CLAIMS

1. Polymeric microparticles comprising sunitinib or a pharmaceutically acceptable salt thereof encapsulated or dispersed in a weight loading of greater than 5% in a blend of one or more hydrophobic polymers and/or amphiphilic polymers, in which the polymeric microparticles release the sunitinib or the pharmaceutically acceptable salt thereof for at least two weeks.

2. Microparticles according to Claim 1, in which the microparticles comprise polylactic acid or a copolymer of lactic acid and glycolic acid.

3. Microparticles according to Claim 1, in which the microparticles comprise a pegylated hydrophobic polymer.

4. Microparticles according to Claim 1, in which the microparticles comprise poly(lactide-co-glycolide) (PLGA) and PLGA conjugated to polyethylene glycol (PEG).

5. Microparticles according to Claim 1 in which the microparticles have an average diameter between one and 50 microns.

6. Microparticles according to Claim 1 in which the sunitinib or a pharmaceutically acceptable salt thereof is present in a weight loading of greater than 5%.

7. Microparticles according to Claim 4 having an average diameter between one and 50 microns and a weight loading of 10% or greater by weight sunitinib or a pharmaceutically acceptable salt thereof.

8. Microparticles according to Claim 4, in which the PLGA and PLGA-PEG are present in a mixture of 99% PLGA and 1% PLGA-PEG.

9. Microparticles according to Claim 8, in which the PLGA-PEG copolymer is formed from PLGA with a molecular weight of 45 kDa and PEG with a molecular weight of 5 kDa.

10. Microparticles according to Claim 1, in which the sunitinib is present in the form of a malic acid salt.

11. Microparticles according to Claim 1 in which the sunitinib or pharmaceutically acceptable salt thereof is encapsulated in a blend of more than one hydrophobic polymers with an amphiphilic polymer.

12. Microparticles according to Claim 1 for use in therapy, in which the microparticles are to be injected intraocularly.

13. A composition comprising microparticles comprising at least 10% by weight or more sunitinib or its pharmaceutically acceptable salt and having an average diameter of between one and 50 microns, in which the microparticles release the sunitinib or the pharmaceutically acceptable salt thereof for at least two weeks.

14. A composition according to Claim 13 comprising microparticles having an average diameter of between one and 30 microns.

15. A composition according to Claim 13, in which sunitinib or its pharmaceutically acceptable salt is encapsulated in microparticles in an amount of at least 15% by weight.

16. A composition according to Claim 13, in which the microparticles comprise a blend of poly(lactide-co-glycolide) (PLGA) and poly(lactide-co-glycolide)-polyethylene glycol (PLGA-PEG), preferably in a mixture of 99% PLGA and 1% PLGA-PEG by weight.

17. A composition according to Claim 16, in which the PLGA-PEG copolymer has PLGA with a molecular weight of 45 kDa and PEG with a molecular weight of 5 kDa.

18. A composition according to Claim 13, in which the sunitinib is present in the form of a malic acid salt.

19. A composition according to Claim 13 in which the microparticles release sunitinib over a sustained period of at least three months in the vitreous chamber of the eye.

20. A composition according to Claim 13 in which the microparticles release sunitinib over a sustained period of at least four months in the vitreous chamber of the eye.

21. Use of microparticles according to Claim 13 in the preparation of a medicament for the treatment of an ocular disease in a human that can be treated by sunitinib, in which the medicament comprising an effective amount of the microparticles is to be administered by intravitreal injection.

22. Use according to Claim 21, in which the ocular disease is macular degeneration.

23. Use according to Claim 21, in which the ocular disease is age-related macular degeneration.

24. Use according to Claim 21, in which the ocular disease is corneal neovascularization.

25. Use according to Claim 21, in which the ocular disease is choroidal vascularization.

26. Use according to Claim 21, in which the ocular disease is glaucoma.

27. A method of preparing polymer microparticles comprising sunitinib or its pharmaceutically acceptable salt in a polymeric matrix, comprising:

(i) dissolving or dispersing sunitinib or its salt in an organic solvent optionally with an alkaline agent;

(ii) mixing the solution/dispersion of step (i) with a polymer solution that includes a mixture of poly(lactide)-co-(glycolide) and a copolymer of poly(lactide-co-glycolide) and polyethylene glycol;

(iii) mixing the drug polymer solution/dispersion of step (ii) with an aqueous solution of at least a pH of 7 with a surfactant or emulsifier, to form solvent-laden sunitinib or its pharmaceutically acceptable salt encapsulated microparticles, and

(iv) isolating the microparticles,

in which the polymeric microparticles release the sunitinib or the pharmaceutically acceptable salt thereof for at least two weeks.

28. A method according to Claim 27, in which sunitinib or its pharmaceutically acceptable salt is encapsulated in the polymer matrix in an amount by weight of at least 5%.

29. A method according to Claim 27, in which the microparticles are from 1 micron to 50 microns.

30. A method according to Claim 27, in which the microparticles are from 1 micron to 30 microns.

31. A method according to Claim 27, in which the microparticles release sunitinib or its pharmaceutically acceptable salt over a sustained period of at least three months in the vitreous chamber of the eye.

32. A method according to Claim 27, in which sunitinib is in the form of its malic acid salt.

33. A method according to Claim 27, in which an alkaline agent is included in the organic solvent.

34. A method according to Claim 27, in which sunitinib is added in the form of the free base and an acid is added to the organic solvent.

35. A method according to Claim 27, in which dimethylformamide is added to the drug polymer solution.

36. A method according to Claim 27, in which the viscosity of the drug polymer solution is at least 300 cPs, at least 350 cPs, at least 400 cPs, or at least 500 cPs.

37. A method according to Claim 27, in which the surfactant is polyvinyl alcohol.

38. Microparticles according to Claim 1, in which the sunitinib or pharmaceutically acceptable salt thereof is encapsulated in a blend of one or more hydrophobic polymers and an amphiphilic polymer.

39. Microparticles according to Claim 38, in which the blend of one or more hydrophobic polymers is a blend of poly(lactide-co-glycolide) PLGA and polylactic acid (PLA).

40. Microparticles according to Claim 1, in which sunitinib malate is encapsulated in a blend of poly(lactide-co-glycolide) (PLGA) and PLGA conjugated to polyethylene glycol (PEG).

41. Microparticles according to Claim 1, in which the sunitinib malate is encapsulated in a blend of poly(lactide-co-glycolide) (PLGA), polylactic acid (PLA), and PLGA conjugated to polyethylene glycol (PEG).

42. A composition according to Claim 13 comprising microparticles comprising sunitinib malate encapsulated in a blend of one or more hydrophobic polymers and an amphiphilic polymer.

43. A composition according to Claim 13 comprising microparticles comprising sunitinib malate encapsulated in a blend of poly(lactide-co-glycolide) (PLGA) and PLGA conjugated to polyethylene glycol (PEG).

44. A composition according to Claim 13 comprising microparticles comprising sunitinib malate encapsulate in a blend of poly(lactide-co-glycolide) (PLGA), polylactic acid (PLA), and PLGA conjugated to polyethylene glycol (PEG).

45. Use of microparticles according to Claim 13 in the preparation of a medicament for the treatment of an ocular disease in a human that can be treated by sunitinib, in which a medicament comprising an effective amount of the microparticles is to be administered by subconjunctival injection.

46. Use according to Claim 23 in which the age-related macular degeneration is wet age-related macular degeneration.

47. Use according to Claim 45, in which the ocular disease is wet age-related macular degeneration.

48. Use according to Claim 45, in which the ocular disease is glaucoma.

49. A method according to Claim 27, in which the microparticles comprise sunitinib malate.