CLAIMS

1. A composition comprising:

a) S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate, and

b) croscarmellose sodium.

2. A composition according to claim 1, further comprising at least one additional hygroscopic polymeric excipient.

3. A composition according to claim 1, further comprising at least two additional hygroscopic polymeric excipients.

4. A composition according to claim 1, further comprising at least three additional hygroscopic polymeric excipients of which two are diluents with a bulk density lower than 800g/L.

5. A composition according to any one of claims 1 to 4, comprising 10% to 69% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate.

6. A composition according to any one of claims 1 to 5, comprising 40% to 60% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate.

7. A composition according to any one of claims 1 to 6, comprising 48% to 55% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate.

8. A composition according to any one of claims 1 to 7, comprising 1% to 10% by weight of croscarmellose sodium.

9. A composition according to any one of claims 1 to 8, comprising 5% to 10% by weight of croscarmellose sodium.

10. A composition according to any one of claims 1 to 9, comprising 5% to 8% by weight of croscarmellose sodium.

11. A composition according to any one of claims 1 to 10, comprising 5% to 7% by weight of croscarmellose sodium.

12. A composition according to any one of the claims 1 to 11, comprising 30% to 70% by weight of at least two diluents with a bulk density lower than 800g/L.

13. A composition according to any one of the claims 1 to 12, comprising 30% to 60% by weight of at least two diluents with a bulk density lower than 800g/L.

14. A composition according to any one of the claims 1 to 13, comprising 40% to 50% by weight of at least two diluents with a bulk density lower than 800g/L.

15. A composition according to any one of the claims 1 to 11, wherein there is at least 30% by weight of the hygroscopic polymeric excipients.

16. A composition according to any one of the claims 2 to 11 or 15, wherein there is 34% to 44% by weight of the additional hygroscopic polymeric excipients.

17. A composition according to any one of the claims 2 to 11, 15 or 16, wherein there is 40% to 44% by weight of the additional hygroscopic polymeric excipients.

18. A composition according to any one of the claims 2 to 17, wherein the hygroscopic polymeric excipients are polymeric excipients which take up moisture by absorption or adsorption even at relative humidity as low as 50%, at room temperature.

19. A composition according to any one of the claims 1 to 3, comprising:

a) more than 50% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;

b) more than 40% by weight of water insoluble hygroscopic polymer;

c) more than 4% by weight of water soluble hygroscopic polymer; and

d) less than 6% of other excipeints.

20. A composition according to any one of the claims 1 to 18, comprising:

a) 48% to 55% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;

b) 4% to 8% by weight of croscarmellose sodium

c) 32% to 41% by weight of water insoluble hygroscopic polymer; and

d) 4% to 5% by weight of water soluble hygroscopic polymer.

21. A composition according to any one of the claims 2 to 20, wherein the hygroscopic polymeric excipients are selected from hydroxypropylmethyl cellulose, hydroxypropyl cellulose, low-substituted hydroxypropyl cellulose, hydroxyethylmethyl cellulose, carboxypolymethylene, methylcellulose, ethylcellulose, hydroxyethyl cellulose, celluloseacetate, polyvinylpyrrolidone, crosslinked polyvinylpyrrolidone, micronized crosslinked polyvinylpyrrolidone, carboxymethylcellulose calcium, crosslinked carboxymethylcellulose, microcrystalline cellulose, silicified microcrystalline cellulose, cellulose powder, carboxymethyl starch, starch, pregelatinized starch.

22. A composition according to any one of the claims 2 to 21, wherein the hygroscopic polymeric excipients are hydroxypropylmethyl cellulose, microcrystalline cellulose and micronized crosslinked polyvinylpyrrolidone.

23. A composition according to any one of the claims 1 to 22, comprising:

a) S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;
b) microcrystalline cellulose;
c) crospovidone micronized;
d) hydroxypropylmethyl cellulose; and
e) croscarmellose sodium.

24. A composition according to any one of the claims 1 to 3, comprising:

a) 48% to 55% by weight of CETP inhibitor thiosester derivative; and

~~b) at least 30% by weight of hydroxypropylmethyl cellulose, croscarmellose sodium, microcrystalline cellulose and micronized crosslinked polyvinylpyrrolidone.~~

~~25. A composition according to any one of the claims 1 to 24 comprising:~~

~~a) CETP inhibitor thioester derivative, more particularly S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;~~

~~b) mannitol;~~

~~c) crospovidone micronized;~~

~~d) hydroxypropylmethyl cellulose;~~

~~e) croscarmellose Sodium;~~

~~f) microcrystalline cellulose.~~

~~26. A composition according to any one of the claims 1 to 23, comprising:~~

~~a) 48% to 55% by weight of -[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;~~

~~b) 4% to 8% by weight of croscarmellose sodium; and~~

~~c) 35% to 44% by weight of hydroxypropylmethyl cellulose, microcrystalline cellulose and crospovidone micronized.~~

CLAIMS

~~27~~1. A composition ~~according to any one of the claims 1 to 23 or 26~~, comprising:

a) 48% to 55% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;

b) 24% to 26% by weight of microcrystalline cellulose;

c) 11% to 12% by weight of crospovidone micronized;

d) 4% to 5% by weight of hydroxypropylmethyl cellulose;

e) 4% to 6 % by weight of croscarmellose sodium

wherein the composition is in the form of a tablet.

~~28~~2. A composition according to any one of the claim~~s~~ 1 ~~to 23, 26 or 27~~, comprising:

a) 48% to 55% by weight of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;

b) 24% to 26% by weight of microcrystalline cellulose;

c) 11% to 12% by weight of crospovidone micronized;

d) 4% to 5% by weight of hydroxypropylmethyl cellulose;

e) 4% to 6 % by weight of croscarmellose sodium;

f) 0 to 1% by weight of magnesium stearate;

g) 0 to 1% by weight of colloidal silicon dioxide;

h) 0 to 1% by weight of sodium stearyl fumarate.

~~29. A composition according to any one of claims 1 to 14, wherein at least two diluents with a bulk density lower than 800g/L are microcrystalline cellulose and mannitol.~~

~~30. A composition according to any one of the claims 1 to 14, wherein at least two diluents with a bulk density lower than 800g/L are microcrystalline cellulose and crospovidone micronized.~~

~~31. A composition according to any one of the claims 1 to 30, wherein the composition is in the form of a tablet.~~

~~32~~3. A composition according to any one of the claims 1 to ~~31~~2, wherein S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate is in crystalline form.

~~33. A composition according to any one the claims 1 to 32 for treating or preventing cardiovascular disorder.~~

~~34~~4. A composition according to any one the claims 1 to ~~32~~ 3 for the use in the treatment or prevention of cardiovascular disorder~~.~~

~~35. A composition according to any one of the claims 33 or 34,~~ wherein the cardiovascular disorder is atherosclerosis, peripheral vascular disease, dyslipidemia ~~(e. g., hyperlipidimia)~~, hyperbetalipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, familial-hypercholesterolemia, angina, ischemia, cardiac ischemia, stroke, myocardial infarction, reperfusion injury, angioplastic restenosis, hypertension, cardiovascular disease, coronary heart disease, coronary artery disease, hyperlipidoproteinemia, vascular complications of diabetes, obesity or endotoxemia.

~~36. A tablet comprising the composition of any one of claims 1 to 32.~~

37. Use of a composition of any one of claims 1 to 32 for preparing a medicament for the treatment or prevention of cardiovascular disorder.

38. The use according to claim 37, wherein the cardiovascular disorder is atherosclerosis, peripheral vascular disease, dyslipidemia (e. g., hyperlipidimia), hyperbetalipoproteinemia, hypoalphalipoproteinemia, hypercholesterolemia, hypertriglyceridemia, familial hypercholesterolemia, angina, ischemia, cardiac ischemia, stroke, myocardial infarction, reperfusion injury, angioplastic restenosis, hypertension, cardiovascular disease, coronary heart disease, coronary artery disease, hyperlipidoproteinemia, vascular complications of diabetes, obesity or endotoxemia.

39. The use according to claim 38, wherein S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate is administered at a daily dose of 100mg to 1800mg, particularly 300mg to 900mg, more particularly 600mg.

40. The use according to either claim 38 or 39, wherein S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate is administered with food.

41. A process for the preparation of a composition comprising the following steps:

a) Mixing and granulating, S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate, crospovidone micronized, microcrystalline cellulose, croscarmellose sodium and hydroxypropyl methylcellulose;

b) Spraying up to 0.5% by weight of hydroxypropyl methylcellulose in water or in 10%-30% ethanol by weight/70%-90% water by weight, onto the granulates obtained according to step a);

c) drying the granulates; and

d) blending microcrystalline cellulose, colloidal silicon dioxide and sodium stearylfumarate with the dry granulates obtained according to step c).

42. A kit comprising a composition comprising a therapeutically effective amount of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate and at least 30% by weight of hygroscopic polymeric excipients by composition weight, prescribing information, a blister package or bottle and a container.

43. A kit according to claim 42 wherein the prescribing information includes the advice to a patient regarding the administration of S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate with food.

44. A kit according to either claim 42 or 43, wherein the composition comprises

a) S-[2-([[1-(2-ethylbutyl)-cyclohexyl]-carbonyl]amino)phenyl]2-methylpropanethioate;

b) microcrystalline cellulose;

c) crospovidone micronized;

d) hydroxypropylmethyl cellulose; and

e) croscarmellose sodium.