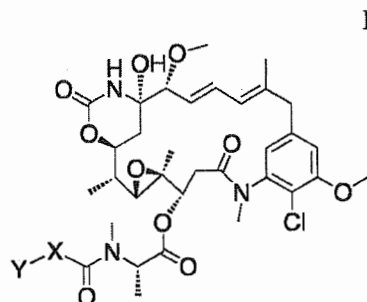


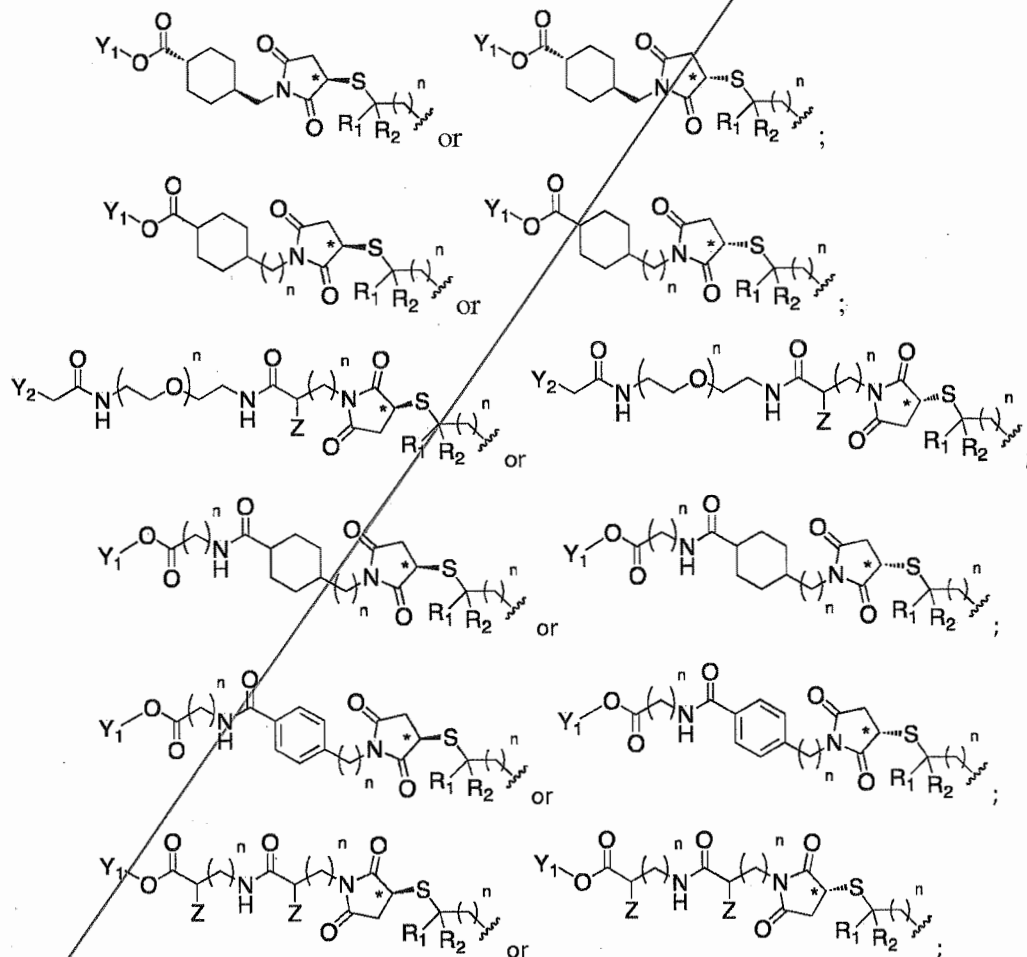
What is claimed is:

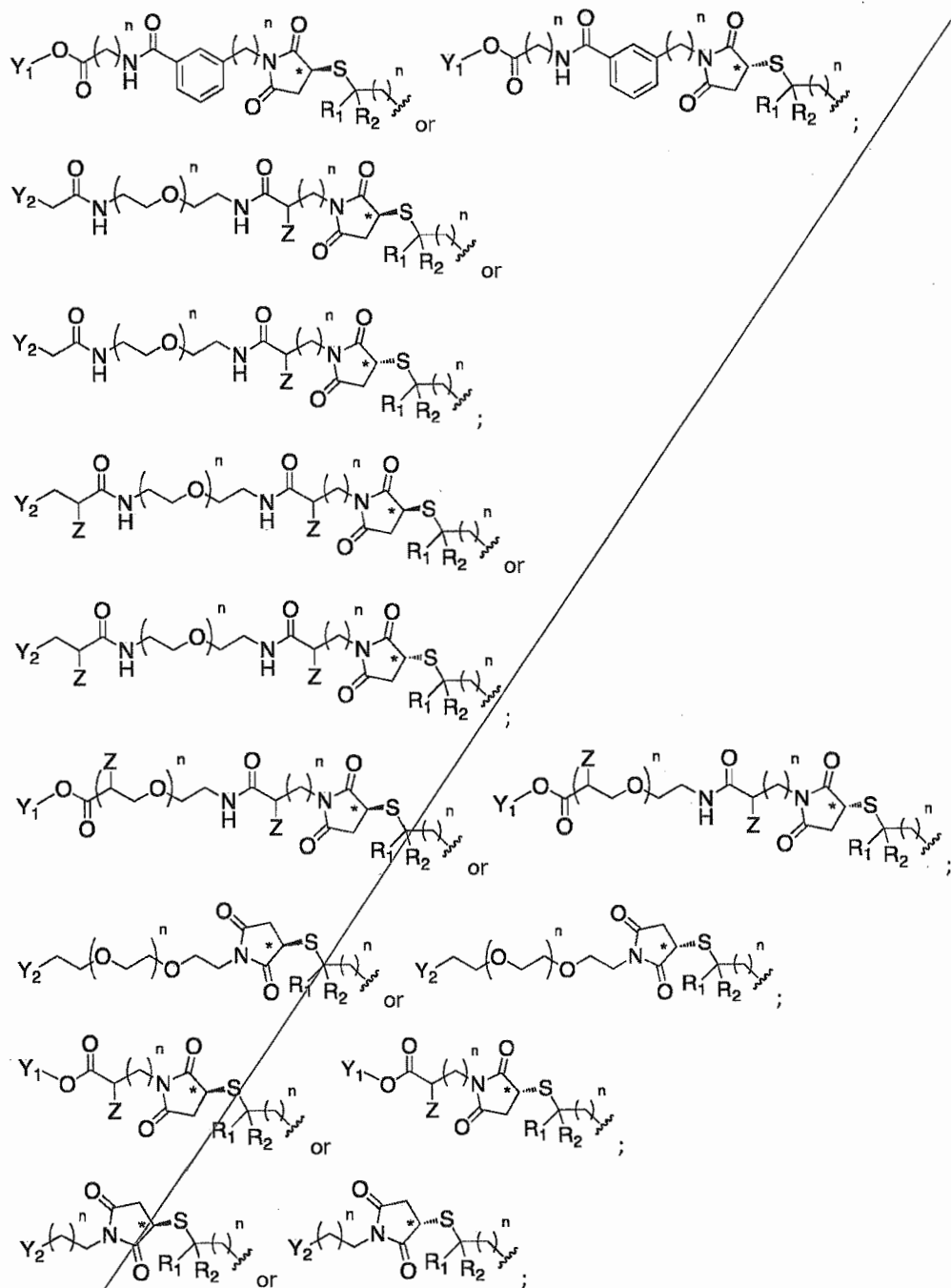
1. A composition comprising a plurality of drug molecules of formula I:



wherein:

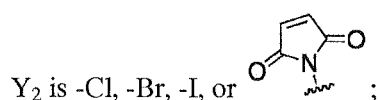
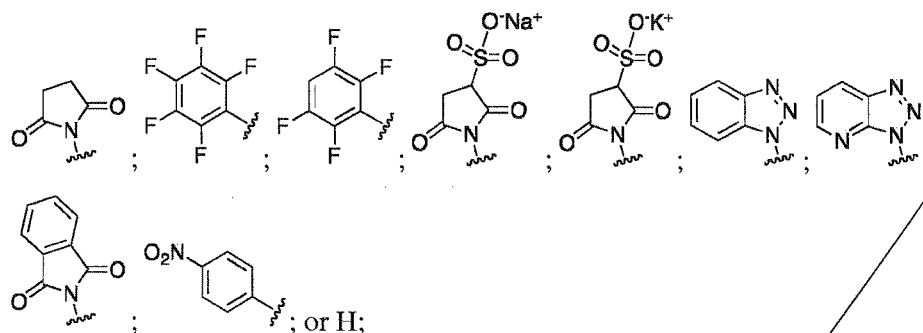
X is





Y is Y₁ or Y₂ further wherein

Y₁ is



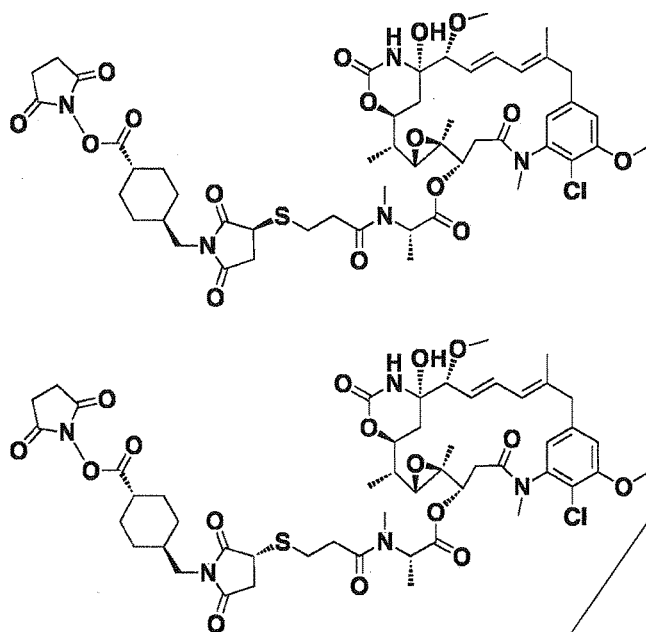
Z is H or SO₃H;

R₁ and R₂ are independently selected from H or alkyl;

n is an integer from 0 to 50;

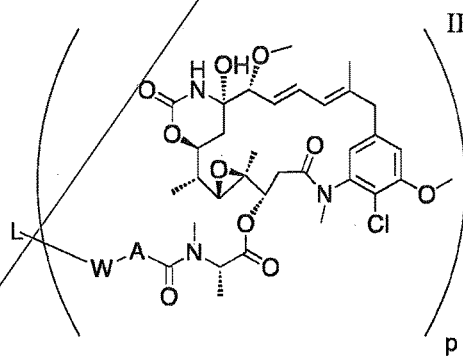
and wherein the drug molecules present in the composition comprises a mixture of at least two diastereomers, a first diastereomer and a second diastereomer, further wherein said first diastereomer and second diastereomer are otherwise identical, except that said first and second diastereomers have different stereochemical configuration at a chiral carbon represented by (*) in formula X, wherein said chiral carbon atom is a carbon atom that is bound to a sulfur atom, and said first or second diastereomer is present in a diastereomeric excess of greater than 50%.

2. The composition of claim 1, wherein n is 1, and R₁ and R₂ are each independently hydrogen.
3. The composition of claim 1, wherein the drug molecules are present in the composition in a diastereomeric excess of at least 95%.
4. The composition of claim 1, wherein formula I is represented by:



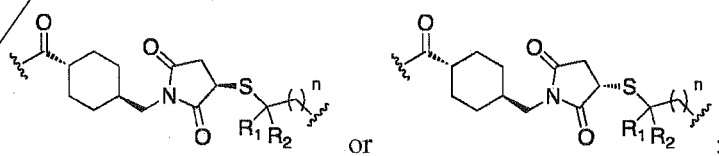
, or mixtures thereof in a diastereomeric excess of greater than 50%.

5. A composition comprising a plurality of ligand-drug conjugates of Formula II:



wherein:

A is



W is selected from S, O, or NR₃;

L is a ligand;

further wherein:

L is capable of binding to a cell or cell population;

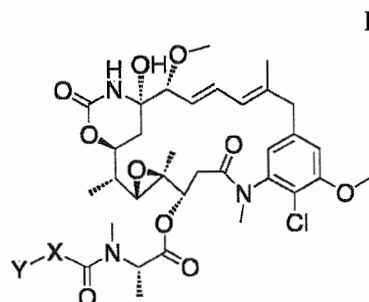
R₁, R₂ and R₃ are each independently selected from H or alkyl;

n is an integer from 0 to 10;

p is an integer from 1 to 10;

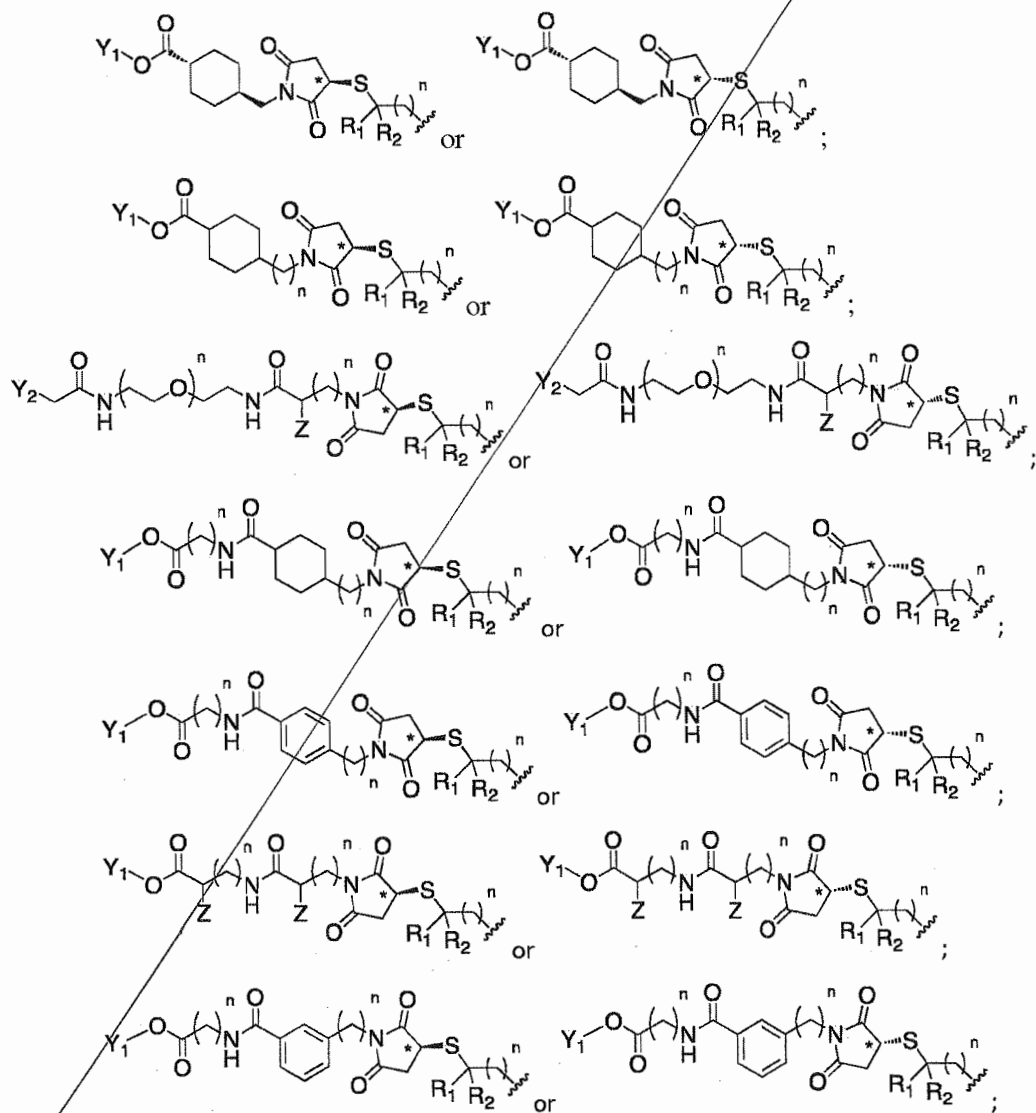
and wherein the ligand-drug conjugates are present in the composition in a diastereomeric excess of greater than 50%.

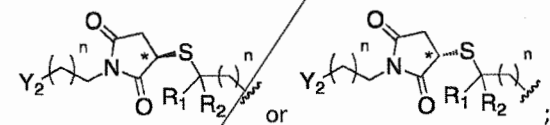
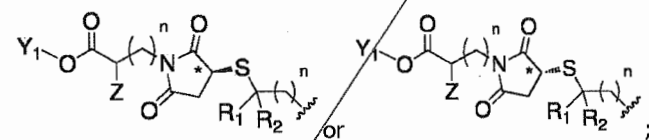
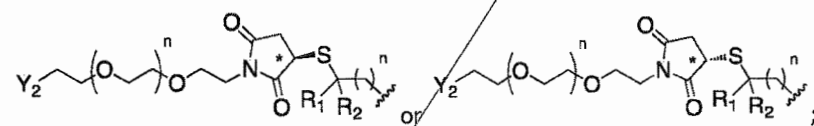
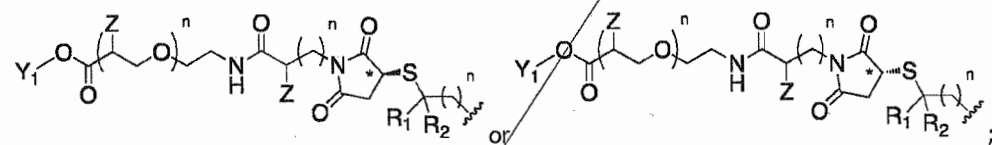
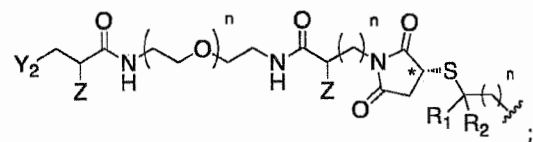
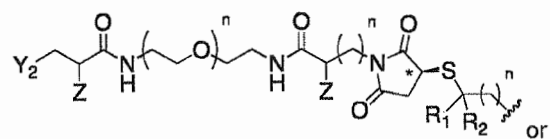
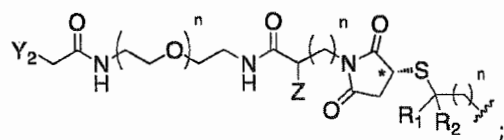
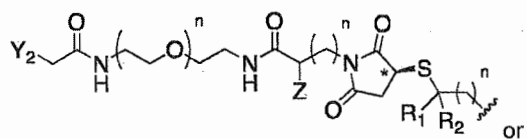
6. The composition of claim 5, wherein the ligand is an antibody or an antigen-binding fragment thereof, W is NH, and R₁, R₂ are each independently selected from H.
7. The composition of claim 6, wherein the antibody or antigen-binding fragment thereof specifically binds a tumor-associated antigen.
8. The composition of claim 7, wherein the ligand-drug conjugates are present in the composition in a diastereomeric excess of more than 95%.
9. The composition of claim 7, wherein the tumor-associated antigen is selected from the group consisting of AFP, ALK, BAGE proteins, β -catenin, bcr-abl, BRCA1, BORIS, CA9, carbonic anhydrase IX, caspase-8, CD40, CDK4, CEA, CTLA4, CLEC12A, cyclin-B1, CYP1B1, EGFR, EGFRvIII, ErbB2/Her2, ErbB3, ErbB4, ETV6-AML, EphA2, Fra-1, FOLR1, GAGE proteins (*e.g.*, GAGE-1, -2), GD2, GD3, GloboH, glypican-3, GM3, gp100, Her2, HLA/B-raf, HLA/k-ras, HLA/MAGE-A3, hTERT, LMP2, MAGE proteins (*e.g.*, MAGE-1, -2, -3, -4, -6, and -12), MART-1, mesothelin, ML-IAP, Muc1, Muc16 (CA-125), MUM1, NA17, NY-BR1, NY-BR62, NY-BR85, NY-ESO1, OX40, p15, p53, PAP, PAX3, PAX5, PCTA-1, PLAC1, PRLR, PRAME, PSMA (FOLH1), RAGE proteins, Ras, RGS5, Rho, SART-1, SART-3, Steap-1, Steap-2, survivin, TAG-72, TGF- β , TMPRSS2, Tn, TRP-1, TRP-2, tyrosinase, and uroplakin-3.
10. A method for preparing composition comprising a plurality of drug molecules of formula I:



wherein:

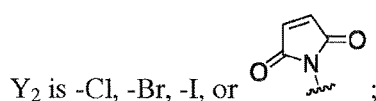
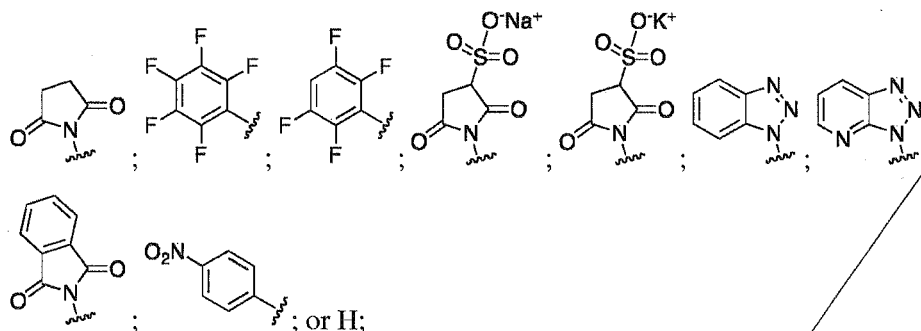
X is





Y is Y_1 or Y_2 further wherein

Y_1 is



R₁ and R₂ are independently selected from H or alkyl;

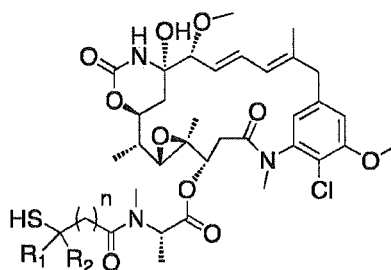
n is an integer from 0 to 50;

and wherein the drug molecules present in the composition comprises a mixture of at least two diastereomers, a first diastereomer and a second diastereomer, further wherein said first diastereomer and second diastereomer are otherwise identical, except that said first and second diastereomers have different stereochemical configuration at a chiral carbon represented by (*) in formula X, wherein said chiral carbon atom is a carbon atom that is bound to a sulfur atom, and said first or second diastereomer is present in a diastereomeric excess of greater than 50%, the method comprising:

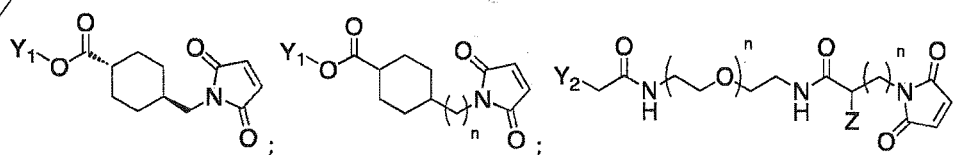
(a) providing a mixture comprising

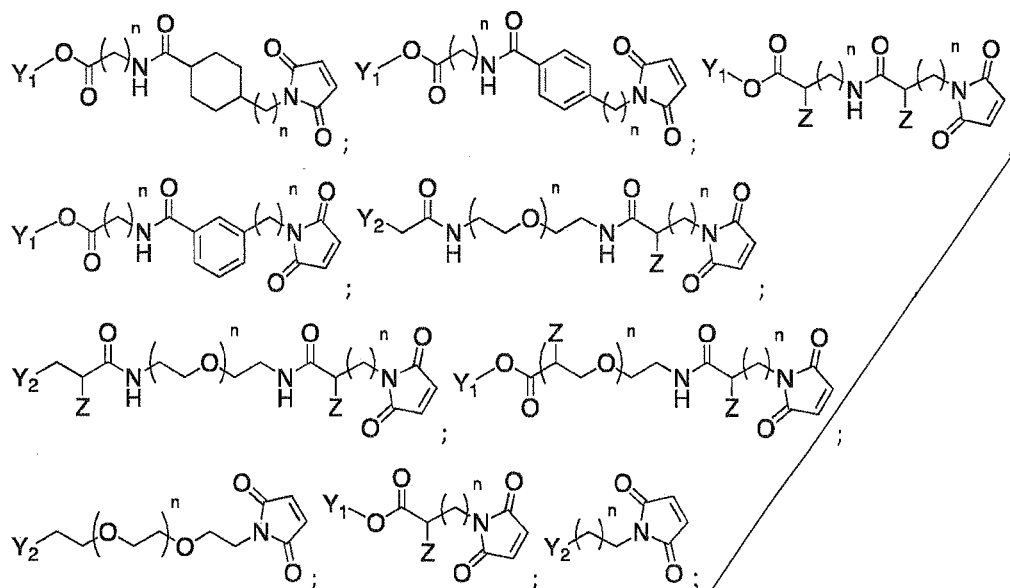
(i) a starting material which has a formula III:

III

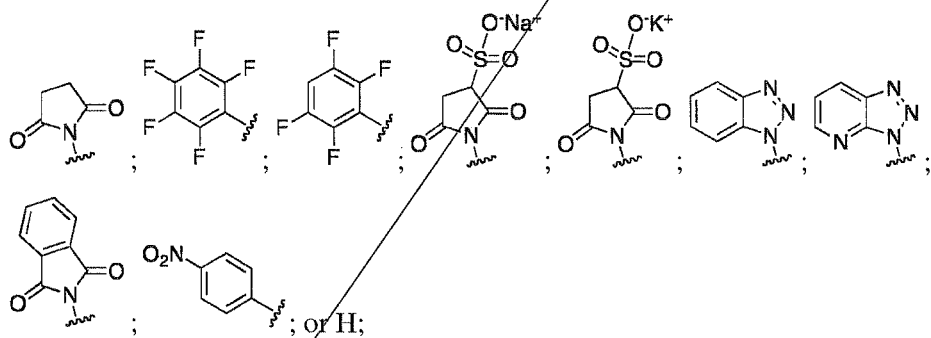


(ii) a compound of formula IV:





Y_1 is



Y₂ is -Cl, -Br, -I, or

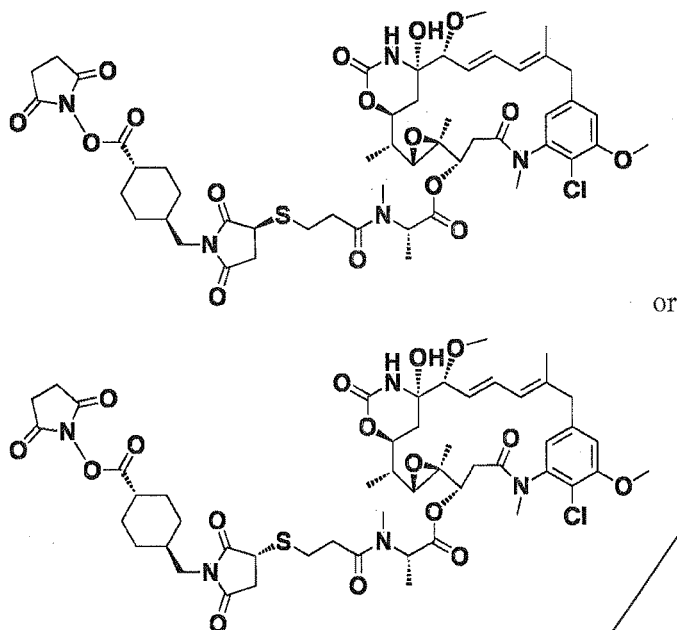
Z is H or SO₃H;

~~R₁ and R₂ are independently selected from H or alkyl; and
each n is an integer from 0 to 50;~~

- (iii) an organic solvent,
(iv) water, and
(v) a solid substrate;
- (b) allowing the mixture of step (a) to react until some of the starting material is converted to the compound of formula I; and

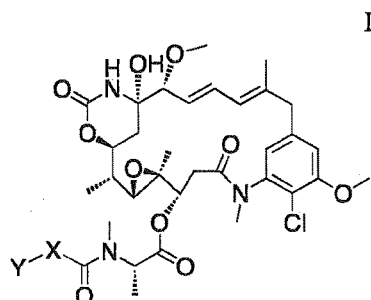
(c) removing crude compound of formula I from the mixture of step (b).

11. The method of claim 10, further comprising (d) purifying the compound of formula I obtained in step (c).
12. The method of claim 10, wherein the solid substrate is selected from the group consisting of silica gel, celite, alumina, a zeolite, and crushed molecular sieves.
13. The method of claim 10, wherein n is 1, and R₁ and R₂ are each independently hydrogen.
14. The method of claim 10, wherein the organic solvent comprises a polar aprotic solvent.
15. The method of claim 14, wherein the polar aprotic solvent comprises acetonitrile.
16. The method of claim 10, wherein the organic solvent and the water are present in ratio from about 1:1 to about 4:1 or from about 1:1 to about 10:1.
17. The method of claim 10, wherein the molar ratio of the starting material having formula III and the compound of formula IV is from about 1:1 to about 1:3.
18. The method of claim 10, further comprising combining the compound of formula I with an antibody or antigen-binding fragment thereof to make an antibody-drug conjugate.
19. The method of claim 18, wherein the compound of formula I is attached to the antibody or antigen-binding fragment via an S, O, or NR₃.
20. The method of claim 10, wherein the formula I is represented by the following structure:



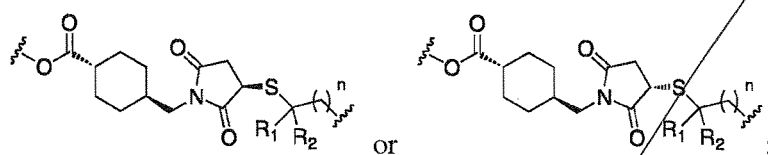
in a diastereomeric excess of greater than 50%.

21. The composition of claim 1 or 5, wherein the drug molecules or the ligand-drug conjugates are contained within the composition in a therapeutically effective amount, and further comprising a pharmaceutically acceptable diluent, carrier or excipient.
22. The composition of claim 21, further comprising a therapeutically effective amount of a second chemotherapeutic agent.
23. A method of treating a disease sensitive to treatment with said method, said method comprising parenterally administering to a patient in need thereof a therapeutically effective dose of the composition of claims 1 or 5.
24. The method of claim 23, wherein said disease is selected from tumor, autoimmune disease, and inflammatory disease.
25. A composition comprising a plurality of drug molecules of formula I:

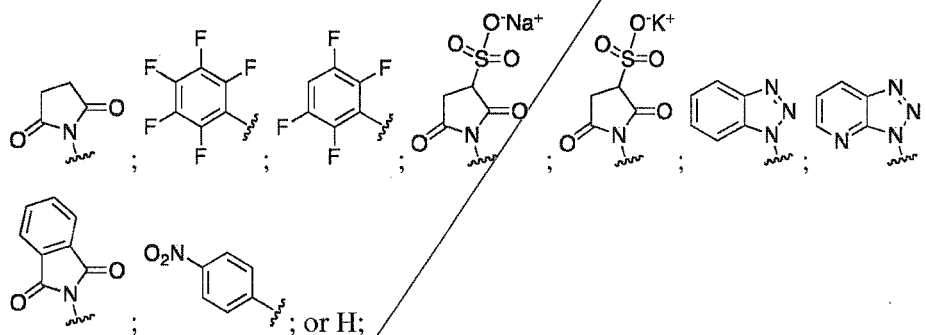


wherein:

X is



Y is

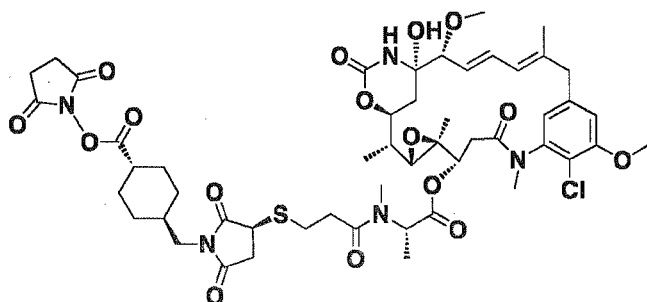


R_1 and R_2 are each independently selected from H or alkyl;

n is an integer from 0 to 10; and

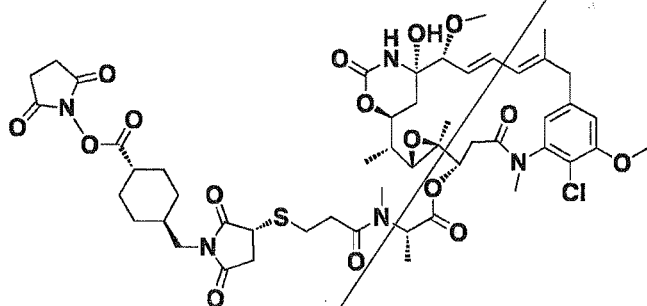
wherein the drug molecules are present in the composition in a diastereomeric excess of greater than 50% and show greater anti-proliferative activity than a corresponding composition comprising drug molecules of formula I that are not present in a diastereomeric excess of greater than 50%.

26. The composition of claim 1, wherein one of the at least two diastereomers is a compound of formula (i)



(i).

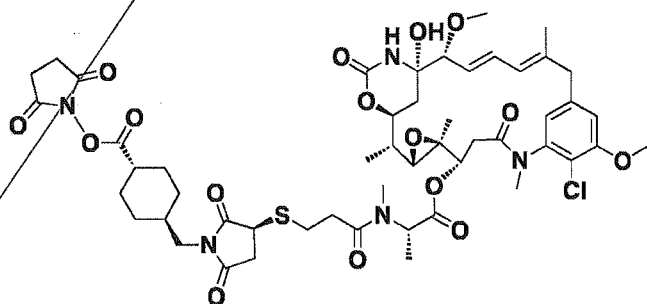
27. The composition of claim 1, wherein one of the at least two diastereomers is a compound of formula (ii)



(ii).

28. The composition of claim 1, wherein one of the at least two diastereomers is characterized by a ^1H NMR spectra of Figure 1.

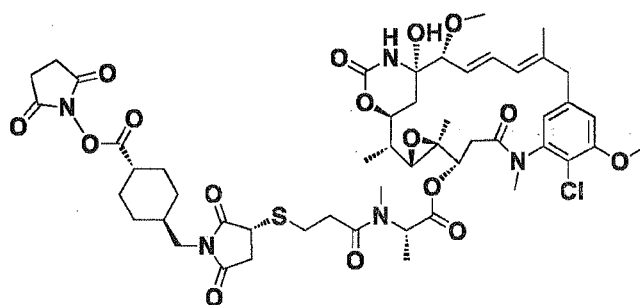
29. A compound of formula (i):



(i)

wherein the compound is stereomerically pure.

30. A compound of formula (ii):

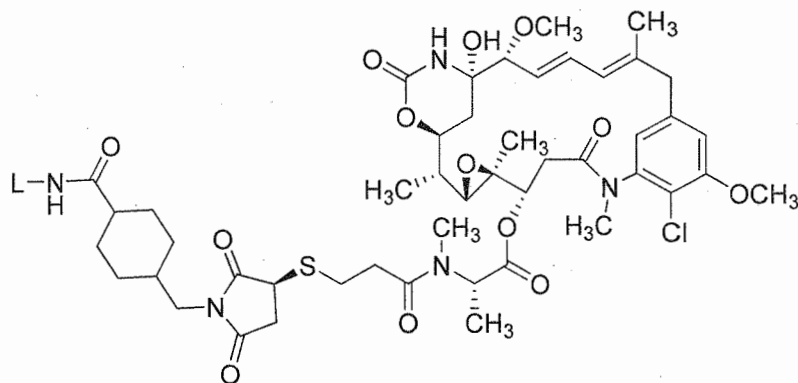


(ii)

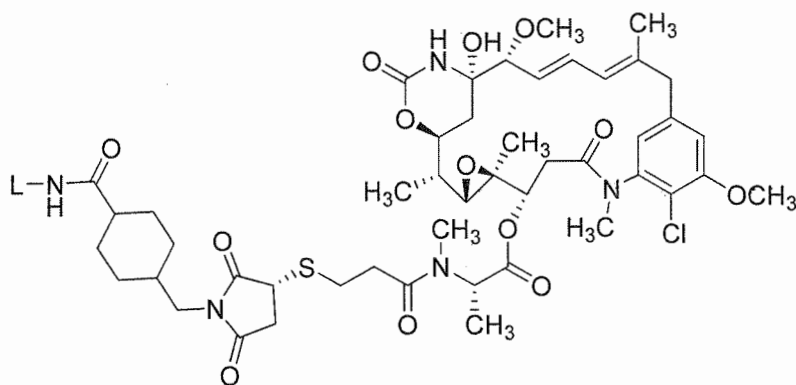
wherein the compound is stereomerically pure.

What is claimed is:

1. A composition comprising a compound of Formula IIa or IIb:



IIa



IIb

wherein:

L is an antibody or an antigen-binding fragment thereof;

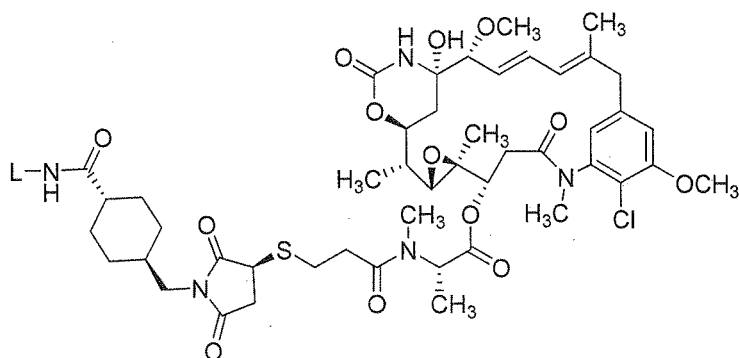
and wherein the compound of Formula IIa or IIb is present in a diastereomeric excess of greater than 50%.

2. The composition of claim 1, wherein L is an antibody, or antigen-binding fragment thereof that specifically binds a tumor-associated antigen and further wherein the tumor-associated antigen is selected from the group consisting of AFP, ALK, BAGE proteins, β -catenin, bcr-abl, BRCA1, BORIS, CA9, carbonic anhydrase IX, caspase-8, CD20,

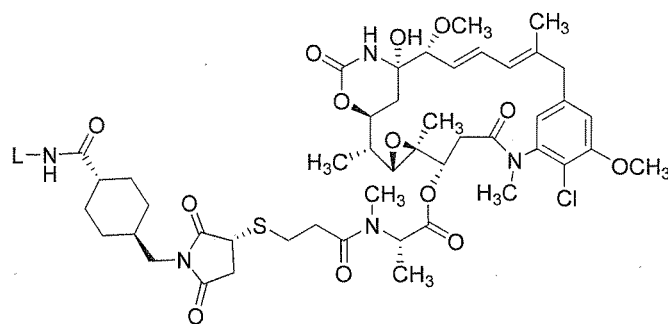
CD40, CDK4, CEA, CLEC12A, cMET, CTLA4, cyclin-B1, CYP1B1, EGFR, EGFRvIII, ErbB2/Her2, ErbB3, ErbB4, ETV6-AML, EphA2, Fra-1, FOLR1, GAGE proteins, GD2, GD3, GloboH, glypican-3, GM3, gp100, Her2, HLA/B-raf, HLA/k-ras, HLA/MAGE-A3, hTERT, IGF1R, LGR5, LMP2, MAGE proteins, MART-1, mesothelin, ML-IAP, Muc1, Muc16 or CA-125, MUM1, NA17, NY-BR1, NY-BR62, NY-BR85, NY-ESO1, OX40, p15, p53, PAP, PAX3, PAX5, PCTA-1, PDGFR- α , PDGFR- β , PDGF-A, PDGF-B, PDGF-C, PDGF-D, PLAC1, PRLR, PRAME, PSMA, FOLH1, RAGE proteins, Ras, RGS5, Rho, SART-1, SART-3, Steap-1, Steap-2, survivin, TAG-72, TGF- β , TMPRSS2, Tn, TNFRSF17, TRP-1, TRP-2, tyrosinase, and uroplakin-3.

3. The composition of claim 2, wherein the GAGE proteins are selected from the group consisting of GAGE-1 and GAGE-2.
4. The composition of claim 2 wherein the MAGE proteins are selected from the group consisting of MAGE-1, MAGE-2, MAGE-3, MAGE-4, MAGE-6, and MAGE-12.
5. The composition of claim 2, wherein the tumor-associated antigen is selected from the group consisting of EGFRvIII, Her2, and Muc16.
6. The composition of claim 5, wherein the compound of Formula IIa or IIb is present in a diastereomeric excess of more than 95%.
7. Use of the composition of claim 1 for the manufacture of a medicament for treating a disease sensitive to treatment in a patient in need thereof.
8. The use of claim 7, wherein said disease is selected from a cancer, an autoimmune disease, and an inflammatory disease.

9. The composition of any of claims 1-6, wherein the compound present in a diastereomeric excess is a compound of Formula IIa having the following structure:



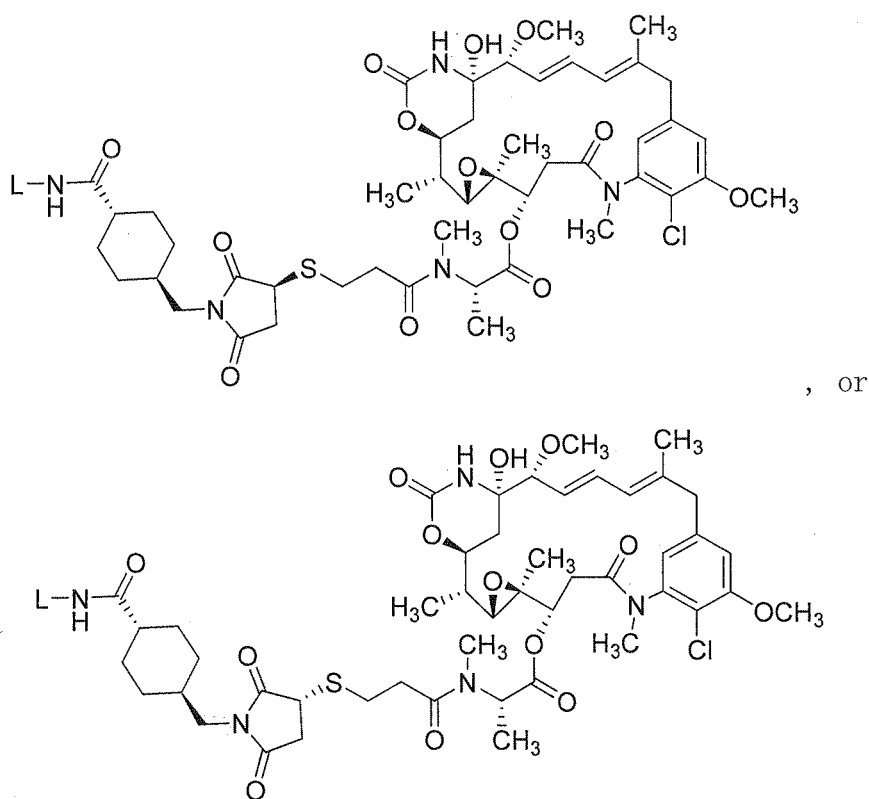
10. The composition of any of claims 1-6, wherein the compound present in a diastereomeric excess is a compound of Formula IIb having the following structure:



11. Use of the composition of claim 1 in the manufacture of a medicament for treating a disease or disorder associated with a tumor-associated antigen, wherein the tumor-associated antigen is selected from the group consisting of AFP, ALK, BAGE proteins, β -catenin, bcr-abl, BRCA1, BORIS, CA9, carbonic anhydrase IX, caspase-8, CD20, CD40, CDK4, CEA, CLEC12A, cMET, CTLA4, cyclin-B1, CYP1B1, EGFR, EGFRvIII, ErbB2/Her2, ErbB3, ErbB4, ETV6-AML, EphA2, Fra-1, FOLR1, GAGE proteins, GD2, GD3, GloboH, glypican-3, GM3, gp100, Her2, HLA/B-raf, HLA/k-ras, HLA/MAGE-A3, hTERT, IGF1R, LGR5, LMP2, MAGE proteins, MART-1, mesothelin, ML-IAP, Muc1, Muc16 or CA-125, MUM1, NA17, NY-BR1, NY-BR62, NY-BR85, NY-ESO1, OX40, p15, p53, PAP, PAX3, PAX5, PCTA-1, PDGFR- α , PDGFR- β , PDGF-A, PDGF-B, PDGF-C, PDGF-D, PLAC1, PRLR, PRAME, PSMA, FOLH1, RAGE proteins, Ras, RGS5, Rho, SART-1, SART-3, Steap-1, Steap-2, survivin, TAG-72, TGF- β , TMPRSS2, Tn, TNFRSF17, TRP-1, TRP-2, tyrosinase, and uroplakin-3, said

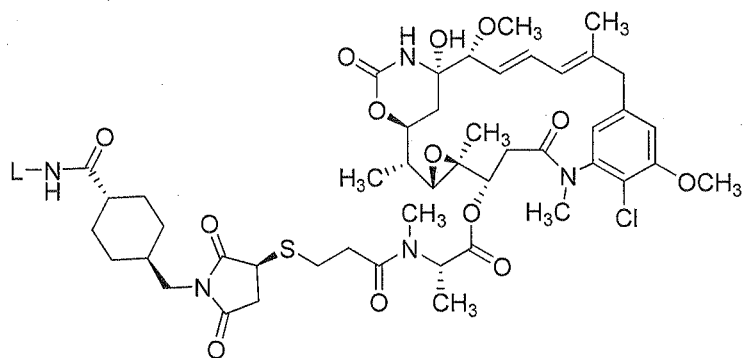
composition comprising a therapeutically effective amount of the compound present in a diastereomeric excess.

12. The use of the composition of claim 11, wherein the GAGE proteins are selected from the group consisting of GAGE-1 and GAGE-2.
13. The use of the composition of claim 11, wherein the MAGE proteins are selected from the group consisting of MAGE-1, MAGE-2, MAGE-3, MAGE-4, MAGE-6, and MAGE-12.
14. A pharmaceutical composition comprising the composition of claim 1, further comprising a pharmaceutically acceptable excipient.
15. The pharmaceutical composition of claim 14, wherein the compound of Formula IIa or IIb is:

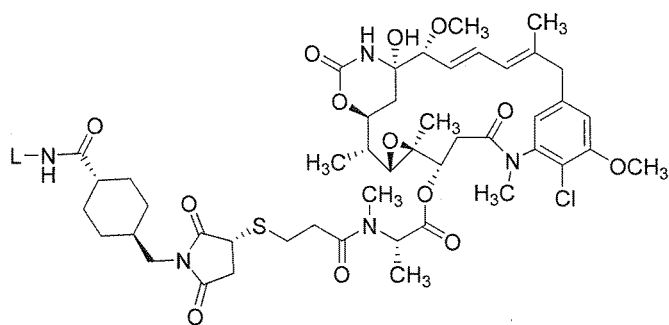


16. The pharmaceutical composition of claim 15, wherein the compound of Formula IIa or IIb is present in a diastereomeric excess of greater than 95%.

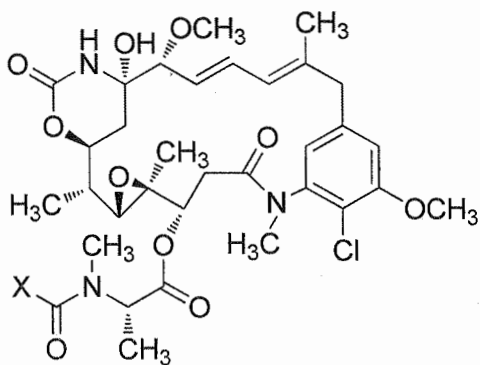
17. The pharmaceutical composition of claim 14, wherein the compound present in a diastereomeric excess is a compound of Formula IIa having the following structure:



18. The pharmaceutical composition of claim 14, wherein the compound present in a diastereomeric excess is a compound of Formula IIb having the following structure:

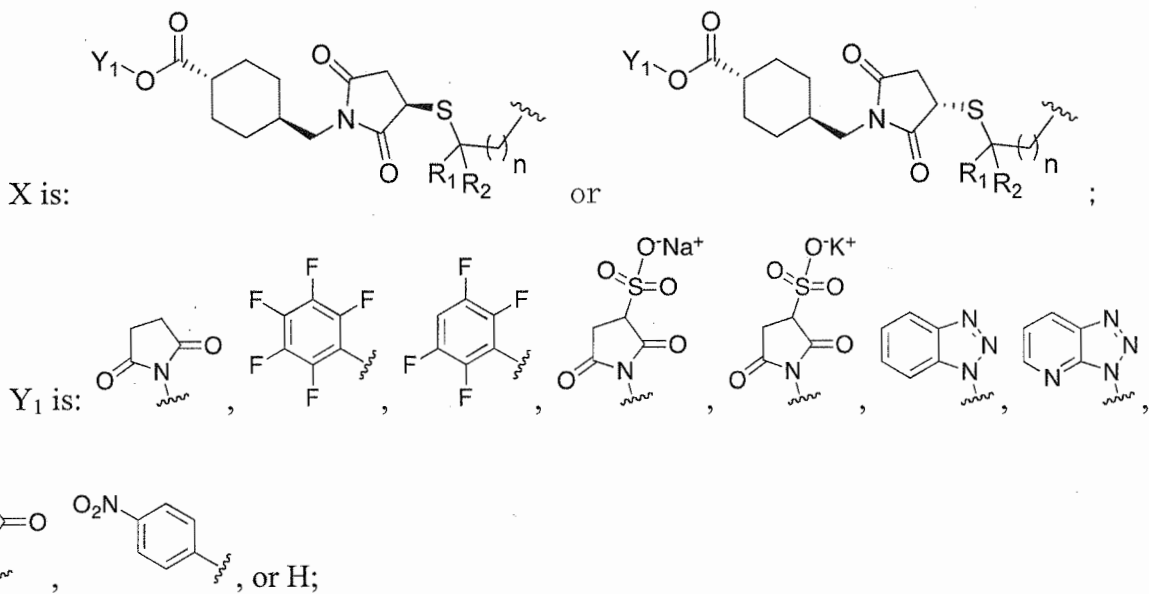


19. A composition comprising a compound of Formula I:



I

wherein:



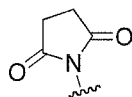
R₁ and R₂ are independently selected from H or alkyl; and

n is independently 0 or an integer from 1 to 50;

wherein the compound of Formula I is present in a diastereomeric excess of greater than 50%;

wherein alkyl is selected from methyl, ethyl, 1-propyl, 2-propyl, n-butyl, isobutyl, sec-butyl, t-butyl, pentyl, neopentyl, hexyl, and isoheptyl.

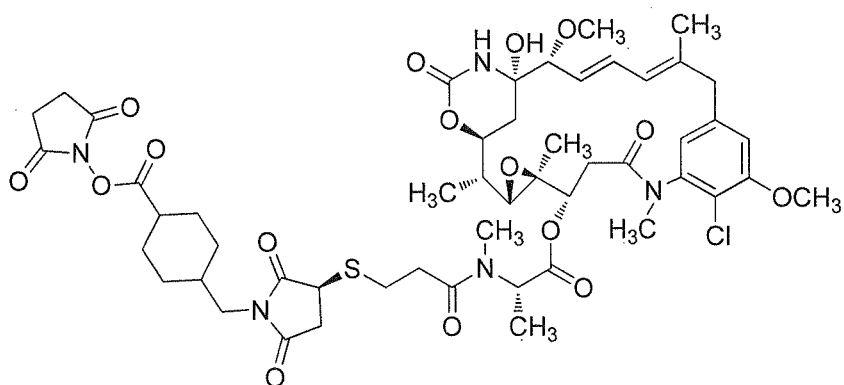
20. The composition of claim 19, wherein Y₁ is



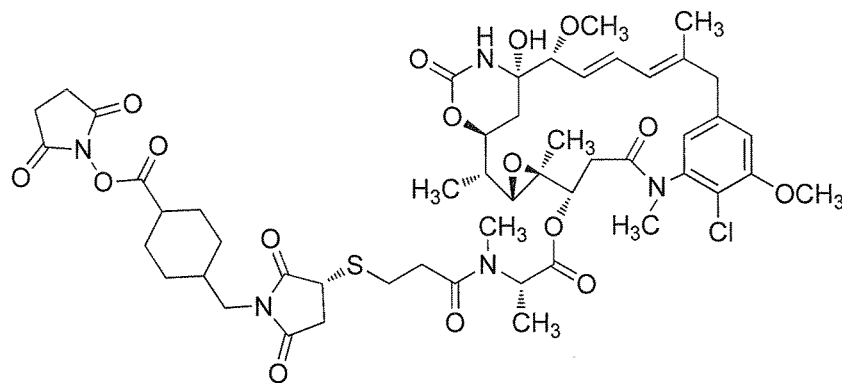
21. The composition of claim 19 or 20, wherein R₁ and R₂ are H.

22. The composition of any of claims 19-21, wherein n is an integer from 1 to 10.

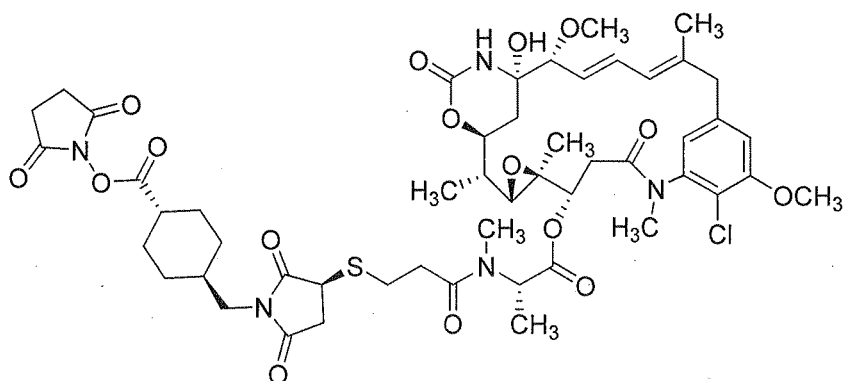
23. The composition of any of claim 19-22, wherein the compound of Formula I is



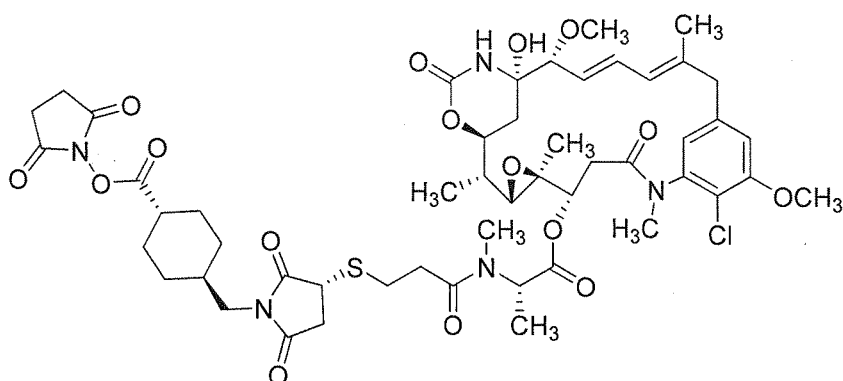
or



24. The composition of claim 23, wherein the compound of Formula I is:



25. The composition of claim 23, wherein the compound of Formula I is:



26. The composition of any of claims 19-25, wherein the diastereomeric excess is at least 95%.

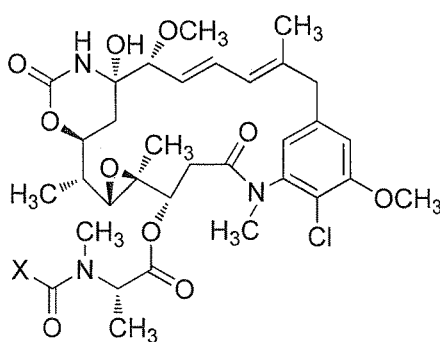
27. The composition of any of claims 19-25, wherein the compound of Formula I is characterized by a ^1H NMR substantially as shown in Figure 1.

28. An antibody-drug conjugate wherein the antibody-drug conjugate is made by combining the compound of claim 27 with an antibody or antigen-binding fragment thereof.

29. A compound of Formula (i):

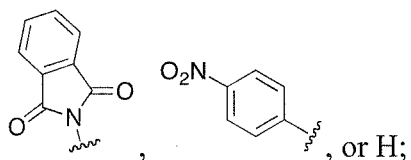
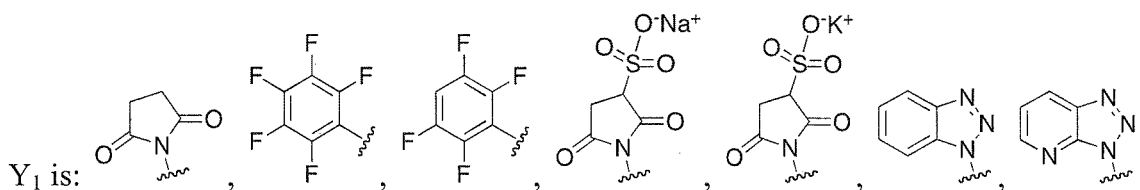
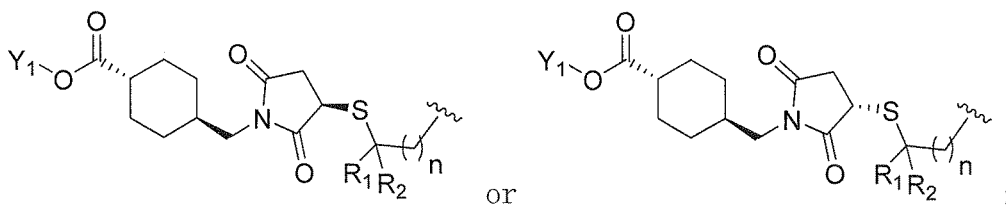


30. A process for preparing a compound of Formula I:



T

X is:



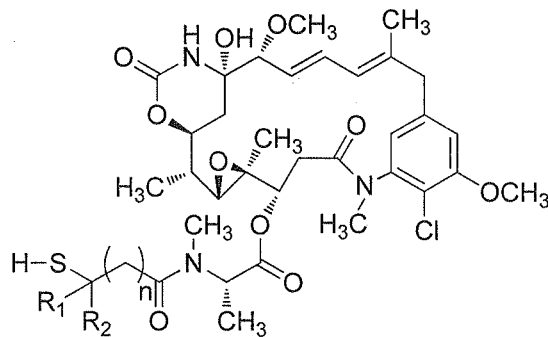
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n is independently 0 or an integer from 1 to 50;

comprising the steps of

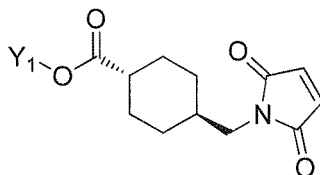
(a) contacting:

(i) a compound of Formula III:



III;

(ii) a compound of formula IV:



IV

(iii) silica gel; and

(iv) a diluent comprising an organic solvent and water; and

(b) isolating the compound of Formula I, wherein the compound of Formula I is present in a diastereomeric excess of greater than 50%.

31. The process of claim 30, wherein the organic solvent comprises a polar aprotic solvent.

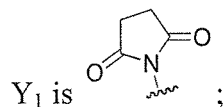
32. The process of claim 31, wherein the polar aprotic solvent comprises acetonitrile.

33. The process of claim 30, wherein the organic solvent and the water are present in volume ratio from about 1:1 to about 4:1 or from about 1:1 to about 10:1.

34. The process of claim 30, wherein the molar ratio of the compound of Formula III and the compound of formula IV is from about 1:1 to about 1:3.

35. The process of claim 30, wherein n is 1, and R₁ and R₂ are each independently hydrogen.

36. The process of claim 30, wherein:



R₁ and R₂ are H; and

n is 1.

37. The process of any of claims 30-36, wherein the contacting is performed under argon.

38. The process of any of claims 30-37, wherein components (i)-(v) are stirred.

39. The process of any of claims 30-38, wherein the contacting is performed at ambient temperature.

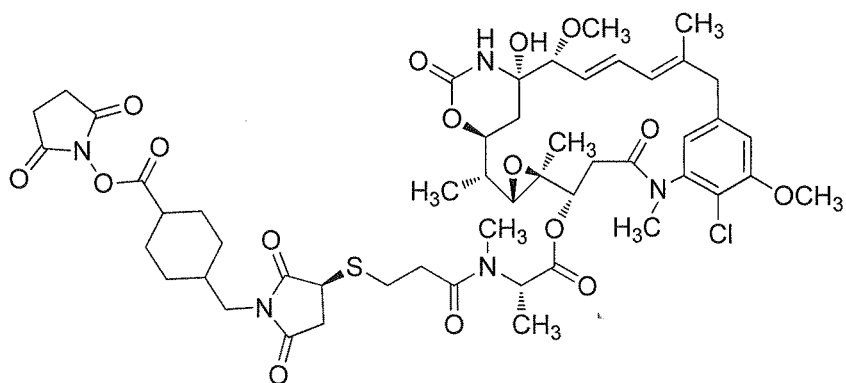
40. The process of any of claims 30-39, wherein components (i)-(v) are contacted for about 18 hours.

41. The process of any of claims 30-40, wherein the compound of Formula IV is in stoichiometric excess with respect to the compound of Formula III.

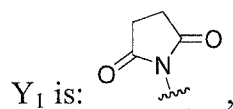
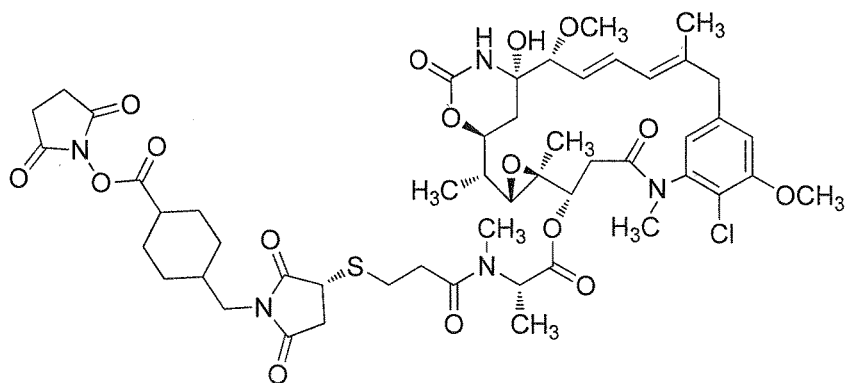
42. The process of any of claims 30-41, further comprising contacting an additional amount of a compound of Formula IV after step (a).

43. The process of any of claims 30-42, wherein the molar ratio of a compound of Formula IV to the compound of Formula III is about 2.3:1 in step (a).

44. The process of claim 30, wherein the molar ratio of the compound of Formula IV to the compound of Formula III is about 1.5:1 in step (a).
45. The process of any of claims 30-40, wherein the isolating of the compound of Formula I in step (b) is accomplished by filtration.
46. The process of claim 45, wherein the filtration is filtration through celite.
47. The process of any of claims 30-46, further comprising purifying the compound of Formula I by column chromatography on silica gel.
48. The process of claim 6747 further comprising drying the compound of Formula I.
49. The process of any one of claims 30-48, further comprising combining the compound of Formula I with an antibody or antigen-binding fragment thereof to make an antibody-drug conjugate.
50. The process of any one of claims 49, wherein the compound of Formula I is attached to the antibody or antigen-binding fragment via an S, O, or NR₃; wherein R₃ is H or alkyl.
51. The process of any one of claims 30-50, wherein the compound of Formula I is:



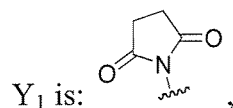
or



R₁ and R₂ are H, and

n is 1.

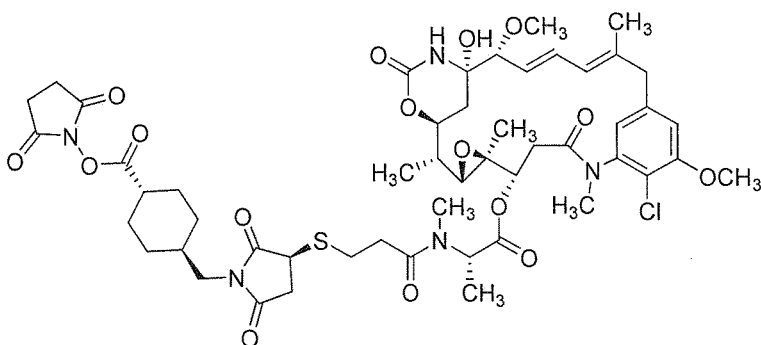
52. The process of any one of claims 30-51, wherein



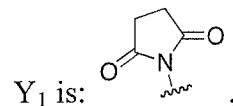
R₁ and R₂ are H,

n is 1; and

the compound of Formula I is:



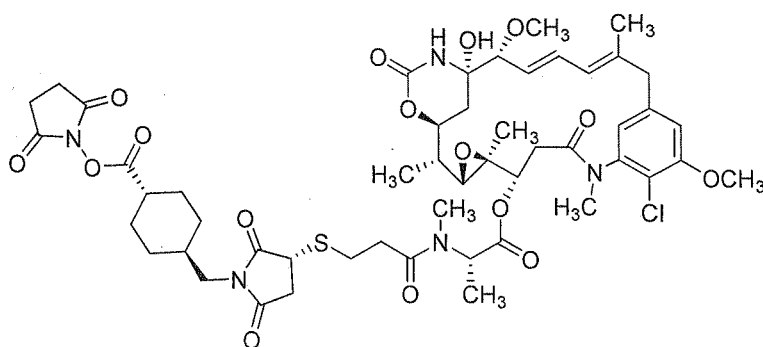
53. The process of any one of claims 30-51, wherein



R₁ and R₂ are H,

n is 1; and

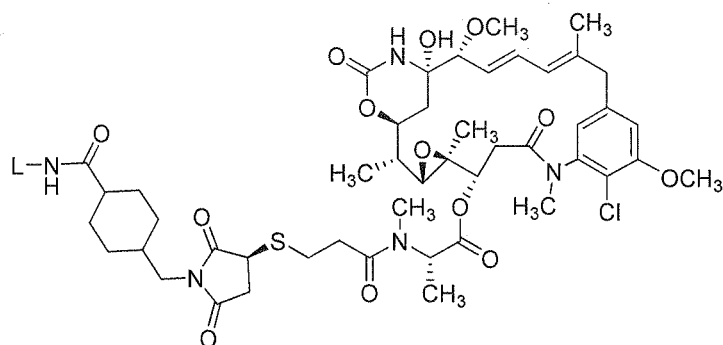
the compound of Formula I is:



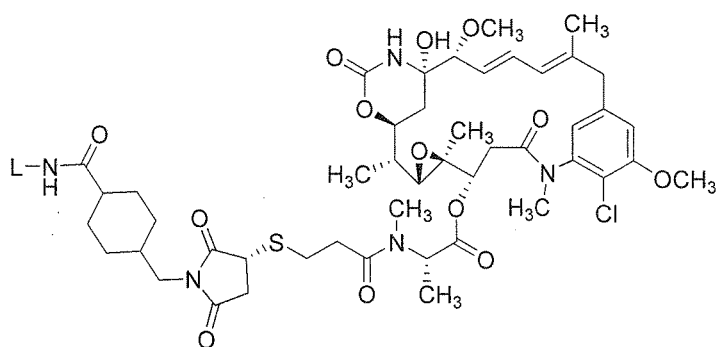
54. The process of claim 49 or 50, wherein the antibody or antigen-binding fragment thereof that specifically binds a tumor-associated antigen and further wherein the tumor-associated antigen is selected from the group consisting of AFP, ALK, BAGE proteins, β -catenin, bcr-abl, BRCA1, BORIS, CA9, carbonic anhydrase IX, caspase-8, CD20, CD40, CDK4, CEA, CLEC12A, cMET, CTLA4, cyclin-B1, CYP1B1, EGFR, EGFRvIII, ErbB2/Her2, ErbB3, ErbB4, ETV6-AML, EphA2, Fra-1, FOLR1, GAGE proteins, GD2, GD3, GloboH, glypican-3, GM3, gp100, Her2, HLA/B-raf, HLA/k-ras, HLA/MAGE-A3, hTERT, IGF1R, LGR5, LMP2, MAGE proteins, MART-1, mesothelin, ML-IAP, Muc1, Muc16 or CA-125, MUM1, NA17, NY-BR1, NY-BR62, NY-BR85, NY-ESO1, OX40, p15, p53, PAP, PAX3, PAX5, PCTA-1, PDGFR- α , PDGFR- β , PDGF-A, PDGF-B, PDGF-C, PDGF-D, PLAC1, PRLR, PRAME, PSMA, FOLH1, RAGE proteins, Ras, RGS5, Rho, SART-1, SART-3, Steap-1, Steap-2, survivin, TAG-72, TGF- β , TMPRSS2, Tn, TNFRSF17, TRP-1, TRP-2, tyrosinase, and uroplakin-3.
55. The process of claim 54, wherein the GAGE proteins are selected from the group consisting of GAGE-1 and GAGE-2.
56. The process of claim 54, wherein the MAGE proteins are selected from the group consisting of MAGE-1, MAGE-2, MAGE-3, MAGE-4, MAGE-6, and MAGE-12.

57. The process of claim 54, wherein the tumor-associated antigen is selected from the group consisting of EGFRvIII, Her2, and Muc16.

58. A process for preparing a compound of Formula IIa or IIb:



IIa

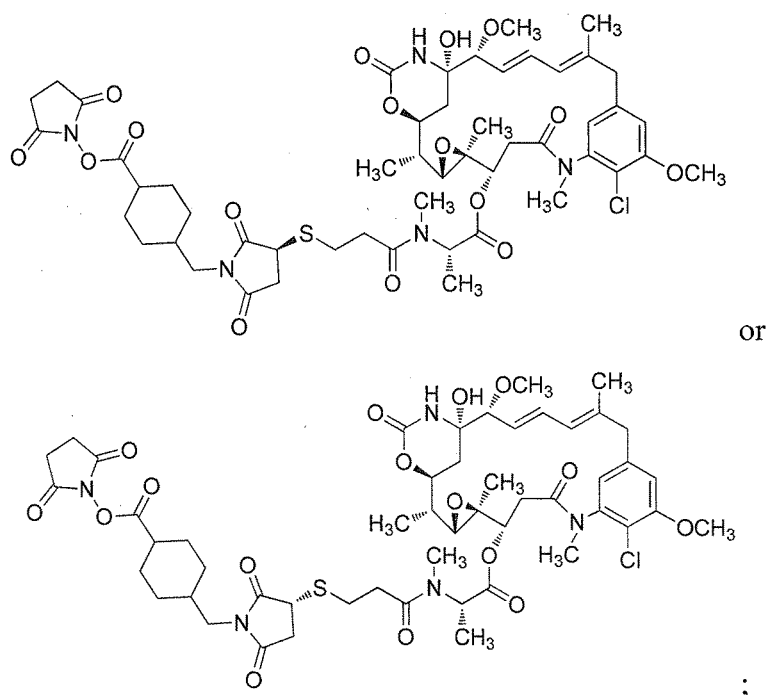


IIb

wherein L is an antibody or an antigen-binding fragment thereof; and

wherein the compound of Formula IIa or IIb is present in a diastereomeric excess of greater than 50%, comprising:

(a) reacting an antibody or antigen-binding fragment thereof with a compound of Formula I, wherein the compound is:



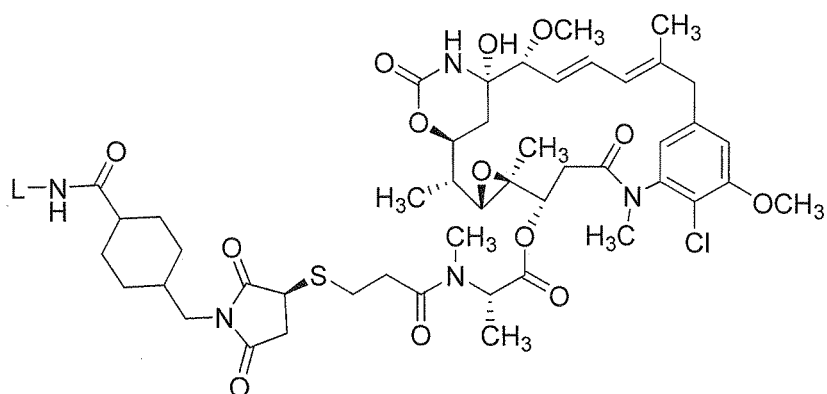
wherein the compound of Formula I is present in a diastereomeric excess of greater than 50%;
and

(b) purifying the compound of Formula IIa or IIb.

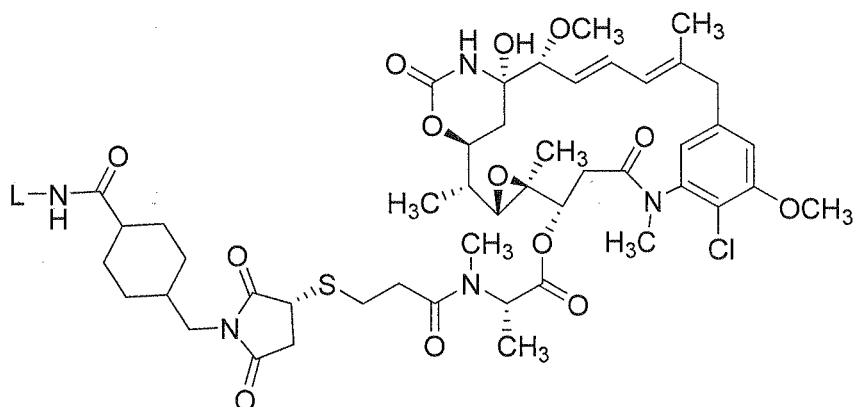
59. The process of claim 58, wherein L is an antibody, or antigen-binding fragment thereof that specifically binds a tumor-associated antigen and further wherein the tumor-associated antigen is selected from the group consisting of AFP, ALK, BAGE proteins, β -catenin, bcr-abl, BRCA1, BORIS, CA9, carbonic anhydrase IX, caspase-8, CD20, CD40, CDK4, CEA, CLEC12A, cMET, CTLA4, cyclin-B1, CYP1B1, EGFR, EGFRvIII, ErbB2/Her2, ErbB3, ErbB4, ETV6-AML, EphA2, Fra-1, FOLR1, GAGE proteins, GD2, GD3, GloboH, glypican-3, GM3, gp100, Her2, HLA/B-raf, HLA/k-ras, HLA/MAGE-A3, hTERT, IGF1R, LGR5, LMP2, MAGE proteins (*e.g.*, MAGE-1, -2, -3, -4, -6, and -12), MART-1, mesothelin, ML-IAP, Muc1, Muc16 or CA-125, MUM1, NA17, NY-BR1, NY-BR62, NY-BR85, NY-ESO1, OX40, p15, p53, PAP, PAX3, PAX5, PCTA-1, PDGFR- α , PDGFR- β , PDGF-A, PDGF-B, PDGF-C, PDGF-D, PLAC1, PRLR, PRAME, PSMA, FOLH1, RAGE proteins, Ras, RGS5, Rho, SART-1, SART-3, Steap-1,

Steap-2, survivin, TAG-72, TGF- β , TMPRSS2, Tn, TNFRSF17, TRP-1, TRP-2, tyrosinase, and uroplakin-3.

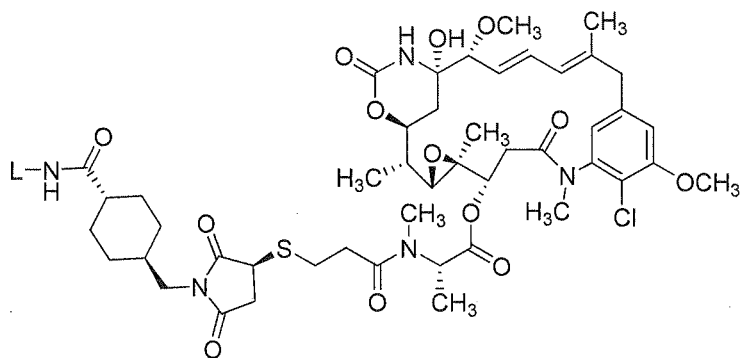
60. The process of claim 59, wherein the GAGE proteins are selected from the group consisting of GAGE-1 and GAGE-2.
61. The process of claim 59, wherein the MAGE proteins are selected from the group consisting of MAGE-1, MAGE-2, MAGE-3, MAGE-4, MAGE-6, and MAGE-12.
62. The process of claim 59, wherein the tumor-associated antigen is selected from the group consisting of EGFRvIII, Her2, and Muc16.
63. The process of claim 58, wherein the compound of Formula IIa or IIb is present in a diastereomeric excess of more than 95%.
64. The process of claim 58, wherein the compound of Formula I is present in a diastereomeric excess of more than 95%.
65. The process of claim 58, wherein the compound present in a diastereomeric excess is a compound of Formula IIa having the following structure:



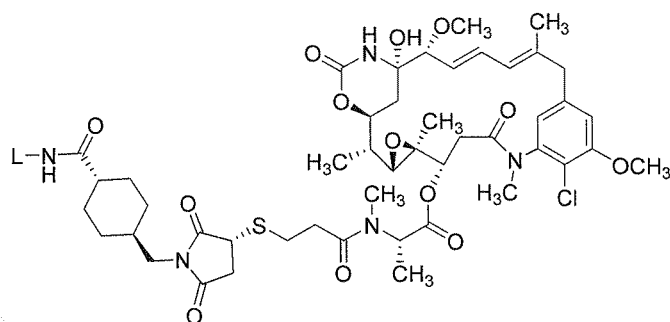
66. The process of claim 58, wherein the compound present in a diastereomeric excess is a compound of Formula IIb having the following structure:



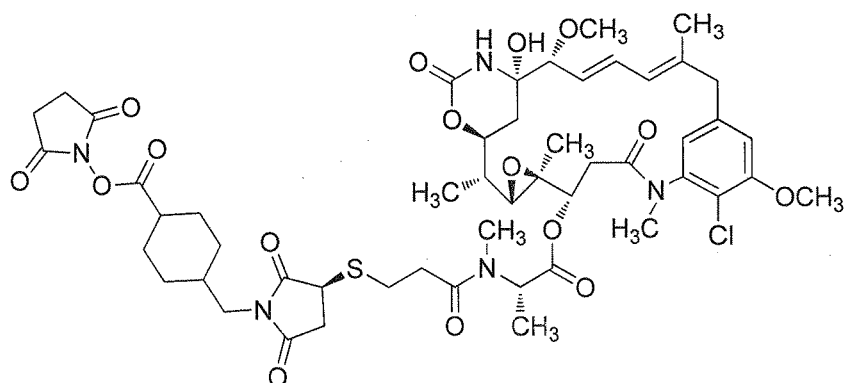
67. The process of claim 58, wherein the compound present in a diastereomeric excess is a compound of Formula IIa having the following structure:



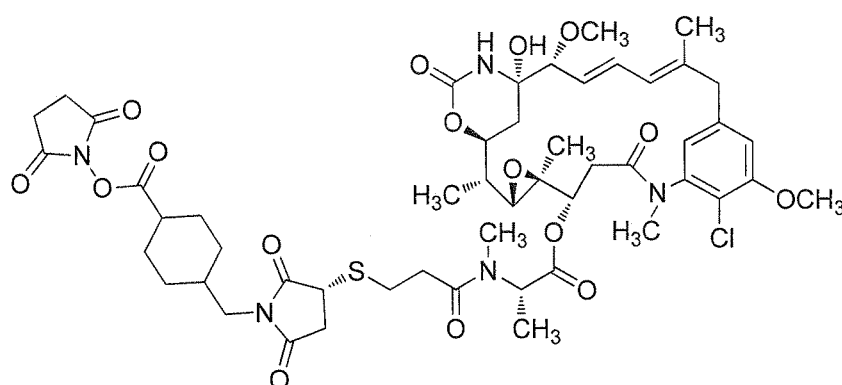
68. The process of claim 58, wherein the compound present in a diastereomeric excess is a compound of Formula IIb having the following structure:



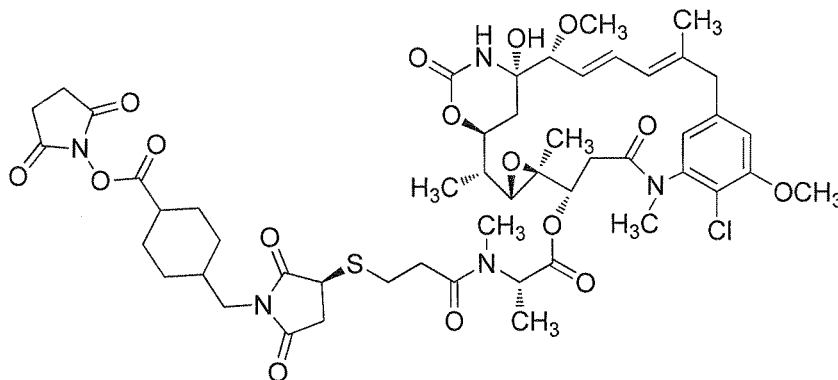
69. The process of claim 58, wherein the compound of Formula I is



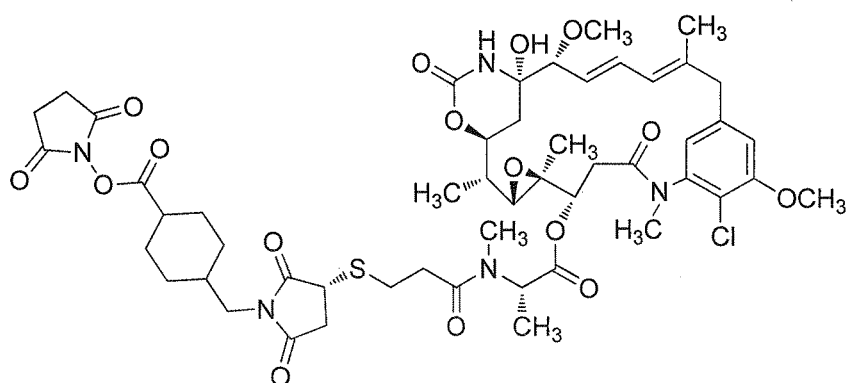
or



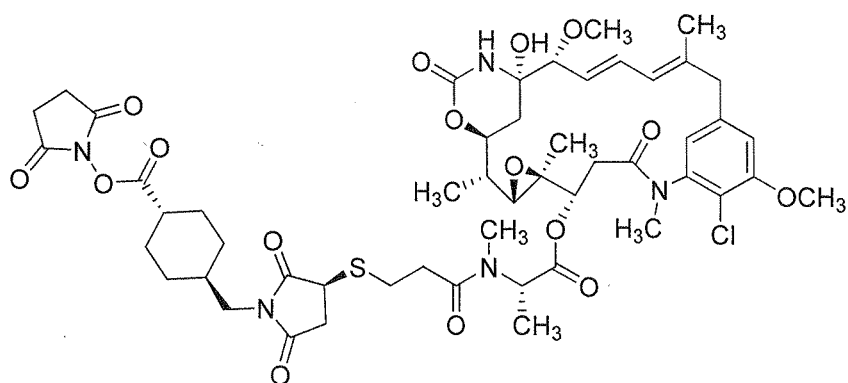
70. The process of claim 58, wherein the compound of Formula I is:



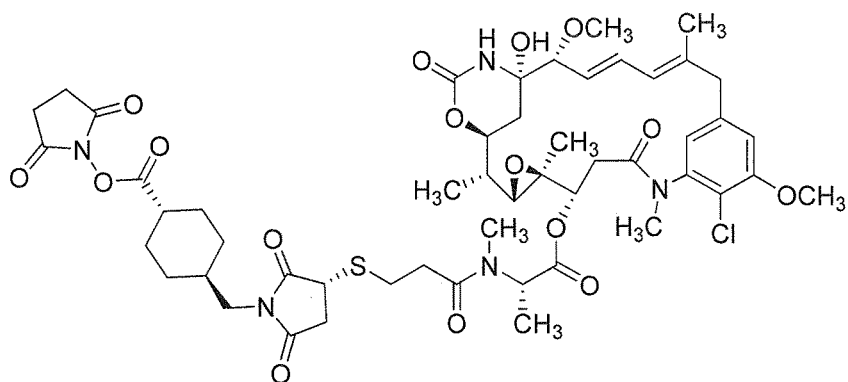
71. The process of claim 58, wherein the compound of Formula I is:



72. The process of claim 58, wherein the compound of Formula I is:



73. The process of claim 58, wherein the compound of Formula I is:



74. A compound of Formula I, prepared by the process of any one of claims of 34-48, or 51-53.

75. A compound of Formula IIa or IIb, prepared by the process of any one of claims of 49-73.