CLAIMS

What is claimed is:

1. A biodegradable drug delivery composition comprising(a) a biodegradable triblock copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol and v, w and x are the number of repeat units ranging from 4 to 1090 or 6 to 1090 and v=x or v≠x; (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol and y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable CA diblock copolymer of (b) is 1:3 to 1:8 or 1: 1 to 1:19 or 3:2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 in said biodegradable drug composition; and (c) at least one pharmaceutically hydrophobic active principle.

2. The biodegradable drug delivery composition according to Claim 1, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine base.

3. A biodegradable drug delivery composition comprising(a) a biodegradable triblock copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol and v, w and x are the number of repeat units ranging from 6 to 1090 or 4 to 1090, v and x being ester repeat units and w being ethylene oxide repeat units and v=x or v≠x; (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol and y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, y being the number of ethylene oxide repeat units and z the number of ester repeat units, wherein the ratio

of the biodegradable triblock copolymer of (a) and the biodegradable CA diblock copolymer of (b) is 1: 3 to 1:8 or 1: 1 to 1:19 or 3:2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 in said biodegradable drug composition; and (c) at least one pharmaceutically hydrophobic active principle.

4. The biodegradable drug composition according to Claim 3, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine.

5. A biodegradable drug delivery composition comprising:(a) a biodegradable triblock copolymer having the formula:

$$PLA_v\text{-}PEG_w\text{-}PLA_x$$

wherein v, w and x are the number of repeat units ranging from 4 to 1090 or 6 to 1090 and v=x or v≠x; (b) a biodegradable diblock copolymer having the formula:

$$PEG_y\text{-}PLA_z$$

wherein y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable diblock copolymer of (b) is 1:3 to 1:8 or 1: 1 to 1:19 or 3:2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 in said biodegradable drug composition and wherein the PEG in the diblock is end-capped; and (c) at least one pharmaceutically hydrophobic active principle.

6. The biodegradable drug delivery composition according to Claim 5, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine.

7. A biodegradable drug delivery composition comprising:(a) a biodegradable triblock copolymer having the formula:

$$PLA_v\text{-}PEG_w\text{-}PLA_x$$

wherein v, w and x are the number of repeat units ranging from 6 to 1090 or 4 to 1090 v and x being ester repeat units and w being ethylene oxide repeat units and v=x or v≠x; (b) a biodegradable diblock copolymer having the formula:

PEG_y-PLA_z

wherein y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable diblock copolymer of (b) is 1:3 to 1:8 or 1: 1 to 1:19 or 3:2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 in said biodegradable drug composition and wherein the PEG in the diblock is end-capped; and (c) at least one pharmaceutically hydrophobic active principle

8. The biodegradable drug delivery composition according to Vlaim 7, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine.

9. A biodegradable drug delivery composition is provided, which comprises:(a) a biodegradable triblock copolymer present in an amount of 3.0% to 45% (w%/w%) or 2% to 45% (w%/w%)of the total composition having the formula:

PLA_v-PEG_w-PLA_x

wherein v, w and x are the number of repeat units ranging from 4 to 1090 or 6 to 1090 and v=x or v≠x; (b) a biodegradable diblock copolymer present in an amount of 8.0% to 50% (w%/w%) of the total composition having the formula:

PEG_y-PLA_z

wherein y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable diblock copolymer of (b) is 1:3 to 1:8 or 1: 1 to 1:19 or 3:2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 in said biodegradable drug composition and wherein the PEG in the diblock is end capped and (c) at least one pharmaceutically hydrophobic active principle is present in an amount of 1% to 20% (w%/w%) or 1% to 40% of the total composition or the at least one pharmaceutically active principle is present in an amount of 1 to 200 mg/ml.

10. The biodegradable drug delivery composition according to Claim 9, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine,

progesterone or bupivacaine. is present in an amount of 1% to 40% (w%/w%)of the total composition or the at least one pharmaceutically active principle is present in an amount of 1 to 200 mg/ml or 0.1 to 200 mg/ml.

11. The biodegradable drug delivery compositions according to any one of Claims 1 to 10, wherein a lactic acid to ethylene oxide molar ratio in said composition is between 0.5 to 3.5 or between 0.5 to 2.5 or between 0.5 to 22.3 for the triblock copolymer and between 2 to 6 or between 0.8 to 13 or between 3 to 5 for the diblock copolymer.

12. The biodegradable drug delivery compositions according to any one of Claims 1 to 11, wherein said compositions are an injectable liquid that when inserted into the body of an animal or plant becomes a hardened implant.

13. A method for preparing the biodegradable drug delivery composition of the invention, said method comprising:(i) dissolving in an organic solvent (a) a biodegradable ABA type block copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol and v, w and x are the number of repeat units ranging from 6 to 1090 or 4 to 1090 wherein v=x or v≠x; and (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol and y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, in a ratio of 1: 3 to 1:8 or 1:1 to 1:19 or 3.2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 (a):(b) to form a polymer mixture; and
(ii) adding at least one pharmaceutically hydrophobic active principle to said polymer mixture, is yet another aspect of the invention.

14. The method for preparing the biodegradable drug delivery compositions according to Claim 13, the at least one pharmaceutically hydrophobic

active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine to said polymer mixture, is yet another aspect of the invention.

15. A method for preparing the biodegradable drug delivery composition of the present invention said method comprising:(i) dissolving in an organic solvent (a) a biodegradable ABA type block copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol and v, w and x are the number of repeat units ranging from 6 to 1090 or 4 to 1060 wherein v=x or v≠x; and (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol and y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, in a ratio of 1: 3 to 1:8 or 1:1 to 1:19 or 3.2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1in (a):(b) to form a polymer mixture; (ii) adding at least one pharmaceutically hydrophobic active principle to said polymer mixture; and (iii) evaporating said solvent.

16. The method according to Claim 15, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine

17. A method for preparing the biodegradable drug delivery composition of the present invention said method comprising:(i) dissolving in an organic solvent (a) a biodegradable ABA type block copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol and v, w and x are the number of repeat units ranging from 6 to 1090 or 4 to 1090, v and x being ester repeat units and w being ethylene oxide repeat units wherein v=x or v≠x; and (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol and y and z are the number of repeat units ranging from 7 to 371 or 3 to 237, y being the number of

ethylene oxide repeat units and z the number of ester repeat units, in a ratio of 1: 3 to 1:8 or 1:1 to 1:19 or 3.2 to 1:19 or 2:3 or 4:1 or 2.3 to 4.1 (a):b) to form a polymer mixture; (ii) adding at least one pharmaceutically hydrophobic active principle to said polymer mixture; and (iii) evaporating said solvent.

18. The method according to Claim 17, wherein the at least one pharmaceutically hydrophobic active principle one of which is medroxyprogesterone acetate, levonorgestrel, cyclosporine, progesterone or bupivacaine.

19. The method according to any one of Claims 13 to 18, the organic solvent is be present in an amount of 40% to 74% (w%/w%) or 30% to 70% (w%/w%) or 26% to 90% (w%/w%) of the total composition.

CLAIMS

What is claimed is:

1. A biodegradable drug delivery composition comprising:

(a) a biodegradable triblock copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol, wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273 and v=x or v≠x; and

(b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable CA diblock copolymer of (b) is 1:3 to 1:8 or 1:1 to 1:19 or 3:2 to 1:19 in said biodegradable drug composition; and (c) at least one pharmaceutically hydrophobic active principle.

2. The biodegradable drug delivery composition according to Claim 1, wherein the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine base or medroxyprogesterone acetate.

3. A biodegradable drug delivery composition comprising:

(a) a biodegradable triblock copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol , wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273 and v=x or v≠x, v and x being ester repeat units and w being ethylene oxide repeat units and v=x or v≠x; and

(b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, y being the number of ethylene oxide repeat units and z the number of ester repeat units, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable CA diblock copolymer of (b) is 1: 3 to 1:8 or 1:1 to 1:19 or 3:2 to 1:19 in said biodegradable drug composition; and (c) at least one pharmaceutically hydrophobic active principle.

4. The biodegradable drug composition according to Claim 3, wherein the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine base or medroxyprogesterone acetate.

5. A biodegradable drug delivery composition comprising:

(a) a biodegradable triblock copolymer having the formula:

$$PLA_v\text{-}PEG_w\text{-}PLA_x$$

wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273 and v=x or v≠x; and

(b) a biodegradable diblock copolymer having the formula:

$$PEG_y\text{-}PLA_z$$

wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable diblock copolymer of (b) is 1:3 to 1:8 or 1:1 to 1:19 or 3:2 to 1:19 in said biodegradable drug composition and wherein the PEG in the diblock is end-capped; and (c) at least one pharmaceutically hydrophobic active principle

6. The biodegradable drug delivery composition according to Claim 5, wherein the at least one pharmaceutically hydrophobic active principle one of which is

risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine or medroxyprogesterone acetate .

7. A biodegradable drug delivery composition, which comprises:

(a) a biodegradable triblock copolymer having the formula:

PLA_v-PEG_w-PLA_x

wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273 and v=x or v≠x; and

(b) a biodegradable diblock having the formula:

PEG_y-PLA_z

wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable diblock copolymer of (b) is 1:3 to 1:8 or 1:1 to 1:19 or 3:2 to 1:19 in said biodegradable drug composition and wherein the PEG in the diblock is end capped and (c) at least one pharmaceutically hydrophobic active principle.

8. The biodegradable drug delivery composition according to Claim 7, wherein the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine or medroxyprogesterone acetate.

9. A biodegradable drug delivery composition, which comprises:

(a) a biodegradable triblock copolymer present in an amount of 3.0% to 45% (w%/w%) or 2% to 45% (w%/w%)of the total composition having the formula:

PLA_v-PEG_w-PLA_x

wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273; and

(b) a biodegradable diblock copolymer present in an amount of 8.0% to 50% (w%/w%) of the total composition having the formula:

PEG_y-PLA_z

wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, wherein the ratio of the biodegradable triblock copolymer of (a) and the biodegradable diblock copolymer of (b) is 1:3 to 1:8 or 1:1 to 1:19 or 3:2 to 1:19 in said biodegradable drug composition and wherein the PEG in the diblock is end capped and (c) at least one pharmaceutically hydrophobic active principle is present in an amount of 1% to 20% (w%/w%) or 1% to 40% (w%/w%) of the total composition.

10. The biodegradable drug delivery composition according to Claim 9, wherein the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine or medroxyprogesterone acetate.

11. The biodegradable drug delivery compositions according to any one of Claims 1 to 10, wherein a lactic acid to ethylene oxide molar ratio in said composition is between 0.5 to 3.5 or between 0.5 to 2.5 or between 0.5 to 22.3 for the triblock copolymer and between 2 to 6 or between 0.8 to 13 or between 3 to 5 for the diblock copolymer.

12. The biodegradable drug delivery compositions according to any one of Claims 1 to 11, wherein said compositions are an injectable liquid that when inserted into the body of an animal or plant becomes a hardened implant.

13. A method for preparing the biodegradable drug delivery composition of the invention, said method comprising:

(i) dissolving in an organic solvent (a) a biodegradable ABA type block copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4

to 273 wherein v=x or v≠x; and (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, in a ratio of 1: 3 to 1:8 or 1:1 to 1:19 or 3.2 to 1:19 to form a polymer mixture; and
(ii) adding at least one pharmaceutically hydrophobic active principle to said polymer mixture.

14. The method for preparing the biodegradable drug delivery compositions according to Claim 13, the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine or medroxyprogesterone acetate to said polymer mixture.

15. A method for preparing the biodegradable drug delivery composition of the present invention said method comprising:(i) dissolving in an organic solvent (a) a biodegradable ABA type block copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273 wherein v=x or v≠x; and (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, in a ratio of 1: 3 to 1:8 or 1:1 to 1:19 or 3.2 to 1:19 to form a polymer mixture; (ii) adding at least one pharmaceutically hydrophobic active principle to said polymer mixture; and (iii) evaporating said solvent.

16. The method according to Claim 15, wherein the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine or medroxyprogesterone acetate.

17. A method for preparing the biodegradable drug delivery composition of the present invention said method comprising:(i) dissolving in an organic solvent (a) a biodegradable ABA type block copolymer having the formula:

$$A_v\text{-}B_w\text{-}A_x$$

wherein A is a polyester and B is polyethylene glycol wherein v and x are the number of repeat units ranging from 24 to 682 and w is the number of repeat units ranging from 4 to 273 , v and x being ester repeat units and w being ethylene oxide repeat units wherein v=x or v≠x; and (b) a biodegradable diblock copolymer having the formula:

$$C_y\text{-}A_z$$

wherein A is a polyester and C is an end-capped polyethylene glycol wherein y and z are the number of repeat units y ranging from 3 to 45 and z ranging from 7 to 327, y being the number of ethylene oxide repeat units and z the number of ester repeat units, in a ratio of 1: 3 to 1:8 or 1:1 to 1:19 or 3.2 to 1:19 to form a polymer mixture; (ii) adding at least one pharmaceutically hydrophobic active principle to said polymer mixture; and (iii) evaporating said solvent.

18. The method according to Claim 17, wherein the at least one pharmaceutically hydrophobic active principle one of which is risperidone, ivermectin, levonorgestrel, cyclosporine, progesterone, bupivacaine or medroxyprogesterone acetate.

19. The method according to any one of Claims 13 to 18, the organic solvent is be present in an amount of 40% to 74% (w%/w%) or 30% to 70% (w%/w%) or 26% to 90% (w%/w%) of the total composition.