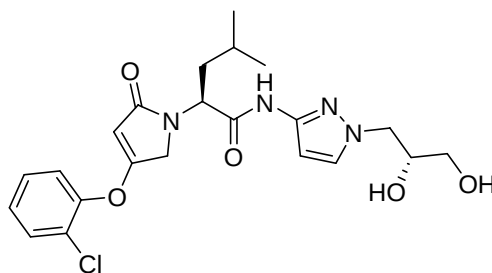


CLAIMS

1. A solid dispersion, which comprises a glucokinase activator, or isotope labeled analogues thereof or pharmaceutically acceptable salts thereof and polymer carriers,

wherein the glucokinase activator is the compound HMS5552, or isotope labeled analogues or pharmaceutically acceptable salts thereof,



HMS5552

wherein the polymer carriers are selected from the group consisting of copolymer of butyl methacrylate, dimethylaminoethyl methacrylate and methyl methacrylate (1:2:1), copolymer of methacrylic acid and ethyl acrylate (1:1), copolymer of methacrylic acid and methyl methacrylate (1:2), copolymer of ethyl acrylate, methyl methacrylate and chlorotrimethylamino ethyl methacrylate (1:2:0.2), copolymer of ethyl acrylate, methyl methacrylate and chlorotrimethylamino ethyl methacrylate (1:2:0.1), copolymer of ethyl acrylate and methyl methacrylate (2:1), copolymer of methacrylic acid and butyl acrylate (35:65), polymer of methacrylic acid and methyl methacrylate (1:1), and copolymer of methacrylic acid and methyl methacrylate (35:65).

2. The solid dispersion according to claim 1, wherein the polymer carrier is Eudragit L100.

3. The solid dispersion according to any one of claims 1-2, wherein the weight ratio of the glucokinase activator to polymer carriers is 1:10 to 10:1, 1:9 to 9:1, 1:4 to 4:1, 3:7 to 7:3, 2:3 to 3:2, 3:4 to 4:3, or 4:5 to 5:4.

4. The solid dispersion according to any one of claims 1-2, wherein the weight ratio of the glucokinase activator to polymer carriers is 5:6 to 6:5.

5. The solid dispersion according to any one of claims 1-2, wherein the weight ratio of the glucokinase activator to polymer carriers is 1:1.

6. A solid dispersion composition, which comprises the solid dispersion according to any one of claims 1-5 and excipients.

7. A tablet of the glucokinase activator, wherein the tablet comprises the solid dispersion according to any one of claims 1-5 and one or more selected from the group consisting of filler, binder, disintegrant and lubricant, wherein the content of the solid dispersion in the tablet is 1 weight% to 90 weight%, the content of filler is 1 weight% to 95 weight%, the content of binder is 0.5 weight% to 10 weight%, the content of disintegrant is 0.5 weight% to 7.5 weight%, and the content of lubricant is 0.25 weight% to 5 weight%, and

wherein the amount of the glucokinase activator in the unit tablet is about 5mg to about 200mg.

8. The tablet of the glucokinase activator according to claim 7, wherein the tablet comprises 120mg to 180mg of the solid dispersion of the compound HMS5552, 33.1mg to 151.6mg silicified microcrystalline cellulose, 1.4mg to 27.5mg hydroxypropyl cellulose, 1.4mg to 20.6mg croscarmellose sodium and 0.7mg to 13.8mg magnesium stearate, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

9. The tablet of the glucokinase activator according to claim 8, which comprises 150mg of the solid dispersion of the compound HMS5552, 112.5mg silicified microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, 2.5mg croscarmellose sodium and 2.5mg magnesium stearate, wherein the solid dispersion of the compound HMS5552 comprises 75mg of the compound HMS5552.

10. The tablet of the glucokinase activator according to claim 7, wherein the tablet comprises 170mg to 230mg of the solid dispersion of the compound HMS5552, 2.5mg to 71.9mg silicified microcrystalline cellulose, 1.2mg to 24.5mg hydroxypropyl cellulose, 1.2mg to 18.4mg croscarmellose sodium and 0.6mg to 12.3mg magnesium stearate, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

11. The tablet of the glucokinase activator according to claim 10, which comprises 200mg of the solid dispersion of the compound HMS5552, 32.5mg silicified microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, 2.5mg croscarmellose sodium and 2.5mg magnesium stearate, wherein the solid dispersion of the compound HMS5552 comprises 100mg of the compound HMS5552.

12. The tablet of the glucokinase activator according to claim 7, wherein the tablet comprises 40mg to 60mg of the solid dispersion of the compound HMS5552, 188.0mg to 276.0mg silicified microcrystalline cellulose, 1.6mg to 32.0mg hydroxypropyl cellulose, 1.6mg to 24.0mg croscarmellose sodium and 0.8mg to 16.0mg magnesium stearate, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

13. The tablet of the glucokinase activator according to claim 12, which comprises 50mg of the solid dispersion of the compound HMS5552, 257.5mg silicified microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, 2.5mg croscarmellose sodium and 2.5mg magnesium stearate, wherein the solid dispersion of the compound HMS5552 comprises 25mg of the compound HMS5552.

14. The tablet of the glucokinase activator according to claim 7, wherein the tablet comprises 40mg to 60mg of the solid dispersion of the compound HMS5552, 188.0mg to 276.0mg silicified microcrystalline cellulose, 1.6mg to 32.0mg hydroxypropylmethyl cellulose, 1.6mg to 24.0mg sodium starch glycolate and 0.8mg to 16.0mg magnesium stearate, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

15. The tablet of the glucokinase activator according to claim 14, wherein the tablet comprises 50mg of the solid dispersion of the compound HMS5552, 239.6mg silicified microcrystalline cellulose, 16.0mg hydroxypropylmethyl cellulose, 11.2mg sodium starch glycolate and 3.2mg magnesium stearate, wherein the solid dispersion of the compound HMS5552 comprises 25mg of the compound HMS5552.

16. The tablet of the glucokinase activator according to claim 7, wherein the tablet comprises 170mg to 230mg of the solid dispersion of the compound HMS5552, 2.5mg to 71.9mg lactose monohydrate, 1.2mg to 24.5mg polyvinylpyrrolidone, 1.2mg to 18.4mg croscarmellose sodium and 0.6mg to 12.3mg sodium stearyl fumarate, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

17. The tablet of the glucokinase activator according to claim 16, wherein the tablet comprises 200mg of the solid dispersion of the compound HMS5552, 27.5mg lactose monohydrate, 12.5mg polyvinylpyrrolidone, 5.0mg croscarmellose sodium and 5.0mg sodium stearyl fumarate, wherein the solid dispersion of the compound HMS5552 comprises 100mg of the compound HMS5552.

18. The tablet of the glucokinase activator according to claim 7, wherein the tablet comprises 100mg of the solid dispersion of the compound HMS5552, 183.5mg microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, 6.0mg croscarmellose sodium and 3.0mg magnesium stearate, wherein the solid dispersion of the compound HMS5552 comprises 50mg of the compound HMS5552.

19. The tablet of the glucokinase activator according to claim 7, which is coated tablet.

20. The tablet of the glucokinase activator according to claim 19, wherein the coated tablet comprises 80mg to 120mg of the solid dispersion of the compound HMS5552, 112.5mg to 216.3mg silicified microcrystalline cellulose, 1.5mg to 30.0mg hydroxypropyl cellulose, 1.5mg to 22.5mg croscarmellose sodium, 0.8mg to 15.0mg magnesium stearate and 3.0mg to 30.0mg Opadry, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

21. The tablet of the glucokinase activator according to claim 20, which comprises 100mg of the solid dispersion of the compound HMS5552, 187.5mg silicified microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, 2.5mg croscarmellose sodium, 2.5mg magnesium stearate and 9mg Opadry, wherein the solid dispersion of the compound HMS5552 comprises 50mg of the compound HMS5552.

22. The tablet of the glucokinase activator according to claim 19, wherein the coated tablet comprises 120mg to 180mg of the solid dispersion of the compound HMS5552, 33.1mg to 151.6mg silicified microcrystalline cellulose, 1.4mg to 27.5mg hydroxypropyl cellulose, 1.4mg to 20.6mg croscarmellose sodium, 0.7mg to 13.8mg magnesium stearate and 2.75 mg to 27.5mg Opadry, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

23. The tablet of the glucokinase activator according to claim 22, which comprises 150mg of the solid dispersion of the compound HMS5552, 112.5mg silicified microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, 2.5mg croscarmellose sodium, 2.5mg magnesium stearate and 8.25mg Opadry, wherein the solid dispersion of the compound HMS5552 comprises 75mg of the compound HMS5552.

24. A capsule of the glucokinase activator, wherein the capsule comprises the solid

dispersion of any one of claims 1-5 and one or more materials selected from the group consisting of filler, binder, disintegrant and lubricant,

wherein the content of the solid dispersion is 1 weight% to 90 weight%, the content of filler is 5 weight% to 95 weight%, the content of binder is 0 weight% to 10 weight%, the content of disintegrant is 0.5 weight% to 7.5 weight%, and the content of lubricant is 0 weight% to 5 weight%, and

wherein in the unit formulation, the content of the glucokinase activator is about 5mg to about 200mg.

25. The capsule of the glucokinase activator according to claim 24, wherein the capsule comprises 80mg to 120mg of the solid dispersion of the compound HMS5552, 150.0mg to 218.5mg silicified microcrystalline cellulose, 1.5mg to 22.5mg croscarmellose sodium, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

26. The capsule of the glucokinase activator according to claim 25, which comprises 100mg of the solid dispersion of the compound HMS5552, 170mg silicified microcrystalline cellulose, 7.5mg hydroxypropyl cellulose, wherein the solid dispersion of the compound HMS5552 comprises 50mg of the compound HMS5552.

27. The capsule of the glucokinase activator according to claim 24, wherein the capsule comprises 80mg to 120mg the solid dispersion of the compound HMS5552, 129.8mg to 196.1mg silicified microcrystalline cellulose, 1.4mg to 27.8mg hydroxypropyl cellulose, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

28. The capsule of the glucokinase activator according to claim 27, wherein the capsule comprises 100mg of the solid dispersion of the compound HMS5552, 192.5mg silicified microcrystalline cellulose, 7.5mg croscarmellose sodium, wherein the solid dispersion of the compound HMS5552 comprises 50mg of the compound HMS5552.

29. The capsule of the glucokinase activator according to claim 24, wherein the capsule comprises 40mg to 60mg of the solid dispersion of the compound HMS5552, 217.5mg to 258.5mg silicified microcrystalline cellulose, 1.5mg to 22.5mg croscarmellose sodium, wherein in the solid dispersion, the ratio of the compound HMS5552 to polymer carriers is 1:1.

30. The capsule of the glucokinase activator according to claim 29, which comprises

50mg of the solid dispersion of the compound HMS5552, 247.5mg silicified microcrystalline cellulose, 2.5mg croscarmellose sodium, wherein the solid dispersion of the compound HMS5552 comprises 25mg of the compound HMS5552.

5 31. The tablet of any one of claims 7-23 or the capsule of any one of claims 24-30, which has a dissolution of <45% at pH1.2~4.5 at 30 min, and a dissolution of >85% at pH6.0~7.0 at 30 min.

10 32. Use of the solid dispersion of any one of claims 1-5, the solid dispersion composition of claim 6, the tablet of any one of claims 7-23 or the capsule of any one of claims 24-30 in the preparation of a medicament for treating or preventing one or more diseases selected from the group consisting of type I diabetes, type II diabetes, impaired glucose tolerance, impaired fasting glucose and hyperglycemia.

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