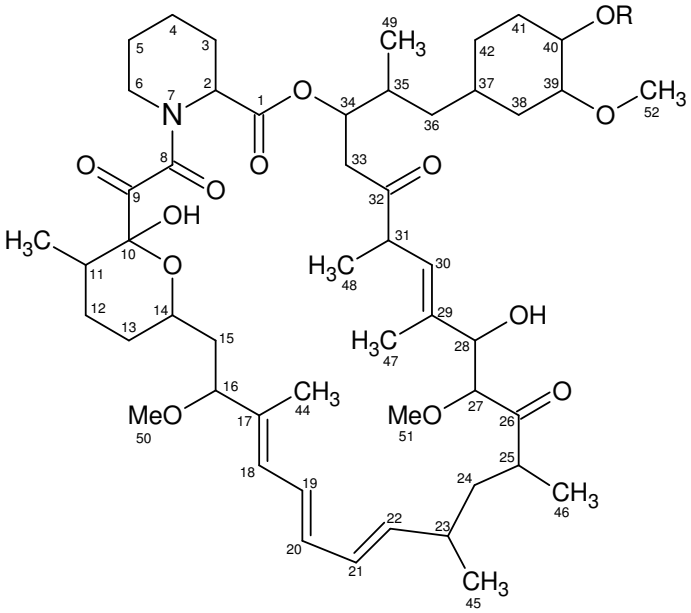
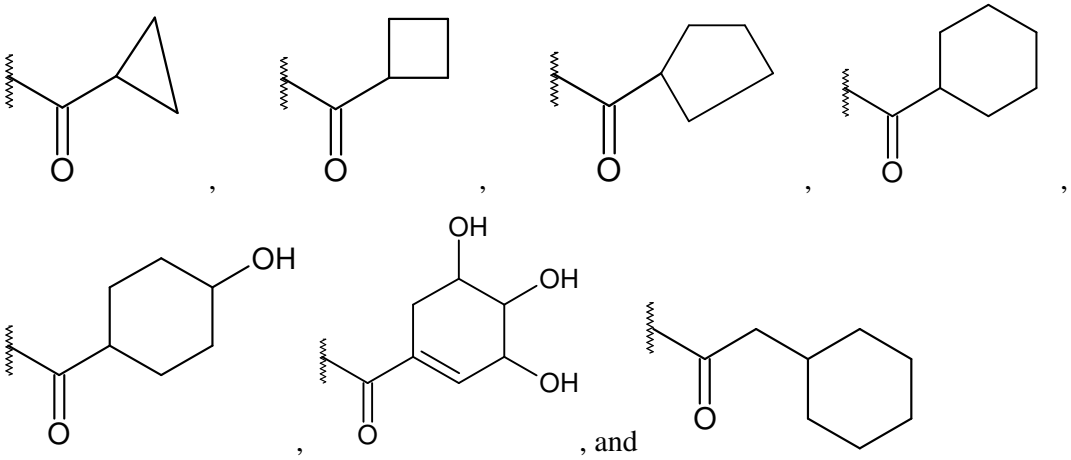
PATENT CLAIMS

1. A rapamycin 40-O-cyclic hydrocarbon ester compound having the structure:



where R is $C(O)-(CH_2)_n-X$, n is 0, 1 or 2, and X is a cyclic hydrocarbon having 3-8 carbons; wherein X optionally contains one ~~or more~~ unsaturated bond~~s~~, one or more linear-chain or cyclic carbon atoms may contain ~~and/or~~ an OH or halide substitution; and wherein the rapamycin parent structure optionally contains substitutions at non-40 positions.

2. The compound of claim 1, wherein $C(O)-(CH_2)_n-X$ has one of the structures:



3. Use of a therapeutic amount of the rapamycin 40-O-cyclic hydrocarbon ester of claim 1 or claim 2 in the manufacture of a medicament for the treatment of a medical condition selected from: (i) restenosis; (ii) wound healing; (iii) vascular injury; (iv) vascular inflammation; (v) transplantation rejection; (vi) proliferative ophthalmic diseases, including wet age-related macular degeneration (AMD) and diabetic macular edema (DME); (vii) uveitis, and (viii) cancer in a mammal. .

4. The use of claim 3, wherein the medicament is for the inhibition of restenosis at a vascular injury site, and wherein the rapamycin 40-O-cyclic hydrocarbon ester is provided in a drug-eluting stent.

5. The use of claim 4, wherein the drug-eluting stent has an expandable stent body formed of one or more filaments, and carried thereon, a coating containing between 20 and 100 weight percent of the rapamycin 40-O-cyclic hydrocarbon ester and between 0 and 80 weight percent of a polymer.

6. The use of claim 5, wherein the stent coating contains between 20 and 60 weight percent of the rapamycin 40-O-cyclic hydrocarbon ester and 40 and 80 weight percent of at least one polymer selected from the group consisting of polyanhydride, poly(glycolic acid), poly(glycolide), poly(L-lactide), poly(d,l-lactide), poly(L-lactic acid), poly(d,l-lactic acid), poly(caprolactone), poly(trimethylene carbonate), polyester amide, polyhydroxyalkanoate, poly(hydroxyvalerate), poly(lactide-co-glycolide), poly(hydroxybutyrate), poly(hydroxybutyrate-co-valerate), and polyorthoester.

7. A drug-eluting stent having an expandable stent body formed of one or more filaments, and carried thereon, a coating containing between 20%-100% of the rapamycin 40-O-cyclic hydrocarbon ester of claim 1 or claim 2 and between 0 and 80 weight percent of a polymer.

8. The stent of claim 7, wherein the stent coating contains between 20- and 60 weight percent of the rapamycin 40-O-cyclic hydrocarbon ester and 40 and 80 weight
percent of at least one polymer selected from the group consisting of polyanhydride, poly(glycolic acid), poly(glycolide), poly(L-lactide), poly(d,l-lactide), poly(L-lactic acid), poly(d,l-lactic acid), poly(caprolactone), poly(trimethylene carbonate), polyester

amide, polyhydroxyalkanoate, poly(hydroxyvalerate), poly(lactide-co-glycolide), poly(hydroxybutyrate), poly(hydroxybutyrate-co-valerate), and polyorthoester.

9. In ~~an in~~travascular stent of the type having an expandable stent body formed of one or more filaments, such as a metal-filament stent, and carried thereon, a drug-eluting polymer coating containing 40-80 weight percent polymer and 20 to 60 weight percent of a rapamycin compound having a 40-O-H or 40-O-linear alcohol group~~, an improvement that significantly reduces the tendency of the coating to flake or crack when the stent body is expanded,~~ comprising substituting for the rapamycin compound, the 40-O-cyclic hydrocarbon ester of claim 1.

10. The stent of claim 9, wherein the drug-eluting polymer coating contains between 20- and 60 weight percent of the rapamycin 40-O-cyclic hydrocarbon ester and 40 and 80 weight percent of at least one polymer selected from the group consisting of polyanhydride, poly(glycolic acid), poly(glycolide), poly(L-lactide), poly(d,l-lactide), poly(L-lactic acid), poly(d,l-lactic acid), poly(caprolactone), poly(trimethylene carbonate), polyester amide, polyhydroxyalkanoate,poly(hydroxyvalerate), poly(lactide-co-glycolide), poly(hydroxybutyrate), poly(hydroxybutyrate-co-valerate), and polyorthoester.

11. A pharmaceutical composition comprising microparticles having in particle-entrapped form, 10-80 weight percent of the rapamycin 40-O-cyclic hydrocarbon ester of claim 1 or claim 2.

12. The composition of claim 11, wherein the microparticles comprise a drug-eluting polymer coating containing between 20- and 60 weight percent of at least one polymer selected from the group consisting of polyanhydride, poly(glycolic acid), poly(glycolide), poly(L-lactide), poly(d,l-lactide), poly(L-lactic acid), poly(d,l-lactic acid), poly(caprolactone),
poly(trimethylene carbonate), polyester amide, polyhydroxyalkanoate, poly(hydroxyvalerate), poly(lactide-co-glycolide), poly(hydroxybutyrate), poly(hydroxybutyrate-co-valerate), and polyorthoester.

13. A drug-eluting stent having an expandable stent body formed of one or more filaments, and carried thereon, a first coating containing a polymer and a second coating containing between 20%-100% of the rapamycin 40-O-cyclic hydrocarbon ester of claim 1 or claim 2 and between 0 and 80 weight percent of a polymer.

14. The stent of claim 13, wherein the first stent coating contain at least one polymer selected from the group consisting of polyanhydride, poly(glycolic acid), poly(glycolide), poly(L-lactide), poly(d,l-lactide), poly(L-lactic acid), poly(d,l-lactic acid), poly(caprolactone), poly(trimethylene carbonate), polyester amide, polyhydroxyalkanoate,poly(hydroxyvalerate), poly(lactide-co-glycolide), poly(hydroxybutyrate), poly(hydroxybutyrate-co-valerate), and polyorthoester.and the second stent coating contains between 20- and 100 weight percent of the rapamycin 40-O-cyclic hydrocarbon ester and 0 and 80 weight percent of at least one polymer selected from the group consisting of polyanhydride, poly(glycolic acid), poly(glycolide), poly(L-lactide), poly(d,l-lactide), poly(L-lactic acid), poly(d,l-lactic acid), poly(caprolactone), poly(trimethylene carbonate), polyester amide, poly(hydroxyvalerate), poly(lactide-co-glycolide), poly(hydroxybutyrate), poly(hydroxybutyrate-co-valerate), and polyorthoester.

15. The rapamycin 40-O-cyclic hydrocarbon ester of claim 1 or claim 2 for use as a medicament.