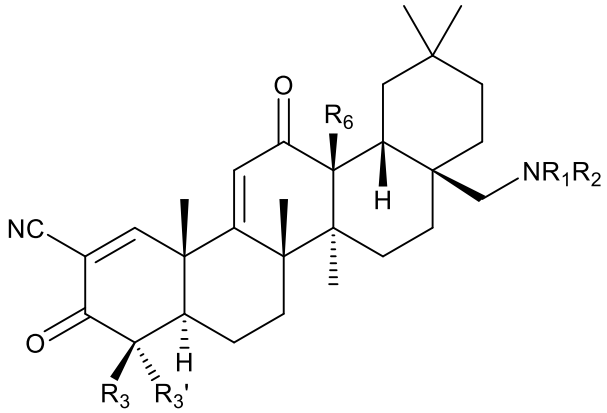
WHAT IS CLAIMED IS:

1. A compound of the formula:



(I),

wherein:

R_1 is hydrogen; or

$alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

$alkyl_{(C\leq 8)}$, $alkenyl_{(C\leq 8)}$, $alkynyl_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $cycloalkyl_{(C\leq 8)}$, $cycloalkoxy_{(C\leq 8)}$, $cycloalkylamino_{(C\leq 8)}$, $heterocyclo\text{-}alkyl_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_1 and R_2 are taken together as defined below;

R_2 is $alkyl_{(C\leq 8)}$ or substituted $alkyl_{(C\leq 8)}$; or

$-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, $alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, $acyl_{(C\leq 8)}$, substituted $acyl_{(C\leq 8)}$, or a monovalent amino protecting group; or

$-C(O)R_5$, wherein:

R_5 is hydrogen; or

$alkyl_{(C\leq 8)}$, $alkenyl_{(C\leq 8)}$, $alkynyl_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $cycloalkyl_{(C\leq 8)}$, $cycloalkoxy_{(C\leq 8)}$, $cycloalkylamino_{(C\leq 8)}$, $heterocycloalkyl_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_2 and R_1 are taken together as defined below;

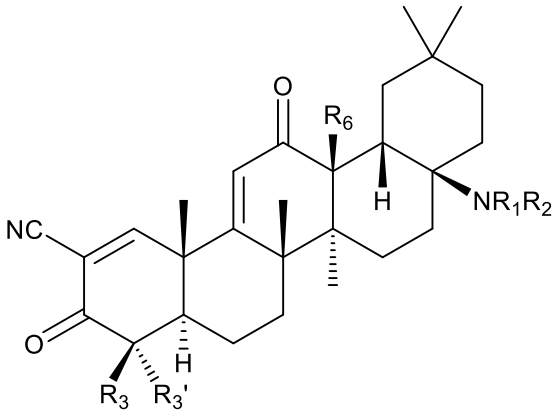
R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl;

R_3 and R_3' are each independently hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; and

R_6 is hydrogen, hydroxy, or amino; or

acyloxy$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, or a substituted version of any of these groups; or

a compound of the formula:



(II),

wherein:

R_1 is hydrogen; or

alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

R_2 is $-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, acyl$_{(C\leq 8)}$, substituted acyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl;

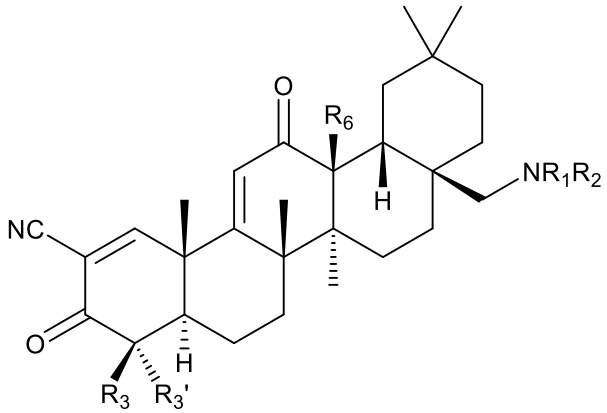
R_3 and R_3' are each independently hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; and

R_6 is hydrogen, hydroxy, or amino; or

acyloxy$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt of either of these formulae.

2. The compound of claim 1, wherein the compound is further defined as:



(I),

wherein:

R_1 is hydrogen; or

alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, a monovalent amino protecting group, or −C(O)R_4, wherein:

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocyclo-alkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_1 and R_2 are taken together as defined below;

R_2 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$; or

−N$R_c$$R_d$, wherein:

R_c and R_d are each independently hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, acyl$_{(C\leq 8)}$, substituted acyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

−C(O)R_5, wherein:

R_5 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_2 and R_1 are taken together as defined below;

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl;

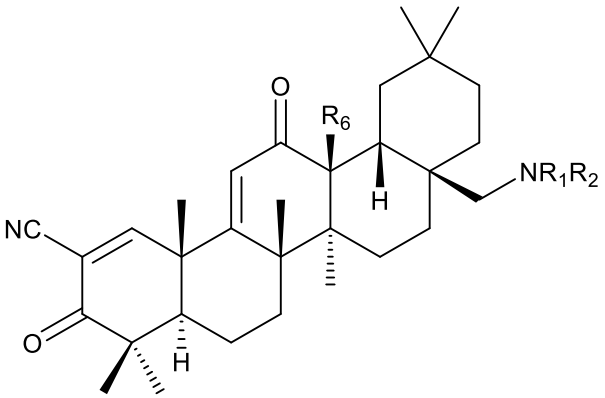
R_3 and R_3' are each independently hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; and

R_6 is hydrogen, hydroxy, or amino; or

acyloxy$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt thereof.

3. The compound of either claim 1 or claim 2, wherein the compound is further defined as:



(I-A),

wherein:

R_1 is hydrogen; or

alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocyclo-alkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_1 and R_2 are taken together as defined below;

R_2 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$; or

$-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, $alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, $acyl_{(C\leq 8)}$, substituted $acyl_{(C\leq 8)}$, or a monovalent amino protecting group; or

$-C(O)R_5$, wherein:

R_5 is hydrogen; or

$alkyl_{(C\leq 8)}$, $alkenyl_{(C\leq 8)}$, $alkynyl_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $cycloalkyl_{(C\leq 8)}$, $cycloalkoxy_{(C\leq 8)}$, $cycloalkylamino_{(C\leq 8)}$, $heterocycloalkyl_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_2 and R_1 are taken together as defined below;

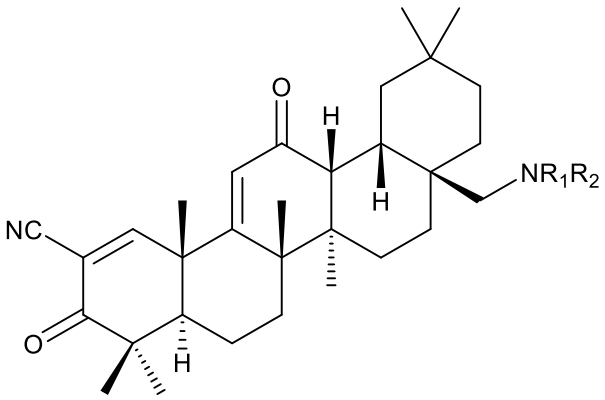
R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-$heteroaryl_{(C\leq 8)}$, substituted *N*-$heteroaryl_{(C\leq 8)}$, *N*-$heterocycloalkyl_{(C\leq 8)}$, substituted *N*-$heterocycloalkyl_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl; and

R_6 is hydrogen, hydroxy, or amino; or

$acyloxy_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $amido_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt thereof.

4. The compound according to any one of claims 1-3, wherein the compound is further defined as:



(I-B),

wherein:

R_1 is hydrogen; or

$alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocyclo-alkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_1 and R_2 are taken together as defined below;

R_2 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$; or

$-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, acyl$_{(C\leq 8)}$, substituted acyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

$-C(O)R_5$, wherein:

R_5 is hydrogen; or

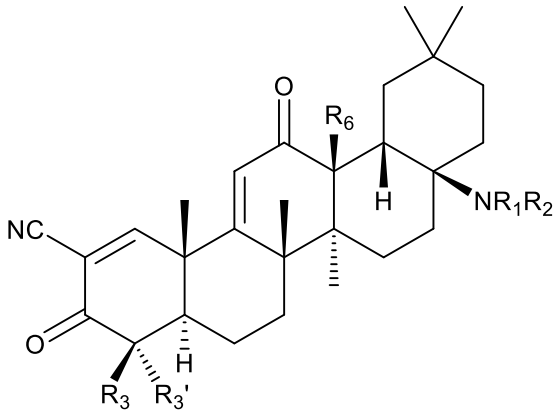
alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups; or

R_2 and R_1 are taken together as defined below; and

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl;

or a pharmaceutically acceptable salt thereof.

5. The compound of claim 1, wherein the compound is further defined as:



(II),

wherein:

R_1 is hydrogen; or

alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

R_2 is $-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, acyl$_{(C\leq 8)}$, substituted acyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl;

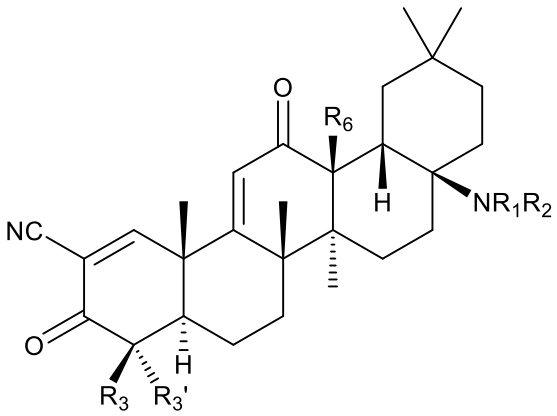
R_3 and R_3' are each independently hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; and

R_6 is hydrogen, hydroxy, or amino; or

acyloxy$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt ~~of either of these formulae~~thereof.

6. The compound of either claim 1 or claim 5, wherein the compound is further defined as:



(II),

wherein:

R_1 is hydrogen; or

alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

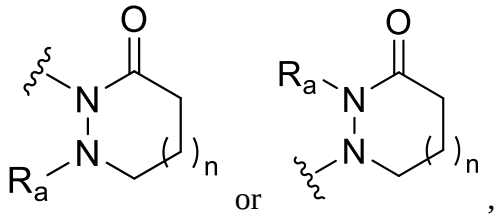
R_2 is $-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, acyl$_{(C\leq 8)}$, substituted acyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



or

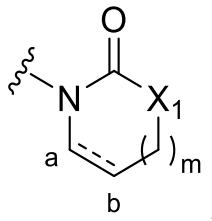
,

wherein:

n is 0, 1, 2, or 3;

R_a is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



,

wherein:

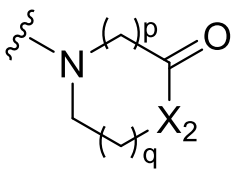
the bond between atoms a and b is a single bond or a double bond;

m is 0, 1, 2, or 3; and

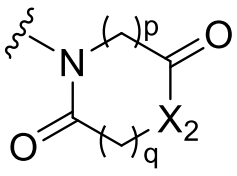
X_1 is $-CH_2-$, $-O-$, or $-N(R_b)-$, wherein:

R_b is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



or



,

wherein:

p is 0 or 1;

q is 0 or 1; and

X_2 is $-CH_2-$, $-O-$, or $-N(R_e)-$, wherein:

R_e is hydrogen, $alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, or a monovalent amino protecting group;

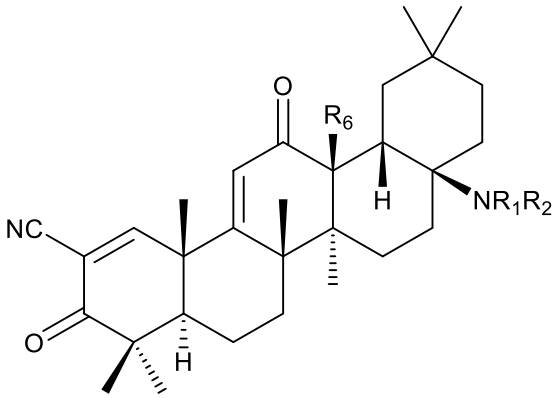
R_3 and R_3' are each independently hydrogen, $alkyl_{(C\leq 8)}$, or substituted $alkyl_{(C\leq 8)}$; and

R_6 is hydrogen, hydroxy, or amino; or

$acyloxy_{(C\leq 8)}$, $alkoxy_{(C\leq 8)}$, $alkylamino_{(C\leq 8)}$, $dialkylamino_{(C\leq 8)}$, $amido_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt ~~of either of these formulae~~thereof.

7. The compound according to any one of claims 1, 5, and 6, wherein the compound is further defined as:



(II-A),

wherein:

R_1 is hydrogen; or

$alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

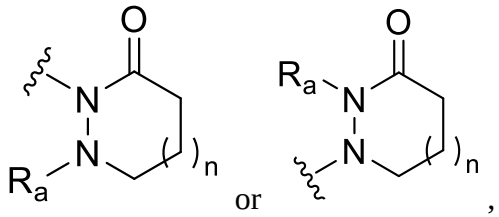
R_2 is $-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, $alkyl_{(C\leq 8)}$, substituted $alkyl_{(C\leq 8)}$, $acyl_{(C\leq 8)}$, substituted $acyl_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-$heteroaryl_{(C\leq 8)}$, substituted *N*-$heteroaryl_{(C\leq 8)}$, *N*-$heterocycloalkyl_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:

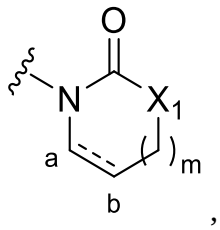


wherein:

n is 0, 1, 2, or 3;

R_a is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



wherein:

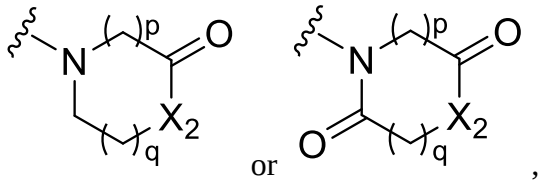
the bond between atoms a and b is a single bond or a double bond;

m is 0, 1, 2, or 3; and

X_1 is $-CH_2-$, $-O-$, or $-N(R_b)-$, wherein:

R_b is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



wherein:

p is 0 or 1;

q is 0 or 1; and

X_2 is $-CH_2-$, $-O-$, or $-N(R_e)-$, wherein:

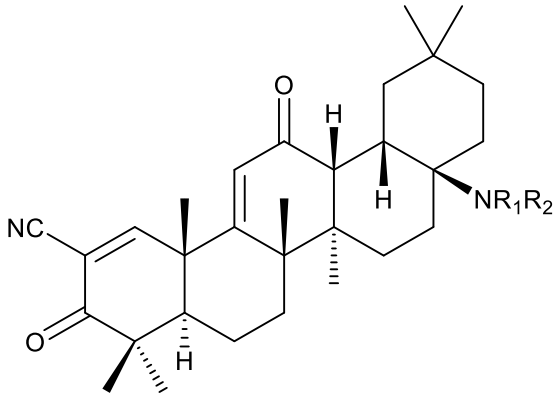
R_e is hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or a monovalent amino protecting group; and

R_6 is hydrogen, hydroxy, or amino; or

acyloxy$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, amido$_{(C\leq 8)}$, or a substituted version of any of these groups;

or a pharmaceutically acceptable salt ~~of either of these formulae~~thereof.

8. The compound according to any one of claims 1 and 5-7, wherein the compound is further defined as:



(II-B),

wherein:

R_1 is hydrogen; or

alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below;

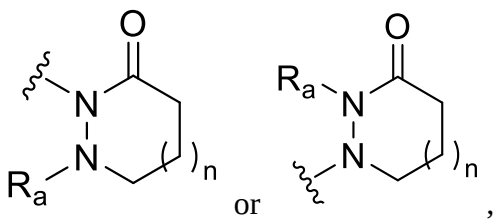
R_2 is $-NR_cR_d$, wherein:

R_c and R_d are each independently hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, acyl$_{(C\leq 8)}$, substituted acyl$_{(C\leq 8)}$, or a monovalent amino protecting group; or

R_1 and R_2 are taken together as defined below; or

R_1 and R_2, when taken together with the nitrogen atom of the $-NR_1R_2$ group, is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, or 3-oxo-1-(*t*-butoxycarbonyl)pyrazolidin-2-yl; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



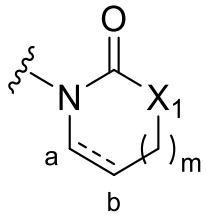
,

wherein:

n is 0, 1, 2, or 3;

R_a is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; or

substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



,

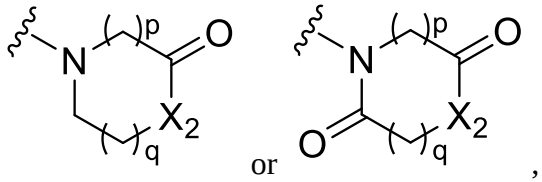
wherein:

the bond between atoms a and b is a single bond or a double bond;

m is 0, 1, 2, or 3; and

X_1 is $-CH_2-$, $-O-$, or $-N(R_b)-$, wherein:

R_b is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$; or substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



,

wherein:

p is 0 or 1;

q is 0 or 1; and

X_2 is $-CH_2-$, $-O-$, or $-N(R_e)-$, wherein:

R_e is hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or a monovalent amino protecting group; and

or a pharmaceutically acceptable salt ~~of either of these formulae~~thereof.

~~9. The compound according to any one of claims 1, 2, 5, and 6, wherein R_3 is hydrogen.~~

~~10. The compound according to any one of claims 1, 2, 5, and 6, wherein R_3 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.~~

~~11. The compound according to any one of claims 1, 2, 5, 6, and 10, wherein R_3 is alkyl$_{(C\leq 8)}$.~~

~~12. The compound according to any one of claims 1, 2, 5, 6, 10, and 11, wherein R_3 is methyl.~~

~~13. The compound according to any one of claims 1, 2, 5, 6, and 9-12, wherein R_3' is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.~~

~~14. The compound according to any one of claims 1, 2, 5, 6, and 9-13, wherein R_3' is alkyl$_{(C\leq 8)}$.~~

~~15. The compound according to any one of claim 1, 2, 5, 6, and 9-14, wherein R_3' is methyl.~~

~~16. The compound according to any one of claims 1-3, 5-7, and 9-15, wherein R_6 is hydroxy.~~

~~17. The compound according to any one of claims 1-3, 5-7, and 9-15, wherein R_6 is hydrogen.~~

~~18. The compound according to any one of claims 1-4 and 9-17, wherein:~~

~~R_1 is hydrogen; or~~

~~$alkyl_{(C\leq8)}$, substituted $alkyl_{(C\leq8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:~~

~~R_4 is hydrogen; or~~

~~$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $cycloalkyl_{(C\leq8)}$, $cycloalkoxy_{(C\leq8)}$, $cycloalkylamino_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, or a substituted version of any one of these groups.~~

~~19. The compound according to any one of claims 1-4 and 9-17, wherein:~~

~~R_1 is $alkyl_{(C\leq8)}$, substituted $alkyl_{(C\leq8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:~~

~~R_4 is hydrogen; or~~

~~$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $cycloalkyl_{(C\leq8)}$, $cycloalkoxy_{(C\leq8)}$, $cycloalkylamino_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, or a substituted version of any one of these groups.~~

~~20~~9. The compound according to any one of claims 1-4 ~~and 9-17~~, wherein:

R_1 is hydrogen, or $alkyl_{(C\leq8)}$, substituted $alkyl_{(C\leq8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $cycloalkyl_{(C\leq8)}$, $cycloalkoxy_{(C\leq8)}$, $cycloalkylamino_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, or a substituted version of any one of these groups.

~~21. The compound according to any one of claims 1-4 and 9-17, wherein:~~

~~R_1 is hydrogen, substituted $alkyl_{(C\leq8)}$, or $-C(O)R_4$, wherein:~~

~~R_4 is hydrogen; or~~

~~$alkyl_{(C\leq8)}$, $alkenyl_{(C\leq8)}$, $alkynyl_{(C\leq8)}$, $alkoxy_{(C\leq8)}$, $alkylamino_{(C\leq8)}$, $dialkylamino_{(C\leq8)}$, $cycloalkyl_{(C\leq8)}$, $cycloalkoxy_{(C\leq8)}$, $cycloalkylamino_{(C\leq8)}$, $heterocycloalkyl_{(C\leq8)}$, or a substituted version of any one of these groups.~~

~~22. The compound according to any one of claims 1-4 and 9-17, wherein:~~

~~R_1 is hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein:~~

~~R_4 is hydrogen; or~~

~~alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.~~

~~23. The compound according to any one of claims 1-4 and 9-17, wherein:~~

~~R_1 is hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein:~~

~~R_4 is hydrogen; or~~

~~alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.~~

~~24~~10. The compound according to any one of claims 1-4 ~~and 9-17~~, wherein:

R_1 is alkyl$_{(C\leq 8)}$~~hydrogen~~, substituted alkyl$_{(C\leq 8)}$, a monovalent amino protecting group, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~25~~11. The compound according to any one of claims 1-~~18~~4, ~~20, 22, and 23,~~ wherein:

R_1 is hydrogen, alkyl$_{(C\leq 8)}$, ~~or~~ substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~26~~12. The compound according to any one of claims 1-~~18~~4 ~~and 20-25~~, wherein:

R_1 is hydrogen, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~27. The compound according to any one of claims 1-20, 22, 23, and 25, wherein R_1 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.~~

~~28~~13. The compound according to any one of claims 1-~~20~~ 4, ~~22, 23, 25, and 27,~~ wherein:

R_1 is hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

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

R_1 is hydrogen, alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

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

R_1 is hydrogen, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_4$, wherein:

R_4 is hydrogen; or

alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkoxy$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

16. The compound according to any one of claims 1-9, 11, 13, and 14, wherein R_1 is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$.

17. The compound according to any one of claims 1-9, and 11-16, wherein R_1 is hydrogen.

18. The compound according to any one of claims 1-11, 13, 14 and 16, wherein R_1 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.

19. The compound according to any one of claims 1-11, 13, 14, 16, and 18, wherein R_1 is alkyl$_{(C\leq 8)}$.

~~29~~20. The compound according to any one of claims 1-~~20~~11, 13, 14, 16, 18 and 19~~22, 23, 25, 27, and 28~~, wherein R_1 is methyl.

~~30. The compound according to any one of claims 1-19, wherein R_1 is a monovalent amino protecting group.~~

~~31. The compound according to any one of claims 1-19 and 30, wherein R_1 is *tert* butyloxycarbonyl.~~

~~32~~21. The compound according to any one of claims 1-4 and 9-~~29~~20, wherein:

R_2 is substituted alkyl$_{(C\leq 8)}$ or $-C(O)R_5$, wherein:

R_5 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocyclo-alkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~33~~22. The compound according to any one of claims 1-4 and 9-~~29~~20, wherein:

R_2 is alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_5$, wherein:

R_5 is hydrogen; or

alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, alkylamino$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~34~~23. The compound according to any one of claims 1-4 and 9-~~29~~20, wherein:

R_2 is alkyl$_{(C\leq 8)}$, substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_5$, wherein:

R_5 is hydrogen; or

alkyl$_{(C\leq 8)}$, alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~35~~24. The compound according to any one of claims 1-4 and 9-~~29~~20, wherein:

R_2 is substituted alkyl$_{(C\leq 8)}$, or $-C(O)R_5$, wherein:

R_5 is hydrogen; or

alkenyl$_{(C\leq 8)}$, alkynyl$_{(C\leq 8)}$, dialkylamino$_{(C\leq 8)}$, cycloalkyl$_{(C\leq 8)}$, cycloalkoxy$_{(C\leq 8)}$, cycloalkylamino$_{(C\leq 8)}$, heterocycloalkyl$_{(C\leq 8)}$, or a substituted version of any one of these groups.

~~36~~25. The compound according to any one of claims 1-4, 9-~~29~~20, 22 and 23~~33, and 34~~, wherein R_2 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.

~~37~~26. The compound according to any one of claims 1-4, 9-~~29~~20, ~~33, 34, and 36~~22, 23 and 25, wherein R_2 is alkyl$_{(C\leq 8)}$.

~~38~~27. The compound according to any one of claims 1-4, 9-~~29~~20, ~~33, 34, 36, and 37~~22, 23, 25 and 26, wherein R_2 is methyl.

39. The compound according to any one of claims 1-4 and 9-36, wherein R_2 is substituted alkyl$_{(C\leq 8)}$.

40. The compound according to any one of claims 1-4, 9-36, and 39, wherein R_2 is 1-hydroxyeth-2-yl.

41. The compound according to any one of claims 1-31, wherein R_e is hydrogen.

42. The compound according to any one of claims 1-31, wherein R_e is a monovalent amino protecting group.

43. The compound according to any one of claims 1-31 and 42, wherein R_e is *tert*-butyloxycarbonyl.

44. The compound according to any one of claims 1-31, wherein R_e is acyl$_{(C\leq 8)}$ or substituted acyl$_{(C\leq 8)}$.

45. The compound according to any one of claims 1-31 and 44, wherein R_e is substituted acyl$_{(C\leq 8)}$.

46. The compound according to any one of claims 1-31, 44, and 45, wherein R_e is trifluoroacetyl.

47. The compound of claim 1-31, wherein R_d is hydrogen.

48. The compound according to any one of claims 1-4, 9-21, 23, and 32-40, wherein R_4 is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.

49. The compound according to any one of claims 1-4, 9-21, 23, 32-40, and 48, wherein R_4 is alkyl$_{(C\leq 8)}$.

50. The compound according to any one of claims 1-4, 9-21, 23, 32-40, 48, and 49, wherein R_4 is methyl or ethyl.

51. The compound of claim 50, wherein R_4 is methyl, further wherein the methyl is substantially trideuteriomethyl.

52. The compound of claim 51, wherein the isotopic enrichment of deuterium at each of the three positions is greater than 90%.

53. The compound according to any one of claims 1-4, 9-24, 32-40, and 48, wherein R_4 is substituted alkyl$_{(C\leq 8)}$.

54. The compound according to any one of claims 1-4, 9-24, 32-40, 48, and 53, wherein R_4 is 1,1-difluoroeth-1-yl, difluoromethyl, or fluoromethyl.

~~55. The compound according to any one of claims 1-4, 9-24, and 32-40, wherein R_4 is cycloalkyl$_{(C\leq8)}$ or substituted cycloalkyl$_{(C\leq8)}$.~~

~~56. The compound according to any one of claims 1-4, 9-24, 32-40, and 55, wherein R_4 is cycloalkyl$_{(C\leq8)}$.~~

~~57. The compound according to any one of claims 1-4, 9-24, 32-40, 55, and 56, wherein R_4 is cyclopropyl.~~

~~58. The compound according to any one of claims 1-4, 9-19, 21-24, and 32-40, wherein R_4 is alkoxy$_{(C\leq8)}$ or substituted alkoxy$_{(C\leq8)}$.~~

~~59. The compound according to any one of claims 1-4, 9-19, 21-24, 32-40, and 58, wherein R_4 is alkoxy$_{(C\leq8)}$.~~

~~60. The compound according to any one of claims 1-4, 9-19, 21-24, 32-40, 58, and 59, wherein R_4 is *t*-butoxy.~~

~~61. The compound according to any one of claims 1-4, 9-22, and 32-40, wherein R_4 is alkylamino$_{(C\leq8)}$ or substituted alkylamino$_{(C\leq8)}$.~~

~~62. The compound according to any one of claims 1-4, 9-22, 32-40, and 61, wherein R_4 is alkylamino$_{(C\leq8)}$.~~

~~63. The compound according to any one of claims 1-4, 9-22, 32-40, 61, and 62, wherein R_4 is methylamino.~~

~~64. The compound according to any one of claims 1-4, 9-24, and 32-40, wherein R_4 is alkenyl$_{(C\leq8)}$ or substituted alkenyl$_{(C\leq8)}$.~~

~~65. The compound according to any one of claims 1-4, 9-24, 32-40, and 64, wherein R_4 is alkenyl$_{(C\leq8)}$.~~

~~66. The compound according to any one of claims 1-4, 9-24, 32-40, 64, and 65, wherein R_4 is ethenyl.~~

~~67~~28. The compound according to any one of claims 1-4, 9-~~24~~21, and 23~~-32, 34, and 48-66~~, wherein R_5 is alkyl$_{(C\leq8)}$ or substituted alkyl$_{(C\leq8)}$.

~~68~~29. The compound according to any one of claims 1-4, 9-21~~32~~, 23 and 28~~-34, and 48-67~~, wherein R_5 is alkyl$_{(C\leq8)}$.

~~69~~30. The compound according to any one of claims 1-4, 9-~~32~~21, 23, 28 and 29~~34, and 48-68~~, wherein R_5 is methyl or ethyl.

~~70. The compound of claim 69, wherein R_5 is methyl, further wherein the methyl is substantially trideuteriomethyl.~~

~~71. The compound of claim 70, wherein the isotopic enrichment of deuterium at each of the three positions is greater than 90%.~~

~~72~~31. The compound according to any one of claims 1-4, 9-~~32~~21, 23~~34, and 48-67~~ and 28, wherein R_5 is substituted alkyl$_{(C\leq 8)}$.

~~73~~32. The compound according to any one of claims 1-4, 9-~~32~~21, ~~34, 48-67, and 72~~23, 28 and 31, wherein R_5 is 1,1-difluoroeth-1-yl, difluoromethyl, or fluoromethyl.

~~74~~33. The compound according to any one of claims 1-4, and 9-~~35~~24, ~~and 48-66~~, wherein R_5 is cycloalkyl$_{(C\leq 8)}$ or substituted cycloalkyl$_{(C\leq 8)}$.

~~75~~34. The compound according to any one of claims 1-4, 9-~~35~~24 and 33, ~~48-66, and 74,~~ wherein R_5 is cycloalkyl$_{(C\leq 8)}$.

~~76~~35. The compound according to any one of claims 1-4, 9-~~35~~24, 33 and 34 ~~48-66, 74, and 75,~~ wherein R_5 is cyclopropyl.

~~77. The compound according to any one of claims 1-4, 9-33 and 48-66, wherein R_5 is alkylamino$_{(C\leq 8)}$ or substituted alkylamino$_{(C\leq 8)}$.~~

~~78~~36. The compound according to any one of claims 1-4~~,~~ and 9-~~33~~22, ~~48-66, and 77,~~ wherein R_5 is alkylamino$_{(C\leq 8)}$.

~~79~~37. The compound according to any one of claims 1-4, 9-~~33~~22 and 36, ~~48-66, 77, and 78,~~ wherein R_5 is methylamino.

~~80. The compound according to any one of claims 1-4, 9-35, and 48-66, wherein R_5 is alkenyl$_{(C\leq 8)}$ or substituted alkenyl$_{(C\leq 8)}$.~~

~~81. The compound according to any one of claims 1-4, 9-35, 48-66, and 80, wherein R_5 is alkenyl$_{(C\leq 8)}$.~~

~~82. The compound according to any one of claims 1-4, 9-35, 48-66, 80, and 81, wherein R_5 is ethenyl.~~

~~83~~38. The compound according to any one of claims 1-~~17~~8, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is *N*-heteroaryl$_{(C\leq 8)}$, substituted *N*-heteroaryl$_{(C\leq 8)}$, *N*-heterocycloalkyl$_{(C\leq 8)}$, or substituted *N*-heterocycloalkyl$_{(C\leq 8)}$.

8439. The compound according to any one of claims 1-178 and 8338, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is *N*-heteroaryl$_{(C\leq 8)}$ or substituted *N*-heteroaryl$_{(C\leq 8)}$.

8540. The compound according to any one of claims 1-178, 8338, and 8439, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is *N*-heteroaryl$_{(C\leq 8)}$.

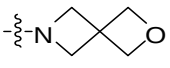
8641. The compound according to any one of claims 1-178 and 8338-8540, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is 3,5-dimethylpyrazol-1-yl, triazol-1-yl, 4-methyltriazol-1-yl, 1,2,4-triazol-1-yl, 1*H*-1,2,4-triazol-1-yl, 4*H*-1,2,4-triazol-4-yl, pyrazol-1-yl, tetrazol-1-yl, or imidazol-1-yl.

8742. The compound according to any one of claims 1-817, 3883, and 3984, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is substituted *N*-heteroaryl$_{(C\leq 8)}$.

4388. The compound according to any one of claims 1-817, 8338, 8439, and 8742, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is 4-methylcarbamoyl-triazol-1-yl, 4-(hydroxymethyl)triazol-1-yl, 4-(fluoromethyl)triazol-1-yl, 4-(difluoromethyl)triazol-1-yl, 5-(trifluoromethyl)-1*H*-pyrazol-1-yl, or 3-(trifluoromethyl)-1*H*-pyrazol-1-yl.

8944. The compound according to any one of claims 1-817 and 3883, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is *N*-heterocycloalkyl$_{(C\leq 8)}$ or substituted *N*-heterocycloalkyl$_{(C\leq 8)}$.

9045. The compound according to any one of claims 1-817, 8338, and 8944, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is *N*-heterocycloalkyl$_{(C\leq 8)}$.

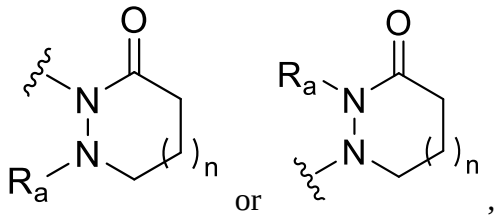
9146. The compound according to any one of claims 1-817, 3883, 4489, and 9045, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is oxazolidin-3-yl, azetidin-1-yl, or .

9247. The compound according to any one of claims 1-817, 8338, and 8944, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is substituted *N*-heterocycloalkyl$_{(C\leq 8)}$.

9348. The compound according to any one of claims 1-817, 8338, 8944, and 9247, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group, wherein the $-NR_1R_2$

group is imidazolidin-2-one-1-yl, 3-methylimidazolidin-2-one-1-yl, oxazolidin-2-one-3-yl, azetidin-2-one-1-yl, pyrrolidin-2-one-1-yl, 3-oxoazetidin-1-yl, 3-oxopyrazolidin-1-yl, 5-oxopyrazolidin-1-yl, 3-hydroxyazetidin-1-yl, 3-fluoroazetidin-1-yl, 2-oxooxazolidin-3-yl, 2-oxooxazol-3(2*H*)-yl, 2-oxo-2,3-dihydro-1*H*-imidazol-1-yl, 3-methyl-2-oxo-2,3-dihydro-1H-imidazol-1-yl, 3,3-difluoroazetidin-1-yl, 4-methyl-2,5-dioxopiperazin-1-yl, or 4-methyl-3-oxopiperazin-1-yl.

4994. The compound according to any one of claims 1-178, 83, 89, and 9238, 44 and 47, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



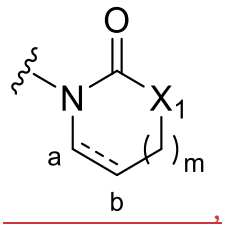
wherein:

n is 0, 1, 2, or 3;

R_a is hydrogen, alkyl$_{(C\leq 5)}$, or substituted alkyl$_{(C\leq 5)}$.

50. The compound according to any one of claims 1-8, 38, 44, 47, and 49, wherein the $-NR_1R_2$ group is 3-oxopyrazolidin-1-yl or 5-oxopyrazolidin-1-yl.

51. The compound according to any one of claims 1-8, 38, 44, and 47, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



wherein:

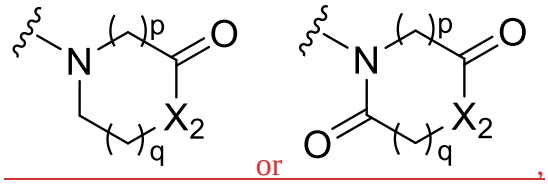
the bond between atoms a and b is a single bond or a double bond;

m is 0, 1, 2, or 3; and

X_1 is $-CH_2-$, $-O-$ or $-N(R_b)-$, wherein:

R_b is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$.

52. The compound according to any one of claims 1-8, 38, 44, and 47, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:



or

,

wherein:

p is 0 or 1;

q is 0 or 1; and

X_2 is $-CH_2-$, $-O-$, or $-N(R_e)-$, wherein:

R_e is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$.

53. The compound according to any one of claims 1-8, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group, wherein the $-NR_1R_2$ group is 3-oxo-1-(tert-butoxycarbonyl)pyrazolidin-2-yl.

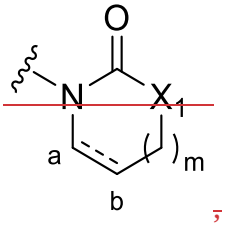
~~95. The compound according to any one of claims 6-17 and 94, wherein n is 0 or 1.~~

~~96. The compound according to any one of claims 6-17, 94, and 95, wherein n is 0.~~

~~97. The compound according to any one of claims 6-17 and 94-96, wherein R_a is hydrogen.~~

~~98. The compound according to any one of claims 1-17, 83, 89, and 94-96, wherein the $-NR_1R_2$ group is 3-oxopyrazolidin-1-yl or 5-oxopyrazolidin-1-yl.~~

~~99. The compound according to any one of claims 1-17, 83, and 89, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group and is substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $-NR_1R_2$ group is of the formula:~~



~~,~~

~~wherein:~~

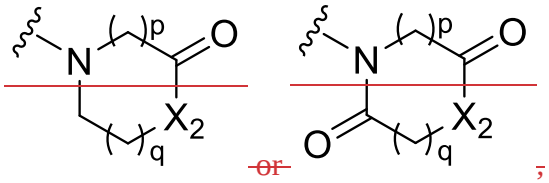
~~the bond between atoms a and b is a single bond or a double bond;~~

~~m is 0, 1, 2, or 3; and~~

~~X_1 is $-CH_2-$, $-O-$ or $-N(R_b)-$, wherein:~~

~~R_b is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$.~~

100. The compound according to any one of claims 1-17, 83, and 89, wherein R_1 and R_2 are taken together with the nitrogen atom of the $=NR_1R_2$ group and is substituted *N*-heterocycloalkyl$_{(C\leq 8)}$, further wherein the $=NR_1R_2$ group is of the formula:



or

;

wherein:

p is 0 or 1;

q is 0 or 1; and

X_2 is $=CH_2=$, $=O=$, or $=N(R_e)=$, wherein:

R_e is hydrogen, alkyl$_{(C\leq 8)}$, or substituted alkyl$_{(C\leq 8)}$.

101. The compound according to any one of claims 6-17 and 99, wherein the bond between atoms a and b is a single bond.

102. The compound according to any one of claims 6-17 and 99, wherein the bond between atoms a and b is a double bond.

103. The compound according to any one of claims 6-17 and 99, wherein m is 0 or 1.

104. The compound according to any one of claims 6-17, 99, and 101-103, wherein m is 0.

105. The compound according to any one of claims 6-17, 99, and 101-104, wherein X_1 is $=O=$.

106. The compound according to any one of claims 6-17, 99, and 101-104, wherein X_1 is $=N(R_b)=$.

107. The compound according to any one of claims 6-17, 99, 101-104, and 106, wherein R_b is hydrogen.

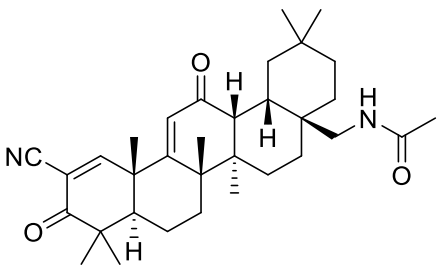
108. The compound according to any one of claims 6-17, 99, 101-104, and 106, wherein R_b is alkyl$_{(C\leq 8)}$ or substituted alkyl$_{(C\leq 8)}$.

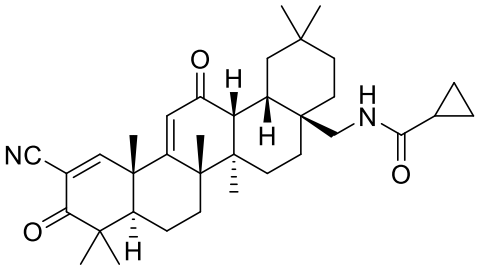
109. The compound according to any one of claims 6-17, 99, 101-104, 106, and 108, wherein R_b is alkyl$_{(C\leq 8)}$.

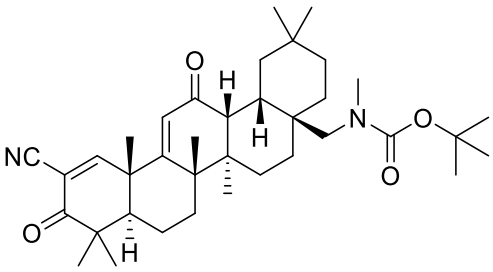
110. The compound according to any one of claims 6-17, 99, 101-104, 106, 108, and 109, wherein R_b is methyl.

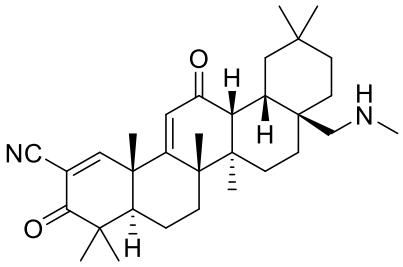
~~111. The compound according to any one of claims 1-17, wherein R_1 and R_2 are taken together with the nitrogen atom of the $-NR_1R_2$ group, wherein the $-NR_1R_2$ group is 3-oxo-1-(tert-butoxycarbonyl)pyrazolidin-2-yl.~~

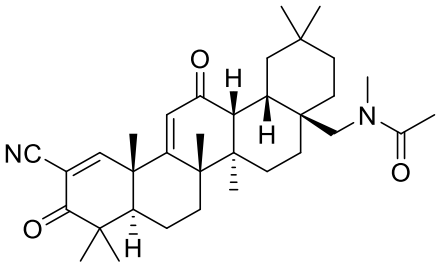
~~112~~54. The compound according to any one of claims 1-~~111~~53, wherein the compound is further defined as:

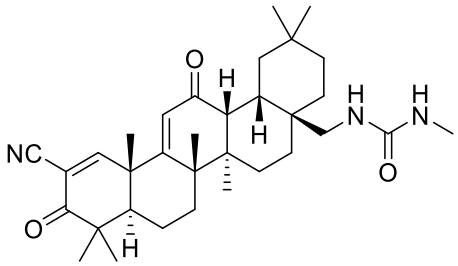


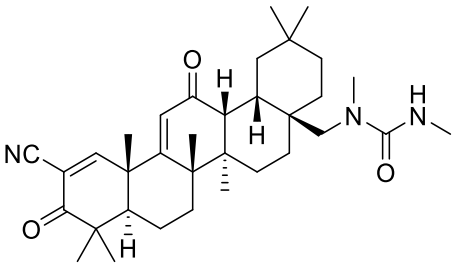
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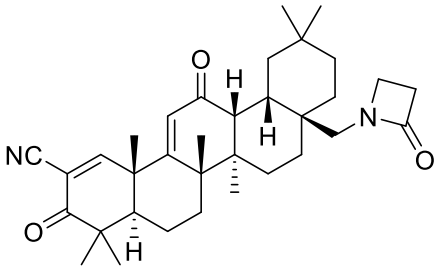
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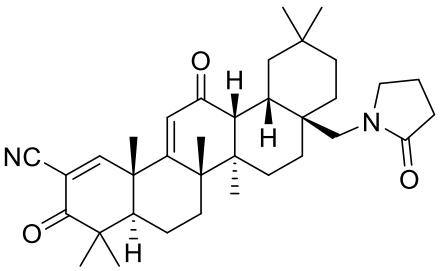
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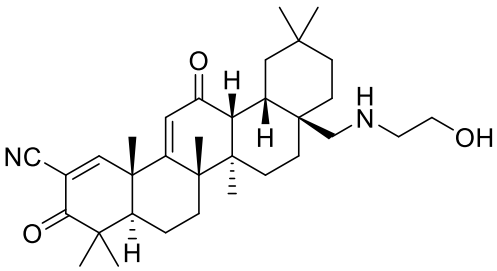
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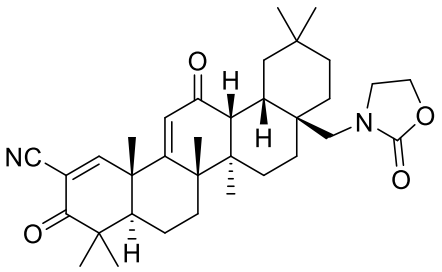
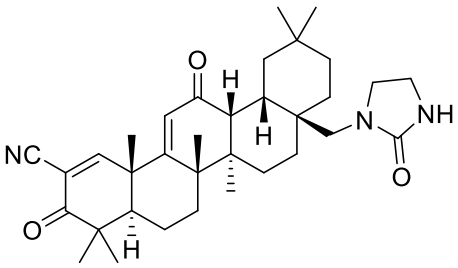
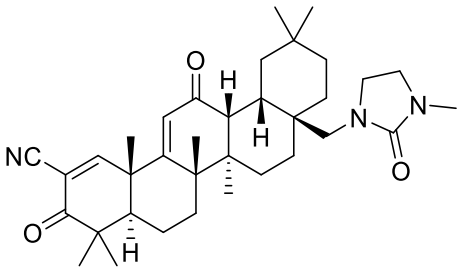
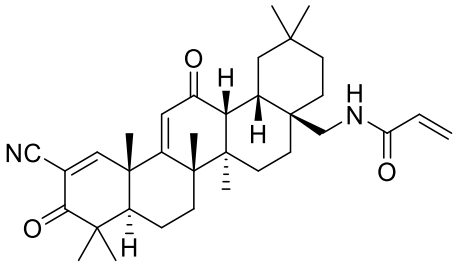
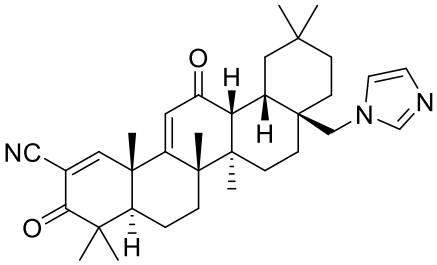
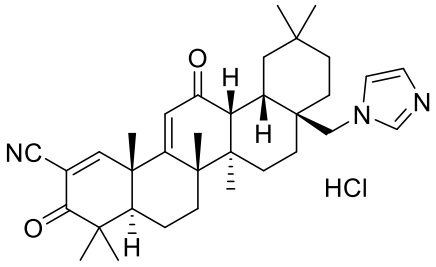
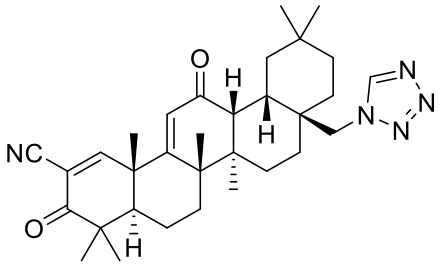
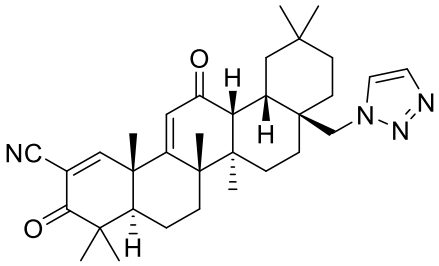
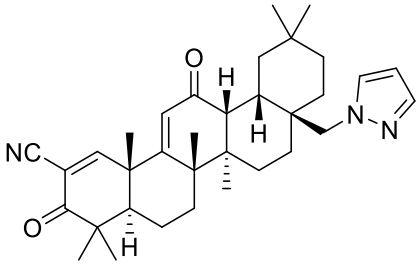
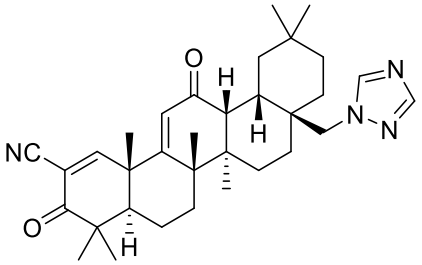
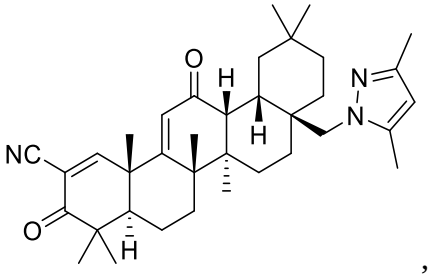
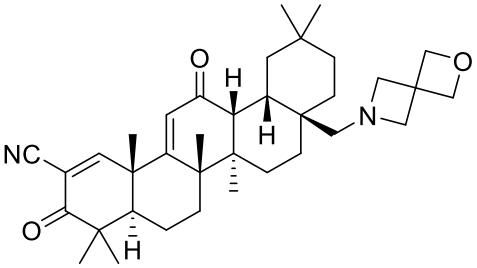
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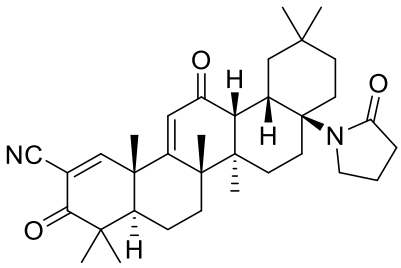
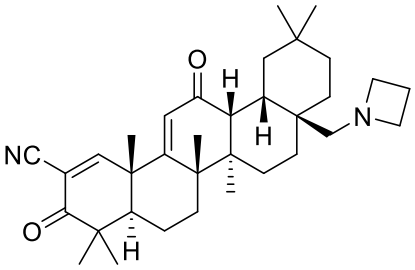
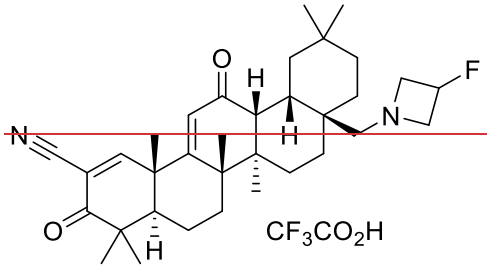
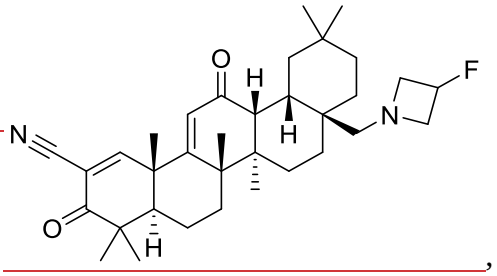
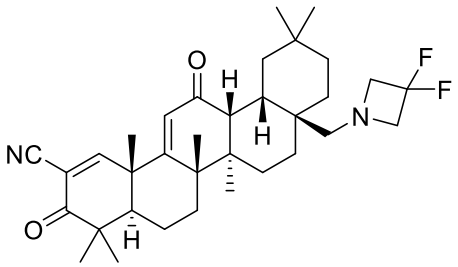
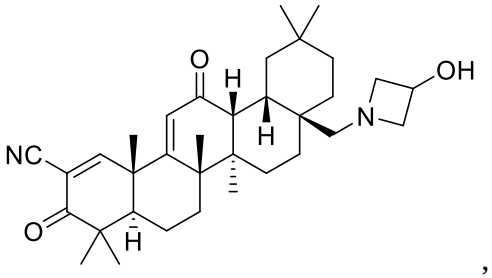
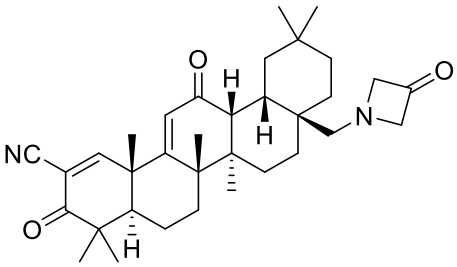
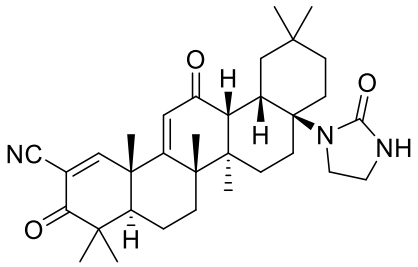
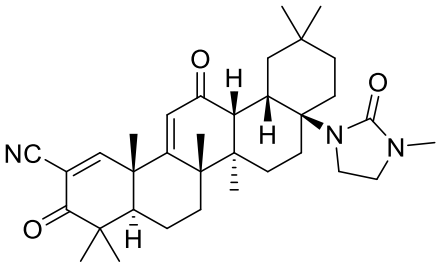
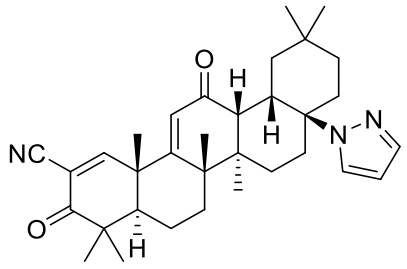
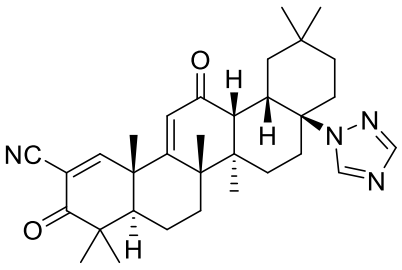
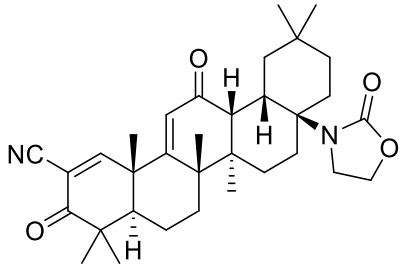
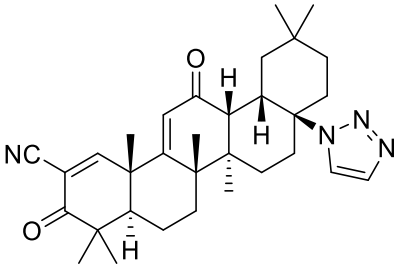
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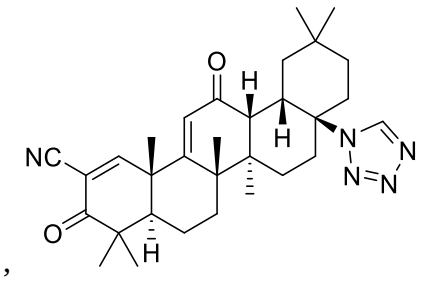
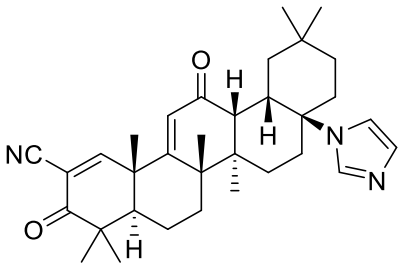
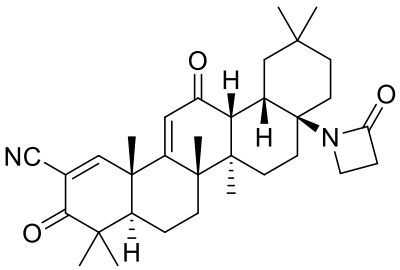
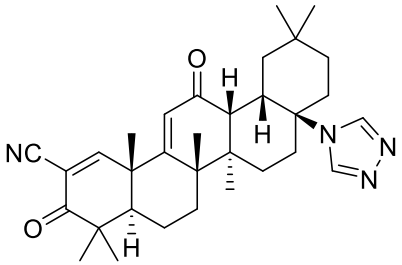
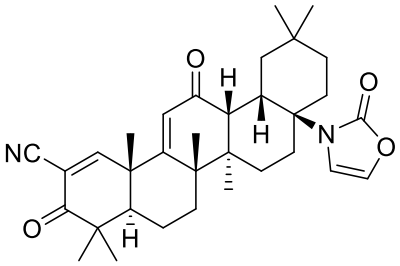
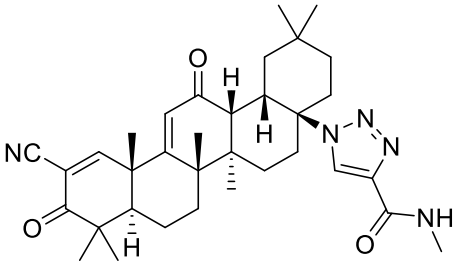
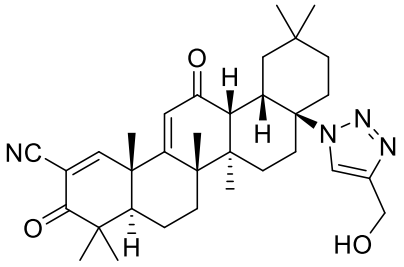
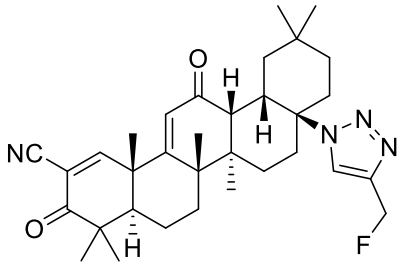
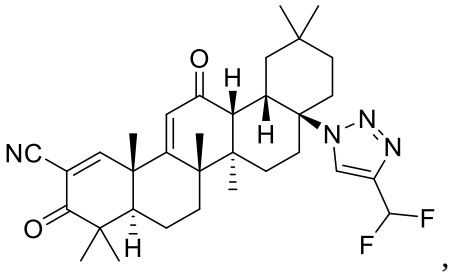
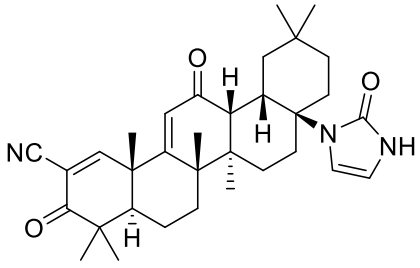
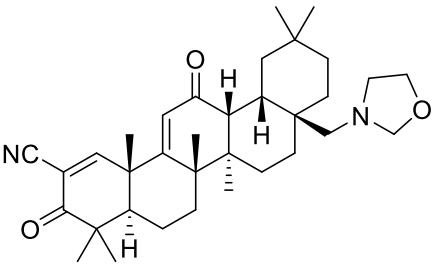
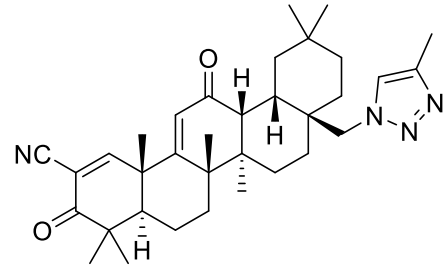
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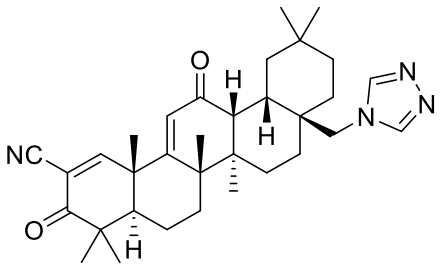
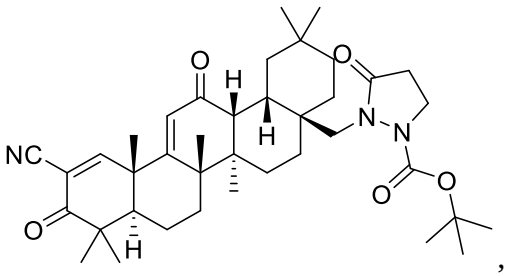
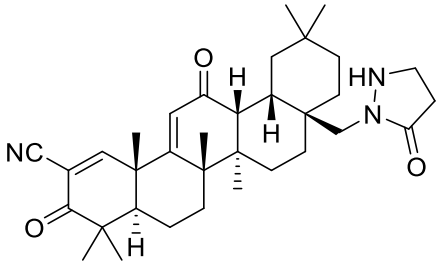
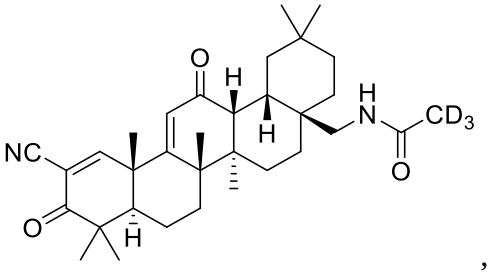
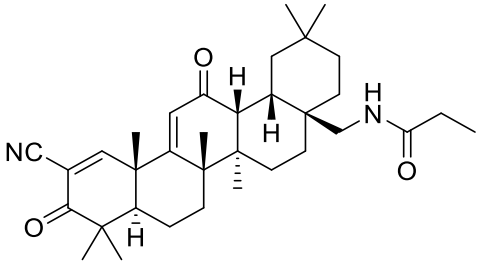
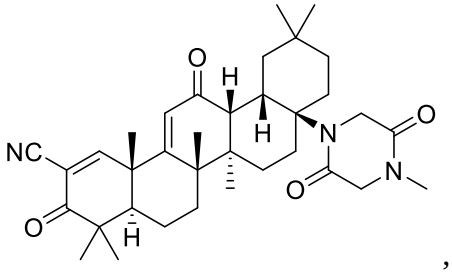
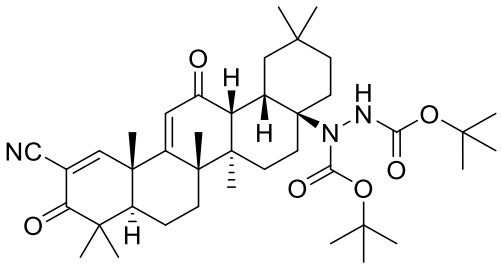
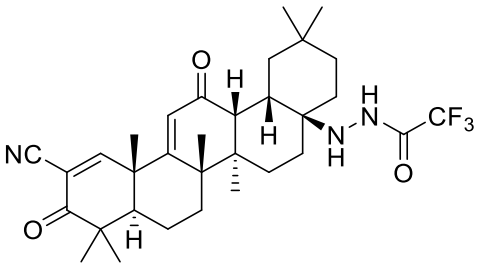
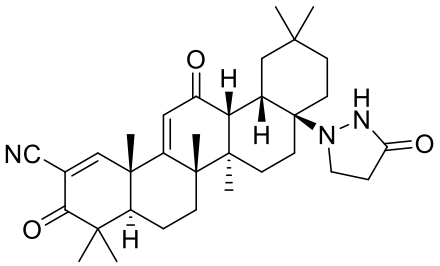
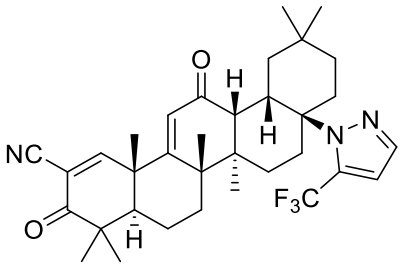
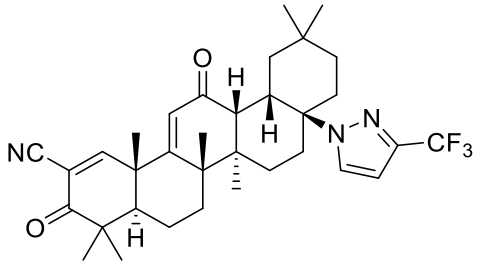
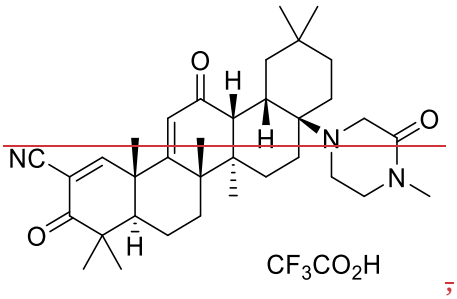

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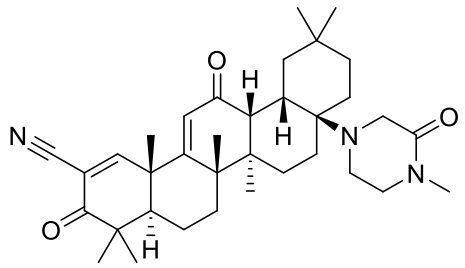
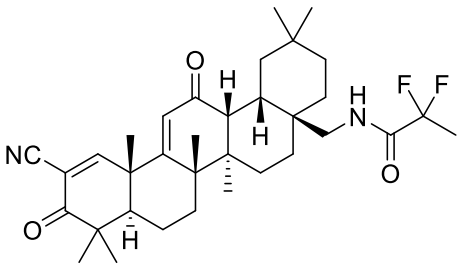
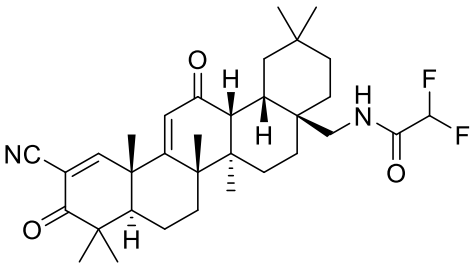
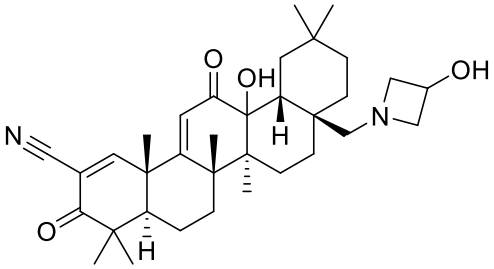
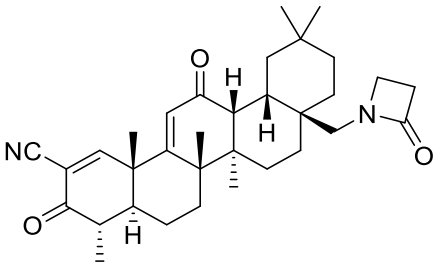
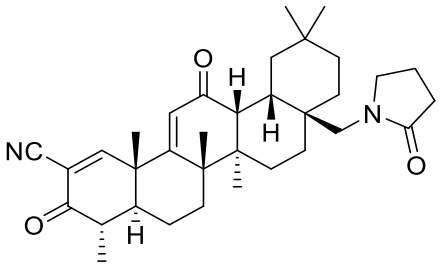
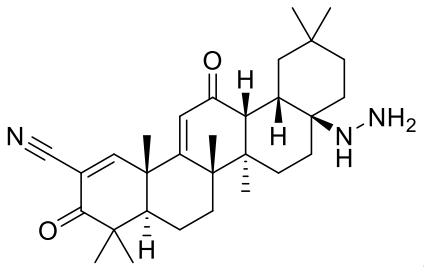
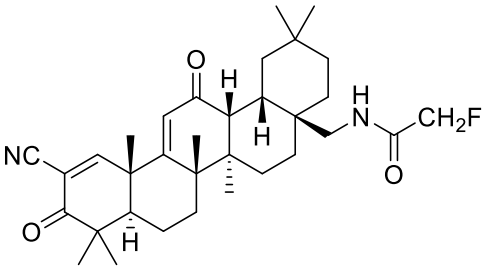

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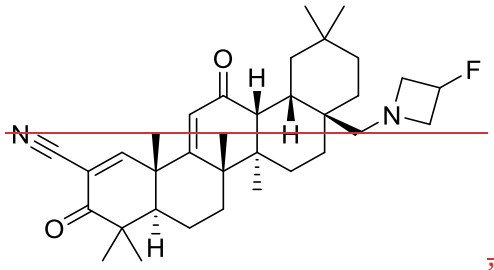
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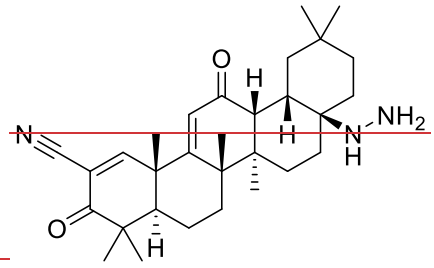

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or a pharmaceutically acceptable salt of any of these formulas.

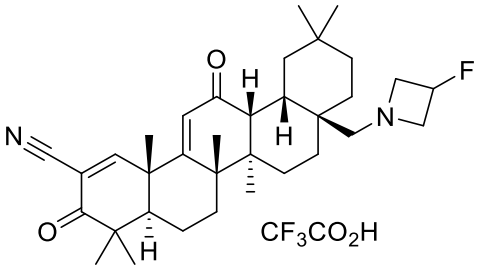
~~113~~55. The compound according to any one of claims 1-~~53111~~, wherein the compound is further defined as:



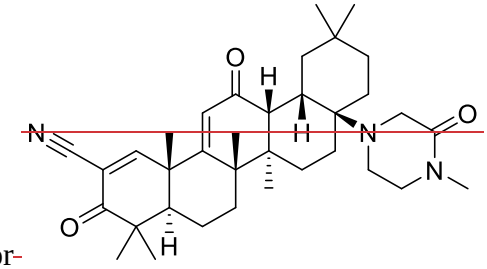
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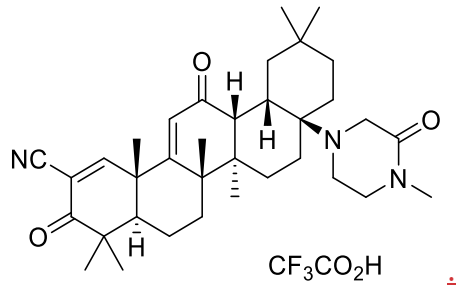
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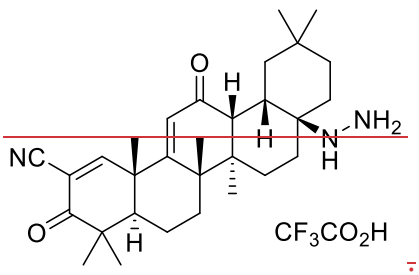
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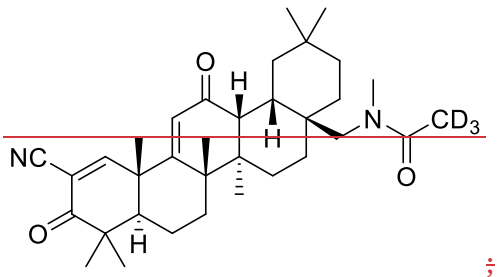
~~or a pharmaceutically acceptable salt of any of these formulas~~.

~~114. The compound according to any one of claims 1-111 and 113, wherein the compound is further defined as:~~



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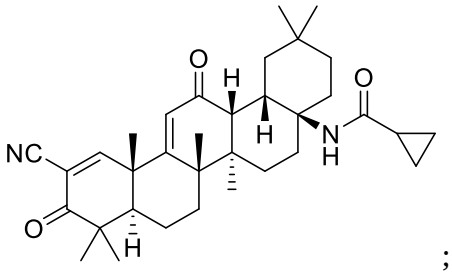
~~115. The compound according to any one of claims 1-111, wherein the compound is further defined as:~~



;

~~or a pharmaceutically acceptable salt of any of these formulas.~~

~~116~~56. A compound of the formula:



;

or a pharmaceutically acceptable salt of any of these formulas.

~~117~~57. A pharmaceutical composition comprising:

(A) a compound according to any one of claims 1-~~116~~56; and

(B) an excipient.

~~118. The pharmaceutical composition of claim 117, wherein the pharmaceutical composition is formulated for administration orally, intraadiposally, intraarterially, intraarticularly, intracranially, intradermally, intralesionally, intramuscularly, intranasally, intraocularly, intrapericardially, intraperitoneally, intrapleurally, intraprostatically, intrarectally, intrathecally, intratracheally, intratumorally, intraumbilically, intravaginally, intravenously, intravesicularlly, intravitreally, liposomally, locally, mucosally, parenterally, rectally, subconjunctival, subcutaneously, sublingually, topically, transbuccally, transdermally, vaginally, in crèmes, in lipid compositions, via a catheter, via a lavage, via continuous infusion, via infusion, via inhalation, via injection, via local delivery, or via localized perfusion.~~

~~119. The pharmaceutical composition of claim 118, wherein the pharmaceutical composition is formulated for oral administration.~~

~~120. The pharmaceutical composition of claim 118, wherein the pharmaceutical composition is formulated for administration via injection.~~

~~121. The pharmaceutical composition of claim 120, wherein the pharmaceutical composition is formulated for intraarterial administration, intramuscular administration, intraperitoneal administration, or intravenous administration.~~

~~122. The pharmaceutical composition of claim 118, wherein the pharmaceutical composition is formulated for administration topically.~~

~~123. The pharmaceutical composition of claim 122, wherein the pharmaceutical composition is formulated for topical administration to the skin or to the eye.~~

~~124. The pharmaceutical composition according to any one of claims 117-123, wherein the pharmaceutical composition is formulated as a unit dose.~~

~~125. A method of treating or preventing a disease or disorder in a patient in need thereof comprising administering to the patient a pharmaceutically effective amount of a compound according to any one of claims 1-116 or a pharmaceutical composition according to any one of claims 117-124.~~

~~126. The compound according to any one of claims 1-116 or the pharmaceutical composition according to any one of claims 117-124 for use in treating or preventing a disease or disorder.~~

~~127~~58. Use of the compound according to any one of claims 1-~~116~~ 56 or the pharmaceutical composition according to ~~any one of~~ claim~~s 117-124~~57 for the preparation of a medicament for the treatment or prevention of a disease or disorder.

~~128. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the patient is a mammal.~~

~~129~~59. ~~The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or t~~The use of claim ~~127~~58, wherein the ~~patient is~~medicament is formulated to be administered to a human.

~~130~~60. The ~~method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the~~ use of claim ~~127~~58, wherein the disease or disorder is a condition associated with inflammation and/or oxidative stress.

~~131. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is cancer.~~

~~132. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is a cardiovascular disease.~~

~~133. The method of claim 132, or the compound or pharmaceutical composition for use of claim 132, or the use of claim 132, wherein the cardiovascular disease is atherosclerosis.~~

~~134. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is an autoimmune disease.~~

~~135. The method of claim 134, or the compound or pharmaceutical composition for use of claim 134, or the use of claim 134, wherein the autoimmune disease is Crohn's disease, rheumatoid arthritis, lupus, or psoriasis.~~

~~136. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is a neurodegenerative disease.~~

~~137. The method of claim 136, or the compound or pharmaceutical composition for use of claim 136, or the use of claim 136, wherein the neurodegenerative disease is Alzheimer's disease, Parkinson's disease, amyotrophic lateral sclerosis, or Huntington's disease.~~

~~138. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is chronic kidney disease, diabetes, mucositis, inflammatory bowel disease, dermatitis, sepsis, ischemia-reperfusion injury, influenza, osteoarthritis, osteoporosis, pancreatitis, asthma, chronic obstructive pulmonary disease, cystic fibrosis, idiopathic pulmonary fibrosis, multiple sclerosis, muscular dystrophy, cachexia, or graft-versus-host disease.~~

~~139. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is an eye disease.~~

~~140. The method of claim 139, or the compound or pharmaceutical composition for use of claim 139, or the use of claim 139, wherein the eye disease is uveitis, glaucoma, macular degeneration, or retinopathy.~~

~~141. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is neuropsychiatric.~~

~~142. The method of claim 141, or the compound or pharmaceutical composition for use of claim 141, or the use of claim 141, wherein the neuropsychiatric disease or disorder is schizophrenia, depression, bipolar disorder, epilepsy, post-traumatic stress disorder, attention deficit disorder, autism, or anorexia nervosa.~~

~~143. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is associated with mitochondrial dysfunction.~~

~~144. The method of claim 143, or the compound or pharmaceutical composition for use of claim 143, or the use of claim 143, wherein the disease or disorder associated with mitochondrial dysfunction is Friedreich's ataxia.~~

~~145. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is chronic pain.~~

~~146. The method of claim 125, or the compound or pharmaceutical composition for use of claim 126, or the use of claim 127, wherein the disease or disorder is neuropathic pain.~~

~~147. A method of inhibiting nitric oxide production comprising administering to a patient in need thereof an amount of a compound or composition of claims 1-124 sufficient to cause inhibition of IFN-γ induced nitric oxide production in one or more cells of the patient.~~

~~148. The compound according to any one of claims 1-116 or the pharmaceutical composition according to any one of claims 117-124 for use in inhibiting nitric oxide production.~~

~~149~~61. Use of the compound according to any one of claims 1-~~116~~56 or the pharmaceutical composition according to ~~any one of~~ claim~~s 117-124~~57 for the preparation of a medicament for inhibiting nitric oxide production.