CLAIMS

1. Polymeric microparticles comprising sunitinib or a pharmaceutically acceptable salt thereof encapsulated or dispersed in a blend of one or more hydrophobic polymers and an amphiphilic polymer.

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

3. Microparticles according to Claim 1, in which the amphiphilic polymer is a pegylated hydrophobic polymer.

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

5. Microparticles according to Claim 1 in which the microparticles have an average diameter between about 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 about 5%.

7. Microparticles according to Claim 4 having an average diameter between about one and 50 microns and a weight loading of about 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 about 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 approximately 45 kDa and PEG with a molecular weight of approximately 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 therof is encapsulated in a blend of more than one hydrophobic polymers with an amphiphilic polymer.

12. Microparticles according to any preceding claim for use in a method of administering sunitinib to an individual, the method comprising administering the microparticles intraocularly to the individual.

13. A composition comprising microparticles comprising at least about 10% by weight or more sunitinib or its pharmaceutically acceptable salt and having an average diameter of between about one and 50 microns.

14. A composition according to Claim 13 comprising microparticles having an average diameter of between about 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 about 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 about 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 approximately 45 kDa and PEG with a molecular weight of approximately 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 or at least four months in the vitreous chamber of the eye.

20. Use of microparticles according to any of Claims 13 to 19 in the preparation of a medicament for the treatment of an ocular disease in a human that can be treated by sunitinib, the method comprising administering by intravitreal injection an effective amount of the microparticles.

21. Use according to Claim 20, in which the ocular disease is selected from the group consisting of: macular degeneration, age-related macular degeneration, corneal neovascularization, choroidal vascularization and glaucoma.

22. 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) ("PLGA") and a copolymer of poly(lactide-co-glycolide) and polyethylene glycol ("PEG");

(iii) mixing the drug polymer solution/dispersion of step (ii) with an aqueous solution of at least approximately 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.

23. A method according to Claim 22, in which:

(a) sunitinib or its pharmaceutically acceptable salt is encapsulated in the polymer matrix in an amount by weight of at least 5%;

(b) the microparticles are about from 1 micron to about 50 microns, such as about from 1 micron to about 30 microns;

(c) 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;

(d) sunitinib is in the form of its malic acid salt;

(e) an alkaline agent is included in the organic solvent;

(f) sunitinib is added in the form of the free base and an acid is added to the organic solvent;

(g) dimethylformamide (DMF) is added to the drug polymer solution;

(h) the viscosity of the drug polymer solution is at least about 300 cPs, at least about 350 cPs, at least about 400 cPs, or at least about 500 cPs; or

(i) the surfactant is polyvinyl alcohol.

24. Polymer microparticles comprising sunitinib or its pharmaceutically acceptable salt in a polymeric matrix prepared by the method according to Claim 22 or 23.