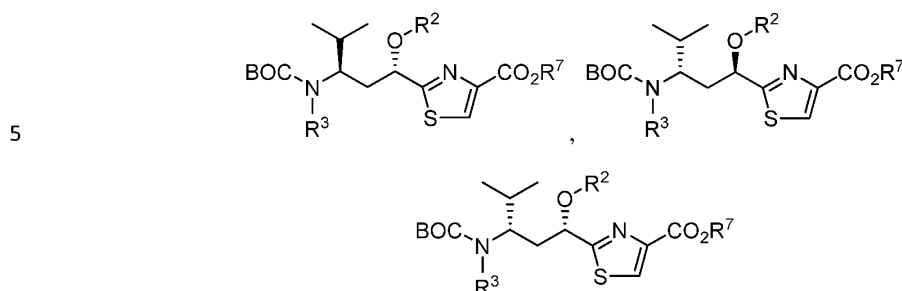


WHAT IS CLAIMED IS:

1. A compound having the structure of:



optionally in salt form, wherein

R^2 is selected from the group consisting of $-H$, C_1 - C_4 alkyl and R^{2A} , wherein R^{2A} is $-C(=O)R^{2B}$, wherein R^{2B} is optionally substituted saturated C_1 - C_4 alkyl or optionally substituted C_3 - C_6 unsaturated alkyl;

10

R^3 is $-CH_3$, $-CH_2CH_3$ or $-CH_2CH_2CH_3$

R^7 is $-H$ or C_1 - C_4 saturated alkyl.

2. The compound of claim 1, wherein R^2 is $-H$, $-CH_2CH_3$ or $-C(=O)R^{2B}$; R^3 is $-CH_3$ $-CH_2CH_3$ or $-CH_2CH_2CH_3$; and R^7 is $-H$, $-CH_3$ or $-CH_2CH_3$.

15

3. The compound of claim 1, wherein R^2 is $-C(=O)R^{2B}$, R^3 is $-CH_3$, or $-CH_2CH_2CH_3$ and R^7 is $-H$ or $-CH_2CH_3$.

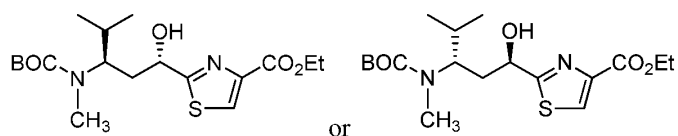
4. The compound of claim 1, wherein R^2 is $-CH_2CH_3$, R^3 is $-CH_3$, or $-CH_2CH_2CH_3$ and R^7 is H or $-CH_2CH_3$.

20

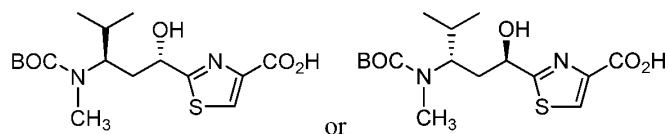
5. The compound of claim 1, wherein R^2 is $-H$, R^3 is $-CH_3$, or $-CH_2CH_2CH_3$ and R^7 is $-H$ or $-CH_2CH_3$.

25

6. The compound of claim 1, wherein the compound has the structure of:

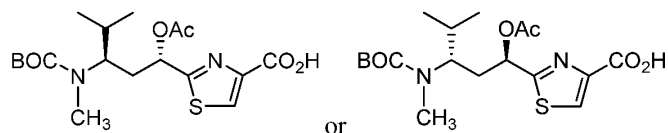


7. The compound of claim 1, wherein the compound has the structure of:



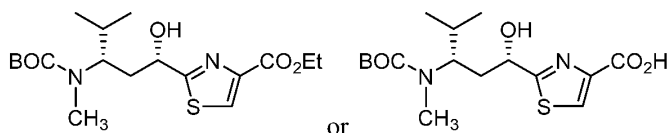
5

8. The compound of claim 1, wherein the compound has the structure of:



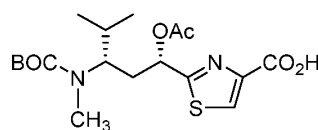
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9. The compound of claim 1, wherein the compound has the structure of:



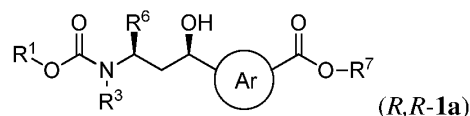
15

10. The compound of claim 1, wherein the compound has the structure of:

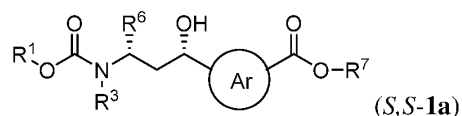


20

11. A composition comprised of a tubuvaline compound of (*R,R*)-Formula **1a**, optionally in salt form, having the structure of:



and one or more optical isomers thereof as optical impurities, wherein the (*R,R*)-
 Formula **1a** tubuvaline compound, or salt thereof, is the predominate optical isomer, and
 wherein its corresponding enantiomer, (*S,S*)-Formula **1a**, optionally in salt form, is the
 5 major optical impurity, which has the structure of:



wherein:

the circled Ar is a 1,3-phenylene or a 5- or 6-membered nitrogen-containing 1,3-
 10 heteroarylene, optionally substituted at the remaining positions;

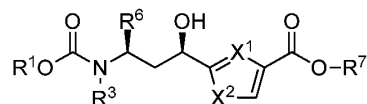
R^1 is phenyl, t-butyl, 9-fluorenyl or allyl, optionally substituted, or other moiety so
 that $R^1\text{-OC(=O)-}$ is a suitable nitrogen protecting group;

R^3 is optionally substituted saturated $C_1\text{-}C_8$ alkyl, optionally substituted unsaturated
 $C_3\text{-}C_8$ alkyl or optionally substituted $C_3\text{-}C_8$ heteroalkyl;

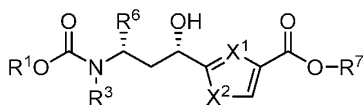
R^6 is optionally substituted $C_1\text{-}C_8$ alkyl; and

15 R^7 is optionally substituted saturated $C_1\text{-}C_{20}$ alkyl, optionally substituted unsaturated
 $C_3\text{-}C_{20}$ alkyl, optionally substituted $C_3\text{-}C_{20}$ heteroalkyl, optionally substituted $C_2\text{-}C_{20}$
 alkenyl, optionally substituted $C_3\text{-}C_{20}$ heteroalkenyl, optionally substituted $C_2\text{-}C_{20}$ alkynyl,
 optionally substituted $C_3\text{-}C_{20}$ heteroalkynyl, optionally substituted $C_6\text{-}C_{24}$ aryl, optionally
 substituted $C_5\text{-}C_{24}$ heteroaryl, optionally substituted $C_3\text{-}C_{20}$ heterocyclyl, or other moiety
 20 so that $R^7\text{-O-}$ provides for a suitable carboxylic acid protecting group,

in particular, (*R,R*)-Formula **1a** has the structure of:



and (*S,S*)-Formula **1a** has the structure of:



25 wherein:

X^1 is =N-; and

X^2 is S, O, or N(R^{X2})-, or

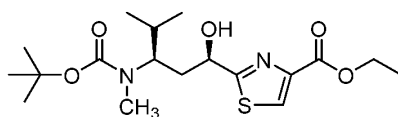
X^1 is =C(R^{X1})-; and

X^2 is NR^{X2},

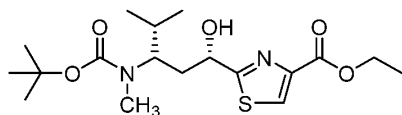
5 R^{X1} and R^{X2} are independently selected from the group consisting of -H, -CH₃ or -CH₂CH₃; and

wherein the remaining variable group are as previously defined,

more particularly, (*R,R*)-Formula **1a** has the structure of:

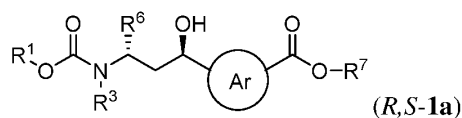


10 and (*S,S*)-Formula **1a** has the structure of:

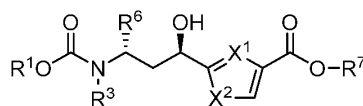


12. The composition of claim **11**, wherein the tubuvaline composition is comprised of the (*R,R*)-Formula **1a** tubuvaline compound, or salt thereof, as the predominate optical isomer and has no more than about 5% w/w of the diastereomeric tubuvaline compound, (*R,S*)-Formula **1a**, relative to the total amount of optical isomers present in the composition, in particular, no more than about 1.5 % w/w, more particularly, no more that about 1.0 % w/w, or is essentially free of the diastereomer, as determined by chiral HPLC, wherein the diastereomeric tubuvaline compound, optionally in salt form, has the structure of:

20



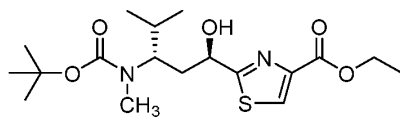
in particular (*R,S*)-Formula **1a** has the structure of:



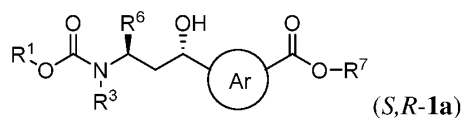
wherein the variable groups remain as previously defined for (*R,R*)-Formula **1a** and

25 (*S,S*)-Formula **1a**,

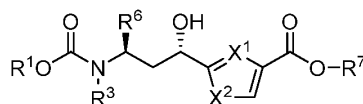
more particularly, (*R,S*)-Formula **1a** has the structure of:



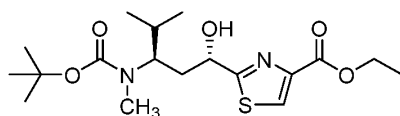
13. The composition of claim 12, wherein the composition is essentially free of the diastereomer (*R,S*)-Formula 1a, and is essentially free of its corresponding enantiomer, (*S,R*)-Formula 1a, as determined by chiral HPLC, which has the structure of:



in particular, (*S,R*)-Formula 1a has the structure of:

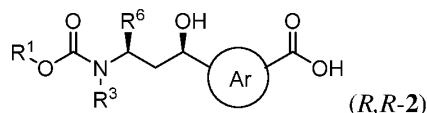


- wherein the variable groups remain as previously defined for (*R,R*)-Formula 1a, (*S,S*)-Formula 1a and (*R,S*)-Formula 1a, more particularly, (*S,R*)-Formula 1a has the structure of:



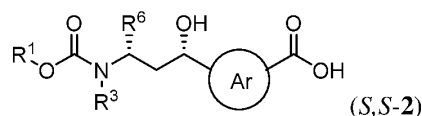
14. The composition of claim 11, 12 or 13, wherein the composition contains no more than about 3% w/w, in particular no more than about 2% w/w or no more than about 1.5% w/w of the optical impurity of (*S,S*)-Formula 1a, as determined by chiral HPLC, relative to the total amount of optical isomers present in the composition.

15. A composition comprised of a tubuvaline compound of (*R,R*)-Formula 2, optionally in salt form, having the structure of:



and one or more optical isomers thereof as optical impurities, wherein the (*R,R*)-Formula 2 tubuvaline compound, or salt thereof, is the predominate optical isomer, and

wherein its corresponding enantiomer, (*S,S*)-Formula **2**, optionally in salt form, is the major optical impurity, which has the structure of:



wherein:

- 5 the circled Ar is a 1,3-phenylene or a 5- or 6-membered nitrogen-containing 1,3-heteroarylene, optionally substituted at the remaining positions;

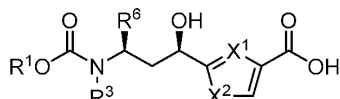
R¹ is phenyl, t-butyl, 9-fluorenyl or allyl, optionally substituted, or other moiety so that R¹-OC(=O)- is a suitable nitrogen protecting group;

- 10 R³ is optionally substituted saturated C₁-C₈ alkyl, optionally substituted unsaturated C₃-C₈ alkyl or optionally substituted C₃-C₈ heteroalkyl;

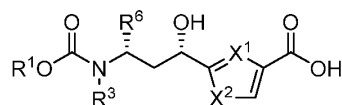
R⁶ is optionally substituted C₁-C₈ alkyl; and

- 15 R⁷ is optionally substituted saturated C₁-C₂₀ alkyl, optionally substituted unsaturated C₃-C₂₀ alkyl, optionally substituted C₃-C₂₀ heteroalkyl, optionally substituted C₂-C₂₀ alkenyl, optionally substituted C₃-C₂₀ heteroalkenyl, optionally substituted C₂-C₂₀ alkynyl, optionally substituted C₃-C₂₀ heteroalkynyl, optionally substituted C₆-C₂₄ aryl, optionally substituted C₅-C₂₄ heteroaryl, optionally substituted C₃-C₂₀ heterocyclyl, or other moiety so that R⁷-O- provides for a suitable carboxylic acid protecting group,

in particular, wherein (*R,R*)-Formula **2** has the structure of:



- 20 or a salt thereof, and (*S,S*)-Formula **2** has the structure of:



or a salt thereof, wherein:

X¹ is =N-; and

X² is S, O, or N(R^{X2})-, or

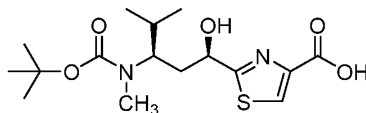
- 25 X¹ is =C(R^{X1})-; and

X² is NR^{X2},

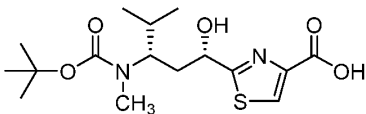
wherein R^{X1} and R^{X2} are independently selected from the group consisting of -H, -CH₃ or -CH₂CH₃;

and the remaining variable groups are as previously defined,

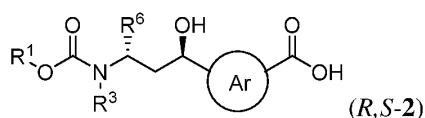
more particularly, (*R,R*)-Formula 2 has the structure of:



or a salt thereof, and (*S,S*)-Formula 2, optionally in salt form, has the structure of:

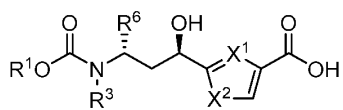


16. The composition of claim 15, wherein the tubuvaline composition is comprised of the (*R,R*)-Formula 2 tubuvaline compound, or salt thereof, as the predominate optical isomer and has no more than about 5% w/w of the diastereomeric tubuvaline compound, (*R,S*)-Formula 2, relative to the total amount of optical isomers present in the composition, in particular, no more than about 1.5% w/w, more particularly, no more that about 1.0% w/w, or is essentially free of the diastereomer, as determined by chiral HPLC, wherein the diastereomeric tubuvaline compound, optionally in salt form, has the structure of (*R,S*)-Formula 2:



wherein the variable groups remain as previously defined,

in particular, wherein (*R,S*)-Formula 2 has the structure of:



or a salt thereof, wherein:

X^1 is =N-; and

X^2 is S, O, or N(R^{X2})-, or

X^1 is =C(R^{X1})-; and

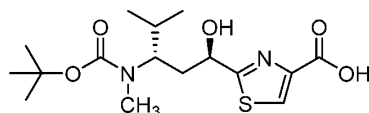
X^2 is NR X2 ,

R^{X1} and R^{X2} are independently selected from the group consisting of -H, -CH₃ or -CH₂CH₃; and

wherein the remaining variable groups are as previously defined,

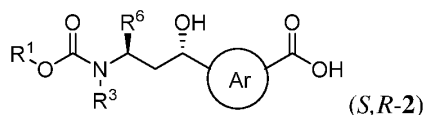
more particularly, wherein (*R,S*)-Formula 2, optionally in salt form, has the structure

5 of:

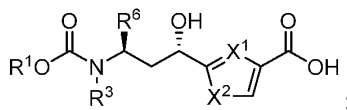


17. The composition of claim 16, wherein the composition is essentially free of the diastereomer, (*R,S*)-Formula 2, or salt thereof, and is essentially free, as determined by chiral HPLC, of its corresponding enantiomer, (*S,R*)-Formula 2, which has the structure

10 of:



or salt thereof, in particular, wherein (*S,R*)-Formula 2 has the structure of:

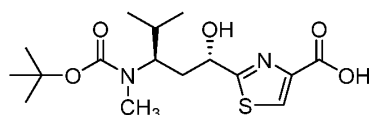


or salt thereof, wherein the variable groups are as previously defined for (*R,R*)-

15 Formula 1a, (*R,S*)-Formula 1a and (*S,S*)-Formula 1a,

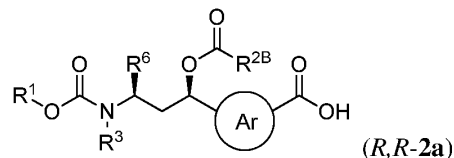
more particularly, wherein (*S,R*)-Formula 2, optionally in salt form, has the structure

of:

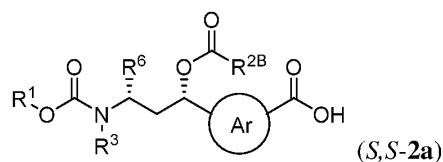


20 18. The composition of claim 15, 16 or 17, wherein the composition contains no more than about 3% w/w, in particular no more than about 2% w/w or no more than about 1.5% w/w of the optical impurity of (*S,S*)-Formula 2, as determined by chiral HPLC, relative to the total amount of optical isomers present in the composition,.

19. A composition comprised of a tubuvaline compound of (*R,R*)-Formula **2a**, optionally in salt form, having the structure of:



and one or more optical isomers thereof as optical impurities, wherein the (*R,R*)-Formula **2a** tubuvaline compound, or salt thereof, is the predominate optical isomer, and wherein its corresponding enantiomer, (*S,S*)-Formula **2a**, optionally in salt form, is the major optical impurity, which has the structure of:



wherein:

the circled Ar is a 1,3-phenylene or a 5- or 6-membered nitrogen-containing 1,3-heteroarylene, optionally substituted at the remaining positions;

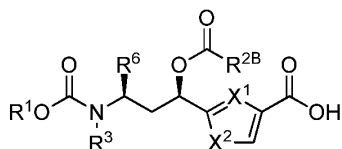
R^1 is phenyl, t-butyl, 9-fluorenyl or allyl, optionally substituted, or other moiety so that $R^1\text{-OC(=O)-}$ is a suitable nitrogen protecting group;

R^3 is optionally substituted saturated $C_1\text{-}C_8$ alkyl, optionally substituted unsaturated $C_3\text{-}C_8$ alkyl or optionally substituted $C_3\text{-}C_8$ heteroalkyl;

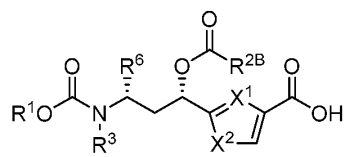
R^6 is optionally substituted $C_1\text{-}C_8$ alkyl; and

R^7 is optionally substituted saturated $C_1\text{-}C_{20}$ alkyl, optionally substituted unsaturated $C_3\text{-}C_{20}$ alkyl, optionally substituted $C_3\text{-}C_{20}$ heteroalkyl, optionally substituted $C_2\text{-}C_{20}$ alkenyl, optionally substituted $C_3\text{-}C_{20}$ heteroalkenyl, optionally substituted $C_2\text{-}C_{20}$ alkynyl, optionally substituted $C_3\text{-}C_{20}$ heteroalkynyl, optionally substituted $C_6\text{-}C_{24}$ aryl, optionally substituted $C_5\text{-}C_{24}$ heteroaryl, optionally substituted $C_3\text{-}C_{20}$ heterocycl, or other moiety so that $R^7\text{-O-}$ provides for a suitable carboxylic acid protecting group,

in particular, wherein (*R,R*)-Formula **2a** has the structure of:



or salt thereof, and (*S,S*)-Formula **2a** has the structure of:



or salt thereof, wherein:

X¹ is =N-; and

5 X² is S, O, or N(R^{X2})-, or

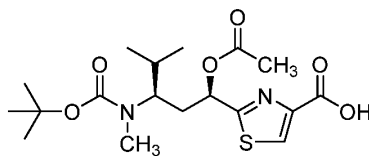
X¹ is =C(R^{X1})-; and

X² is NR^{X2},

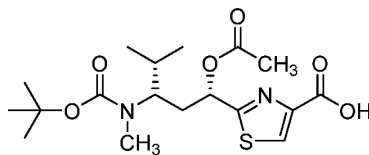
wherein R^{X1} and R^{X2} are independently selected from the group consisting of -H, -

CH₃ or -CH₂CH₃; and

10 wherein the remaining variable groups are as previously defined,
more particularly, wherein (*R,R*)-Formula **2a** has the structure of:

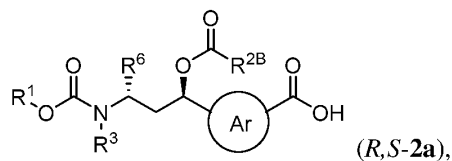


or salt thereof, and (*S,S*)-Formula **2a**, optionally in salt form, has the structure of:

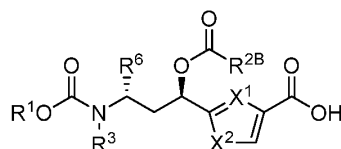


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20 **20.** The composition of claim **19**, wherein the tubuvaline composition is comprised of the (*R,R*)-Formula **2a** tubuvaline compound, or salt thereof, as the predominate optical isomer and has no more than about 5% w/w of the diastereomeric tubuvaline compound, (*R,S*)-Formula **2a**, relative to the total amount of optical isomers present in the composition, in particular, no more than about 1.5% w/w, more particularly, no more than about 1.0% w/w, or is essentially free of the diastereomer, as determined by chiral HPLC, wherein the diastereomeric tubuvaline compound, optionally in salt form, has the structure of (*R,S*)-Formula **2a**:



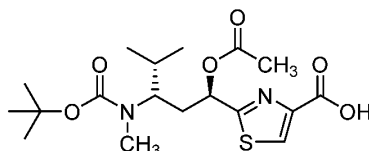
in particular, wherein (*R,S*)-Formula **2a** has the structure of:



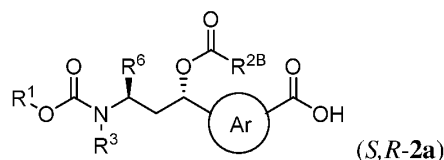
or salt thereof, wherein the variable groups remain as previously defined for (*R,R*)-

5 Formula **2a** and (*S,S*)-Formula **2a**,

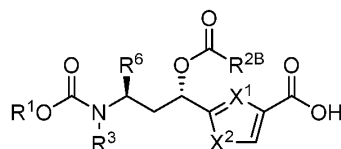
more particularly, (*R,S*)-Formula **2a**, optionally in salt form, has the structure of:



21. The composition of claim **20**, wherein the composition is essentially free of the
10 diastereomer, (*R,S*)-Formula **2a**, and is essentially free, as determined by chiral HPLC, of
its corresponding enantiomer, (*S,R*)-Formula **2a**, which has the structure of:



in particular, wherein (*S,R*)-Formula **2a** has the structure of:

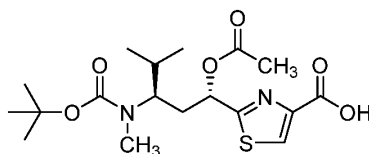


15

or salt thereof, wherein the variable groups remain as previously defined for (*R,R*)-

Formula **2a**, (*S,S*)-Formula **2a** and (*R,S*)-Formula **2a**,

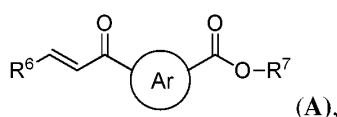
more particularly, (*S,R*)-Formula **2a**, optionally in salt form, has the structure of



22. The composition of claim **19**, **20** or **21**, wherein the composition contains no more than about 3% w/w, in particular no more than about 2% w/w or no more than about 1.5% w/w of the optical impurity of (*S,S*)-Formula **2a**, as determined by chiral HPLC,
5 relative to the total amount of optical isomers present in the composition.

23. A method for preparing a composition of any one of claims **11-22**, the method comprising the steps of:

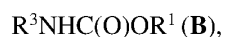
(a) contacting a compound of Formula **A**:



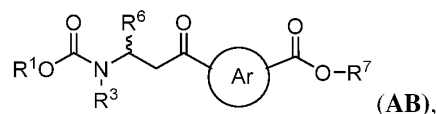
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wherein R^7 is optionally substituted saturated C₁-C₂₀ alkyl, optionally substituted unsaturated C₃-C₂₀ alkyl, optionally substituted C₃-C₂₀ heteroalkyl, optionally substituted C₂-C₂₀ alkenyl, optionally substituted C₃-C₂₀ heteroalkenyl, optionally substituted C₂-C₂₀ alkynyl, optionally substituted C₃-C₂₀ heteroalkynyl,
15 optionally substituted C₆-C₂₄ aryl, optionally substituted C₅-C₂₄ heteroaryl, optionally substituted C₃-C₂₀ heterocyclyl, or other moiety so that R^7-O- provides for a suitable carboxylic acid protecting group,

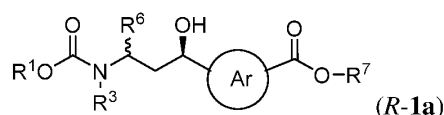
with a compound of Formula **B**:



20 in a suitable polar, aprotic solvent in the presence of a suitable transition metal (II) or transition metal (III) catalyst, in particular, one comprising a transition metal selected from the group consisting of Cu(II), Zn(II) and Yb(III), so as to form a composition comprised of an enantiomeric mixture of tubuvaline intermediates represented by Formula **AB**:

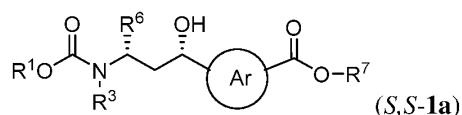
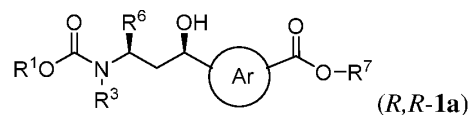


(b) contacting the enantiomeric mixture with a suitable chiral reducing agent so as to form a composition comprised of essentially an equimolar mixture of diastereomers, wherein the diastereomeric mixture is represented by Formula *R-1a*,



wherein the composition is further comprised of essentially an equimolar mixture of optical impurities that are enantiomers of the diastereomers.

(b') separating the diastereomers from the composition of the Formula *R-1a* diastereomeric mixture so that the composition, which is comprised of (*R,R*)-Formula **1a** as the predominate optical isomer and having (*S,S*)-Formula **1a** as the major optical impurity, is obtained, wherein the predominate optical isomer and the major optical impurity, each optionally in salt form, have the structures of:



respectively, wherein the variable groups of **AB**, Formula *R-1a*, *R,R*-Formula **1a** and (*S,S*)-Formula **1a** retain the previous meanings from the Formula **A** and Formula **B** compounds.

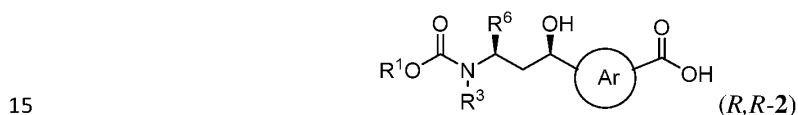
24. The method of claim **23**, wherein the transition (II) metal catalyst is comprised of Cu(II), in particular Cu(OTf)₂, Cu(SbF₆)₂, or CuCl₂, more particularly Cu(OTf)₂.

25. The method of claim **23** or **24**, wherein the suitable polar, aprotic solvent is acetonitrile, dichloromethane, THF, dioxane, or a mixture of two or three of these solvents, in particular, dichloromethane.

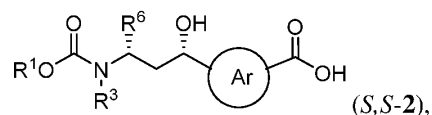
5 **26.** The method of claim **23**, **24** or **25**, wherein the chiral reducing agent is a chiral oxazaborolidine prepared from contacting $\text{BH}_3\text{-DMS}$ in THF with a suitable chiral ligand, in particular (S)-(-)-CBS.

10 **27.** A method for preparing a composition of any one of claims **15-18**, the method comprising the steps of:

(c) contacting the composition obtained from steps (a), (b) and step (b') of any one of claims **23-26** with an suitable hydrolysis agent, wherein the predominate optical isomer of the composition so obtained is (*R,R*)-Formula **2**, optionally in salt form, having the structure of:



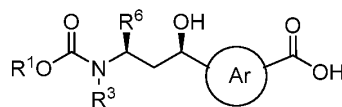
and the major optical impurity is (*S,S*)-Formula **2**, optionally in salt form, having the structure of:



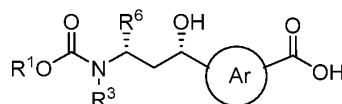
20 wherein the variable groups of *R,R*-Formula **2** and *S,S*-Formula **2** retain the previous meanings from the Formula **A** and Formula **B** compounds.

28. A method for preparing a composition of any one of claims **19-22**, the method comprising the steps of:

25 (c) contacting the composition obtained from steps (a), (b) and step (b') of any one of claims **23-26** with an suitable hydrolysis agent to obtain a composition comprised of (*R,R*)-Formula **2** as the predominate optical isomer, optionally in salt form, which has the structure of:

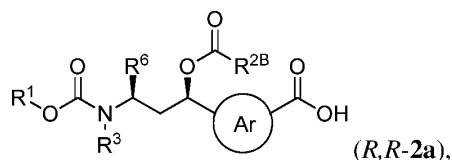


and further comprised of its enantiomer, optionally in salt form, as the major optical impurity, which has the structure of:

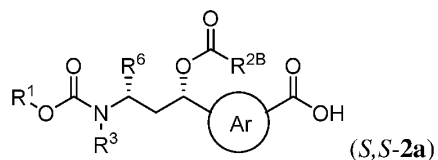


5 and

step (d): contacting the composition so obtained with a suitable acylating agent to obtain a composition comprised (*R,R*)-Formula **2a** as the predominate optical isomer and (*S,S*)-Formula **2a** as the major optical impurity, wherein the predominate optical isomer and the predominate optical isomer, each optionally in salt form, have the structures of:



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wherein R^{2B} is saturated C_1 - C_6 alkyl, unsaturated C_3 - C_8 alkyl, C_2 - C_8 alkenyl or C_2 - C_4 alkynyl, optionally substituted, in particular $-CH_3$, $-CH_2CH_3$, $-CH_2CH_2CH_3$, $-CH_2CH=CH_2$, $-CH_2CH(CH_3)_2$, $-CH_2C(CH_3)_3$, $-CH_2C(CH_3)=CH_2$, $-CH=CH_2$ or $-CHC\equiv CH$, more particularly, $-CH_3$, and the remaining variable groups retain the previous meanings of the Formula **A** and Formula **B** compounds.

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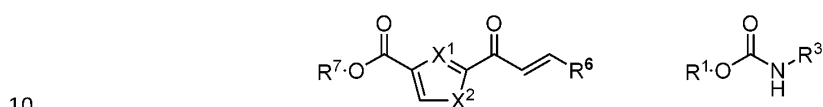
29. The method of any one of claims **23-28**, wherein the optical purity of the composition from step (b') is substantially or essentially retained by the composition obtained from step (c) and/or step (d).

20

30. The method of any one of claims 23-29, wherein said step (b') separation is by silica gel flash chromatography.

31. The method of claim any one claims 23-30, wherein the circled Ar is a 5-membered nitrogen-containing 1,3-heteroarylene, optionally substituted at the remaining positions.

32. The method of claim any one claims 23-32, wherein compound A and compound B of step (a) have the structures of:



respectively, wherein,

X¹ is =N-; and

X² is S, O, or N(R^{X2})-, or

X¹ is =C(R^{X1})-; and

15 X² is NR^{X2},

Wherein R^{X1} and R^{X2} are independently selected from the group consisting of -H, -CH₃ or -CH₂CH₃;

R¹ is phenyl, t-butyl, 9-fluorenyl or allyl, optionally substituted, or other moiety so that R¹-OC(=O)- is a suitable nitrogen protecting group, in particular t-butyl; and

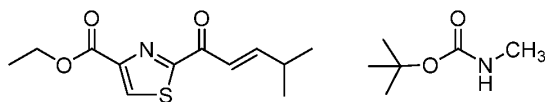
20 R³ is optionally substituted saturated C₁-C₆ alkyl, optionally substituted unsaturated C₃-C₆ alkyl or optionally substituted C₃-C₆ heteroalkyl, in particular -CH₃ or -CH₂CH₂CH₃;

R⁶ is C₁-C₆ alkyl, in particular -CH(CH₃)₂; and

25 R⁷ is optionally substituted saturated C₁-C₂₀ alkyl, optionally substituted unsaturated C₃-C₂₀ alkyl, optionally substituted C₃-C₂₀ heteroalkyl, optionally substituted C₂-C₂₀ alkenyl, optionally substituted C₃-C₂₀ heteroalkenyl, optionally substituted C₂-C₂₀ alkynyl, optionally substituted C₃-C₂₀ heteroalkynyl, optionally substituted C₆-C₂₄ aryl, optionally substituted C₅-C₂₄ heteroaryl or optionally substituted C₃-C₂₀ heterocyclyl, or other moiety so that R⁷-O- provides a suitable carboxylic acid protecting group, in particular R⁷ is -

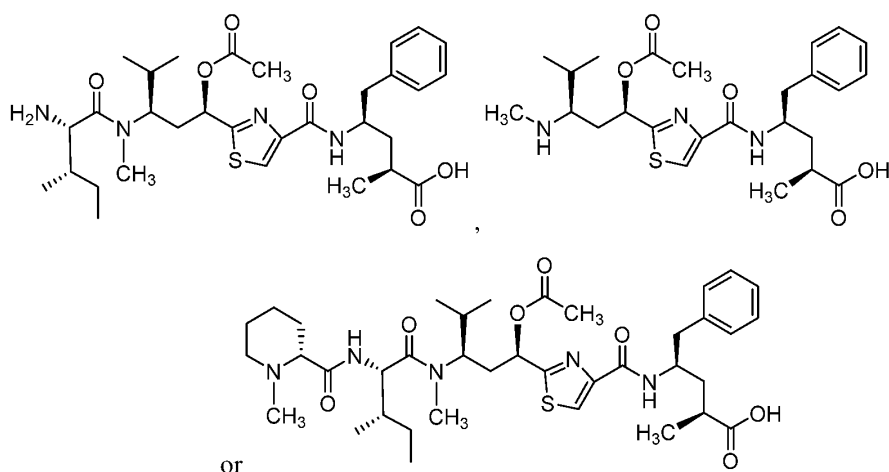
30 CH₃ or -CH₂CH₃.

in particular, compound A and compound B have the structures of:



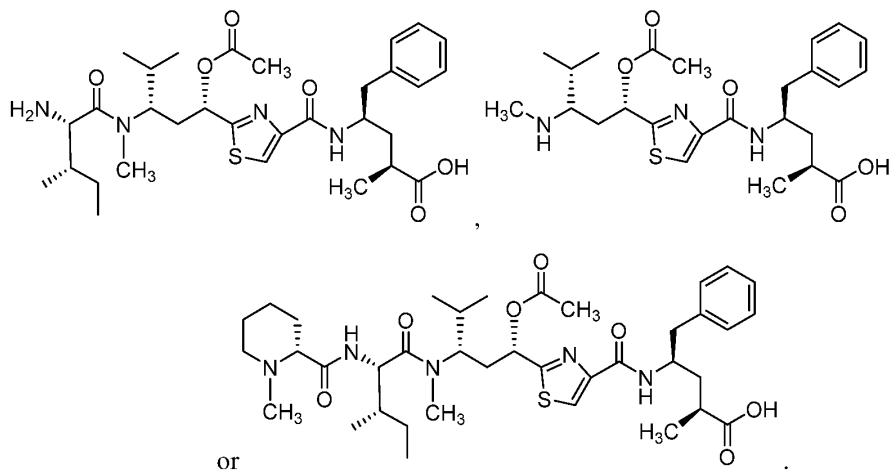
33. A composition comprised of a compound, optionally in salt form, having the structure of:

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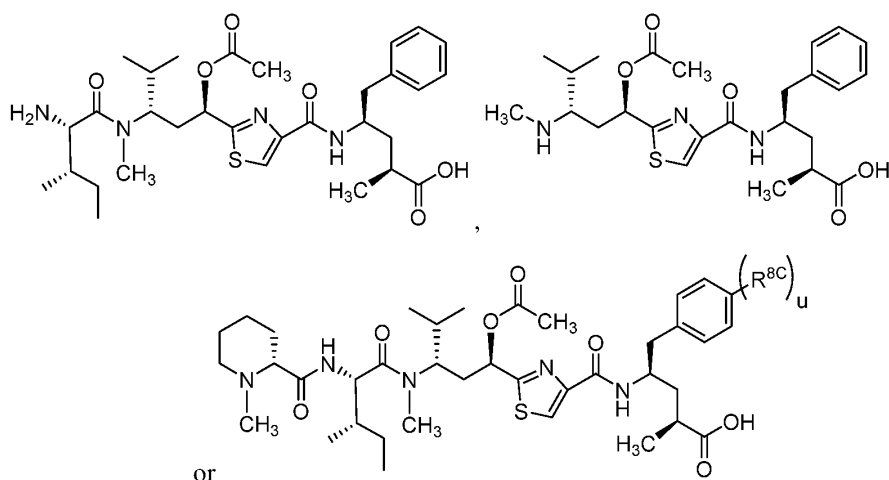
as the predominate optical isomer, and a corresponding optical impurity, optionally in salt form, having the structure of:

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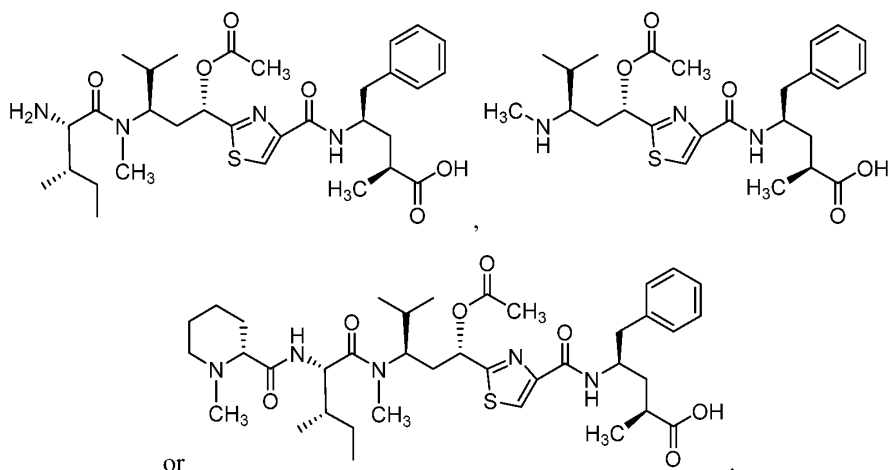


34. The composition of claim 33, wherein the composition is essentially free of the corresponding optical impurity of:

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and is essentially free of the corresponding optical impurity having the structure of:

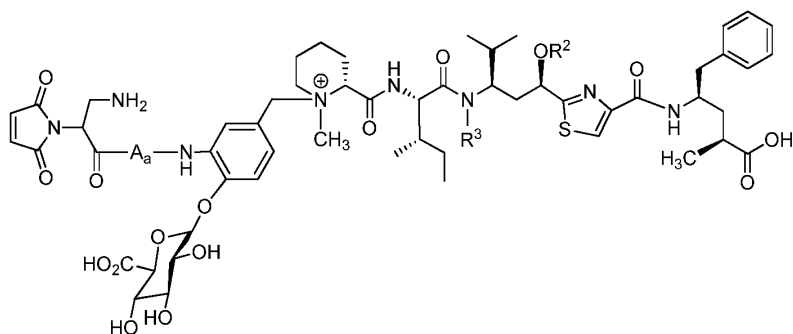


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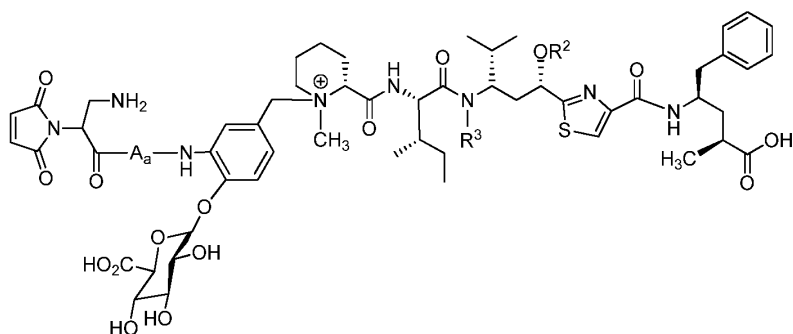
35. The composition of claim **34**, wherein the composition is prepared from a composition of claim **21**,

wherein the composition of claim **21** contains no more than about 3% w/w, in particular no more than about 2% w/w or no more than about 1.5% w/w of the optical impurity of (S,S)-Formula **2a**, as determined by chiral HPLC, relative to the total amount of optical isomers present in the composition.

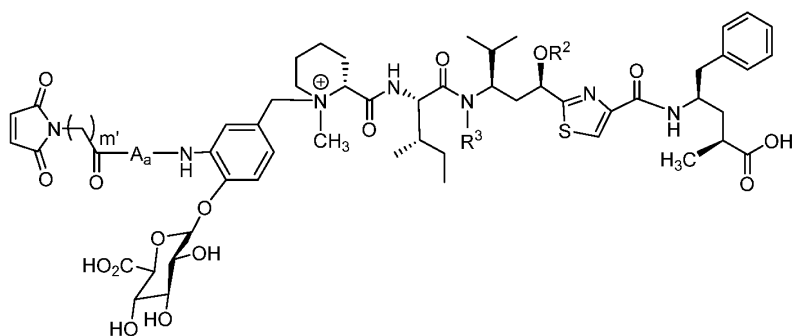
36. A Drug Linker composition, wherein the composition is comprised of a Drug Linker compound having the structure of:



in suitable salt form, and a Drug linker impurity having the structure of:

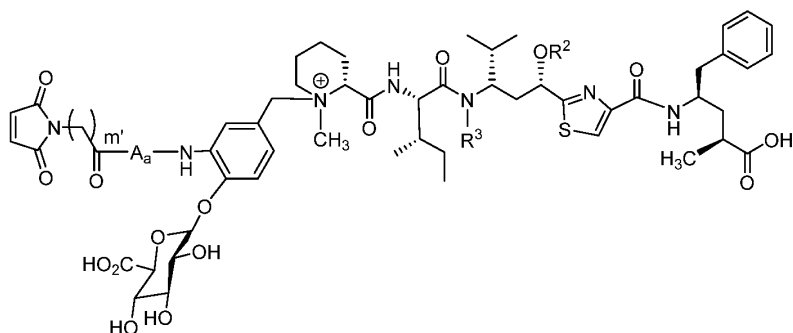


also in salt form, or wherein the Drug Linker compound has the structure of:



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in suitable salt form, and the Drug linker impurity has the structure of:

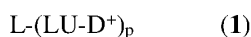


also in salt form, wherein subscript *a* is 1 so that *A* is present, preferably as an α -amino acid or β -amino acid residue; subscript *m'* ranges from 1 to 5; R^2 is saturated C₁-C₆ alkyl, or R^2 is R^{2A} , wherein R^{2A} is $-C(=O)R^{2B}$, wherein R^{2B} is saturated C₁-C₆ alkyl or unsaturated C₃-C₆ alkyl, in particular, R^2 is $-\text{CH}_3$, $-\text{CH}_2\text{CH}_3$ or $-\text{C}(=\text{O})\text{CH}_3$; and R^3 is $-\text{CH}_3$ or $-\text{CH}_2\text{CH}_2\text{CH}_3$.

37. The Drug Linker composition of claim 36, wherein R^2 is R^{2A} , wherein R^{2A} is $-\text{C}(=\text{O})\text{CH}_3$ and R^3 is $-\text{CH}_3$, and wherein the composition is prepared from a composition of claim 33, 34 or 35.

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38. A Ligand Drug Conjugate composition having the structure of Formula 1:

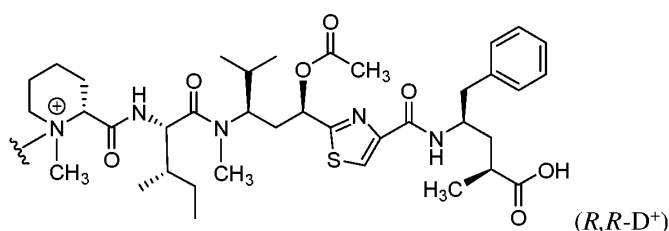


wherein *L* is a Ligand Unit, *LU* is a Linker Unit and D^+ is a quaternized tubulysin Drug Unit,

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and subscript *p* ranges from 2 to 12,

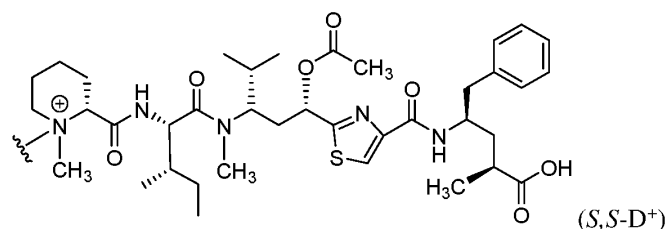
wherein a plurality of Ligand Drug Conjugate compounds of the composition have identical quaternized tubulysin Drug Units having the structure of (*R,R*)-Formula D^+ :



in pharmaceutically acceptable salt form, wherein the wavy line indicate the site of covalent attachment to *LU*.

20

and wherein at least one compound of the composition has at least one quaternized tubulysin Drug Unit having the structure of (*S,S*)-Formula D^+ :



with the remainder having identical (*R,R*)-Formula D^+ structures.

25

39. The Ligand Drug Conjugate composition of claim 38, wherein the Ligand Drug Conjugate composition is prepared from a composition of claim 33, 34 or 35.
40. The Ligand Drug Conjugate composition of claim 38 or 39, wherein the Ligand Unit is an antibody Ligand Unit directed to a cancer cell antigen, in particular CD30, CD33 or CD70.
- 5