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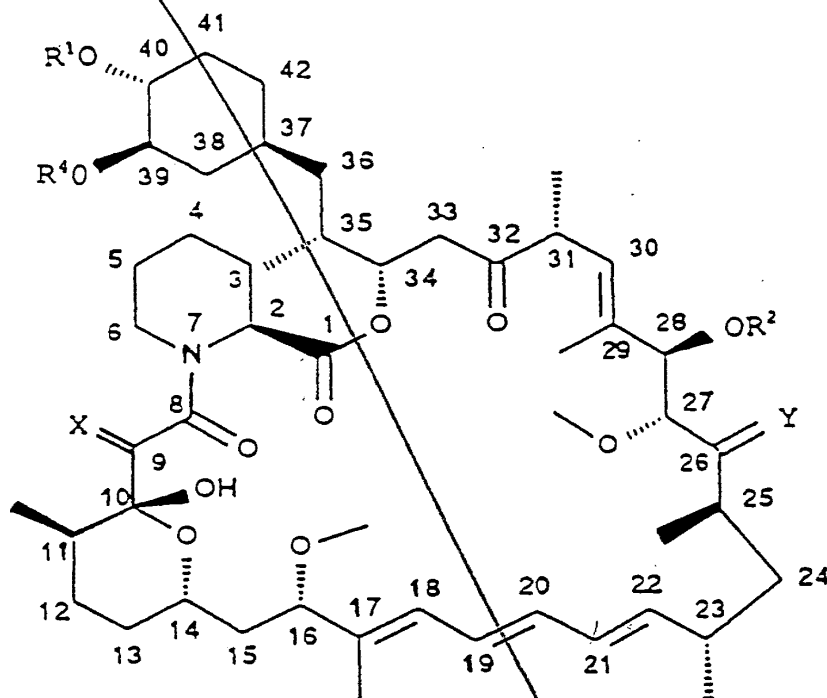
By Authority of the
Under Secretary of Commerce for Intellectual Property

Replaced by Article 34
 Reclon or apid 1995

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CLAIMS

1. A compound of Formula I



(I)

X is (H,H) or O;

Y is (H,OH) or O;

R¹ and R² are independently selected from

H, alkyl, thioalkyl, arylalkyl, hydroxyalkyl, dihydroxyalkyl,
 hydroxyalkylarylalkyl, dihydroxyalkylarylalkyl, alkoxyalkyl, acyloxyalkyl,
 aminoalkyl, alkylaminoalkyl, alkoxy-carbonylaminoalkyl, acylaminoalkyl,

arylsulfonamidoalkyl, allyl, dihydroxyalkylallyl, dioxolanylallyl, carbalkoxyalkyl, and $(R^3)_3Si$ where each R^3 is independently selected from H, methyl, ethyl, isopropyl, *t*-butyl, and phenyl; wherein "alk-" or "alkyl" refers to $C_{1,6}$ alkyl, branched or linear, preferably $C_{1,3}$ alkyl, in which the carbon chain may be optionally interrupted by an ether (-O-) linkage; and

R^4 is methyl or R^4 and R^1 together form $C_{2,6}$ alkylene;

provided that R^1 and R^2 are not both H; and

provided that where R^1 is carbalkoxyalkyl or $(R^3)_3Si$, X and Y are not both O.

2. Compounds according to claim 1 selected from the following:

1. 40-O-Benzyl-rapamycin
2. 40-O-(4'-Hydroxymethyl)benzyl-rapamycin
3. 40-O-[4'-(1,2-Dihydroxyethyl)]benzyl-rapamycin
4. 40-O-Allyl-rapamycin
5. 40-O-[3'-(2,2-Dimethyl-1,3-dioxolan-4(S)-yl)-prop-2'-en-1'-yl]-rapamycin
6. (2'E, 4'S)-40-O-(4',5'-Dihydroxypent-2'-en-1'-yl)-rapamycin
7. 40-O-(2-Hydroxy)ethoxycarbonylmethyl-rapamycin
8. 40-O-(2-Hydroxy)ethyl-rapamycin
9. 40-O-(3-Hydroxy)propyl-rapamycin
10. 40-O-(6-Hydroxy)hexyl-rapamycin
11. 40-O-[2-(2-Hydroxy)ethoxy]ethyl-rapamycin
12. 40-O-[(3S)-2,2-Dimethyldioxolan-3-yl]methyl-rapamycin
13. 40-O-[(2S)-2,3-Dihydroxyprop-1-yl]-rapamycin
14. 40-O-(2-Acetoxy)ethyl-rapamycin
15. 40-O-(2-Nicotinoyloxy)ethyl-rapamycin
16. 40-O-[2-(N-Morpholino)acetoxy]ethyl-rapamycin

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17. 40-O-(2-N-Imidazolylacetoxy)ethyl-rapamycin
 18. 40-O-[2-(N-Methyl-N'-piperazinyl)acetoxy]ethyl-rapamycin
 19. 39-O-Desmethyl-39,40-O,O-ethylene-rapamycin
 20. (26R)-26-Dihydro-40-O-(2-hydroxy)ethyl-rapamycin
 21. 28-O-Methyl-rapamycin
 22. 40-O-(2-Aminoethyl)-rapamycin
 23. 40-O-(2-Acetaminoethyl)-rapamycin
 24. 40-O-(2-Nicotinamidoethyl)-rapamycin
 25. 40-O-(2-(N-Methyl-imidazo-2'-ylcarbethoxamido)ethyl)-rapamycin
 26. 40-O-(2-Ethoxycarbonylaminoethyl)-rapamycin
 27. 40-O-(2-Tolylsulfonamidoethyl)-rapamycin
 28. 40-O-[2-(4',5'-Dicarboethoxy-1',2',3'-triazol-1'-yl)-ethyl]-rapamycin
3. Compounds according to claim 1 where X and Y are both O, R² is H, R⁴ is methyl, and R¹ is other than H.
 4. 40-O-(2-Hydroxy)ethyl-rapamycin.
 5. Compounds according to any one of claims 1 through 4 obtained or obtainable by (i) reacting a rapamycin, deoxorapamycin, or dihydrorapamycin (optionally in O-protected form) with an organic radical attached to a leaving group under suitable acidic or neutral reaction conditions, and (ii) optionally reducing the product.
 6. A compound according to any one of claims 1-5 for use as a pharmaceutical.
 7. A pharmaceutical composition comprising a compound according to any one of claims 1-5 together with a pharmaceutically acceptable diluent or carrier.
 8. Use of a compound according to claims 1-5 in the manufacture of a medicament for

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treating or preventing any of the following conditions:

- Replaced by Article 34*
- (i) autoimmune disease,
 - (ii) allograft rejection,
 - (iii) graft vs. host disease,
 - (iv) asthma,
 - (v) multidrug resistance,
 - (vi) tumors or hyperproliferative disorders, or
 - (vii) fungal infections,
 - (viii) inflammation,
 - (ix) infection by pathogens having Mip or Mip-like factors, or
 - (x) ~~overdose of macrophilin binding immunosuppressants.~~

9. Novel products, processes, and utilities substantially as described herein.

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