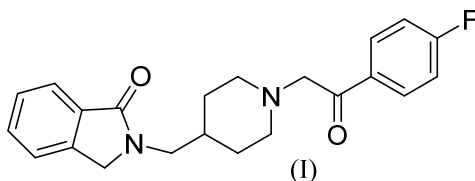


CLAIMS

1. A pharmaceutical formulation comprising Compound (I):



- 5 wherein the formulation comprises a release modifier that provides a maximum plasma concentration (C_{max}) of Compound (I) or the polymorph form (A) of Compound (I).HCl.2H₂O below 50 ng/mL when a dose of between about 1-100 mg of the formulation is administered to a human.
- 10 2. The pharmaceutical formulation of claim 1, when Compound (I) is present as the polymorph form (A) of Compound (I).HCl.2H₂O.
3. The pharmaceutical formulation of claim 2, comprising about 10-75 mg or about 15-65 mg of Compound (I) or the polymorph form (A) of Compound (I).HCl.2H₂O.
- 15 4. The pharmaceutical formulation of claim 2, wherein the release modifier is a hypromellose.
- 20 5. The pharmaceutical formulation of claim 1, further comprising a filler, a glidant, and a lubricant.
6. The pharmaceutical formulation of claim 1, wherein the formulation provides a maximum plasma concentration (C_{max}) of BFB-520 below 10.0 ng/mL, or a maximum plasma concentration (C_{max}) of BFB-999 below 5.0 ng/mL, or an AUC of Compound (I) or the polymorph form (A) of Compound (I).HCl.2H₂O below 400
- 25

hr*ng/mL, or an AUC of BFB-520 below 40 hr*ng/mL, or an AUC of BFB-999 below 40 hr*ng/mL.

7. The pharmaceutical formulation of claim 1, wherein substantially all of
5 the administered dose of Compound (I) or the polymorph form (A) of Compound (I).HCl.2H₂O is released from the pharmaceutical formulation over 16-24 hours.

8. The pharmaceutical formulation of claim 1, wherein the maximum
plasma concentration (C_{max}) of Compound I provided by the release modifier is below
10 35 ng/ml when a dose of 32 mg of Compound I is administered to the human.

9. The pharmaceutical formulation of claim 8, which comprises the
polymorph form (A) of Compound I HCl•2H₂O.

15 10. The pharmaceutical formulation of claim 8, which comprises a
hypromellose.

11. The pharmaceutical formulation of claim 10, which comprises between
15-65 mg of Compound I.
20

12. The pharmaceutical formulation of claim 11, which comprises 32 mg of
Compound I.

13. The pharmaceutical formulation of claim 11, which comprises 64 mg of
25 Compound I.

14. The pharmaceutical formulation of claim 8, wherein the formulation
provides an AUC of Compound I below 400 hr*ng/mL when a dose of 32 mg of
Compound I is administered to a human.
30

15. The pharmaceutical formulation of claim 1 for use in treating a neuropsychiatric disease or disorder.

16. The pharmaceutical formulation for use of claim 15, wherein the
5 neuropsychiatric disease or disorder is schizophrenia.

17. The pharmaceutical formulation for use of claim 15, wherein the amount of Compound (I) or the polymorph form (A) of Compound (I).HCl.2H₂O is in the range of about 1-100 mg.
10

18. The pharmaceutical formulation for use of claim 17, wherein the amount of Compound (I) or the polymorph form (A) of Compound (I).HCl.2H₂O is in the range of about 10-75 mg or about 15-65 mg.

19. The pharmaceutical formulation of claim 1 for use in treating a sleep disorder.
15

20. The pharmaceutical formulation for use of claim 19, wherein at least one aspect of the sleep disorder is treated or diminished.
20

21. The pharmaceutical formulation of claim 8 for use in treating or diminishing at least one negative symptom of schizophrenia in a subject in need thereof.

22. The pharmaceutical formulation for use according to claim 21, wherein
25 between 10-75 mg of Compound I is to be administered to the subject.

23. The pharmaceutical formulation for use according to claim 22, wherein the formulation is to be administered once daily.

24. The pharmaceutical formulation for use according to claim 23, wherein 16 mg of Compound I, 32 mg of Compound I, 40 mg of Compound I, or 64 mg of Compound I is to be administered.

5 25. The pharmaceutical formulation for use according to claim 24, wherein 32 mg of Compound I is to be administered.

26. The pharmaceutical formulation for use according to claim 24, wherein 64 mg of Compound I is to be administered.

10

27. The pharmaceutical formulation for use according to claim 22, wherein the formulation comprises a hypromellose.

28. The pharmaceutical formulation for use according to claim 22, wherein
15 the formulation is to be administered at least 4 hours after the subject has taken a meal, at least 6 hours after the subject has taken a meal, at least 8 hours after the subject has taken a meal, at least 10 hours after the subject has taken a meal, or at least 12 hours after the subject has taken a meal.

20 29. The pharmaceutical formulation for use according to claim 21, wherein the formulation is to be administered to the subject once daily.

30. The pharmaceutical formulation for use according to claim 29, wherein the formulation comprises a hypromellose and 32 mg of Compound I, 40 mg of
25 Compound I, or 64 mg of Compound I.

31. The pharmaceutical formulation for use according to claim 30, wherein the formulation comprises 32 mg of Compound I.

32. The pharmaceutical formulation for use according to claim 30, wherein the formulation comprises 64 mg of Compound I.

33. The pharmaceutical formulation for use according to claim 30, wherein
5 the formulation is to be administered to the subject once daily for four months, six months, eight months, ten months, one year, two years, three years, four years, or five years.

34. Use of the pharmaceutical formulation of claim 1 in the manufacture of a
10 medicament for treating a neuropsychiatric disease or disorder.

35. The use according to claim 34, wherein the neuropsychiatric disease or disorder is schizophrenia.

36. The use according to claim 34, wherein the amount of Compound (I) or
15 the polymorph form (A) of Compound (I).HCl.2H₂O is in the range of about 1-100 mg.

37. The use according to claim 26, wherein the amount of Compound (I) or
the polymorph form (A) of Compound (I).HCl.2H₂O is in the range of about 10-75 mg
20 or about 15-65 mg.

38. Use of the pharmaceutical formulation of claim 1 in the manufacture of a medicament for treating a sleep disorder.

39. The use according to claim 38, wherein at least one aspect of the sleep
25 disorder is treated or diminished.

40. Use of the pharmaceutical formulation of claim 8 in the manufacture of a medicament for treating or diminishing at least one negative symptom of schizophrenia.
30

41. The use according to claim 40, wherein the medicament comprises between 10-75 mg of Compound I.

42. The use according to claim 41, wherein the medicament is to be
5 administered once daily.

43. The use according to claim 42, wherein the medicament comprises 16 mg of Compound I, 32 mg of Compound I, 40 mg of Compound I, or 64 mg of Compound I.
10

44. The use according to claim 43, wherein the medicament comprises 32 mg of Compound I.

45. The use according to claim 43, wherein the medicament comprises 64
15 mg of Compound I.

46. The use according to claim 41, wherein the medicament comprises a hypromellose.

47. The use according to claim 41, wherein the medicament is to be
20 administered at least 4 hours after the subject has taken a meal, at least 6 hours after the subject has taken a meal, at least 8 hours after the subject has taken a meal, at least 10 hours after the subject has taken a meal, or at least 12 hours after the subject has taken a meal.

48. The use according to claim 40, wherein the medicament is to be
25 administered to the subject once daily.

49. The use according to claim 48, wherein the medicament comprises a hypromellose and 32 mg of Compound I, 40 mg of Compound I, or 64 mg of Compound I.

5 50. The use according to claim 49, wherein the medicament comprises 32 mg of Compound I.

51. The use according to claim 49, wherein the medicament comprises 64 mg of Compound I.

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52. The use according to claim 49, wherein the medicament is to be administered to the subject once daily for four months, six months, eight months, ten months, one year, two years, three years, four years, or five years.

15 53. A kit comprising the pharmaceutical formulation of claim 1, and instructions for use.