CLAIMS

[Claim 1] A freeze-dried aripiprazole formulation obtained by a process comprising the steps of
spraying for freezing an aripiprazole suspension containing
(I) aripiprazole,
(II) a vehicle for the aripiprazole, and
(III) water for injection; and
drying.

[Claim 2] The freeze-dried formulation according to claim 1, consisting essentially of particles with a particle size of substantially 30 μm or more.

[Claim 3] The freeze-dried formulation according to claim 1, comprising aripiprazole in an amount of 50 w/w% or more.

[Claim 4] The freeze-dried formulation according to claim 1, which has a bulk density of about 0.05 to about 0.5 g/mL.

[Claim 5] The freeze-dried formulation according to claim 1 wherein the aripiprazole has a mean particle size of about 1 to about 10 microns.

[Claim 6] The freeze-dried formulation according to claim 5 wherein the aripiprazole has a mean particle size of about 2.5 microns.

[Claim 7] The freeze-dried formulation according to claim 1, comprising at least one member selected from the group consisting of suspending agents, bulking agents, and buffers.

[Claim 8] The freeze-dried formulation according to claim 1, comprising
(II-a) one or more suspending agents,
(II-b) one or more bulking agents, and
(II-c) one or more buffers.

[Claim 9] The freeze-dried formulation according to claim 1, comprising
(II-a) carboxymethyl cellulose or a salt thereof,
(II-b) mannitol, and
(II-c) sodium phosphate.

[Claim 10] The freeze-dried formulation according to claim 1, further comprising (IV) a pH adjusting agent.

[Claim 11] The freeze-dried formulation according to claim 10, wherein the pH adjusting agent is sodium hydroxide.

[Claim 12] The freeze-dried formulation according to claim 1, comprising

(I) aripiprazole,

(II-a) carboxymethyl cellulose or a sodium salt thereof,

(II-b) mannitol,

(II-c) sodium phosphate, and optionally

(IV) sodium hydroxide.

[Claim 13] The freeze-dried formulation according to claim 1, wherein the aripiprazole is in the form of a monohydrate.

[Claim 14] A process for producing a freeze-dried aripiprazole formulation comprising the steps of

(e'-1) spray-freezing an aripiprazole suspension having a mean particle size in the range of about 1 to about 10 microns to obtain spray-frozen particles; and

(e'-2) drying the spray-frozen particles to obtain spray-freeze-dried particles.

[Claim 15] The process for producing a freeze-dried aripiprazole formulation according to claim 14, comprising the steps of

(d') reducing the mean particle size of aripiprazole in a primary suspension formed by mixing aripiprazole, a vehicle for the aripiprazole, and water to the range of about 1 to about 10 microns to form a final suspension;

(e'-1) spray-freezing the aripiprazole suspension having a mean particle size of about 1 to about 10 microns to obtain spray-frozen particles; and

(e'-2) drying the spray-frozen particles to obtain spray-freeze-dried particles.

[Claim 16] The process according to claim 15, wherein the reduction of the mean particle size of aripiprazole in the sterile primary suspension is carried out by wet milling.

[Claim 17] The process according to claim 14, wherein the

spraying in step (e'-1) is either spraying at a low temperature for freezing or spraying under reduced pressure for freezing.

[Claim 18] The process according to claim 14, further comprising selecting particles with a particle size of substantially 30 μm or more.

[Claim 19] The freeze-dried formulation according to claim 1, which exhibits good dispersibility and forms a homogenous aripiprazole suspension upon reconstitution with water.

[Claim 20] The freeze-dried formulation according to claim 1, comprising particles with a particle size of less than 75 μm in an amount of 15 w/w% or less.

CLAIMS:

1. A freeze-dried aripiprazole formulation obtained by a process comprising the steps of

spraying-freezing an aripiprazole suspension containing

(I) aripiprazole,

(II) a vehicle for the aripiprazole, and

(III) water for injection;

to form spray-frozen particles and

drying the spray-frozen particles.

2. The freeze-dried formulation according to claim 1, consisting essentially of particles with a particle size of substantially 30 μm or more.

3. The freeze-dried formulation according to claim 1 or 2, comprising aripiprazole in an amount of 50 w/w% or more.

4. The freeze-dried formulation according to any one of claims 1 to 3, which has a bulk density of about 0.05 to about 0.5 g/mL.

5. The freeze-dried formulation according to any one of claims 1 to 4 wherein the aripiprazole has a mean particle size of about 1 to about 10 microns.

6. The freeze-dried formulation according to claim 5 wherein the aripiprazole has a mean particle size of about 2.5 microns.

7. The freeze-dried formulation according to any one of claims 1 to 6, comprising at least one member selected from the group consisting of suspending agents, bulking agents, and buffers.

8. The freeze-dried formulation according to any one of claims 1 to 7, wherein the vehicle comprises:

(II-a) one or more suspending agents,

(II-b) one or more bulking agents, and

(II-c) one or more buffers.

9. The freeze-dried formulation according to any one of claims 1 to 7, wherein the vehicle comprises:

(II-a) carboxymethyl cellulose or a salt thereof,

(II-b) mannitol, and

(II-c) sodium phosphate.

10. The freeze-dried formulation according to any one of claims 1 to 9, further comprising (IV) a pH adjusting agent.

11. The freeze-dried formulation according to claim 10, wherein the pH adjusting agent is sodium hydroxide.

12. The freeze-dried formulation according to any one of claims 1 to 6, wherein the vehicle comprises:

(II-a) carboxymethyl cellulose or a sodium salt thereof,

(II-b) mannitol, and

(II-c) sodium phosphate.

13. The freeze-dried formulation according to claim 12, further comprising:

(IV) sodium hydroxide.

14. The freeze-dried formulation according to any one of claims 1 to 13, wherein the aripiprazole is in the form of a monohydrate.

15. A process for producing a freeze-dried aripiprazole formulation comprising the steps of

(e'-1) spray-freezing an aripiprazole suspension having a mean particle size in the range of about 1 to about 10 microns to obtain spray-frozen particles; and

(e'-2) drying the spray-frozen particles to obtain spray-freeze-dried particles.

16. The process for producing a freeze-dried aripiprazole formulation according to claim 15, comprising the steps of

(d') reducing the mean particle size of aripiprazole in a sterile primary suspension formed by mixing aripiprazole, a vehicle for the aripiprazole, and water to the range of about 1 to about 10 microns to form a final suspension;

(e'-1) spray-freezing the aripiprazole suspension having a mean particle size of about 1 to about 10 microns to obtain spray-frozen particles; and

(e'-2) drying the spray-frozen particles to obtain spray-freeze-dried particles.

17. The process according to claim 16, wherein the reduction of the mean particle size of aripiprazole in the sterile primary suspension is carried out by wet milling.

18. The process according to any one of claims 15 to 17, wherein the spraying in step (e'-1) is either spraying at a temperature for freezing or spraying under reduced pressure for freezing.

19. The process according to any one of claims 15 to 18, further comprising selecting particles with a particle size of substantially 30 µm or more.

20. The freeze-dried formulation according to any one of claims 1 to 14, which exhibits good dispersibility and forms a homogenous aripiprazole suspension upon reconstitution with water.

21. The freeze-dried formulation according to any one of claims 1 to 14 or according to claim 20, comprising particles with a particle size of less than 75 µm in an amount of 15 w/w% or less.