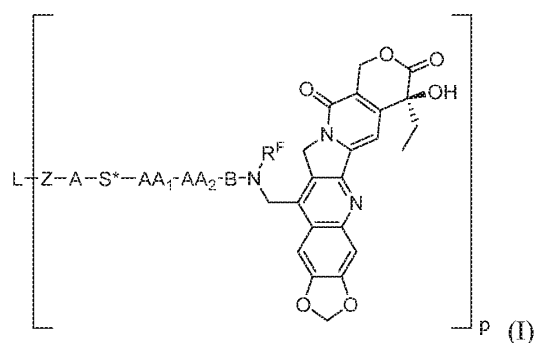


WHAT IS CLAIMED IS:

1. A Camptothecin Conjugate having a formula (I):



or a pharmaceutically acceptable salt thereof, wherein

L is a Ligand Unit;

Z is a Stretcher Unit;

A is a bond or a Connector Unit;

S* is a bond or a Partitioning Agent;

AA₁ is an amino acid;

AA₂ is an amino acid;

B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, D-Ala, Aib, and pAbz;

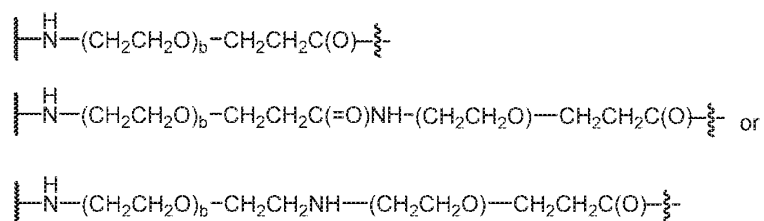
R^F is H or C₁-C₆ alkyl; and

p is from 1 to 16.

2. The Camptothecin Conjugate of claim 1, or a pharmaceutically acceptable salt thereof, wherein AA₁ is Val.

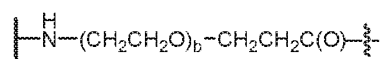
3. The Camptothecin Conjugate of claim 1, or a pharmaceutically acceptable salt thereof, wherein AA₁ is Ala or D-Ala.

4. The Camptothecin Conjugate of any one of claims 1-3, or a pharmaceutically acceptable salt thereof, wherein AA₂ is Lys.
5. The Camptothecin Conjugate of any one of claims 1-3, or a pharmaceutically acceptable salt thereof, wherein AA₂ is Ala or D-Ala.
6. The Camptothecin Conjugate of any one of claims 1-5, or a pharmaceutically acceptable salt thereof, wherein B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, and D-Ala.
7. The Camptothecin Conjugate of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein B is D-Ala.
8. The Camptothecin Conjugate of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein B is Arg, Lys, His, Asp, or Glu.
9. The Camptothecin Conjugate of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein B is Thr or Gln.
10. The Camptothecin Conjugate of any one of claims 1-6, or a pharmaceutically acceptable salt thereof, wherein B is Phe, Val, Leu, Met, or Trp.
11. The Camptothecin Conjugate of any one of claims 1-10, or a pharmaceutically acceptable salt thereof, wherein R^F is H.
12. The Camptothecin Conjugate of any one of claims 1-11, or a pharmaceutically acceptable salt thereof, wherein S* is a PEG Unit.
13. The Camptothecin Conjugate of claim 12, or a pharmaceutically acceptable salt thereof, wherein the PEG Unit has the formula:



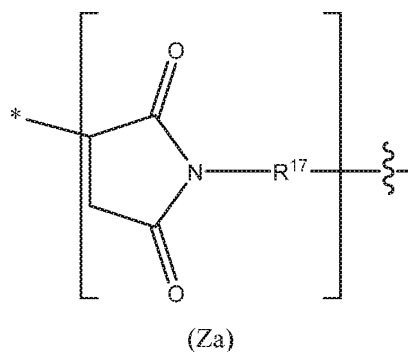
wherein the wavy line on the left indicates the site of attachment to A, the wavy line on the right indicates the site of attachment to AA₁, and b is an integer from 2 to 20, or is 2, 4, 8, or 12.

14. The Camptothecin Conjugate of claim 13, or a pharmaceutically acceptable salt thereof, wherein the PEG Unit has the formula:



wherein the wavy line on the left indicates the site of attachment to A, the wavy line on the right indicates the site of attachment to AA₁, and b is an integer from 2 to 20, or is 2, 4, 8, or 12.

15. The Camptothecin Conjugate of any one of claims 1 to 14, or a pharmaceutically acceptable salt thereof, wherein Z has Formula Za:



wherein the asterisk indicates the position of attachment to the Ligand Unit (L);

the wavy line indicates the position of attachment to the Connector Unit (A); and

R¹⁷ is -C₁-C₁₀ alkylene-, C₁-C₁₀ heteroalkylene-, -C₃-C₈ carbocyclo-, -O-(C₁-C₈ alkylene)-, -arylene-, -C₁-C₁₀ alkylene-arylene-, -arylene-C₁-C₁₀ alkylene-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-, -C₃-C₈ heterocyclo-, -C₁-C₁₀ alkylene-(C₃-

C₈ heterocyclo)-, -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-, -C₁-C₁₀ alkylene-C(=O)-, C₁-C₁₀ heteroalkylene-C(=O)-, -C₃-C₈ carbocyclo-C(=O)-, -O-(C₁-C₈ alkylene)-C(=O)-, -arylene-C(=O)-, -C₁-C₁₀ alkylene-arylene-C(=O)-, -arylene-C₁-C₁₀ alkylene-C(=O)-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-C(=O)-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-C(=O)-, -C₃-C₈ heterocyclo-C(=O)-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-C(=O)-, -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-C(=O)-, -C₁-C₁₀ alkylene-NH-, C₁-C₁₀ heteroalkylene-NH-, -C₃-C₈ carbocyclo-NH-, -O-(C₁-C₈ alkylene)-NH-, -arylene-NH-, -C₁-C₁₀ alkylene-arylene-NH-, -arylene-C₁-C₁₀ alkylene-NH-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-NH-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-NH-, -C₃-C₈ heterocyclo-NH-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-NH-, -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-NH-, -C₁-C₁₀ alkylene-S-, C₁-C₁₀ heteroalkylene-S-, -C₃-C₈ carbocyclo-S-, -O-(C₁-C₈ alkylene)-S-, -arylene-S-, -C₁-C₁₀ alkylene-arylene-S-, -arylene-C₁-C₁₀ alkylene-S-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-S-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-S-, -C₃-C₈ heterocyclo-S-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-S-, or -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-S-;

wherein R¹⁷ is optionally substituted with a Basic Unit (BU) that is -(CH₂)_xNH₂, -

(CH₂)_xNHR^a, or -(CH₂)_xNR^a₂;

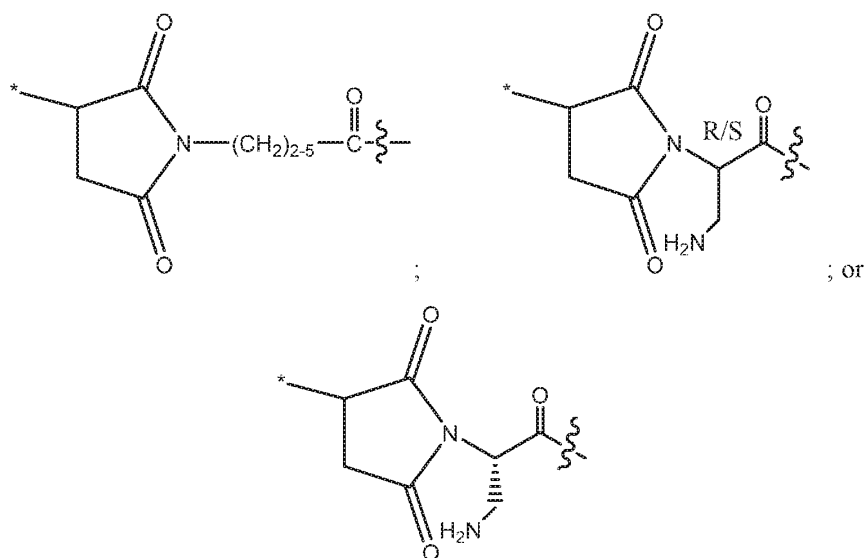
wherein x is an integer of from 1-4; and

each R^a is independently selected from the group consisting of C₁₋₆ alkyl and C₁₋₆

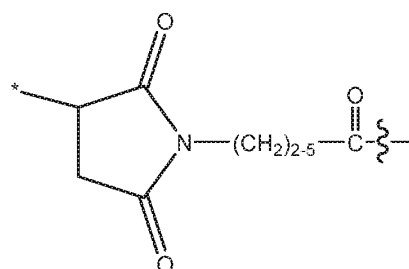
haloalkyl, or two R^a groups are combined with the nitrogen to which they are attached to form a 4- to 6-membered heterocycloalkyl ring, or an azetidiny, pyrrolidinyl or piperidinyl group.

16. The Camptothecin Conjugate of claim 15, or a pharmaceutically acceptable salt thereof, wherein R¹⁷ is -(C₁-C₅)alkylene-C(=O)-, wherein the alkylene portion of R¹⁷ is optionally substituted with the Basic Unit (BU).

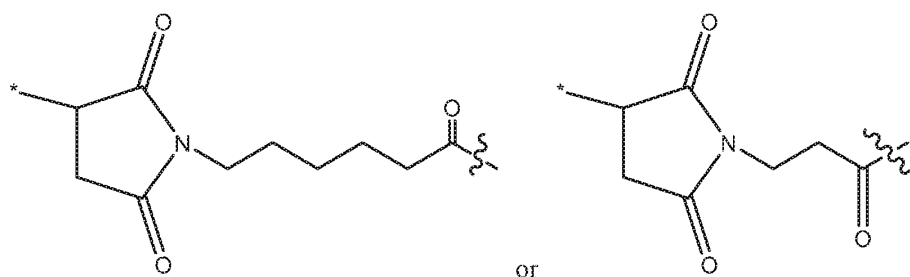
17. The Camptothecin Conjugate of claim 15 or claim 16, or a pharmaceutically acceptable salt thereof, wherein Z is:



18. The Camptothecin Conjugate of claim 17, or a pharmaceutically acceptable salt thereof, wherein Z is:

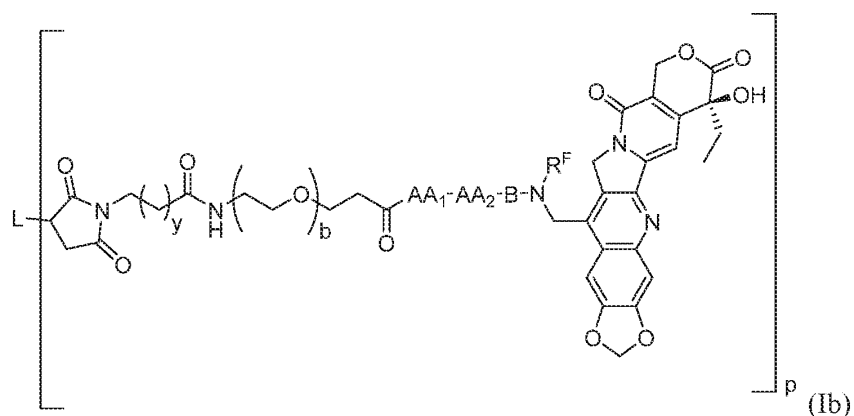


19. The Camptothecin Conjugate of claim 17, or a pharmaceutically acceptable salt thereof, wherein Z is:



20. The Camptothecin Conjugate of any one of claims 1 to 19, or a pharmaceutically acceptable salt thereof, wherein A is a bond.

21. The Camptothecin Conjugate of claim 1 having a formula (Ib):



or a pharmaceutically acceptable salt thereof, wherein

L is a Ligand Unit;

AA₁ is an amino acid;

AA₂ is an amino acid;

B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln,

Ala, Phe, Val, Leu, Met, Trp, D-Ala, Aib, and pAbz;

R^F is hydrogen or C₁-C₆ alkyl;

b is an integer from 2 to 20;

y is an integer from 1 to 8, or 1 to 4; or 1 or 4; and
p is from 1 to 16.

22. The Camptothecin Conjugate of claim 21, or a pharmaceutically acceptable salt thereof, wherein y is 1.

23. The Camptothecin Conjugate of claim 21 or 22, or a pharmaceutically acceptable salt thereof, wherein b is 8.

24. The Camptothecin Conjugate of any one of claims 21-23, or a pharmaceutically acceptable salt thereof, wherein AA₁-AA₂ is Val-Lys.

25. The Camptothecin Conjugate of any one of claims 21-24, or a pharmaceutically acceptable salt thereof, wherein B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, and D-Ala.

26. The Camptothecin Conjugate of any one of claims 21-23, or a pharmaceutically acceptable salt thereof, wherein AA₁-AA₂-B is Ala-Ala-D-Ala.

27. The Camptothecin Conjugate of any one of claims 21-26, or a pharmaceutically acceptable salt thereof, wherein R^F is H.

28. The Camptothecin Conjugate of any one of claims 1 to 27, or a pharmaceutically acceptable salt thereof, wherein p is 1 to 16, or is 2 to 8, or is 2, or is 4, or is 8.

29. The Camptothecin Conjugate of any one of claims 1 to 28, or a pharmaceutically acceptable salt thereof, wherein the Ligand Unit is an antibody or an antigen-binding fragment thereof.

30. The Camptothecin Conjugate of claim 29, or a pharmaceutically acceptable salt thereof, wherein the antibody is a monoclonal antibody or an antigen-binding fragment thereof.

31. The Camptothecin Conjugate of claim 29 or claim 30, or a pharmaceutically acceptable salt thereof, wherein the antibody is a cAC10 anti-CD30 antibody or an antigen-binding fragment thereof.

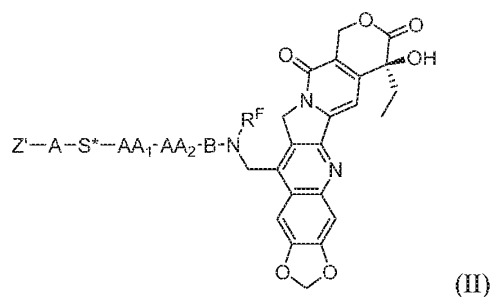
32. The Camptothecin Conjugate of any one of claims 29-31, or a pharmaceutically acceptable salt thereof, wherein the antibody or antigen-binding fragment thereof comprises CDR-H1, CDR-H2, CDR-H3, CDR-L1, CDR-L2, and CDR-L3 comprising the amino acid sequences of SEQ ID NOs: 1, 2, 3, 4, 5, and 6, respectively.

33. The Camptothecin Conjugate of claim 31, or a pharmaceutically acceptable salt thereof, wherein the antibody or antigen-binding fragment thereof comprises a heavy chain variable region comprising an amino acid sequence that is at least 95% identical to the amino acid sequence of SEQ ID NO: 7 and a light chain variable region comprising an amino acid sequence that is at least 95% identical to the amino acid sequence of SEQ ID NO: 8.

34. The Camptothecin Conjugate of claim 31, or a pharmaceutically acceptable salt thereof, wherein the antibody or antigen-binding fragment thereof comprises a heavy chain variable region comprising the amino acid sequence of SEQ ID NO: 7 and a light chain variable region comprising the amino acid sequence of SEQ ID NO: 8.

35. The Camptothecin Conjugate of claim 31, or a pharmaceutically acceptable salt thereof, wherein the antibody comprises a heavy chain comprising the amino acid sequence of SEQ ID NO: 9 or SEQ ID NO: 10 and a light chain comprising the amino acid sequence of SEQ ID NO: 11.

36. A Camptothecin-Linker Compound having a formula (II):



or a pharmaceutically acceptable salt thereof, wherein

Z' is a Stretcher Unit Precursor;

A is a bond or a Connector Unit;

S* is a bond or a Partitioning Agent;

AA₁ is an amino acid;

AA₂ is an amino acid;

B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, D-Ala, Aib, and pAbz; and

R^F is H or C₁-C₆ alkyl.

37. The Camptothecin-Linker Compound of claim 36, or a pharmaceutically acceptable salt thereof, wherein AA₁ is Val.

38. The Camptothecin-Linker Compound of claim 36, or a pharmaceutically acceptable salt thereof, wherein AA₁ is Ala or D-Ala.

39. The Camptothecin-Linker Compound of any one of claims 36-38, or a pharmaceutically acceptable salt thereof, wherein AA₂ is Lys.

40. The Camptothecin-Linker Compound of any one of claims 36-38, or a pharmaceutically acceptable salt thereof, wherein AA₂ is Ala or D-Ala.

41. The Camptothecin-Linker Compound of any one of claims 36-40, or a pharmaceutically acceptable salt thereof, wherein B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, and D-Ala.

42. The Camptothecin-Linker Compound of any one of claims 36-41, or a pharmaceutically acceptable salt thereof, wherein B is D-Ala.

43. The Camptothecin-Linker Compound of any one of claims 36-41, or a pharmaceutically acceptable salt thereof, wherein B is Arg, Lys, His, Asp, or Glu.

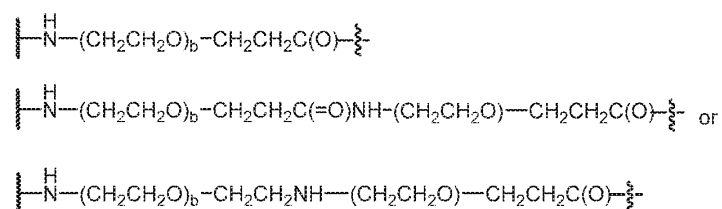
44. The Camptothecin-Linker Compound of any one of claims 36-41, or a pharmaceutically acceptable salt thereof, wherein B is Thr or Gln.

45. The Camptothecin-Linker Compound of any one of claims 36-41, or a pharmaceutically acceptable salt thereof, wherein B is Phe, Val, Leu, Met, or Trp.

46. The Camptothecin-Linker Compound of any one of claims 36-45, or a pharmaceutically acceptable salt thereof, wherein R^F is H.

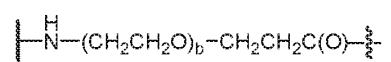
47. The Camptothecin-Linker Compound of any one of claims 36-46, or a pharmaceutically acceptable salt thereof, wherein S* is a PEG Unit.

48. The Camptothecin-Linker Compound of claim 47, or a pharmaceutically acceptable salt thereof, wherein the PEG Unit has the formula:



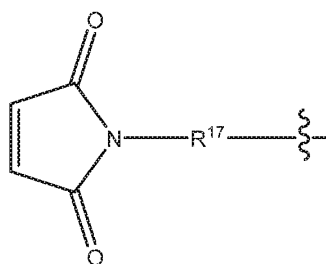
wherein the wavy line on the left indicates the site of attachment to A, the wavy line on the right indicates the site of attachment to AA₁, and b is an integer from 2 to 20, or is 2, 4, 8, or 12.

49. The Camptothecin-Linker Compound of claim 48, or a pharmaceutically acceptable salt thereof, wherein the PEG Unit has the formula:



wherein the wavy line on the left indicates the site of attachment to A, the wavy line on the right indicates the site of attachment to AA₁, and b is an integer from 2 to 20, or is 2, 4, 8, or 12.

50. The Camptothecin-Linker Compound of any one of claims 36 to 49, or a pharmaceutically acceptable salt thereof, wherein Z' has Formula Z'b:



(Z'b)

wherein

R¹⁷ is -C₁-C₁₀ alkylene-, C₁-C₁₀ heteroalkylene-, -C₃-C₈ carbocyclo-, -O-(C₁-C₈ alkylene)-, -arylene-, -C₁-C₁₀ alkylene-arylene-, -arylene-C₁-C₁₀ alkylene-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-, -C₃-C₈ heterocyclo-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-, -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-, -C₁-C₁₀ alkylene-C(=O)-, C₁-C₁₀ heteroalkylene-C(=O)-, -C₃-C₈ carbocyclo-C(=O)-, -O-(C₁-C₈ alkylene)-C(=O)-, -arylene-C(=O)-, -C₁-C₁₀ alkylene-arylene-C(=O)-, -arylene-C₁-C₁₀ alkylene-C(=O)-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-C(=O)-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-C(=O)-, -C₃-C₈ heterocyclo-C(=O)-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-C(=O)-, -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-C(=O)-, -C₁-C₁₀ alkylene-NH-, C₁-C₁₀ heteroalkylene-NH-, -C₃-C₈ carbocyclo-NH-, -O-(C₁-C₈ alkylene)-NH-, -arylene-NH-, -C₁-C₁₀ alkylene-arylene-NH-, -arylene-C₁-C₁₀ alkylene-NH-, -

C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-NH-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-NH-, -C₃-C₈ heterocyclo-NH-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-NH-, -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-NH-, -C₁-C₁₀ alkylene-S-, C₁-C₁₀ heteroalkylene-S-, -C₃-C₈ carbocyclo-S-, -O-(C₁-C₈ alkylene)-S-, -arylene-S-, -C₁-C₁₀ alkylene-arylene-S-, -arylene-C₁-C₁₀ alkylene-S-, -C₁-C₁₀ alkylene-(C₃-C₈ carbocyclo)-S-, -(C₃-C₈ carbocyclo)-C₁-C₁₀ alkylene-S-, -C₃-C₈ heterocyclo-S-, -C₁-C₁₀ alkylene-(C₃-C₈ heterocyclo)-S-, or -(C₃-C₈ heterocyclo)-C₁-C₁₀ alkylene-S-;

wherein R¹⁷ is optionally substituted with a Basic Unit (BU) that is -(CH₂)_xNH₂, -

(CH₂)_xNHR^a, or -(CH₂)_xNR^a₂;

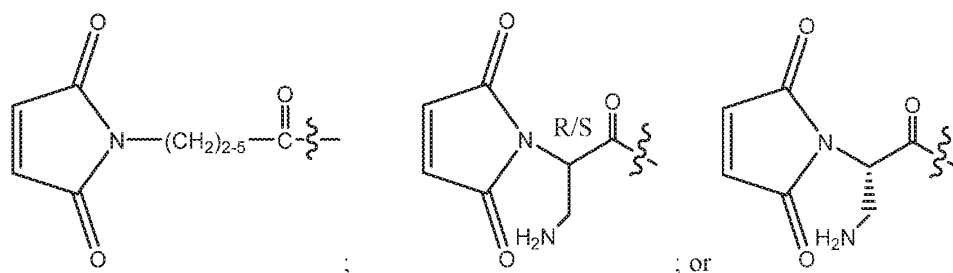
wherein x is an integer of from 1-4; and

each R^a is independently selected from the group consisting of C₁₋₆ alkyl and C₁₋₆

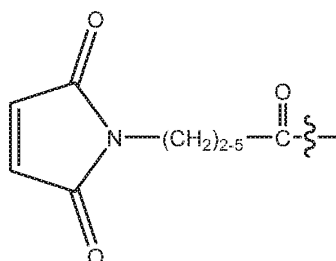
haloalkyl, or two R^a groups are combined with the nitrogen to which they are attached to form a 4- to 6-membered heterocycloalkyl ring, or an azetidiny, pyrrolidinyl or piperidinyl group.

51. The Camptothecin-Linker Compound of claim 50, or a pharmaceutically acceptable salt thereof, wherein R¹⁷ is -(C₁-C₃)alkylene-C(=O)-, wherein the alkylene portion of R¹⁷ is optionally substituted with the Basic Unit (BU).

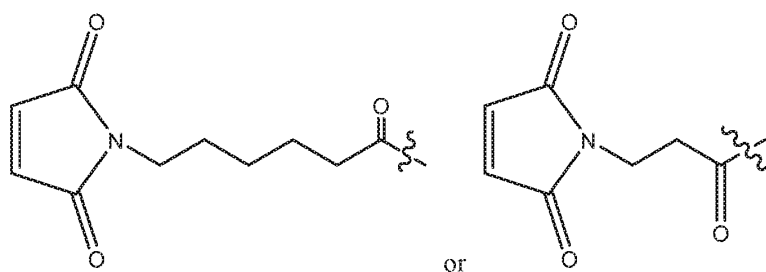
52. The Camptothecin-Linker Compound of claim 50 or claim 51, or a pharmaceutically acceptable salt thereof, wherein Z' is:



53. The Camptothecin-Linker Compound of claim 52, or a pharmaceutically acceptable salt thereof, wherein Z' is:

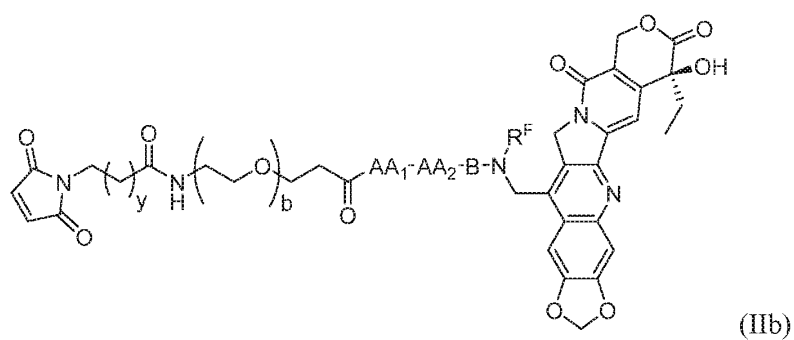


54. The Camptothecin-Linker Compound of claim 52, or a pharmaceutically acceptable salt thereof, wherein Z' is:



55. The Camptothecin-Linker Compound of any one of claims 36 to 54, or a pharmaceutically acceptable salt thereof, wherein A is a bond.

56. The Camptothecin-Linker Compound of claim 36, having a formula (IIb):



or a pharmaceutically acceptable salt thereof, wherein

AA₁ is an amino acid;

AA₂ is an amino acid;

B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, D-Ala, Aib, and pAbz;

R^F is hydrogen or C₁-C₆ alkyl;

b is an integer from 2 to 20; and

y is an integer from 1 to 8, or 1 to 4; or 1 or 4.

57. The Camptothecin-Linker Compound of claim 56, or a pharmaceutically acceptable salt thereof, wherein y is 1.

58. The Camptothecin-Linker Compound of claim 56 or 57, or a pharmaceutically acceptable salt thereof, wherein b is 8.

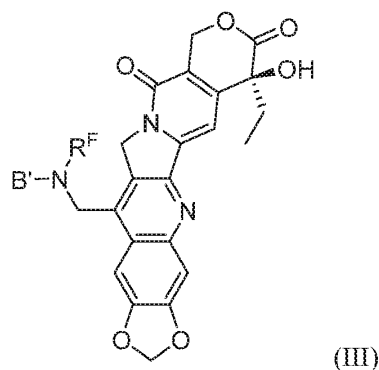
59. The Camptothecin-Linker Compound of any one of claims 56-58, or a pharmaceutically acceptable salt thereof, wherein AA₁-AA₂ is Val-Lys.

60. The Camptothecin-Linker Compound of any one of claims 56-59, or a pharmaceutically acceptable salt thereof, wherein B is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, and D-Ala.

61. The Camptothecin-Linker Compound of any one of claims 56-58, or a pharmaceutically acceptable salt thereof, wherein AA₁-AA₂-B is Ala-Ala-D-Ala.

62. The Camptothecin-Linker Compound of any one of claims 56-61, or a pharmaceutically acceptable salt thereof, wherein R^F is H.

63. A Camptothecin Compound having a formula (III):



or a pharmaceutically acceptable salt thereof, wherein

B' is an amino acid selected from the group consisting of Arg, Lys, His, Asp, Glu, Thr, Gln, Ala, Phe, Val, Leu, Met, Trp, D-Ala, Aib, and pAbz; and

R^F is H or C₁-C₆ alkyl.

64. A method of treating cancer in a subject in need thereof, comprising administering to the subject an effective amount of a Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof or a Camptothecin Compound of claim 63 or a pharmaceutically acceptable salt thereof.

65. The method of claim 64, wherein the cancer is a lymphoma, a leukemia, or a solid tumor.

66. The method of claim 64 or claim 65, wherein the method comprises administering to the subject an effective amount of an additional therapeutic agent, one or more chemotherapeutic agents, or radiation therapy.

67. A method of treating an autoimmune disease in a subject in need thereof, comprising administering to the subject an effective amount of a Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof or a Camptothecin Compound of claim 63 or a pharmaceutically acceptable salt thereof.

68. The method of claim 67, wherein the autoimmune disease is a Th2 lymphocyte related disorder, a Th1 lymphocyte-related disorder, or an activated B lymphocyte-related disorder.

69. A method of treating cancer in a subject in need thereof, comprising contacting the cancer cells with the Camptothecin Compound of claim 63 or a pharmaceutically acceptable salt thereof.

70. The method of claim 69, wherein the cancer is a lymphoma, a leukemia, or a solid tumor.

71. A method of preparing a Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof, comprising reacting an antibody or antigen-binding fragment thereof with a Camptothecin-Linker Compound of any one of claims 36 to 62 or a pharmaceutically acceptable salt thereof.

72. A pharmaceutical composition comprising the Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

73. A kit comprising a Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof, optionally comprising an additional therapeutic agent.

74. Use of the Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof or the Camptothecin Compound of claim 63 or a pharmaceutically acceptable salt thereof, for treating a disease or disorder.

75. Use of the Camptothecin Conjugate of any one of claims 1 to 35 or a pharmaceutically acceptable salt thereof or a Camptothecin Compound of claim 63 or a

pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient, carrier, or diluent, in preparation of a medicament for treating a disease or disorder.