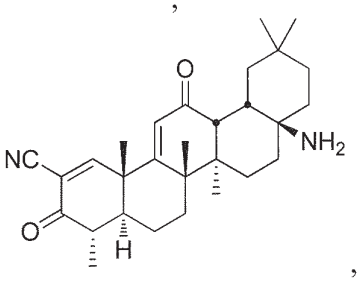
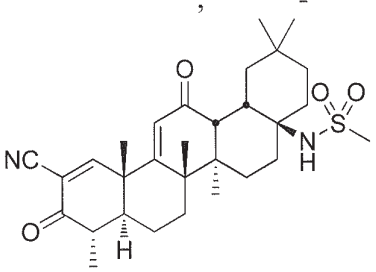
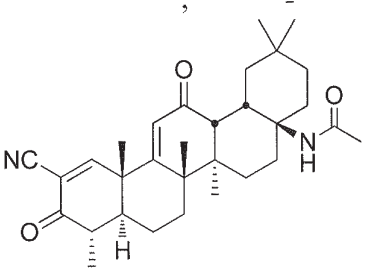
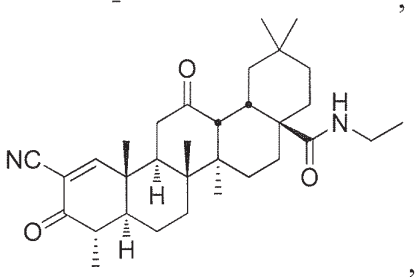
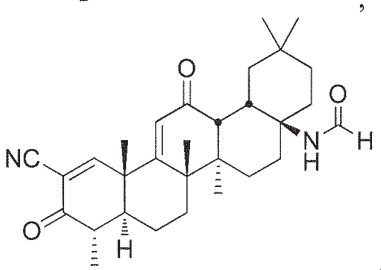

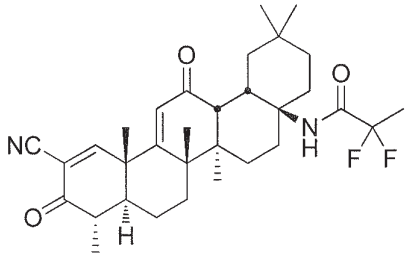
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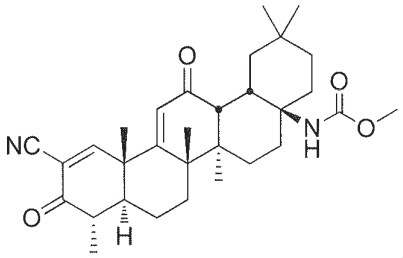
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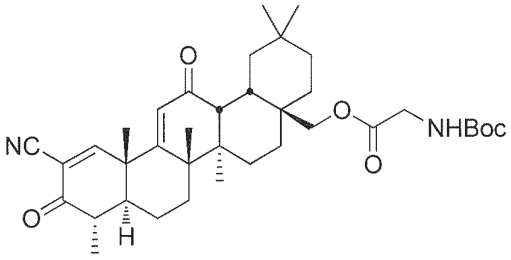
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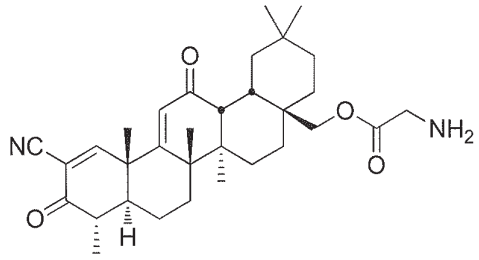
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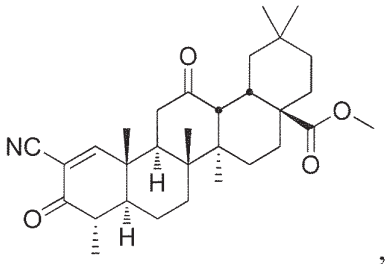
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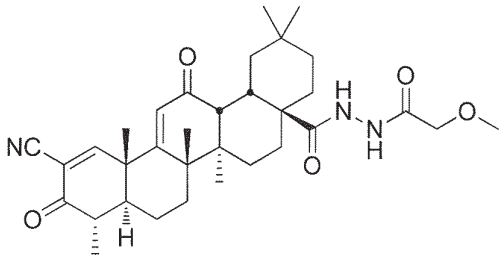
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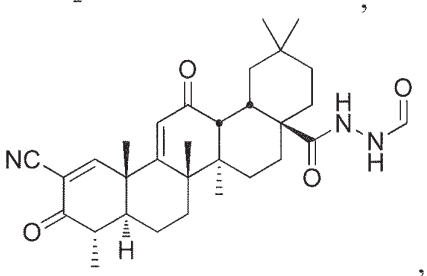
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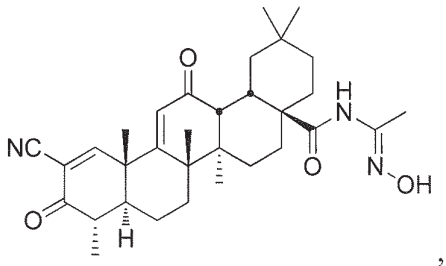
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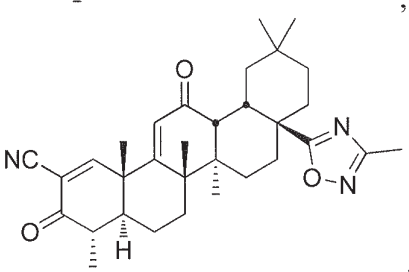
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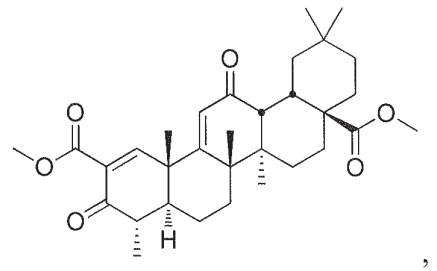
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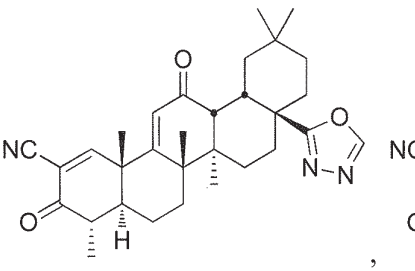
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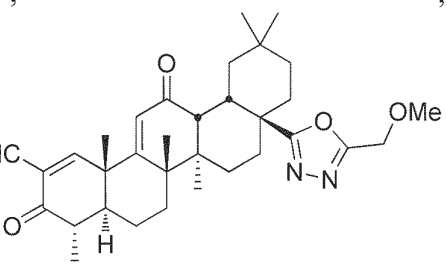
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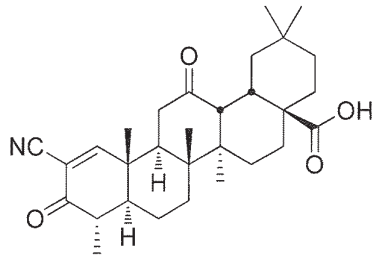
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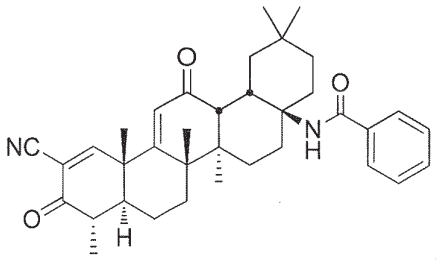
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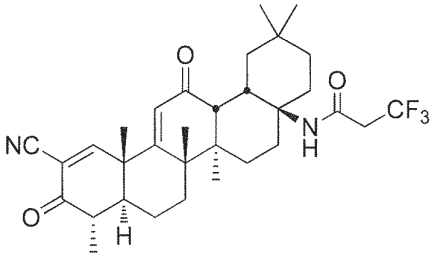
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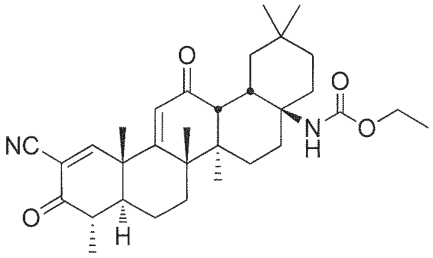
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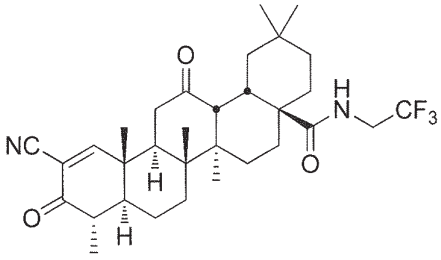
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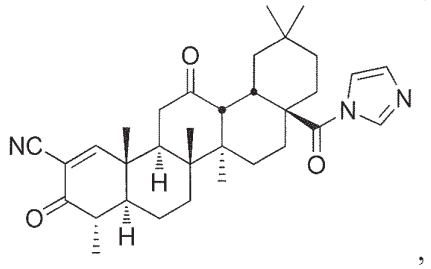
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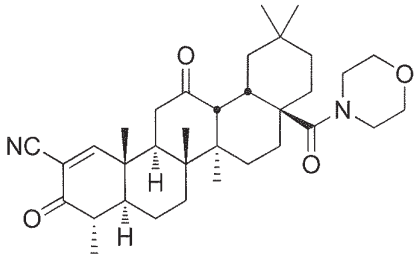
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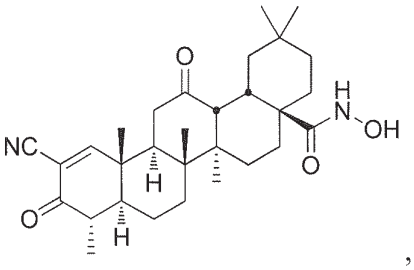
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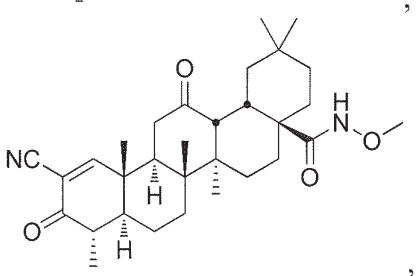
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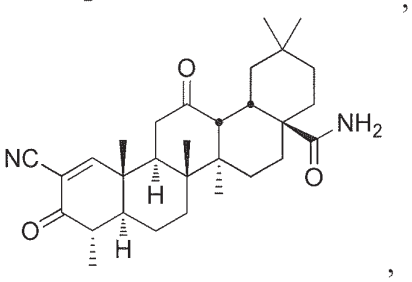
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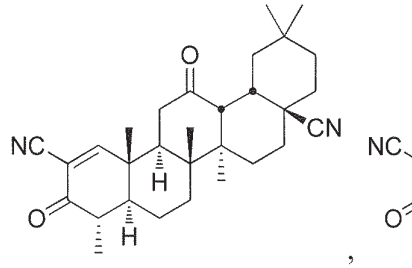
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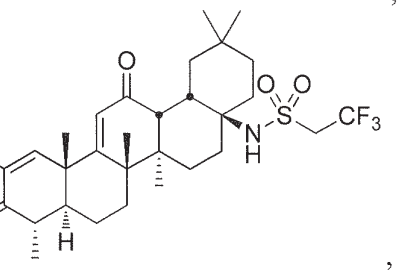
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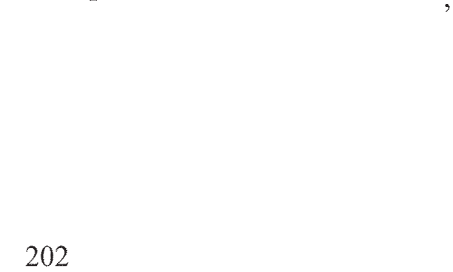
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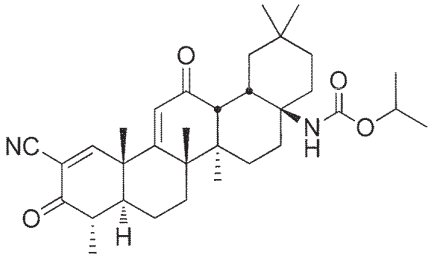
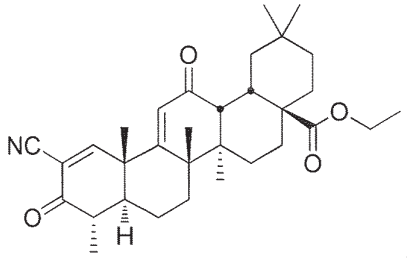
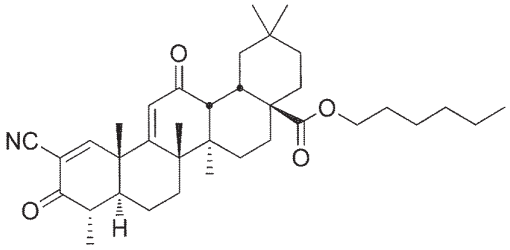
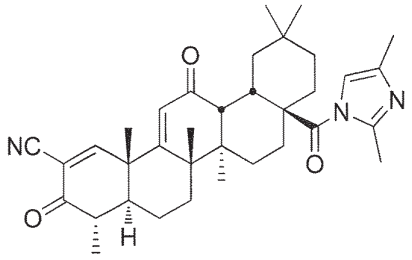
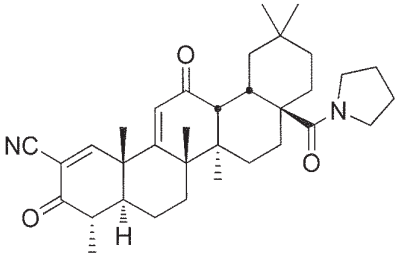
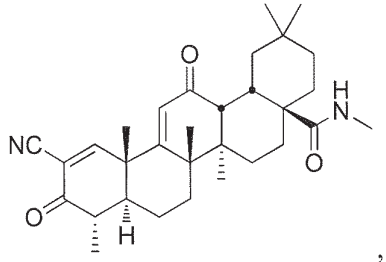
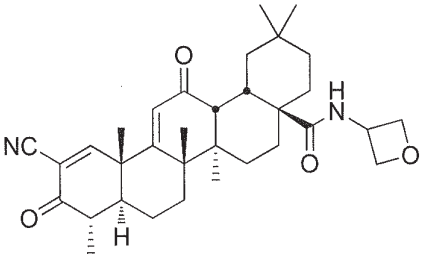
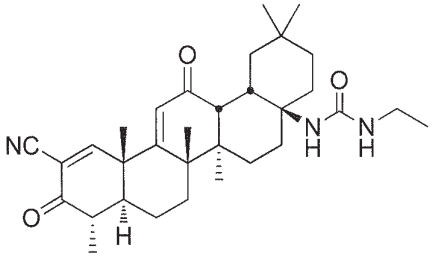
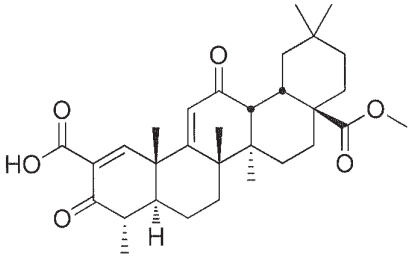
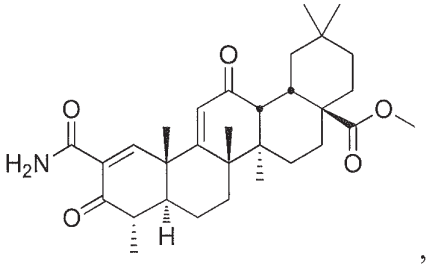
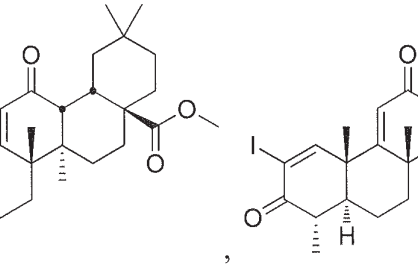
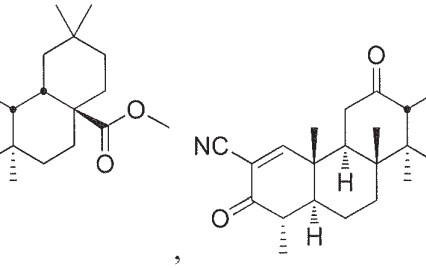



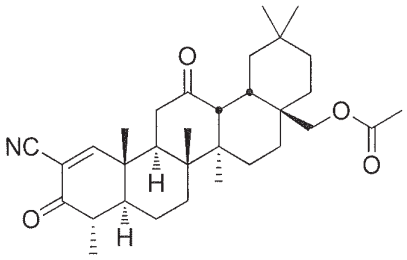
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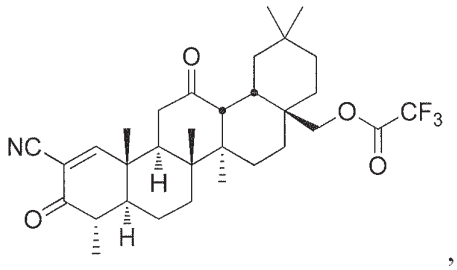
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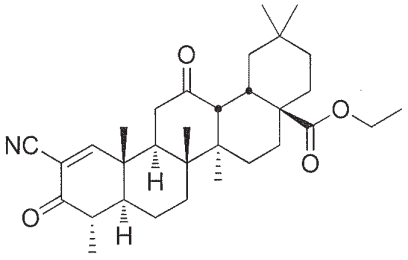
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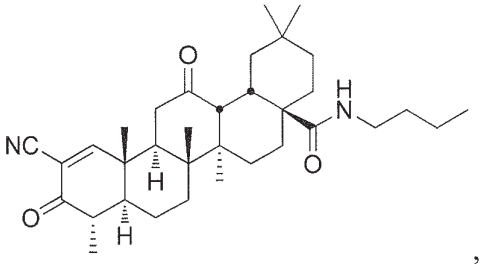
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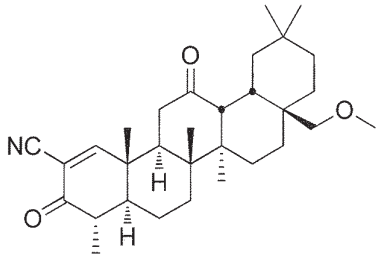
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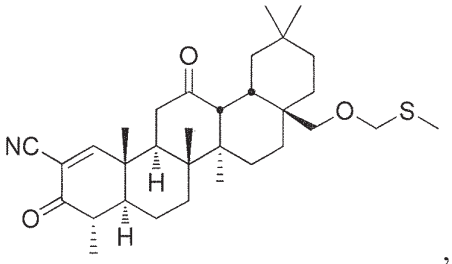
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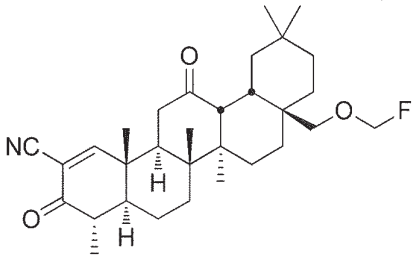
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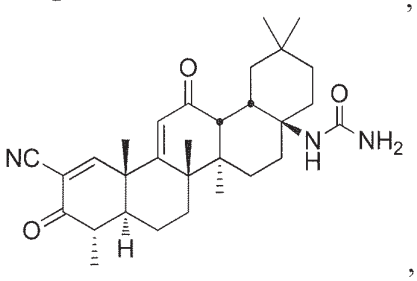
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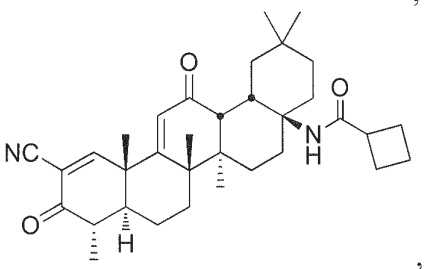
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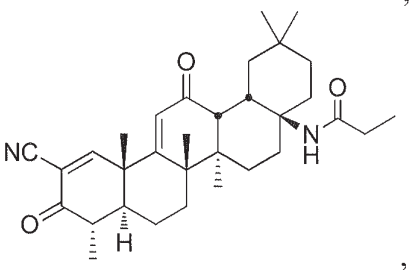
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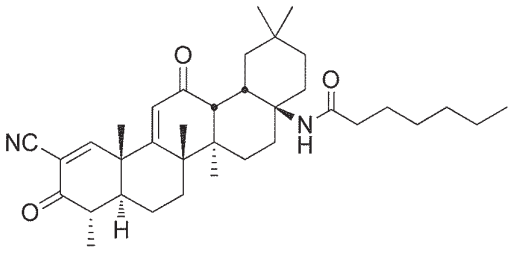
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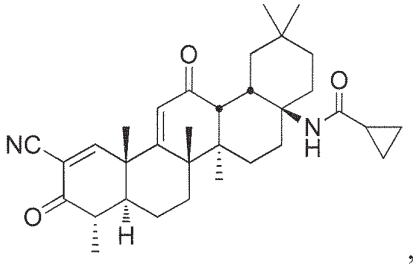
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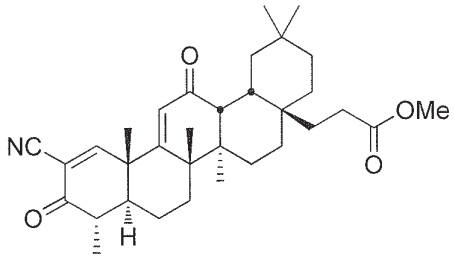
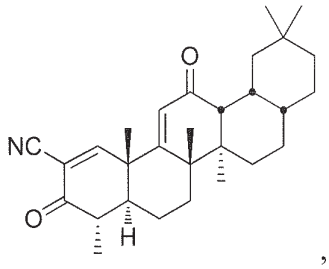
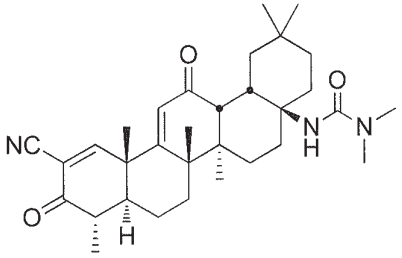
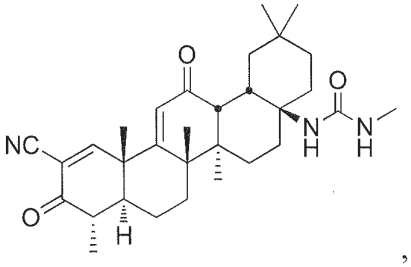
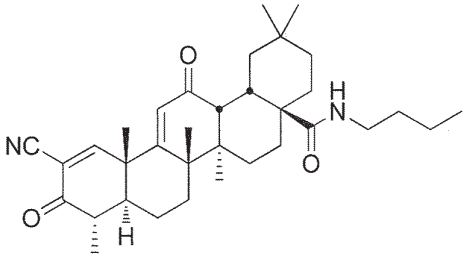
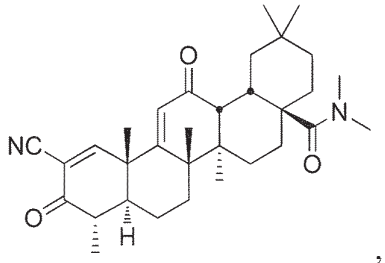
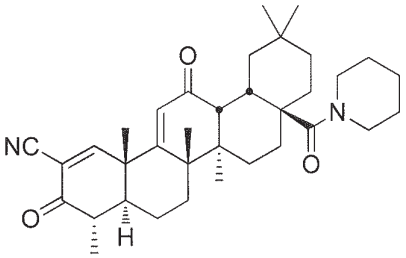
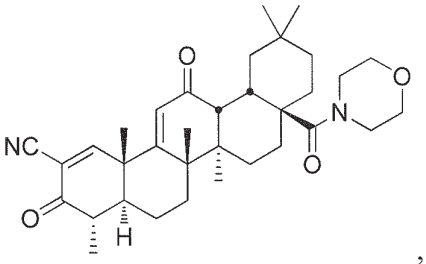
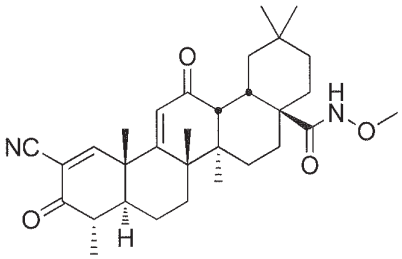
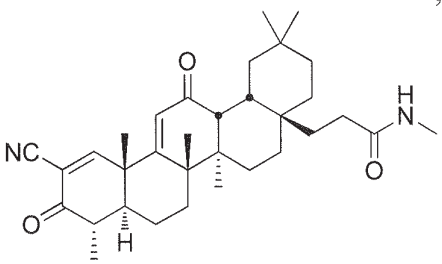
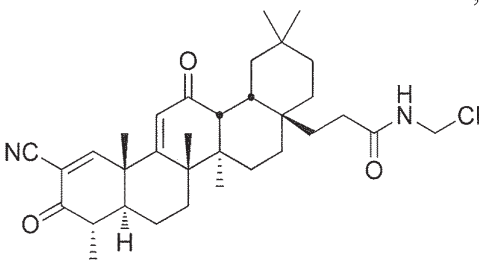
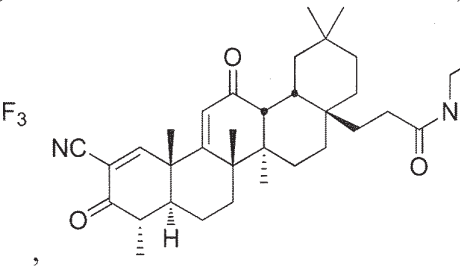



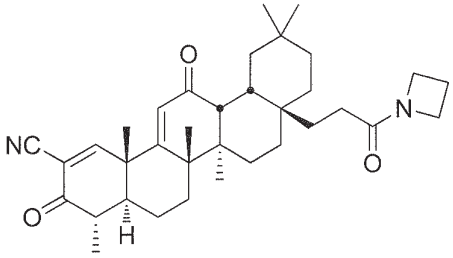
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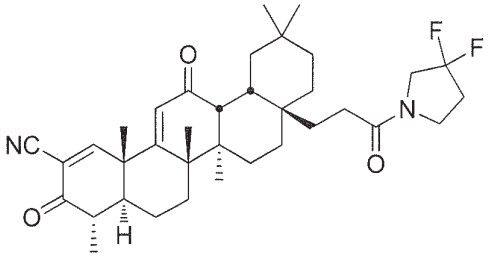
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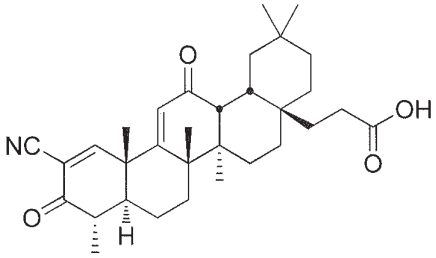
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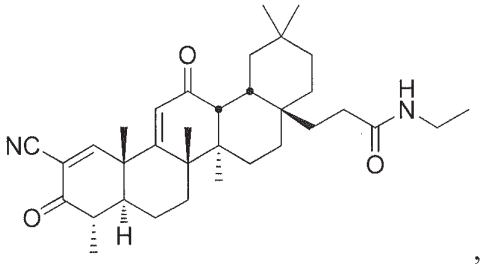
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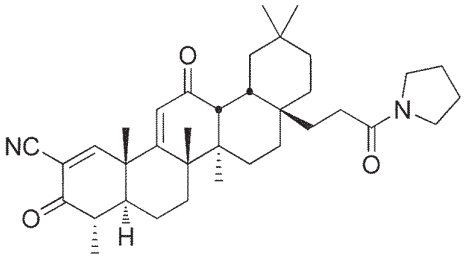
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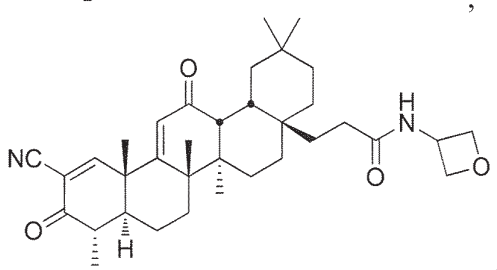
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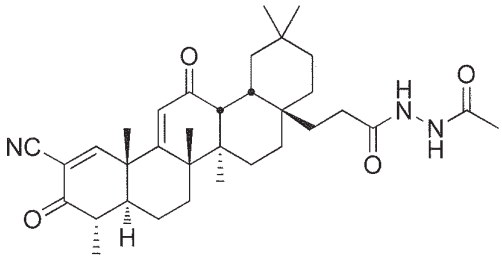
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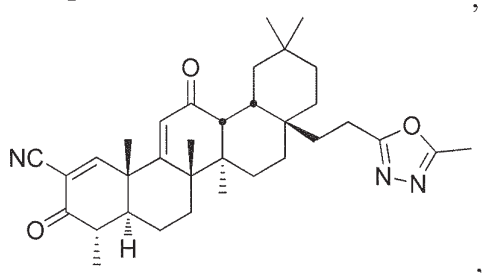
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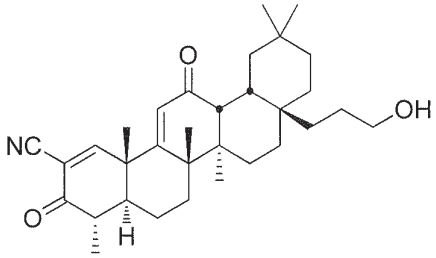
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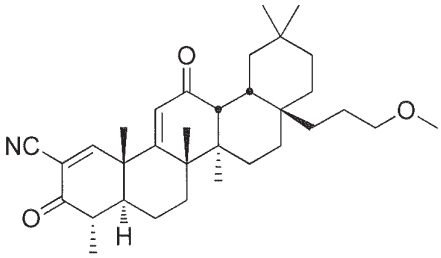
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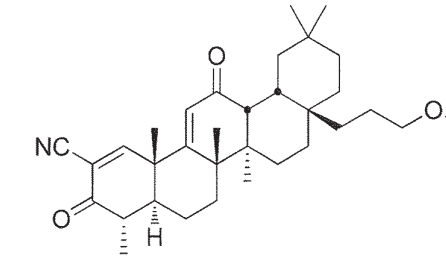
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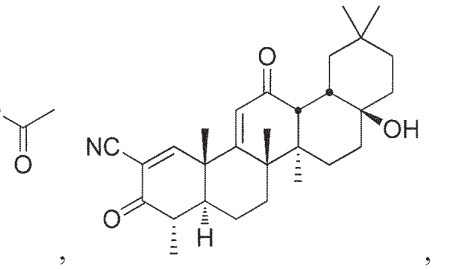
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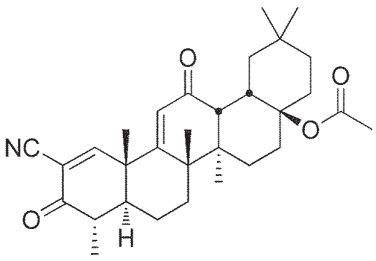
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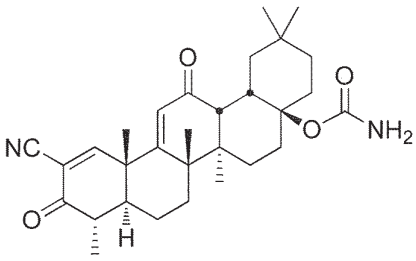
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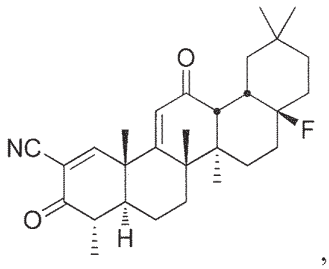
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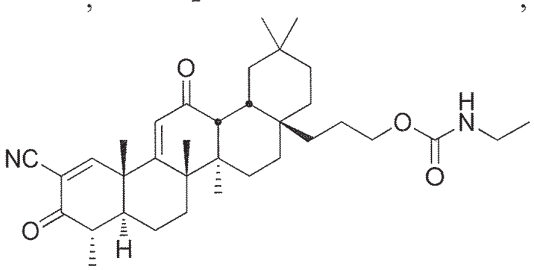
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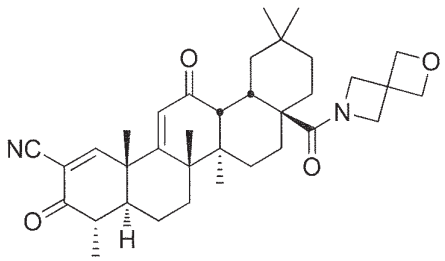


or a pharmaceutically acceptable salt or tautomer thereof.

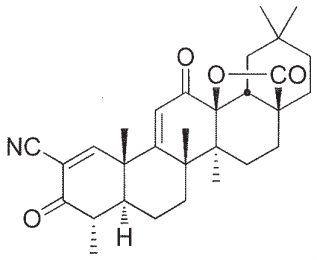
65. A pharmaceutical composition comprising:

a) the compound according to any one of claims1-17 and 19-64; and

b) an excipient.

66. A compound according to any one of claims 1-17 and 19-64 for use as a medicament.

67. A compound of the formula: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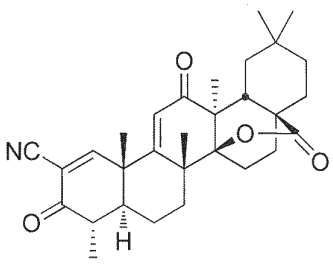
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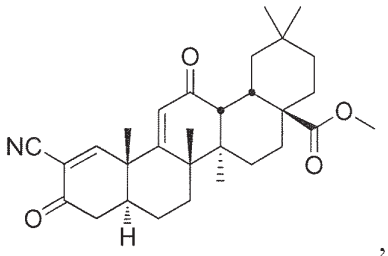
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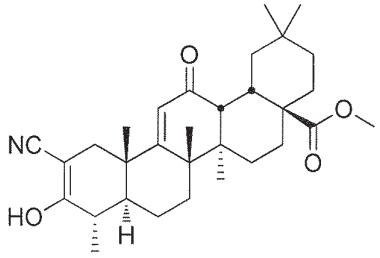
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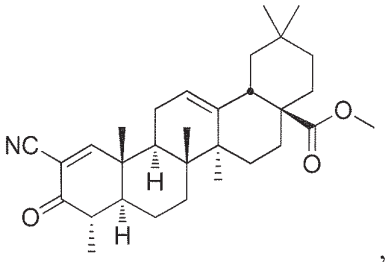
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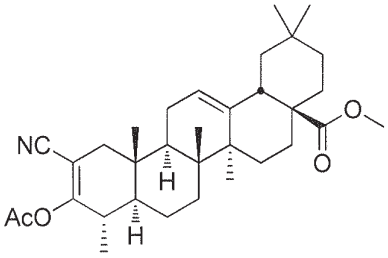
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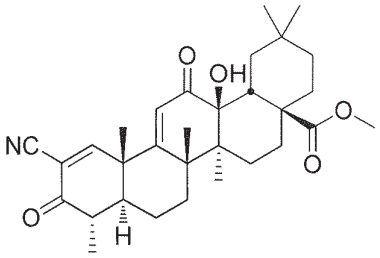
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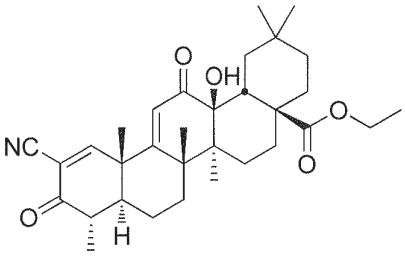
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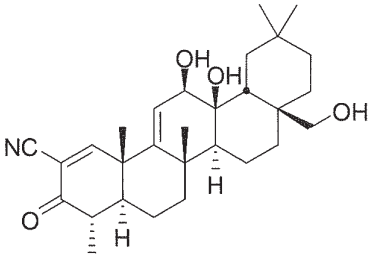
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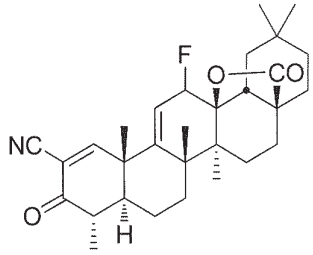
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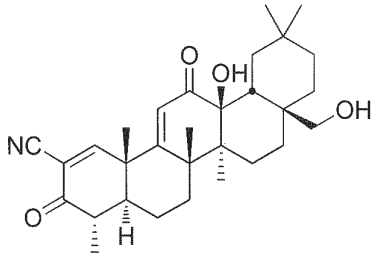
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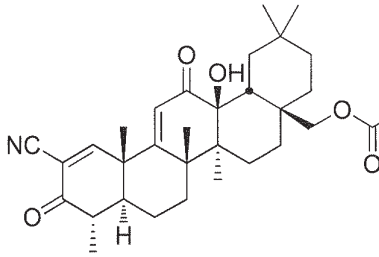
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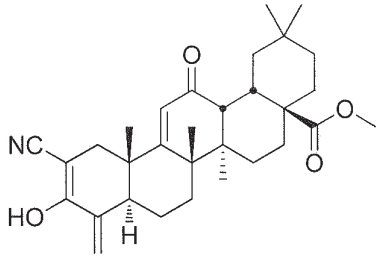

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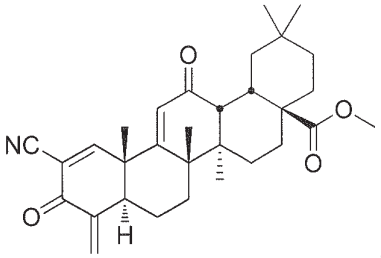

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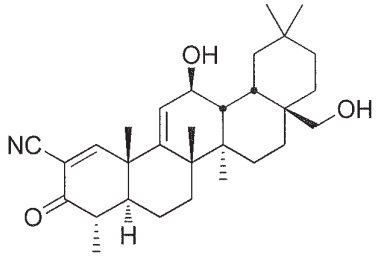

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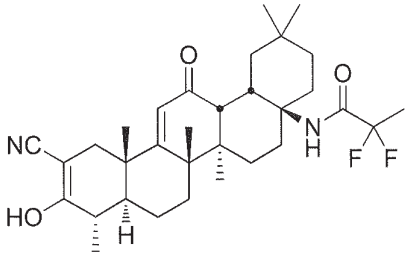

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or a pharmaceutically acceptable tautomer or salt of any of the above formulas.