What is claimed is:

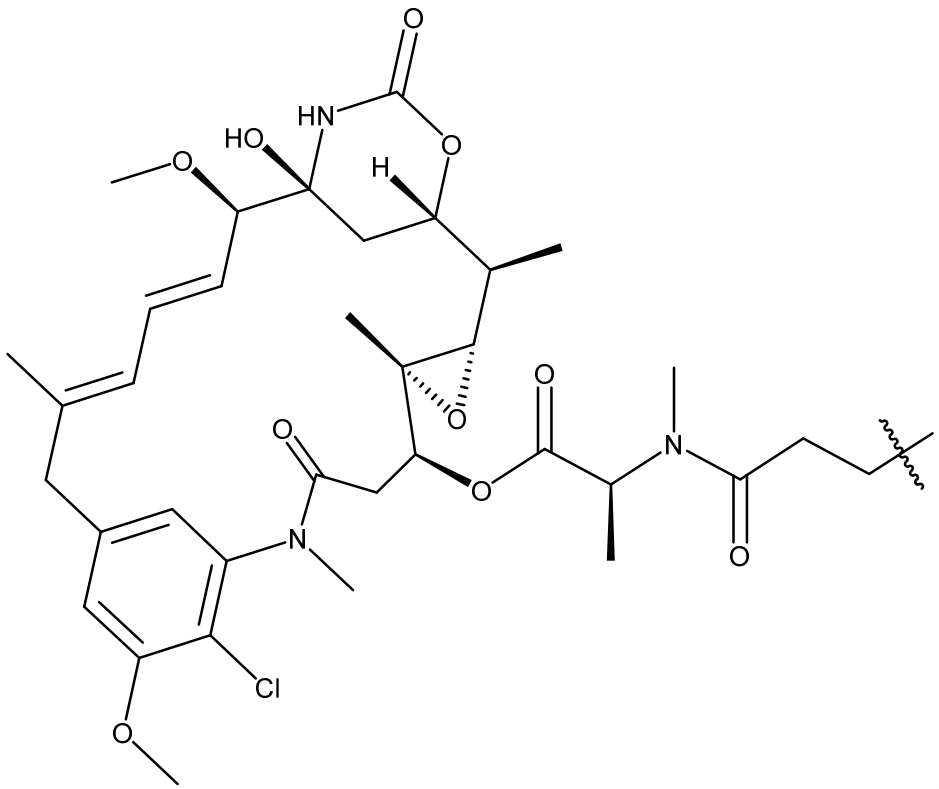
1. A compound of Formula (I):

$$R^2—L—R^1 \quad (I)$$

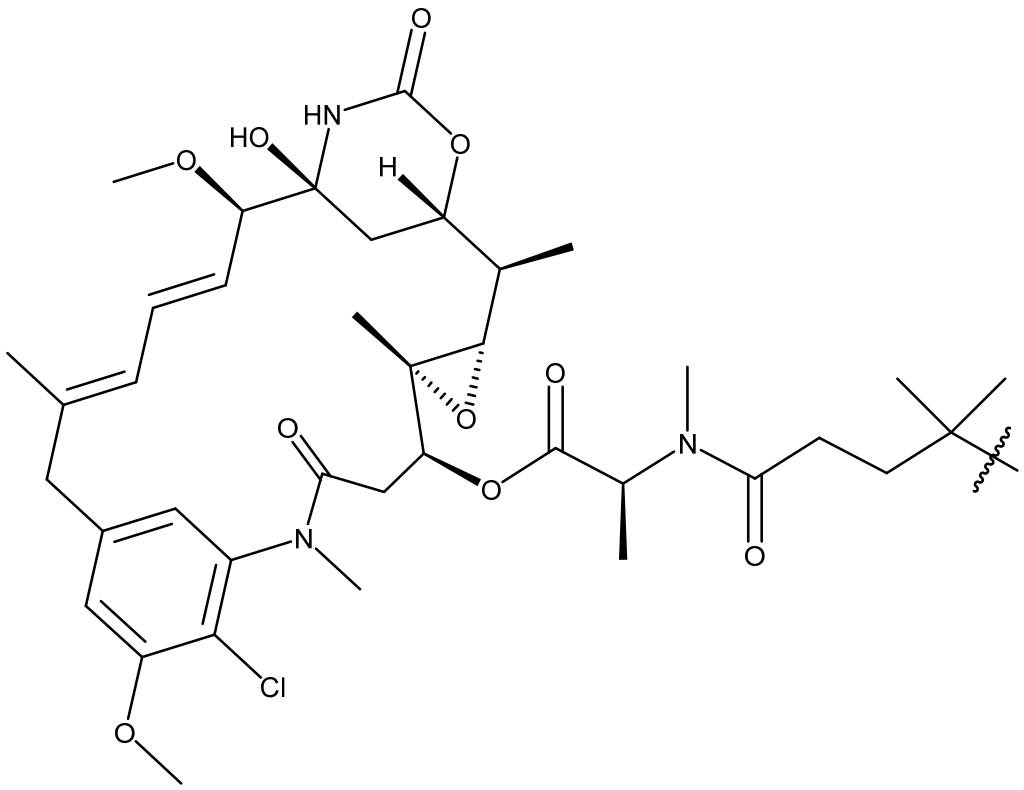
or a pharmaceutically acceptable salt thereof, wherein:

R^1 is a peptide capable of selectively delivering R^2L- across a cell membrane having an acidic or hypoxic mantle;

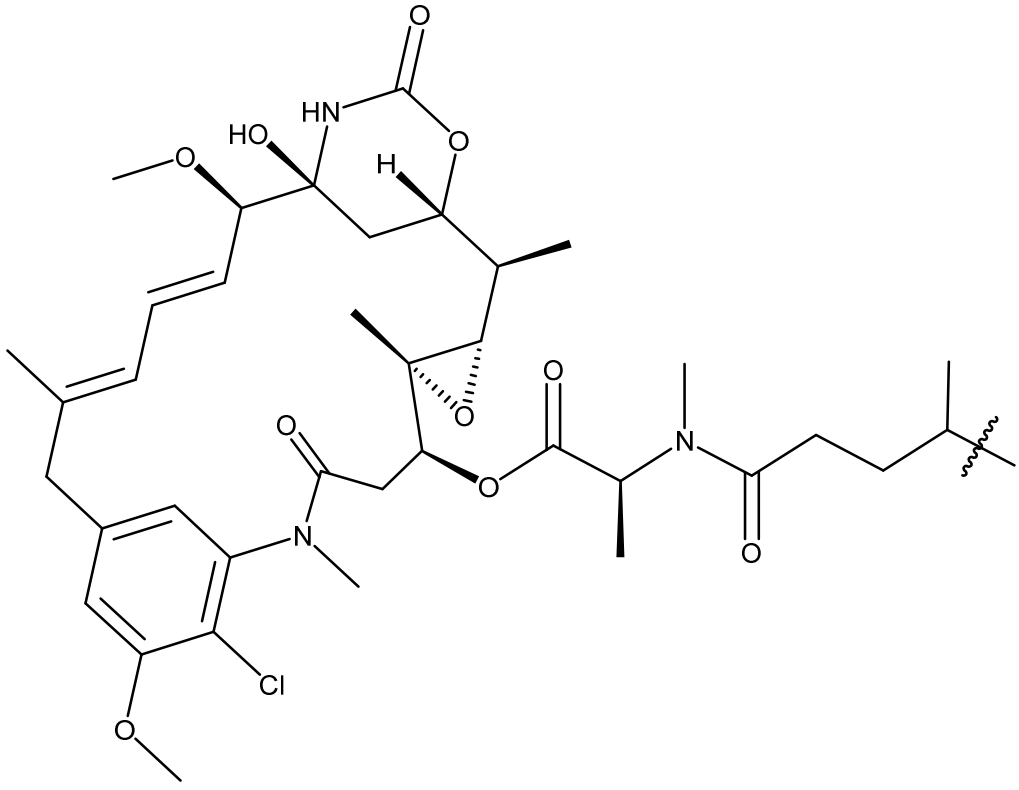
R^2 is selected from the group consisting of:



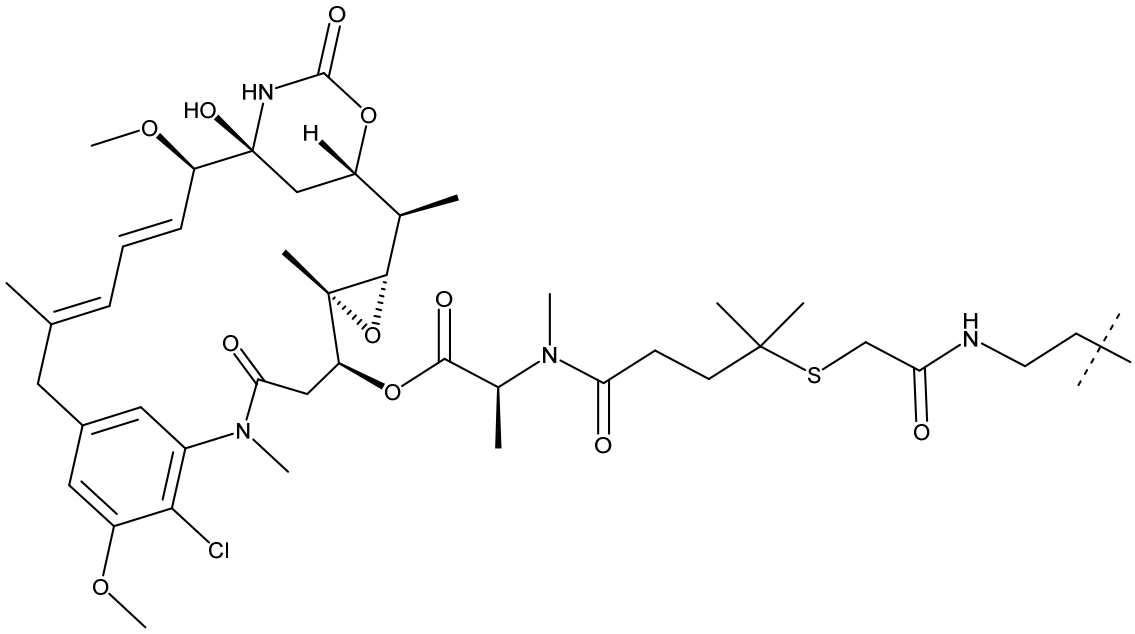
,


O
HN
HO
O
H
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O
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N
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N
Cl
O

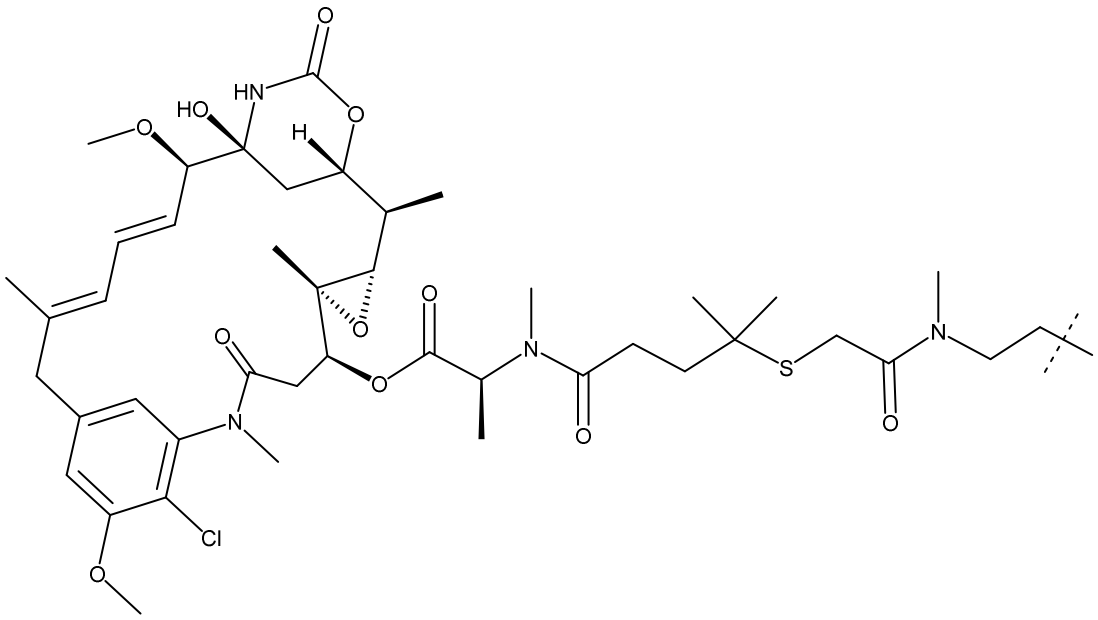
,


O
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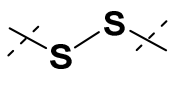


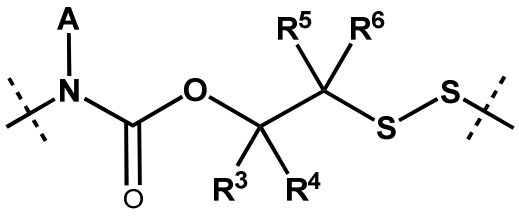
, and



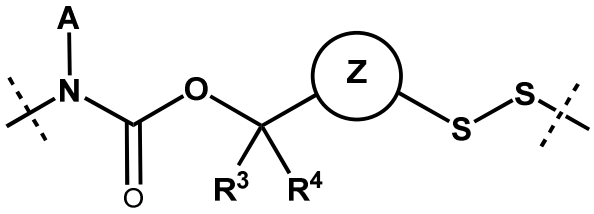
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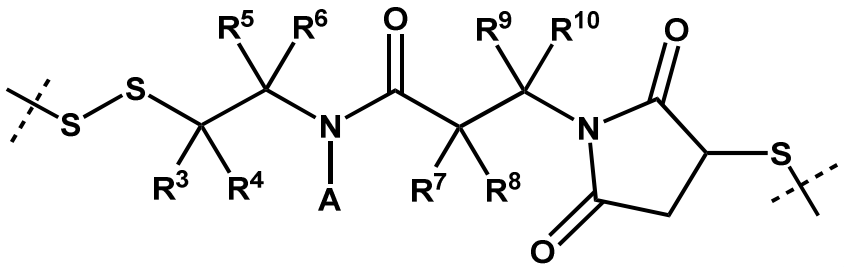
L is a group selected from:


,

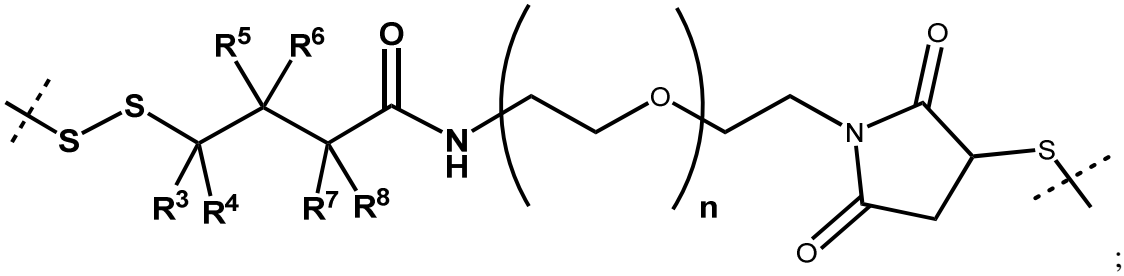


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, and



;

wherein

R^3, R^4, R^5, R^6, R^7, R^8, R^9, and R^{10} are each independently selected from H, C_{1-4} alkyl, C_{1-4} alkenyl, C_{6-10} aryl, C_{3-10} cycloalkyl, 5-10 membered heteroaryl, 4-10 membered heterocycloalkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$, wherein said C_{1-4} alkyl, C_{1-4} alkenyl, C_{6-10} aryl, and 5-10 membered heteroaryl are each optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$,

$C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^3 and R^4 together with the carbon atom to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^3 and R^5 together with the carbon atoms to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^4 and R^6 together with the carbon atoms to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^5 and R^6 together with the carbon atom to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^7 and R^8 together with the carbon atom to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^7 and R^9 together with the carbon atoms to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$,

$C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^8 and R^{10} together with the carbon atoms to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^9 and R^{10} together with the carbon atoms to which they are attached form a C_{3-14} cycloalkyl group or 4-14 membered heterocycloalkyl group, each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

Z is C_{6-10} aryl or 5-10 membered heteroaryl; wherein the 5-10 membered heteroaryl has at least one ring-forming carbon atom and 1, 2, 3, or 4 ring-forming heteroatoms independently selected from N, O, and S, wherein the C_{6-10} aryl and 5-10 membered heteroaryl are each optionally substituted with 1, 2, or 3 substituents independently selected from C_{1-4} alkyl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

A is H or C_{1-4} alkyl;

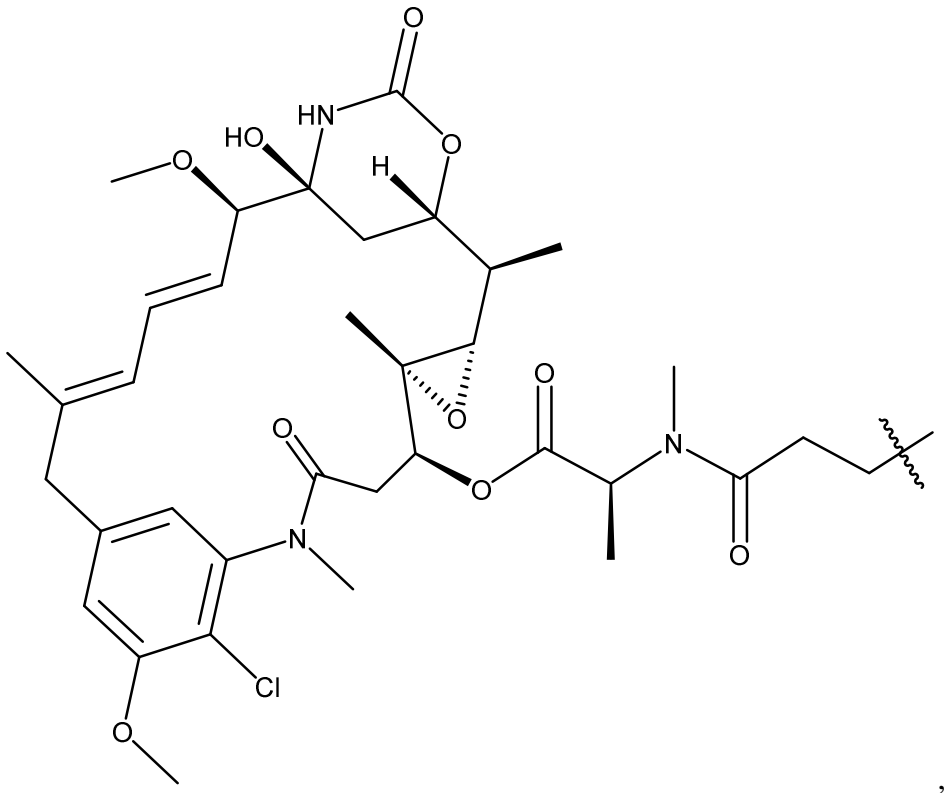
R^{a1}, R^{b1}, R^{c1}, and R^{d1} are each independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} haloalkyl, OH, CN, NO_2, and CO_2CH_3; wherein said C_{1-6} alkyl and C_{2-6} alkenyl are each optionally substituted with OH, CN, NO_2, or CO_2CH; and

n is 0, 1, or 2.

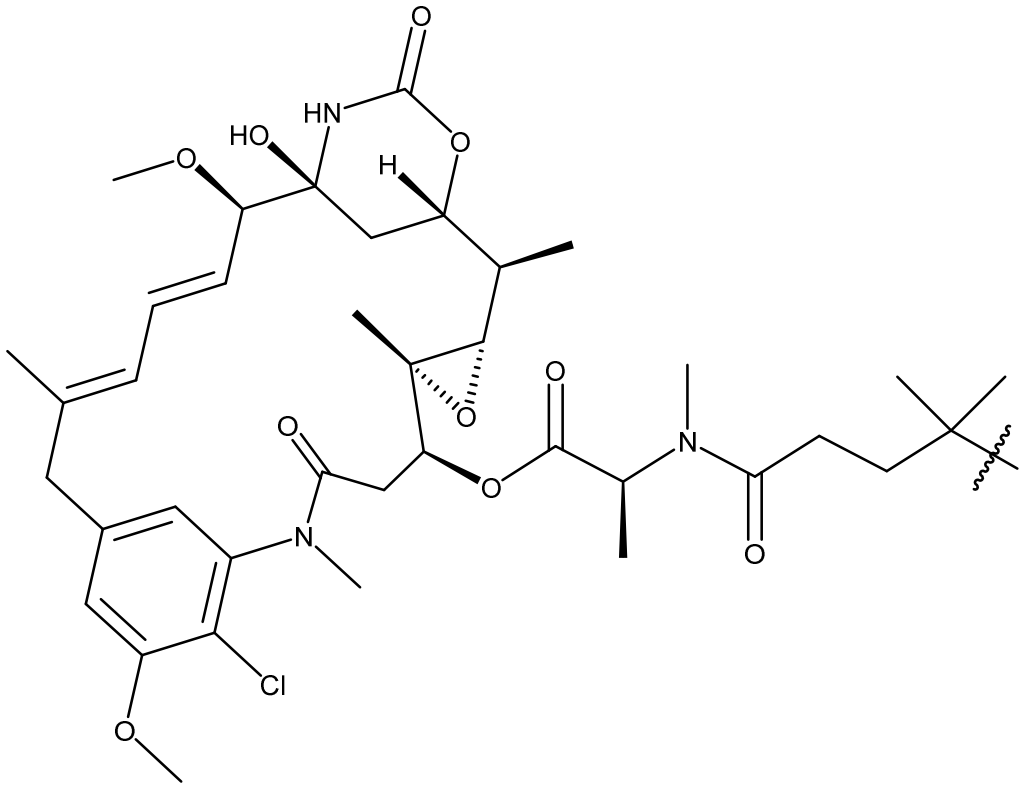
2. The compound of claim 1, or a pharmaceutically acceptable salt thereof, wherein:

R^1 is a peptide capable of selectively delivering R^2L- across a cell membrane having an acidic or hypoxic mantle;

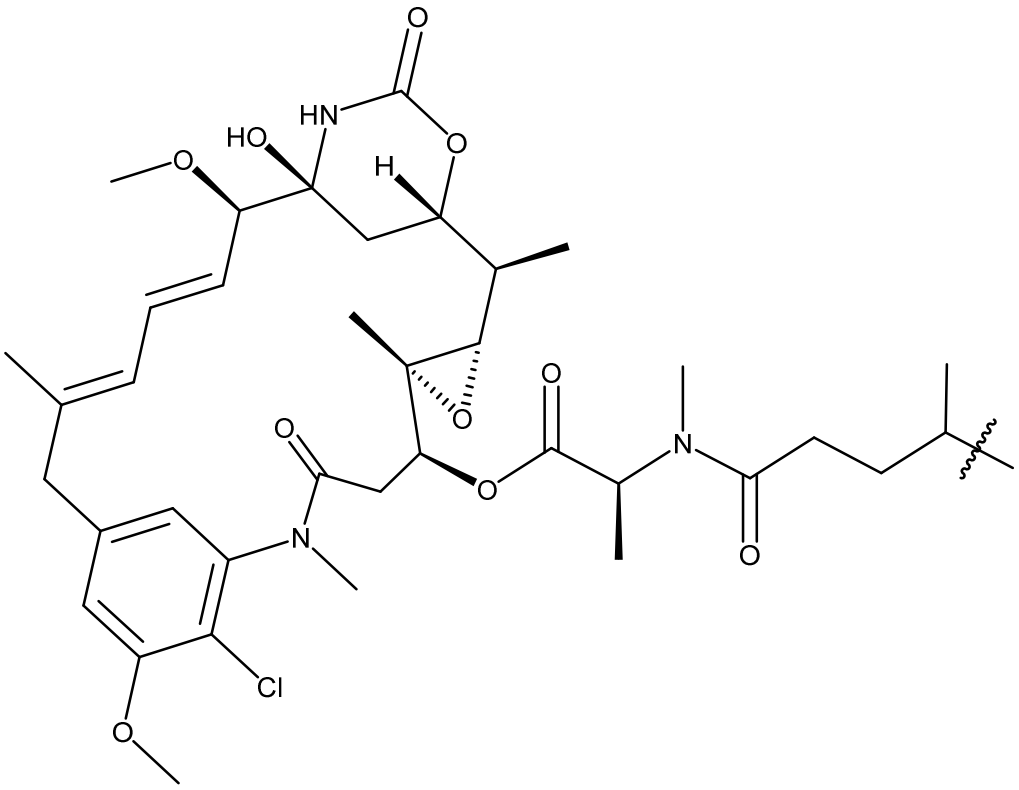
R^2 is selected from the group consisting of:



,

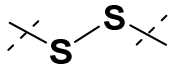


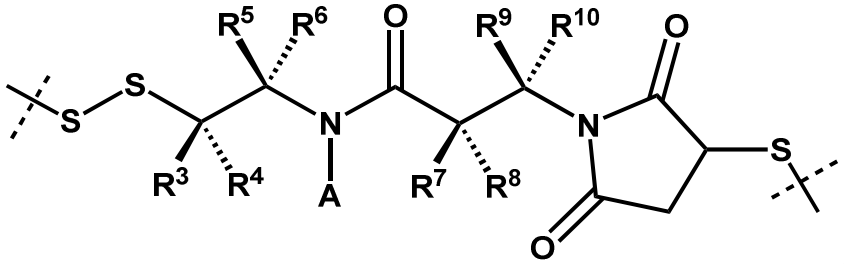
, and

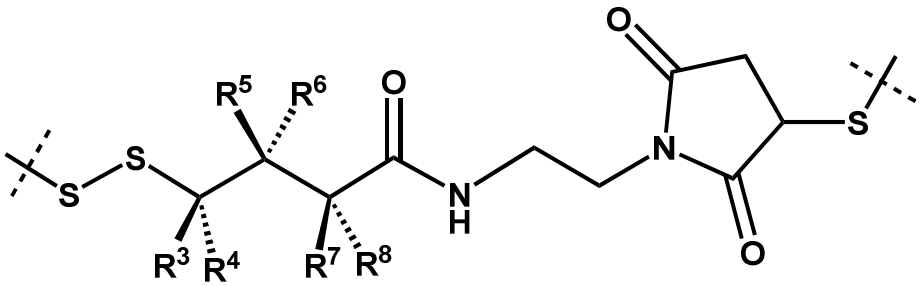


;

L is a group selected from:

,

, and

; wherein

R^3, R^4, R^5, R^6, R^7, R^8, R^9, and R^{10} are each independently selected from H, C_{1-4} alkyl, C_{1-4} alkenyl, C_{6-10} aryl, 5-10 membered heteroaryl, halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$, wherein said C_{1-4} alkyl, C_{1-4} alkenyl, C_{6-10} aryl, and 5-10 membered heteroaryl are each optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^3 and R^4 together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^3 and R^5 together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^4 and R^6 together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^5 and R^6 together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^7 and R^8 together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^7 and R^9 together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^8 and R^{10} together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

or R^9 and R^{10} together with the carbon atom to which they are attached form an C_{3-7} cycloalkyl group optionally substituted with 1, 2, or 3 substituents independently selected from halo, CN, NO_2, OR^{a1}, SR^{a1}, $C(O)R^{b1}$, $C(O)NR^{c1}R^{d1}$, $C(O)OR^{a1}$, $OC(O)R^{b1}$, $OC(O)NR^{c1}R^{d1}$, $NR^{c1}R^{d1}$, $NR^{c1}C(O)R^{b1}$, $NR^{c1}C(O)OR^{a1}$, and $NR^{c1}C(O)NR^{c1}R^{d1}$;

A is H or C_{1-4} alkyl; and

R^{a1}, R^{b1}, R^{c1}, and R^{d1} are each independently selected from H, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{1-6} haloalkyl, OH, CN, NO_2, and CO_2CH_3; wherein said C_{1-6} alkyl and C_{2-6} alkenyl are each optionally substituted with OH, CN, NO_2, or CO_2CH.

3. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide capable of selectively delivering R^2L- across a cell membrane having an acidic or hypoxic mantle having a pH less than about 6.0.

4. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide comprising at least one of the following sequences:

ADDQNPWRAYLDLLFPTDTLLLDLLWCG (SEQ ID NO. 1; Pv1),

AEQNPIYWARYADWLFTTPLLLLDLALLVDADECG (SEQ ID NO. 2; Pv2), and

ADDQNPWRAYLDLLFPTDTLLLDLLWDADECG (SEQ ID NO. 3; Pv3); and

AAEQNPIYWWARYADWLFTTPLLLLDLALLVDADEGTCG (SEQ ID No. 6; Pv6);

wherein R^1 is attached to L through a cysteine residue of R^1.

5. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide comprising at least the following sequence:

ADDQNPWRAYLDLLFPTDTLLLDLLWCG (SEQ ID NO. 1; Pv1).

6. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide comprising at least the following sequence:

AEQNPIYWARYADWLFTTPLLLLDLALLVDADECG (SEQ ID NO. 2; Pv2).

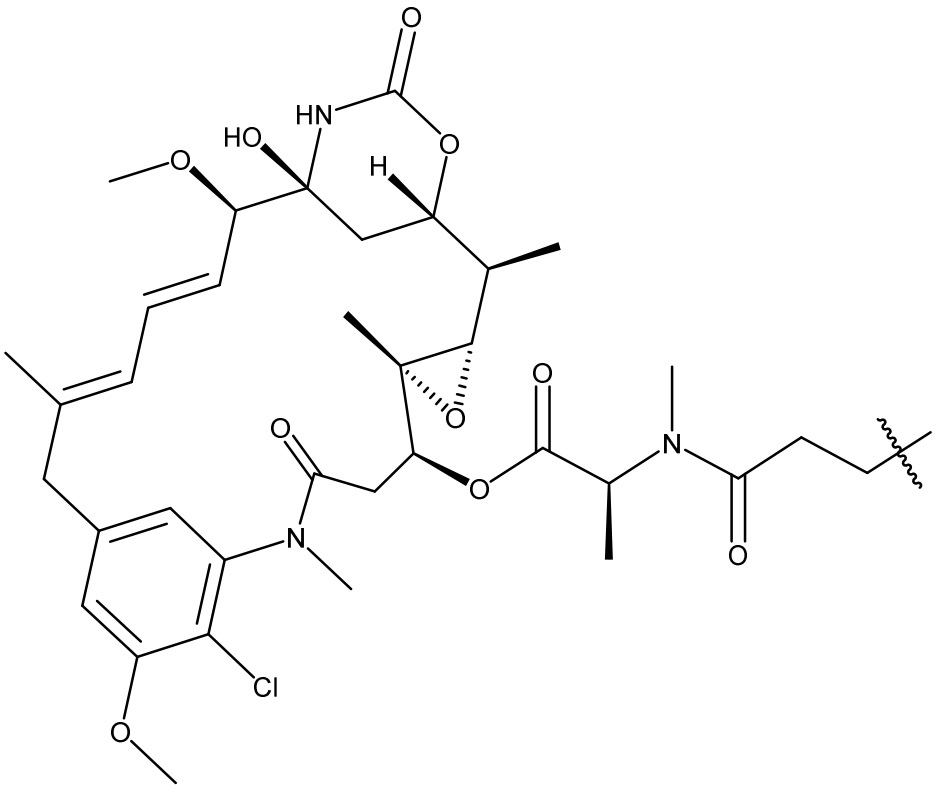
7. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide comprising at least the following sequence:

ADDQNPWRAYLDLLFPTDTLLLDLLWDADECG (SEQ ID NO. 3; Pv3).

8. The compound of claim 1 or 2, or a pharmaceutically acceptable salt thereof, wherein R^1 is a peptide comprising at least the following sequence:

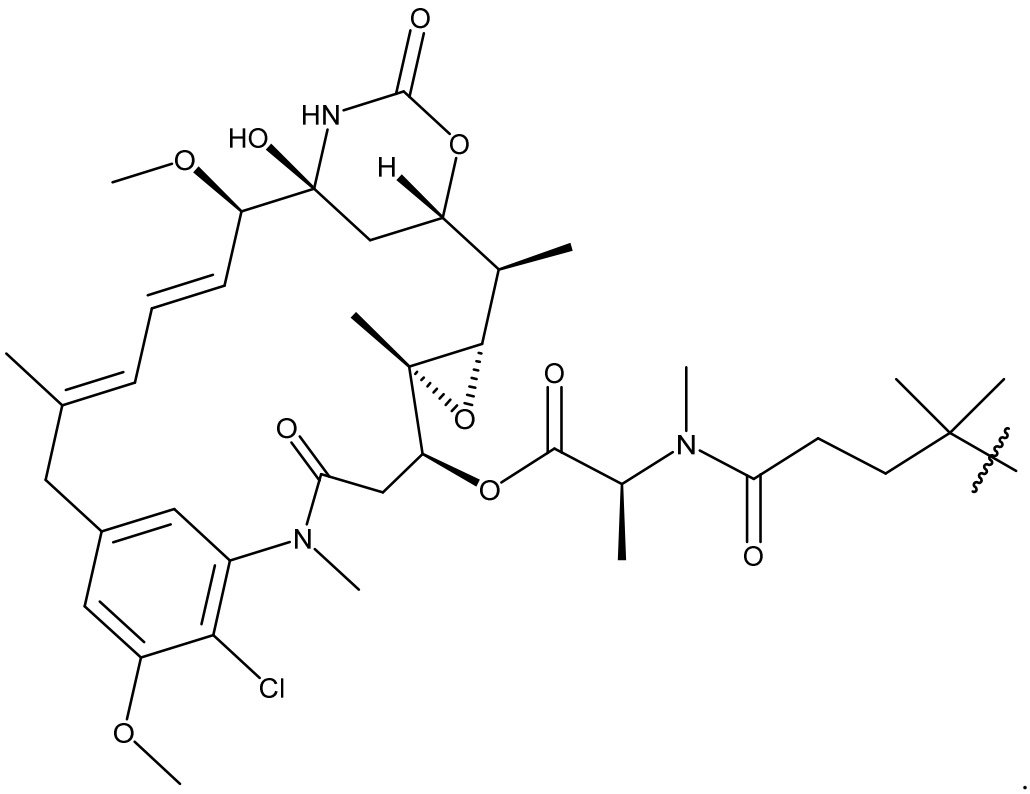
AAEQNPIYWWARYADWLFTTPLLLLDLALLVDADEGTCG (SEQ ID NO. 6; Pv6).

9. The compound of any one of claims 1-8, or a pharmaceutically acceptable salt thereof, wherein R^2 is:

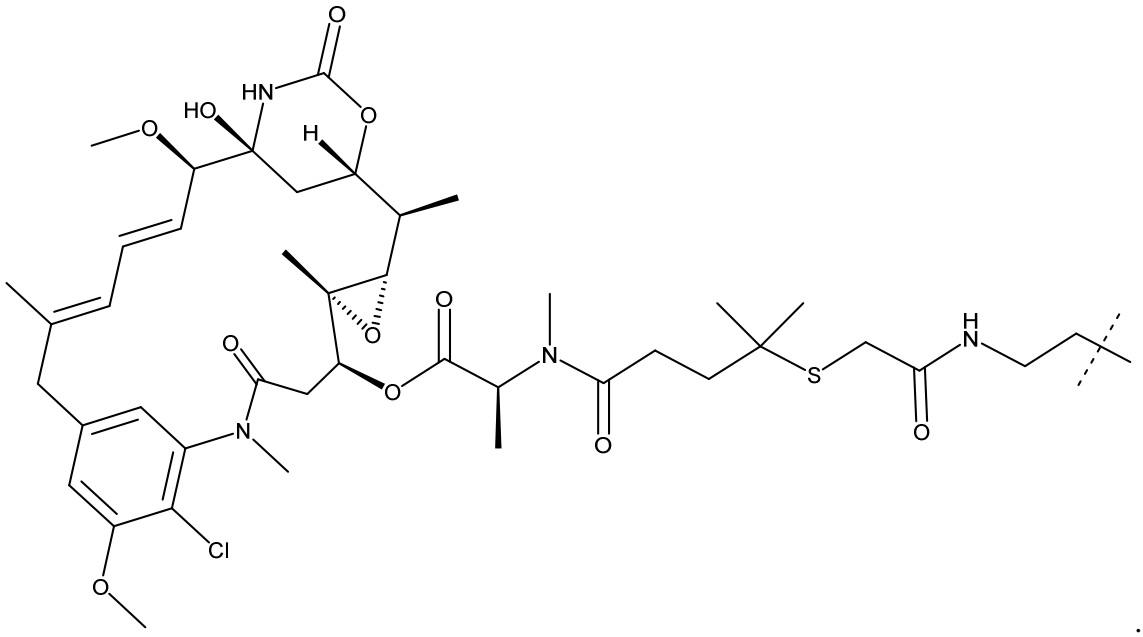


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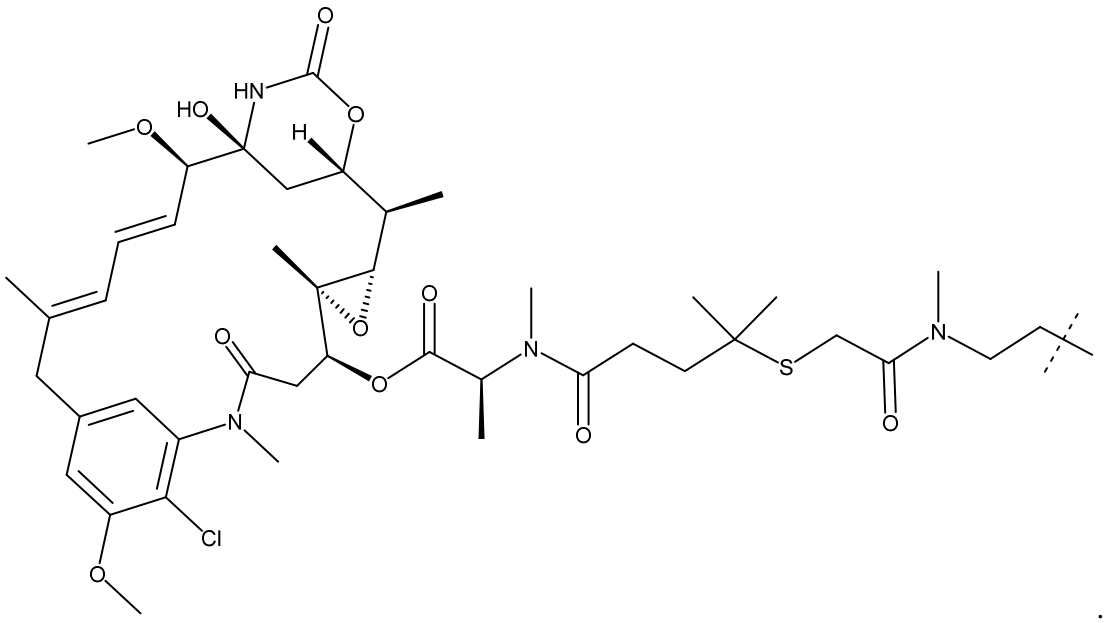
10. The compound of any one of claims 1-8, or a pharmaceutically acceptable salt thereof, wherein R^2 is:



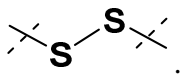
11. The compound of any one of claims 1-8, or a pharmaceutically acceptable salt thereof, wherein R^2 is:



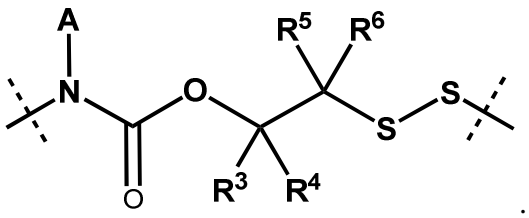
12. The compound of any one of claims 1-8, or a pharmaceutically acceptable salt thereof, wherein R^2 is:



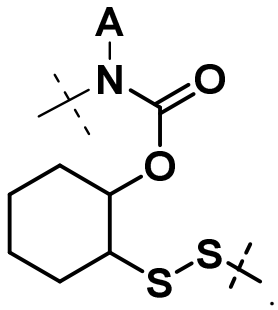
13. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein L is:



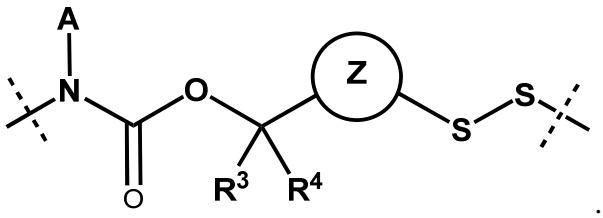
14. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein L is:



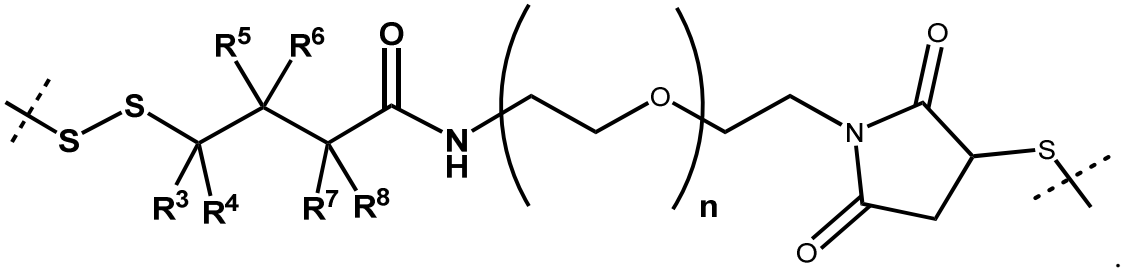
15. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein L is:



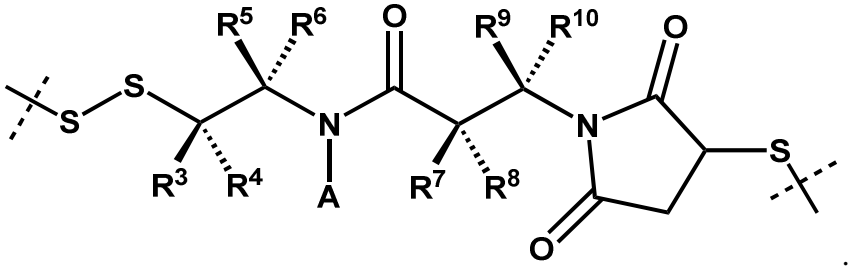
16. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein L is:



17. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein L is:



18. The compound of any one of claims 1-12, or a pharmaceutically acceptable salt thereof, wherein L is:



19. The compound of any one of claims 1-18, or a pharmaceutically acceptable salt thereof, wherein R^3, R^4, R^5, R^6, R^7, R^8, R^9, and R^{10} are each independently selected from H and C_{1-4} alkyl.

20. The compound of any one of claims 1-18, or a pharmaceutically acceptable salt thereof, wherein R^3, R^4, R^5, R^6, R^7, R^8, R^9, and R^{10} are each H.

21. The compound of any one of claims 1-20, or a pharmaceutically acceptable salt thereof, wherein A is H.

22. The compound of any one of claims 1-20, or a pharmaceutically acceptable salt thereof, wherein A is CH_3.

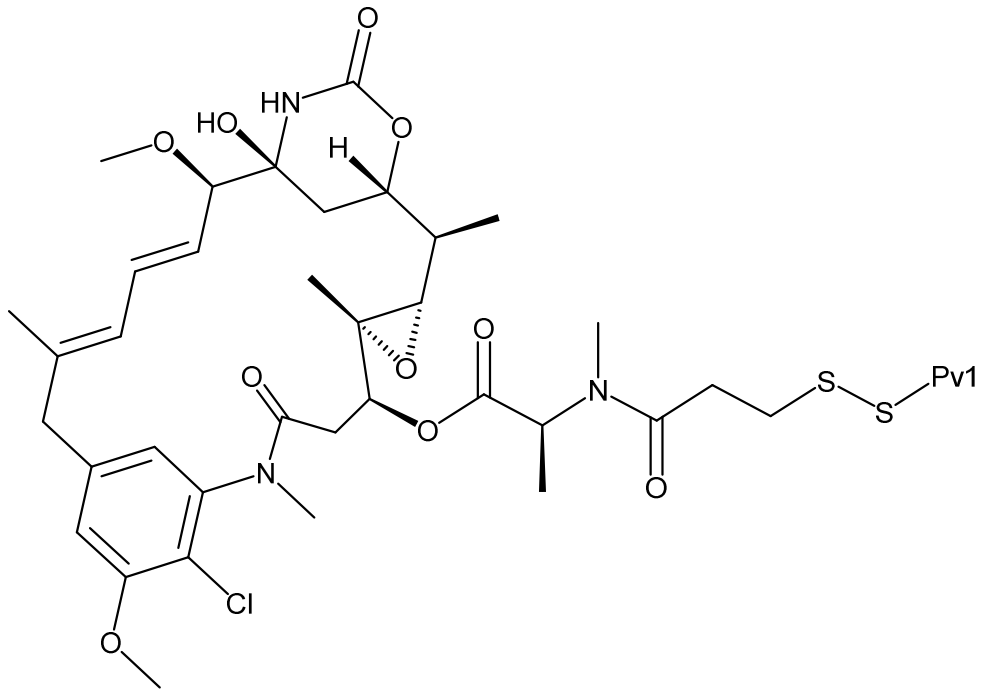
23. The compound of any one of claims 1-22, or a pharmaceutically acceptable salt thereof, wherein Z is phenyl.

24. The compound of any one of claims 1-23, or a pharmaceutically acceptable salt thereof, wherein n is 0.

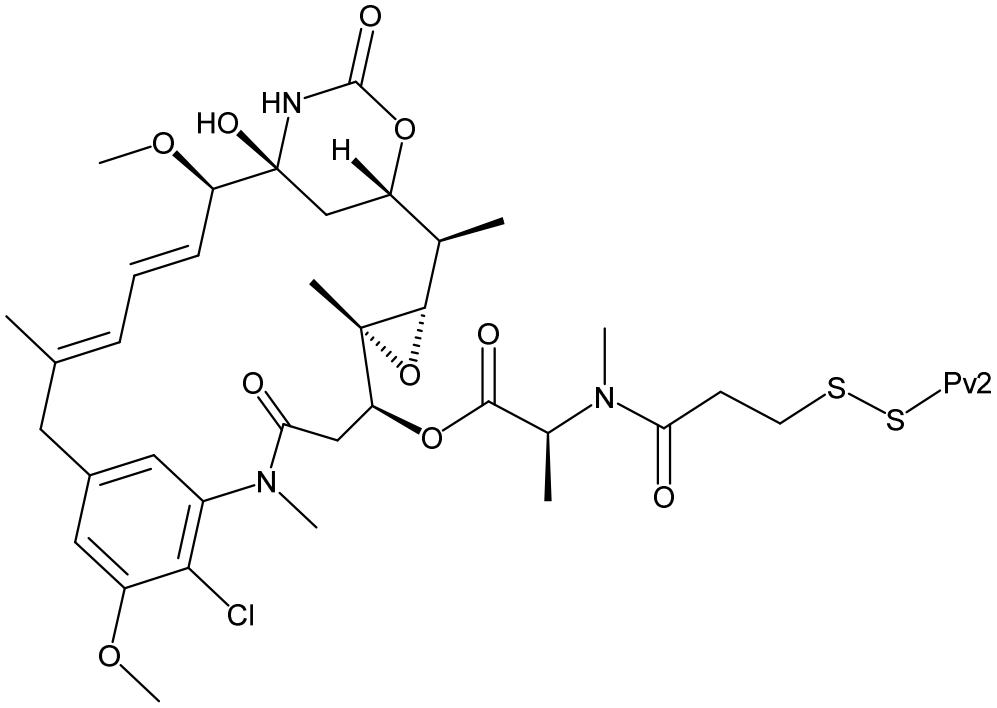
25. The compound of any one of claims 1-23, or a pharmaceutically acceptable salt thereof, wherein n is 1.

26. The compound of any one of claims 1-23, or a pharmaceutically acceptable salt thereof, wherein n is 2.

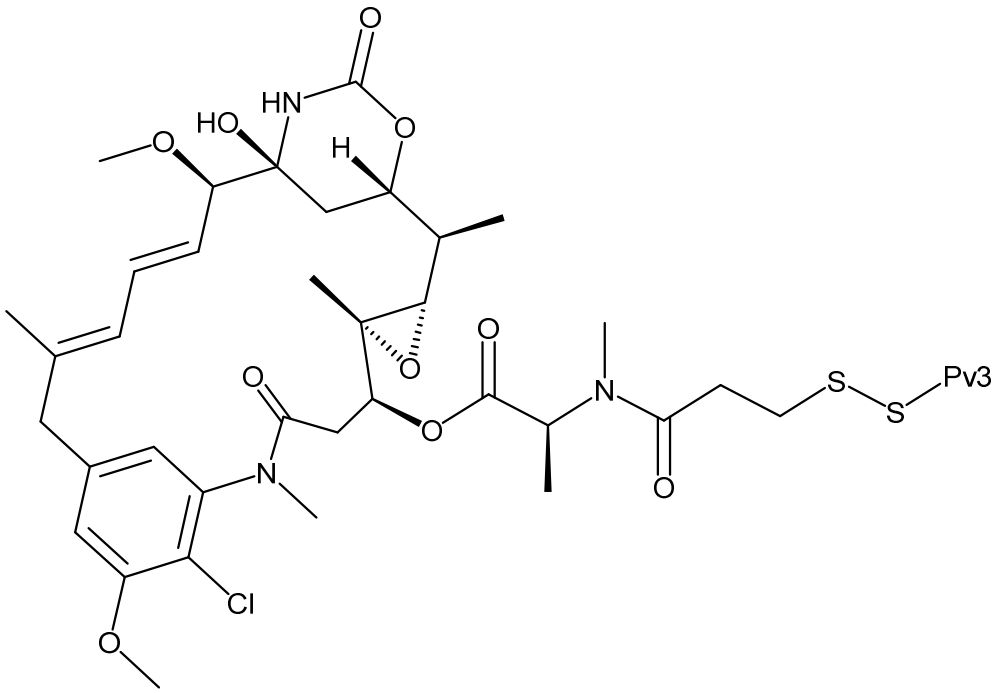
27. The compound of claim 1, selected from:


O
HN
HO
O
O
H
O
O
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N
S
S
Pv1
O
N
Cl
O

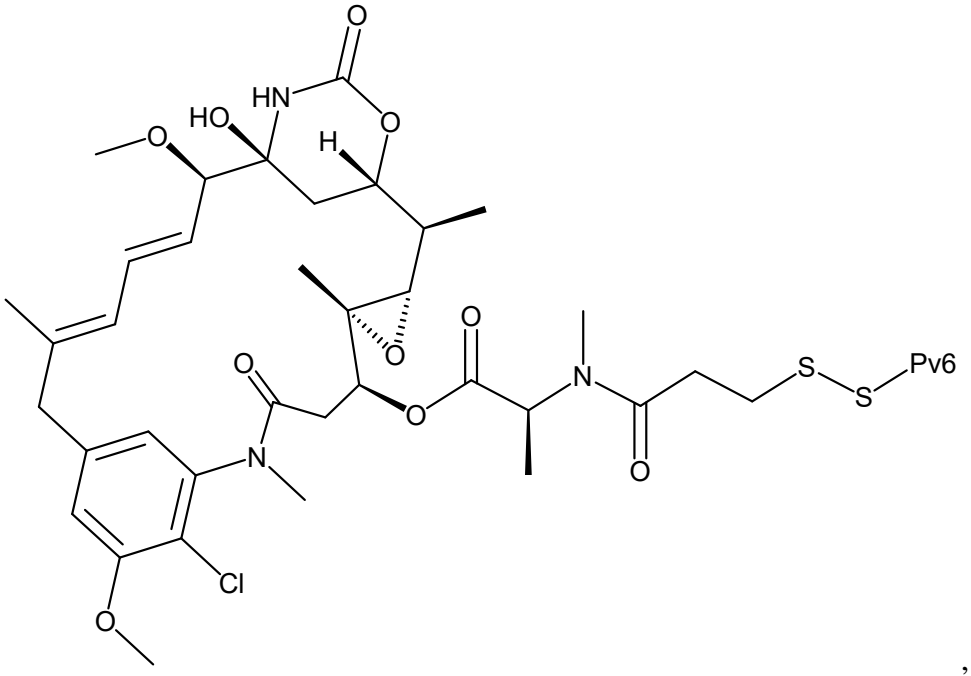
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O
HN
HO
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O
H
O
O
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N
S
S
Pv2
O
N
Cl
O

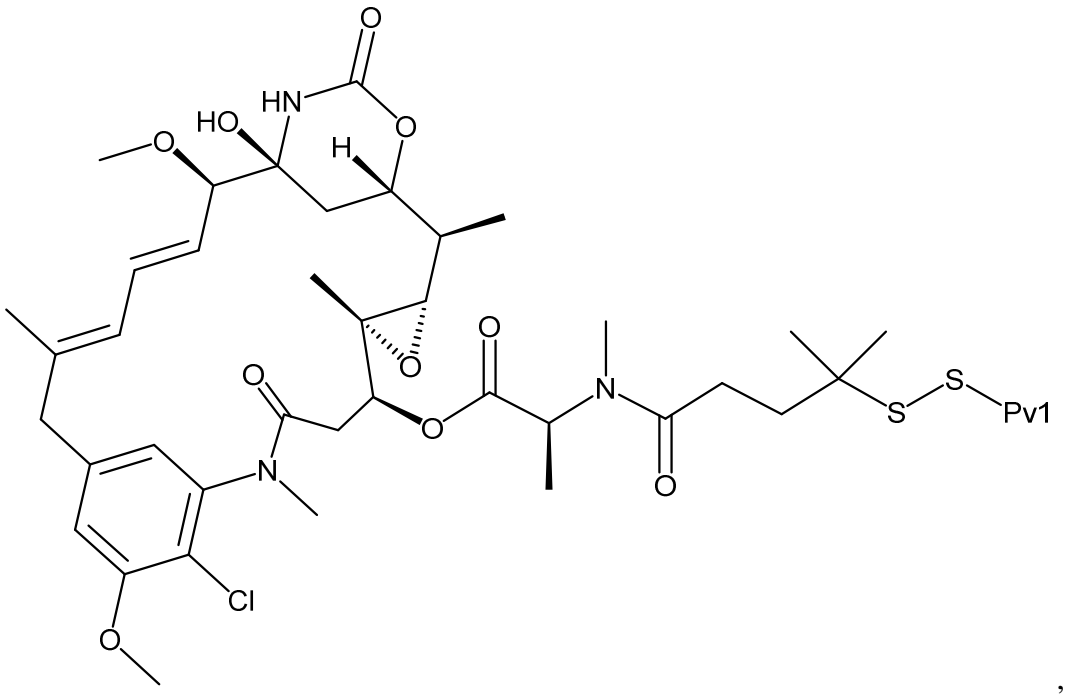
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HN
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S
Pv3
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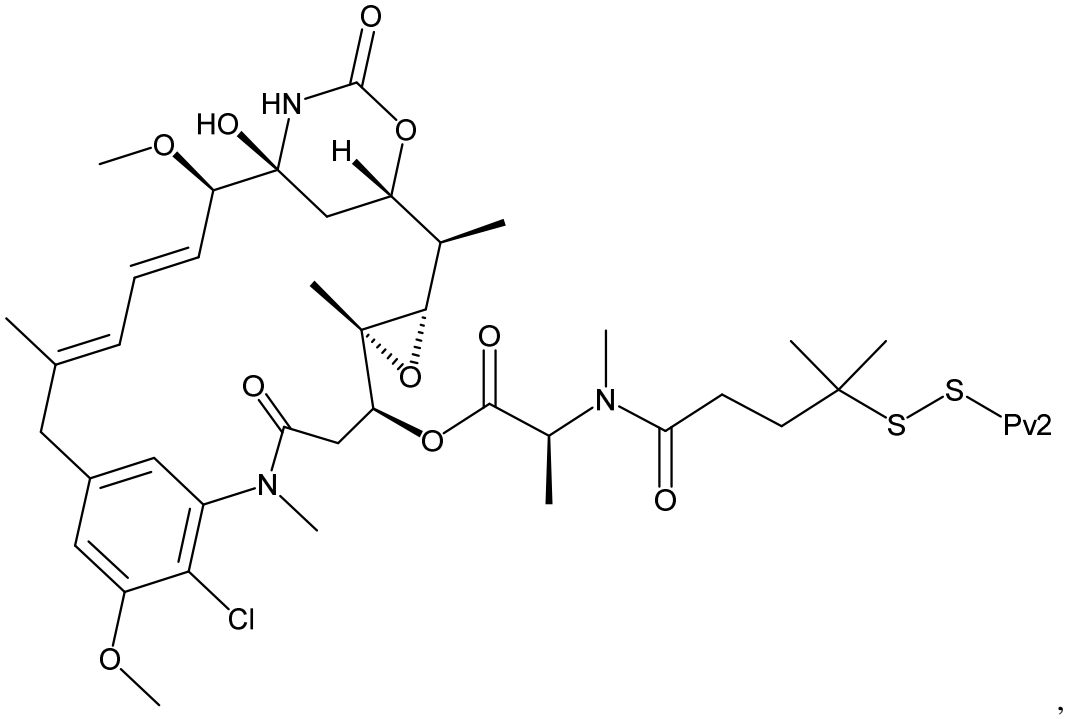
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HO
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S
Pv6
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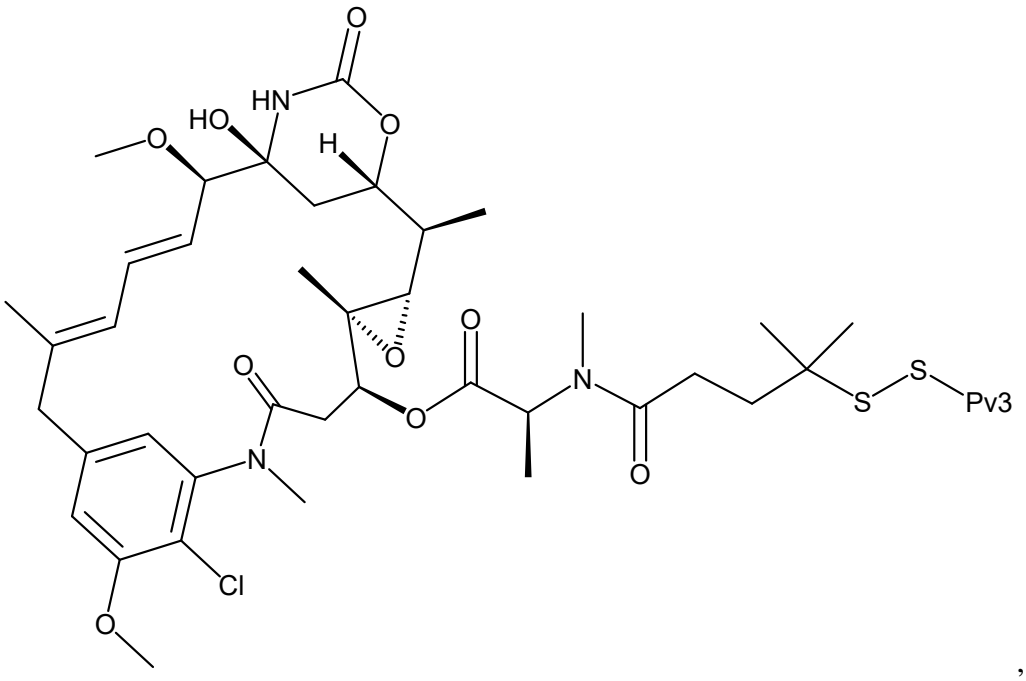
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HO
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S
S
Pv1
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Cl
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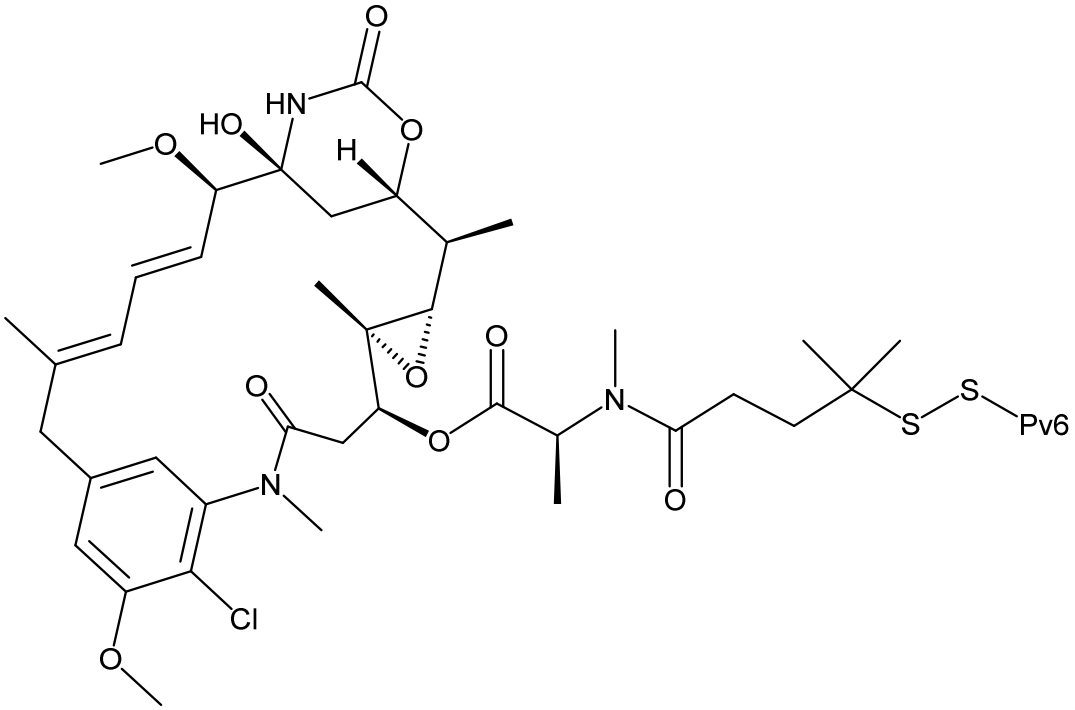
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Pv2
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Cl
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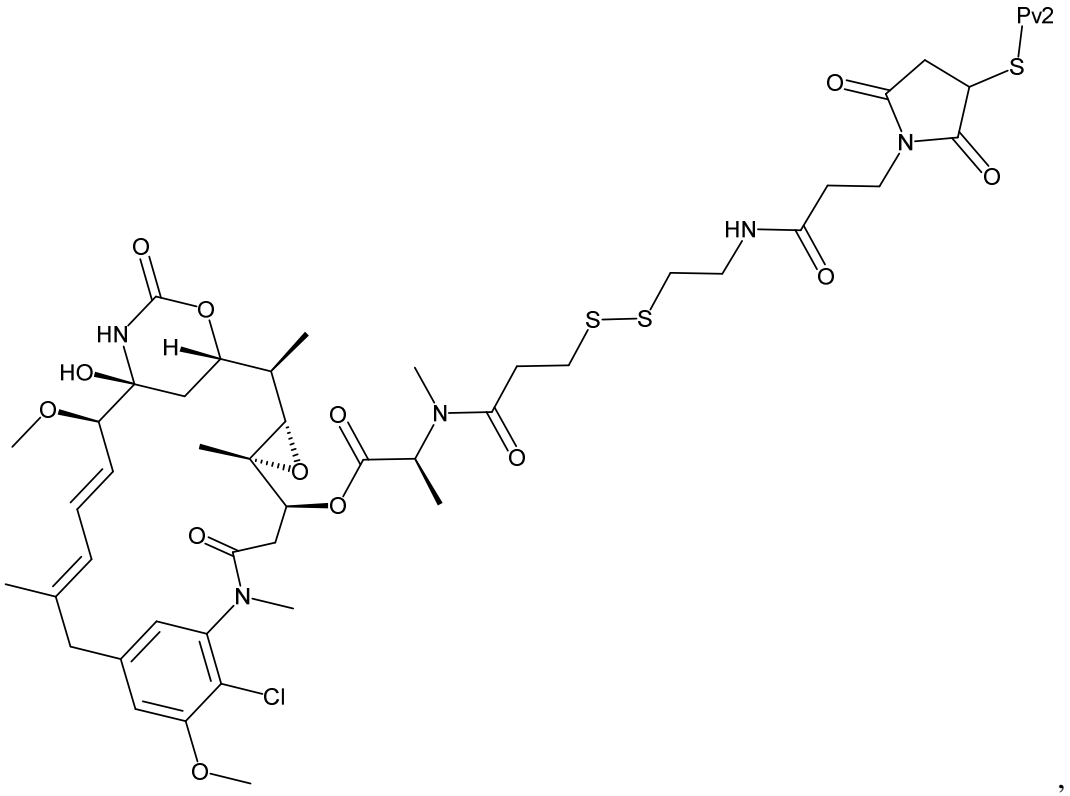
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S
Pv3
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Pv6
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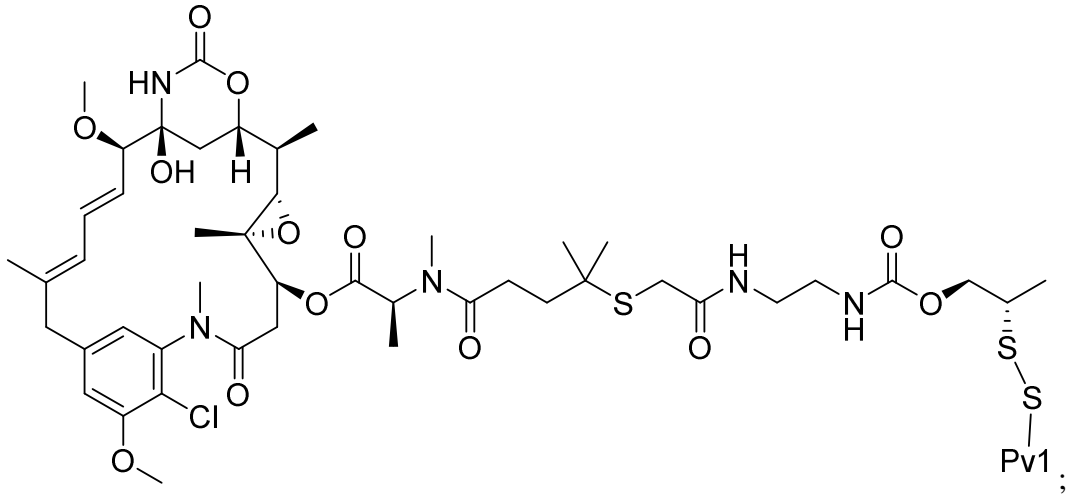
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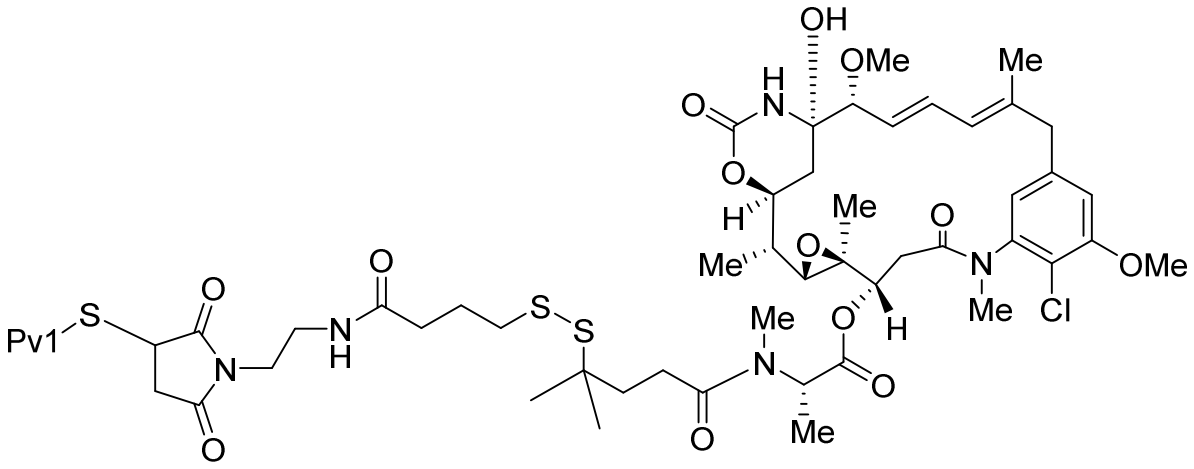
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or a pharmaceutically acceptable salt of any of the aforementioned.

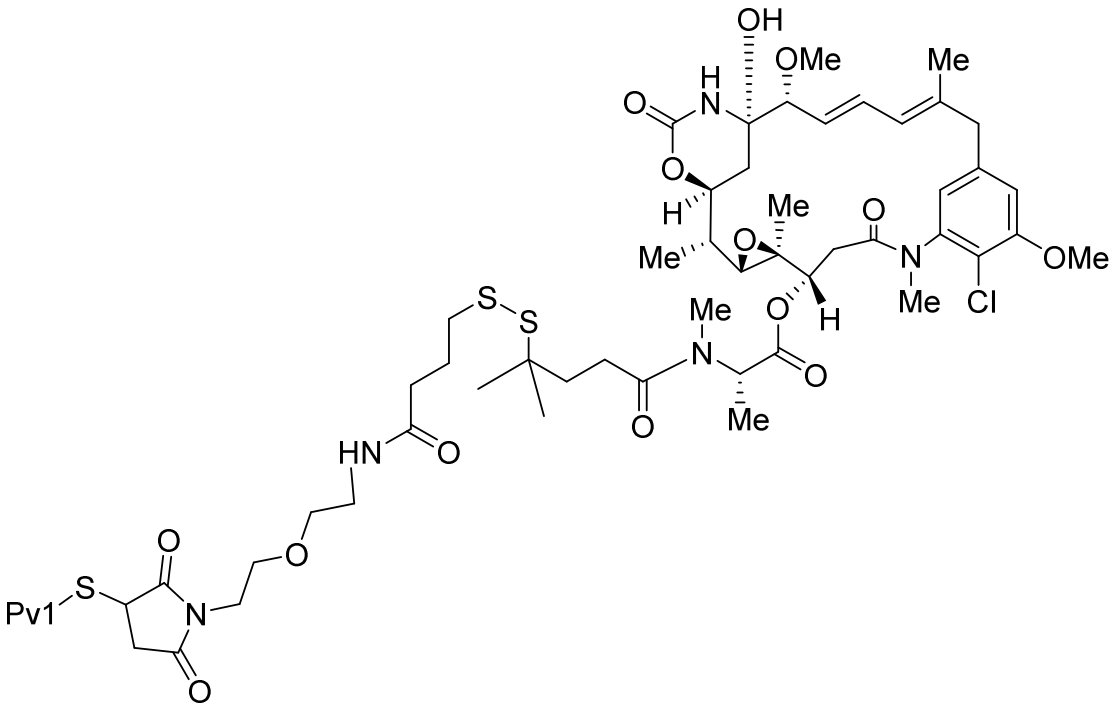
28. The compound of claim 1, selected from:



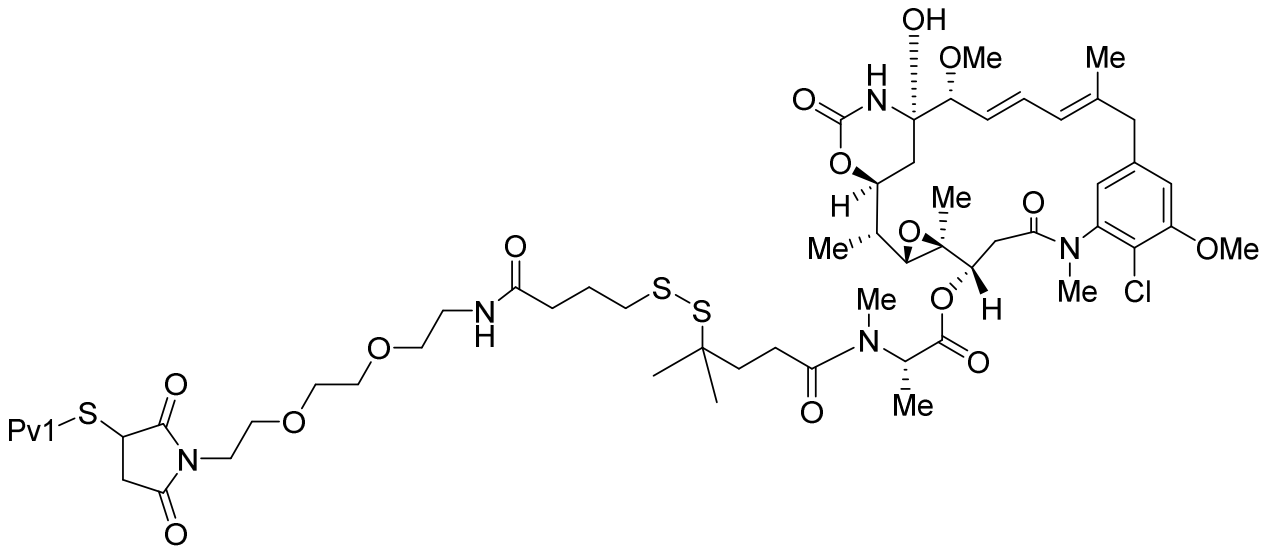
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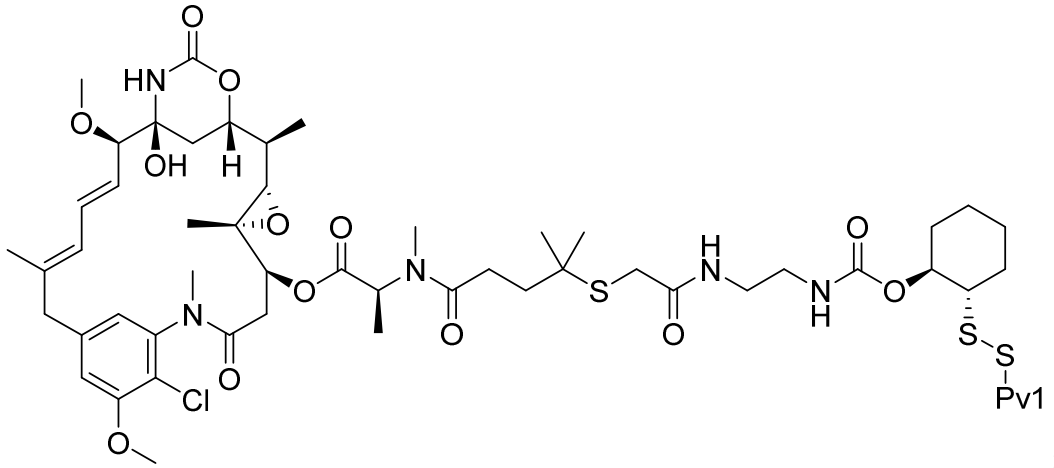
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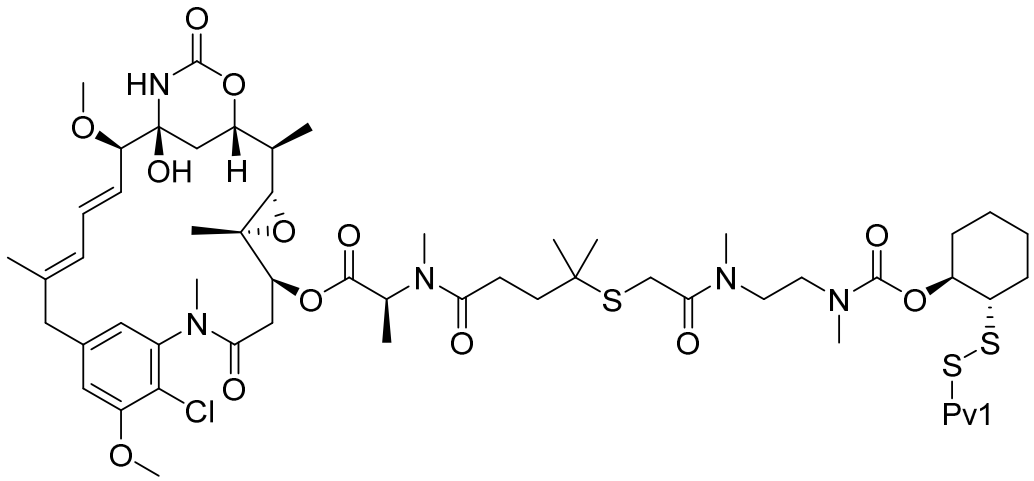
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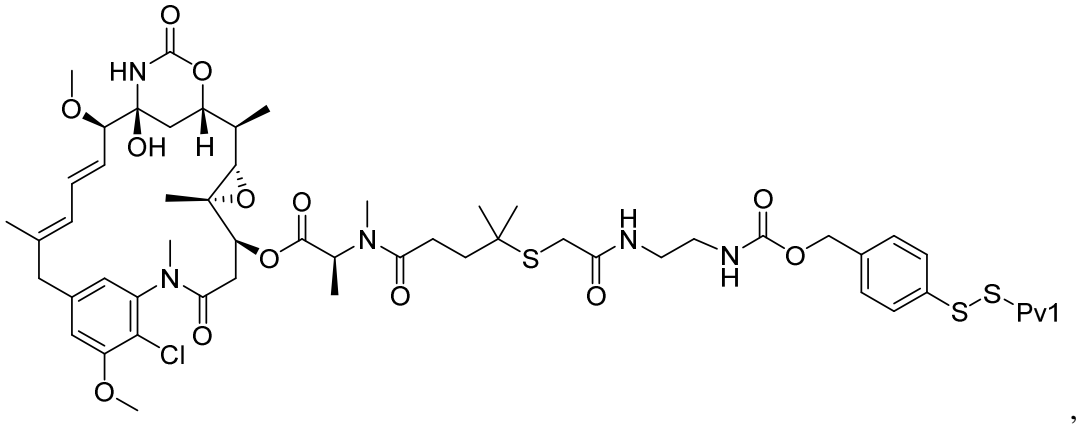
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; and



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or a pharmaceutically acceptable salt of any of the aforementioned.

29. A pharmaceutical composition that comprises a compound of any one of claims 1-28, or a pharmaceutically acceptable salt thereof.

30. Use of a therapeutically effective amount of a compound of any one of claims 1-28, or a pharmaceutically acceptable salt thereof in the manufacture of a medicament for the treatment of~~A method of treating~~ cancer in a patient in need thereof~~ comprising administering to the patient a therapeutically effective amount of a compound of any one of claims 1-28, or a pharmaceutically acceptable salt thereof~~.

31. The ~~method~~use of claim 30, wherein the cancer is selected from bladder cancer, bone cancer, glioma, breast cancer, cervical cancer, colon cancer, colorectal cancer, endometrial cancer, epithelial cancer, esophageal cancer, Ewing's sarcoma, pancreatic cancer, gallbladder cancer, gastric cancer, gastrointestinal tumors, head and neck cancer, intestinal cancers, Kaposi's sarcoma, kidney cancer, laryngeal cancer, liver cancer, lung cancer, melanoma, prostate cancer, rectal cancer, renal clear cell carcinoma, skin cancer, stomach cancer, testicular cancer, thyroid cancer, and uterine cancer.

32. The ~~method~~use of claim 30, wherein the cancer is selected from lung cancer, colorectal cancer, and gastric cancer.