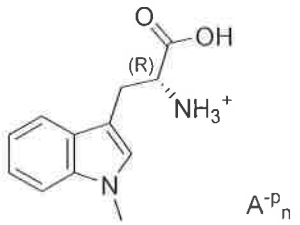
CLAIMS

We claim:

1. A salt of indoximod according to Formula 1a:



Formula 1a

wherein $A^{-p}{}_n$ is an inorganic or organic anion in an ionization state $-p$, the anion present at a stoichiometric ratio n that ensures molecular charge neutrality.

2. The salt of claim 1, wherein $A^{-p}{}_n$ is an anion selected from the group consisting of chloride, phosphate, sulfate, mesylate, besylate, acetate, ascorbate, aspartate, glutamate, glutarate, lactate, maleate, malonate, oxalate, succinate, fumarate, tartrate and citrate, wherein the ionization state $-p$ is -1, -2 or -3 and the stoichiometric ratio n is 1, ½ or 1/3, respectively, so that it satisfies stoichiometric conditions of charge neutrality.

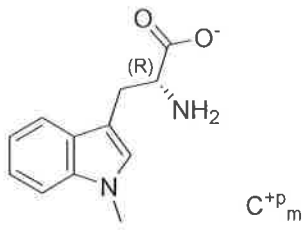
3. The salt of claim 2, wherein the phosphate is HPO_4^{-2}, the HPO_4^{-2} present at a stoichiometric ratio n of 0.5.

4. The salt of claim 2, wherein the phosphate is HPO_4^{-}, the HPO_4^{-} present at a stoichiometric ratio n of 1.

5. The salt of claim 1, wherein the anion A^{-pn} is Cl^{-}, the Cl^{-} present at a stoichiometric ratio n of 1.

6. The salt of claim 2, wherein the mesylate is $CH_3SO_3^{-}$, the $CH_3SO_3^{-}$ present at a stoichiometric ratio n of 1.

7. A salt of indoximod, according to Formula 1b:

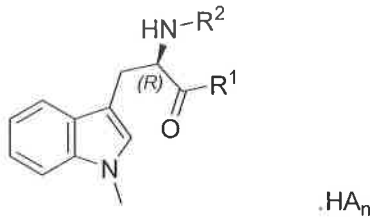


Formula 1b

wherein $C^{+p}{}_m$ is an inorganic cation in an ionization state $+p$, the cation present at a stoichiometric ratio m that ensures molecular charge neutrality of the salt.

8. The salt of claim 7 wherein $C^{+p}{}_m$ is selected from the group consisting of Li^+, Na^+, K^+, Mg^{+2} and Ca^{+2}, wherein when p is +1, m is 1, and when p is +2, m is ½.

9. A prodrug of indoximod, in free base or salt form, according to Formula 2:

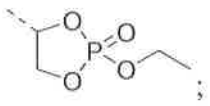


Formula 2

wherein:

(a) R^1 is -OH, -OC_{2-3}alkyl, -$OCH_2CH(OH)CH_2OH$, -$O(CH_2)_2N(CH_3)_2$, -OC_{1-3}alkyl-R^3,-$NHC^{(S)}HR^4(COOH)$, -$NHC^{(R)}HR^4(COOH)$, -OC_{1-6}alkylR^6, -OC_{1-2}alkyl-$C^{(S)}H(NH_2)(COOH)$, or -OC_{1-2}alkyl-$C^{(R)}H(NH_2)(COOH)$;

(b) R^2 is H, -$C(O)C^{(S)}H(NH_2)R^4$, -$C(O)C^{(R)}H(NH_2)R^4$, -$C(O)CH_2C^{(S)}H(NH_2)$, -$C(O)OCH_3$, -$C(O)OR^5$, or -$C(O)NHR^5$;

(c) R^3 is tetrahydropyran or ;

(d) R^4 is H, -C_{1-5}alkyl, -$(CH_2)_{1-2}SH$, C_{1-5}alkylSC_{1-5}alkyl, C_{1-5}alkylOC_{1-5}alkyl, -CH_2-R^6, -CH_2OH, -$CH(OH)CH_3$, -$(CH_2)_{1-2}C(O)NH_2$, -$(CH_2)_{1-3}C(O)OH$, -$(CH_2)_{1-4}NH_2$, or -$(CH_2)_{1-3}NC(=NH_2)NH_2$;

(e) $C^{(S)}$ and $C^{(R)}$ are carbons with the *S* or *R* stereochemistry, respectively, when R^4 is not H;

(f) R^5 is H, C_{1-6}alkylR^6, or R^6

(g) R^6 is H, aryl, alkylaryl, heteroaryl, cycloalkyl, or heterocycloalkyl, wherein the aryl, alkylaryl, heteroaryl, cycloalkyl or heterocycloalkyl is optionally substituted with one, two or three R^7 groups;

(h) each R^7 is independently halogen, cyano, nitro, -OR, -$N(R)_2$, -SR, -C(O)OR, C_{1-6}alkyl,C_{1-6}haloalkyl, -$C(O)N(R)_2$, -C(O)R, -S(O)R, -S(O)OR, -$S(O)N(R)_2$, -$S(O)_2$R, -$S(O)_2$OR, -$S(O)_2N(R)_2$, -OC(O)R, -OC(O)OR, -$OC(O)N(R)_2$, -N(R)C(O)R, -N(R)C(O)OR, or -$N(R)C(O)N(R)_2$, wherein R is H or C_{1-4}alkyl;

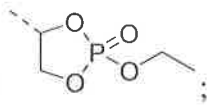
with the proviso that R^1 cannot be –OH when R^2 is H, and the compound cannot be N^{α}-tert-butoxycarbonyl-1-methyl-*D*-tryptophan, ethyl N^{α}-benzyl-1-methyl-*D*-tryptophanate, or benzyl N^{α}-(*tert*-butoxycarbonyl)-1-methyl-*D*-tryptophanate; and

HA_n is an acid selected from the group consisting of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), $C_6H_5SO_3H$ (benzyl sulfonic acid), acetic acid, ascorbic acid, aspartic acid, glutamic acid, glutaric acid, lactic acid, maleic acid, malonic acid, oxalic acid, succinic acid, fumaric acid, tartaric acid and citric acid, wherein the stoichiometric ratio *n* of the acid is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

10. The prodrug of claim 9, wherein:

(a) R^1 is -OH, -OC_{2-3}alkyl, -$OCH_2CH(OH)CH_2OH$, -$O(CH_2)_2N(CH_3)_2$, or -OC_{1-3}alkyl-R^3;

(b) R^2 is H or -$C(O)C^{(S)}H(NH_2)R^4$,

(c) R^3 is tetrahydropyran, or ;

(d) R^4 is H, -C_{1-5}alkyl, -$(CH_2)_{1-2}SH$, -$(CH_2)_{1-3}SCH_3$, -$(CH_2)_{1-3}OCH_3$ -CH_2-R^6, -CH_2OH, -$CH(OH)CH_3$, -$(CH_2)_{1-2}C(O)NH_2$, -$(CH_2)_{1-3}C(O)OH$, -$(CH_2)_{1-4}NH_2$, or -$(CH_2)_{1-3}NC(=NH_2)NH_2$;

(e) $C^{(S)}$ is a carbon with the *S* stereochemistry, when R^4 is not H;

(f) R^6 is H, aryl, alkylaryl, heteroaryl, cycloalkyl, or heterocycloalkyl, wherein the aryl, alkylaryl, heteroaryl, cycloalkyl or heterocycloalkyl is optionally substituted with one two or three R^7 groups;

(g) each R^7 is independently halogen, cyano, nitro, -OR, -$N(R)_2$, -SR, -C(O)OR, C_{1-6}alkyl,
C_{1-6}haloalkyl, -$C(O)N(R)_2$, -C(O)R, -S(O)R, -S(O)OR, -$S(O)N(R)_2$, -$S(O)_2R$, -$S(O)_2OR$, -$S(O)_2N(R)_2$, -OC(O)R, -OC(O)OR, -$OC(O)N(R)_2$, -N(R)C(O)R, -N(R)C(O)OR, or -$N(R)C(O)N(R)_2$, wherein R is H or C_{1-4}alkyl;

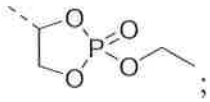
with the proviso that R^1 cannot be –OH when R^2 is H; and

HA_n is an acid selected from the group consisting of of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), $C_6H_5SO_3H$ (benzyl sulfonic acid), acetic acid, ascorbic acid, aspartic acid, glutamic acid, glutaric acid, lactic acid, maleic acid, malonic acid, oxalic acid, succinic acid, fumaric acid, tartaric acid and citric acid, wherein the stoichiometric ratio *n* is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

11. The prodrug of claim 9, wherein:

(a) R^1 is -OH, -OC_{2-3}alkyl, -$OCH_2CH(OH)CH_2OH$, -$O(CH_2)_2N(CH_3)_2$, or -OC_{1-3}alkyl-R^3;

(b) R^2 is H or -$C(O)C^{(S)}H(NH_2)R^4$;

(c) R^3 is tetrahydropyran, or ;

(d) R^4 is H, -C_{1-5}alkyl, -$(CH_2)_2SCH_3$, -CH_2-R^6, -$(CH_2)_{1-2}C(O)NH_2$, -$(CH_2)_{1-3}C(O)OH$, or -$(CH_2)_{1-4}NH_2$;

(e) $C^{(S)}$ is a carbon with the *S* stereochemistry, when R^4 is not H;

(f) R^6 is H, aryl, alkylaryl, or heteroaryl, wherein the aryl, alkylaryl or heteroaryl is optionally substituted with one R^7 group;

(g) each R^7 is independently halogen, cyano, nitro, -OR, -$N(R)_2$, -SR, -C(O)OR, -C_{1-6}alkyl,
C_{1-6}haloalkyl, -$C(O)N(R)_2$, -C(O)R, -S(O)R, -S(O)OR, -$S(O)N(R)_2$, -$S(O)_2R$, -$S(O)_2OR$, -$S(O)_2N(R)_2$, -OC(O)R, -OC(O)OR, -$OC(O)N(R)_2$, -N(R)C(O)R, -N(R)C(O)OR, or -$N(R)C(O)N(R)_2$, wherein R is H or C_{1-4}alkyl;

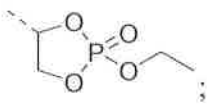
with the proviso that R^1 cannot be –OH when R^2 is H;

HA_n is an acid selected from the group of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), $C_6H_5SO_3H$ (benzyl sulfonic acid), wherein the stoichiometric ratio *n* of the acid is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

12. The prodrug of claim 9, wherein

(a) R^1 is -OH, -OC_{2-3}alkyl, -$OCH_2CH(OH)CH_2OH$, -$O(CH_2)_2N(CH_3)_2$, or -OC_{1-3}alkyl-R^3;

(b) R^2 is H or -$C(O)C^{(S)}H(NH_2)R^4$;

(c) R^3 is tetrahydropyran, or ;

(d) R^4 is -$CH_2CH(CH_3)_2$, -$(CH_2)_2SCH_3$, -$C^{(S)}H(CH_3)CH_2CH_3$, -CH_2-R^6, -$(CH_2)_2C(O)NH_2$, -$(CH_2)_3C(O)OH$, or -$(CH_2)_4NH_2$;

(e) $C^{(S)}$ is a carbon with the *S* stereochemistry;

(f) R^6 is phenyl;

with the proviso that R^1 cannot be –OH when R^2 is H;

HA_n is an acid selected from the group of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), $C_6H_5SO_3H$ (benzyl sulfonic acid), and wherein the stoichiometric ratio *n* of the acid is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

13. The prodrug of claim 9, wherein:

(a) R^1 is $–OC_{2\text{-}3}$alkyl or $-OCH_2CH(OH)CH_2OH$;

(b) R^2 is H or $-C(O)C^{(S)}H(NH_2)R^4$;

(c) R^4 is $-CH_2CH(CH_3)_2$, $-(CH_2)_2SCH_3$ or $-(CH_2)_2C(O)NH_2$;

(d) $C^{(S)}$ is a carbon with the *S* stereochemistry;

with the proviso that R^1 cannot be –OH when R^2 is H;

HA_n is an acid selected from the group of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid) or $C_6H_5SO_3H$ (benzyl sulfonic acid); and wherein the stoichiometric ratio *n* of the acid is of 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

14. A prodrug comprising one of the following compounds:

ethyl N^{α}-(*L*-leucyl)-1-methyl-*D*-tryptophanate;

ethyl N^{α}-(*L*-methionyl)-1-methyl-*D*-tryptophanate;

2,3-dihydroxypropyl 1-methyl-*D*-tryptophanate;

N^{α}-(*L*-leucyl)-1-methyl-*D*-tryptophan;

N^{α}-(*L*-methionyl)-1-methyl-*D*-tryptophan;

ethyl N^{α}-(*L*-isoleucyl)-1-methyl-*D*-tryptophanate;

N^{α}-(*L*-glycyl)-1-methyl-*D*-tryptophan;

(*S*)-5-amino-6-(((*R*)-1-carboxy-2-(1-methyl-1*H*-indol-3-yl)ethyl)amino)-6-oxohexanoic acid;

N^{α}-(*L*-lysyl)-1-methyl-*D*-tryptophan;

N^{α}-(*L*-phenylalanyl)-1-methyl-*D*-tryptophan;

ethyl N^{α}-(*L*-glutaminyl)-1-methyl-*D*-tryptophanate;

2-(dimethylamino)ethyl 1-methyl-*D*-tryptophanate;

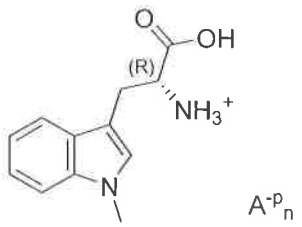
(2-ethoxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl 1-methyl-*D*-tryptophanate;

2-(tetrahydro-2*H*-pyran-4-yl)ethyl 1-methyl-*D*-tryptophanate;

ethyl 1-methyl-*D*-tryptophanate; or

isopropyl 1-methyl-*D*-tryptophanate.

15. A pharmaceutical composition of indoximod according to Formula 1a:



Formula 1a

wherein $A^{-p}{}_n$ is an inorganic or organic anion in an ionization state $-p$, the anion present at a stoichiometric ratio n that ensures molecular charge neutrality.

16. The pharmaceutical composition of claim 15, wherein $A^{-p}{}_n$ is an anion selected from the group consisting of chloride, phosphate, sulfate, mesylate, besylate, acetate, ascorbate, aspartate, glutamate, glutarate, lactate, maleate, malonate, oxalate, succinate, fumarate,

tartrate and citrate, wherein the ionization state *p* is -1, -2 or -3 and the stoichiometric ratio *n* is 1, ½ or 1/3, respectively, so that it satisfies stoichiometric conditions of charge neutrality.

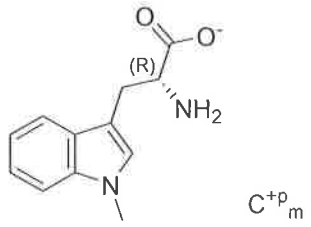
17. The pharmaceutical composition of claim 16, wherein the phosphate is HPO_4^{-2}, the HPO_4^{-2} present at a stoichiometric ratio *n* of 0.5.

18. The pharmaceutical composition of claim 16, wherein the phosphate is HPO_4^{-}, the HPO_4^{-} present at a stoichiometric ratio *n* of 1.

19. The pharmaceutical composition of claim 15, wherein the anion A^{-pn} is Cl^-, the Cl^- present at a stoichiometric ratio n of 1.

20. The pharmaceutical composition of claim 16, wherein the mesylate is $CH_3SO_3^-$, the $CH_3SO_3^-$ present at a stoichiometric ratio *n* of 1.

21. A pharmaceutical composition of indoximod, according to Formula 1b:

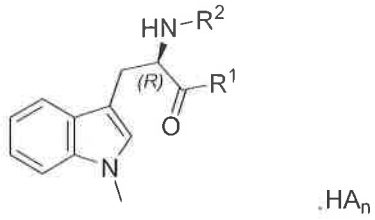


Formula 1b

wherein $C^{+p}{}_m$ is a cation in an ionization state $+p$, the cation present at a stoichiometric ratio *m* that ensures molecular charge neutrality of the salt.

22. The pharmaceutical composition of claim 21 wherein $C^{+p}{}_m$ is selected from the group consisting of Li^+, Na^+, K^+, Mg^{+2} and Ca^{+2}, wherein when *p* is +1, *m* is 1, and when *p* is +2, *m* is ½.

23. A pharmaceutical composition of indoximod, in free base or salt form, according to Formula 2:

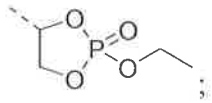


Formula 2

wherein:

(a) R^1 is -OH, -OC_{2-3}alkyl, -$OCH_2CH(OH)CH_2OH$, -$O(CH_2)_2N(CH_3)_2$, -OC_{1-3}alkyl-R^3, -$NHC^{(S)}HR^4(COOH)$, -$NHC^{(R)}HR^4(COOH)$, -OC_{1-6}alkylR^6, -OC_{1-2}alkyl, -$C^{(S)}H(NH_2)(COOH)$, or -OC_{1-2}alkyl-$C^{(R)}H(NH_2)(COOH)$;

(b) R^2 is H, -$C(O)C^{(S)}H(NH_2)R^4$, -$C(O)C^{(R)}H(NH_2)R^4$, -$C(O)CH_2C^{(S)}H(NH_2)$, -$C(O)OCH_3$, -$C(O)OR^5$, or -$C(O)NHR^5$;

(c) R^3 is tetrahydropyran or ;

(d) R^4 is H, -C_{1-5}alkyl, -$(CH_2)_{1-2}SH$, C_{1-5}alkylSC_{1-5}alkyl, C_{1-5}alkylOC_{1-5}alkyl,-CH_2-R^6, -CH_2OH, -$CH(OH)CH_3$, -$(CH_2)_{1-2}C(O)NH_2$, -$(CH_2)_{1-3}C(O)OH$, -$(CH_2)_{1-4}NH_2$, or -$(CH_2)_{1-3}NC(=NH_2)NH_2$;

(e) $C^{(S)}$ and $C^{(R)}$ are carbons with the *S* or *R* stereochemistry, respectively, when R^4 is not H;

(f) R^5 is H, C_{1-6}alkylR^6, or R^6

(g) R^6 is H, aryl, alkylaryl, heteroaryl, cycloalkyl, or heterocycloalkyl, wherein the aryl, alkylaryl, heteroaryl, cycloalkyl or heterocycloalkyl is optionally substituted with one two or three R^7 groups;

(h) each R^7 is independently halogen, cyano, nitro, -OR, -$N(R)_2$, -SR, -C(O)OR, C_{1-6}alkyl,C_{1-6}haloalkyl, -$C(O)N(R)_2$, -C(O)R, -S(O)R, -S(O)OR, -$S(O)N(R)_2$, -

$S(O)_2R$, $-S(O)_2OR$, $-S(O)_2N(R)_2$, $-OC(O)R$, $-OC(O)OR$, $-OC(O)N(R)_2$, $-N(R)C(O)R$, $-N(R)C(O)OR$, or $-N(R)C(O)N(R)_2$, wherein R is H or C_{1-4}alkyl;

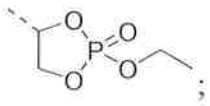
with the proviso that R^1 cannot be –OH when R^2 is H, and the compound cannot be N^{α}-tert-butoxycarbonyl-1-methyl-*D*-tryptophan, ethyl N^{α}-benzyl-1-methyl-*D*-tryptophanate, or benzyl N^{α}-(*tert*-butoxycarbonyl)-1-methyl-*D*-tryptophanate; and

HA_n is an acid selected from the group consisting of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), $C_6H_5SO_3H$ (benzyl sulfonic acid), acetic acid, ascorbic acid, aspartic acid, glutamic acid, glutaric acid, lactic acid, maleic acid, malonic acid, oxalic acid, succinic acid, fumaric acid, tartaric acid and citric acid, wherein the stoichiometric ratio *n* of the acid is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

24. The pharmaceutical composition of claim 23, wherein:

(a) R^1 is -OH, $-OC_{2-3}$alkyl, $-OCH_2CH(OH)CH_2OH$, $-O(CH_2)_2N(CH_3)_2$, or $-OC_{1-3}$alkyl-R^3;

(b) R^2 is H or $-C(O)C^{(S)}H(NH_2)R^4$,

(c) R^3 is tetrahydropyran, or ;

(d) R^4 is H, $-C_{1-5}$alkyl, $-(CH_2)_{1-2}SH$, $-(CH_2)_{1-3}SCH_3$, $-(CH_2)_{1-3}OCH_3$, $-CH_2-R^6$, $-CH_2OH$, $-CH(OH)CH_3$, $-(CH_2)_{1-2}C(O)NH_2$, $-(CH_2)_{1-3}C(O)OH$, $-(CH_2)_{1-4}NH_2$, or $-(CH_2)_{1-3}NC(=NH_2)NH_2$;

(e) $C^{(S)}$ is a carbon with the *S* stereochemistry, when R^4 is not H;

(f) R^6 is H, aryl, alkylaryl, heteroaryl, cycloalkyl, or heterocycloalkyl, wherein the aryl, alkylaryl, heteroaryl, cycloalkyl or heterocycloalkyl is optionally substituted with one two or three R^7 groups;

(g) each R^7 is independently halogen, cyano, nitro, -OR, $-N(R)_2$, -SR, -C(O)OR, C_{1-6}alkyl,C_{1-6}haloalkyl, $-C(O)N(R)_2$, -C(O)R, -S(O)R, -S(O)OR, $-S(O)N(R)_2$, -S(

$O)_2R$, $-S(O)_2OR$, $-S(O)_2N(R)_2$, -OC(O)R, -OC(O)OR, $-OC(O)N(R)_2$, $-N(R)C(O)R$, $-N(R)C(O)OR$, or $-N(R)C(O)N(R)_2$, wherein R is H or C_{1-4}alkyl;

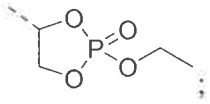
with the proviso that R^1 cannot be –OH when R^2 is H; and

HA_n is an acid selected from the group consisting of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), $C_6H_5SO_3H$ (benzyl sulfonic acid), acetic acid, ascorbic acid, aspartic acid, glutamic acid, glutaric acid, lactic acid, maleic acid, malonic acid, oxalic acid, succinic acid, fumaric acid, tartaric acid and citric acid, wherein the stoichiometric ratio *n* is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

25. The pharmaceutical composition of claim 23, wherein:

(a) R^1 is -OH, $-OC_{2-3}$alkyl, $-OCH_2CH(OH)CH_2OH$, $-O(CH_2)_2N(CH_3)_2$, or $-OC_{1-3}$alkyl-R^3;

(b) R^2 is H or $-C(O)C^{(S)}H(NH_2)R^4$;

(c) R^3 is tetrahydropyran, or ;

(d) R^4 is H, $-C_{1-5}$alkyl, $-(CH_2)_2SCH_3$, $-CH_2-R^6$, $-(CH_2)_{1-2}C(O)NH_2$, $-(CH_2)_{1-3}C(O)OH$, or $-(CH_2)_{1-4}NH_2$;

(e) $C^{(S)}$ is a carbon with the *S* stereochemistry, when R^4 is not H;

(f) R^6 is H, aryl, alkylaryl, or heteroaryl, wherein the aryl, alkylaryl or heteroaryl is optionally substituted with one R^7 group;

(g) each R^7 is independently halogen, cyano, nitro, -OR, $-N(R)_2$, -SR, -C(O)OR, $-C_{1-6}$alkyl,C_{1-6}haloalkyl, $-C(O)N(R)_2$, -C(O)R, -S(O)R, -S(O)OR, $-S(O)N(R)_2$, $-S(O)_2R$, $-S(O)_2OR$, $-S(O)_2N(R)_2$, -OC(O)R, -OC(O)OR, $-OC(O)N(R)_2$, $-N(R)C(O)R$, $-N(R)C(O)OR$, and $-N(R)C(O)N(R)_2$, wherein R is H or C_{1-4}alkyl;

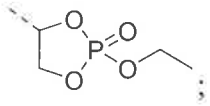
with the proviso that R^1 cannot be –OH when R^2 is H;

HA_n is an acid selected from the group consisting of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), and $C_6H_5SO_3H$ (benzyl sulfonic acid), wherein the stoichiometric ratio *n* of the acid is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

26. The pharmaceutical composition of claim 23, wherein:

(a) R^1 is -OH, $-OC_{2\text{-}3}$alkyl, $-OCH_2CH(OH)CH_2OH$, $-O(CH_2)_2N(CH_3)_2$, or $-OC_{1\text{-}3}$alkyl-R^3;

(b) R^2 is H or $-C(O)C^{(S)}H(NH_2)R^4$;

(c) R^3 is tetrahydropyran, or ;

(d) R^4 is $-CH_2CH(CH_3)_2$, $-(CH_2)_2SCH_3$, $-C^{(S)}H(CH_3)CH_2CH_3$, $-CH_2-R^6$, $-(CH_2)_2C(O)NH_2$, $-(CH_2)_3C(O)OH$, or $-(CH_2)_4NH_2$;

(e) $C^{(S)}$ is a carbon with the *S* stereochemistry;

(f) R^6 is phenyl;

with the proviso that R^1 cannot be –OH when R^2 is H;

HA_n is an acid selected from the group consisting of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid), and $C_6H_5SO_3H$ (benzyl sulfonic acid), and wherein the stoichiometric ratio *n* of the acid is 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

27. The pharmaceutical composition of claim 23, wherein:

(e) R^1 is $-OC_{2\text{-}3}$alkyl or $-OCH_2CH(OH)CH_2OH$;

(f) R^2 is H or $-C(O)C^{(S)}H(NH_2)R^4$;

(g) R^4 is $-CH_2CH(CH_3)_2$, $-(CH_2)_2SCH_3$, or $-(CH_2)_2C(O)NH_2$;

(h) $C^{(S)}$ is a carbon with the *S* stereochemistry;

with the proviso that R^1 cannot be –OH when R^2 is H,

HA_n is an acid selected from the group of PO_4H_3 (phosphoric acid), SO_4H_2 (sulfuric acid), HCl (hydrochloric acid), HSO_3CH_3 (methyl sulfonic acid) or $C_6H_5SO_3H$ (benzyl sulfonic acid); and wherein the stoichiometric ratio *n* of the acid is of 0, 0.5, 1 or 2 such that the prodrug is charge neutral.

28. A pharmaceutical composition comprising one of the following compounds:

ethyl N^{α}-(*L*-leucyl)-1-methyl-*D*-tryptophanate;

ethyl N^{α}-(*L*-methionyl)-1-methyl-*D*-tryptophanate;

2,3-dihydroxypropyl 1-methyl-*D*-tryptophanate;

N^{α}-(*L*-leucyl)-1-methyl-*D*-tryptophan;

N^{α}-(*L*-methionyl)-1-methyl-*D*-tryptophan;

ethyl N^{α}-(*L*-isoleucyl)-1-methyl-*D*-tryptophanate;

N^{α}-(*L*-glycyl)-1-methyl-*D*-tryptophan;

(*S*)-5-amino-6-(((*R*)-1-carboxy-2-(1-methyl-1*H*-indol-3-yl)ethyl)amino)-6-oxohexanoic acid;

N^{α}-(*L*-lysyl)-1-methyl-*D*-tryptophan;

N^{α}-(*L*-phenylalanyl)-1-methyl-*D*-tryptophan;

ethyl N^{α}-(*L*-glutaminyl)-1-methyl-*D*-tryptophanate;

2-(dimethylamino)ethyl 1-methyl-*D*-tryptophanate;

(2-ethoxy-2-oxido-1,3,2-dioxaphospholan-4-yl)methyl 1-methyl-*D*-tryptophanate;

2-(tetrahydro-2*H*-pyran-4-yl)ethyl 1-methyl-*D*-tryptophanate;

ethyl 1-methyl-*D*-tryptophanate; or

isopropyl 1-methyl-*D*-tryptophanate.

29. The pharmaceutical composition of any of claims 15-28, wherein the composition is a solid capsule, tablet or pill.

30. The pharmaceutical composition of any of claims 15-28, wherein the composition is a dissolvable capsule.