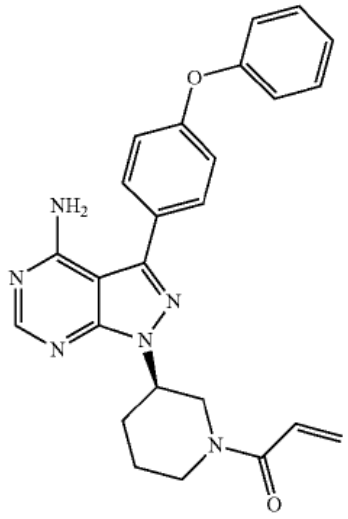
is used for once a day dosing. In some examples of the high-load solid tablet formulations described herein, the formulation is in an oral dosage form containing a therapeutically effective amount of ibrutinib.

**[0024a]** In one aspect, described herein is an immediate release solid tablet formulation comprising 60% w/w to 80% w/w ibrutinib and one or more pharmaceutically acceptable excipients,

wherein ibrutinib is a compound with the structure of Compound 1,



Compound 1; and

wherein ibrutinib is in an amount of about 140 mg, about 280 mg, about 420 mg, or about 560 mg in the tablet.

**[0024b]** In another aspect, described herein is an immediate release solid tablet formulation comprising

a. ibrutinib, and

b. one or more pharmaceutically acceptable excipients,

wherein the ibrutinib is present in an amount of 60% w/w to 80% w/w, and

wherein oral administration of one or more tablet(s) of the solid tablet formulation in an amount sufficient to deliver 560 mg of ibrutinib to a population of healthy human adults in a fasted state results in a mean $AUC_{0-\infty}$ of about 465 ng*h/ml+/−248 ng*h/ml.

**[0024c]** In another aspect, described herein is an immediate release solid tablet formulation comprising

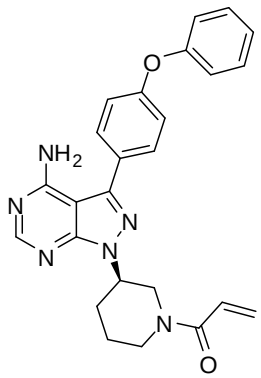
a. 560 mg of ibrutinib, and

b. one or more pharmaceutically acceptable excipients,

wherein the ibrutinib is present in an amount of 60% w/w to 80% w/w, and

wherein oral administration of the solid tablet formulation to a population of healthy human adults in a fasted state results in a mean $AUC_{0-\infty}$ of about 465 ng*h/ml+/−248 ng*h/ml.

**[0024d]** In another aspect, described herein is an immediate release solid tablet formulation comprising ibrutinib and pharmaceutically acceptable excipients, wherein the ibrutinib is a compound with the structure of Compound 1,




Compound 1;

and wherein the solid tablet formulation comprises 60% w/w to 75 % w/w of the ibrutinib, and the excipients comprise:

one or more diluents selected from group consisting of: lactose, sucrose, dextrose, dextrates, maltodextrin, mannitol, xylitol, sorbitol, cyclodextrins, calcium phosphate, calcium sulfate, starches, modified starches, cellulose, microcrystalline cellulose, microcellulose, and talc;

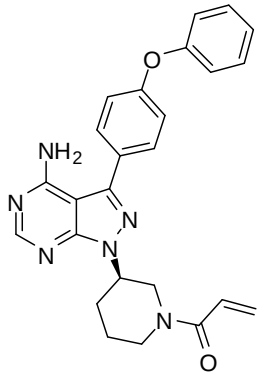
one or more disintegrating agents selected from the group consisting of: natural starch, a pregelatinized starch, a sodium starch, methylcrystalline cellulose, methylcellulose, croscarmellose, croscarmellose sodium, crosslinked sodium carboxymethylcellulose, cross-linked carboxymethylcellulose, cross-linked croscarmellose, cross-linked starch, cross-linked polymer, cross-linked polyvinylpyrrolidone, sodium alginate, a clay, and a gum;

one or more binders selected from the group consisting of: hydroxypropyl cellulose, and polyvinylpyrrolidone;

colloidal silicon dioxide; and

magnesium stearate.

**[0024e]** In another aspect, described herein is an immediate release solid tablet formulation comprising ibrutinib and pharmaceutically acceptable excipients, wherein the ibrutinib is a compound with the structure of Compound 1,



Compound 1;

and wherein the solid tablet formulation comprises 60% w/w to 75 % w/w of ibrutinib, and the excipients comprise:

microcrystalline cellulose in an amount from 1% w/w to 20% w/w;

croscarmellose sodium in an amount from 1% w/w to 10% w/w;

crospovidone in an amount from 5% w/w to 15% w/w;

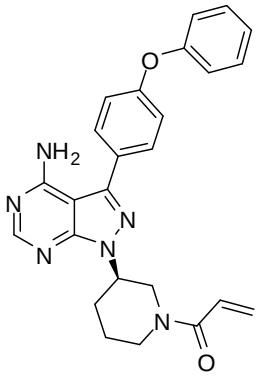
polyvinylpyrrolidone in an amount from 1% w/w to 5% w/w;

sodium lauryl sulfate in an amount from 0% w/w to 10% w/w;

colloidal silicon dioxide in an amount from 0.1% w/w to 1.5% w/w; and

magnesium stearate in an amount from 0.01% w/w to 5% w/w.

**[0024f]** In another aspect, described herein is an immediate release solid tablet formulation comprising ibrutinib and pharmaceutically acceptable excipients, wherein ibrutinib is a compound with the structure of Compound 1,



Compound 1;

wherein the solid tablet formulation comprises 60% w/w to 75 % w/w of the ibrutinib; and

wherein the excipients comprise intragranular and extragranular excipients; wherein the intragranular excipients comprise microcrystalline cellulose and polyvinylpyrrolidone; and

wherein the extragranular excipients comprise croscarmellose sodium, and colloidal silicon dioxide.

**[0025]** In another example described herein is a method of treating a disease in a patient in need of such treatment, comprising administering to the patient a therapeutically effective amount of a pharmaceutical composition or formulation described herein.

**[0026]** In another example described herein is a method of treating an autoimmune disease in a patient in need of such treatment, comprising administering to the patient a therapeutically effective amount of a pharmaceutical composition or formulation described herein. In some examples, the autoimmune disease is rheumatoid arthritis or lupus.

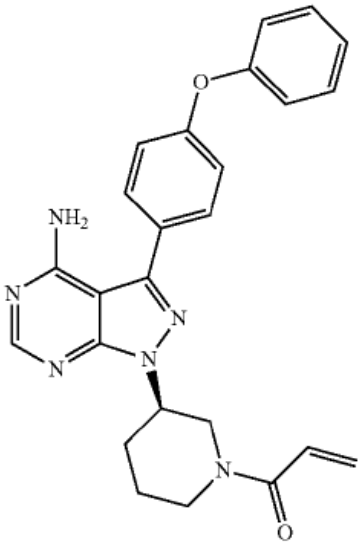
**[0027]** In another example described herein is a method of treating a heteroimmune disease in a patient in need of such treatment, comprising administering to the patient a therapeutically effective amount of a pharmaceutical composition or formulation described herein.

**[0028]** In another example described herein is a method of treating cancer in a patient in need of such treatment, comprising administering to the patient a therapeutically effective amount of a pharmaceutical composition or formulation described herein. In some examples, the cancer is a B-cell proliferative disorder. In some examples, the cancer is a B-cell proliferative disorder and the B-cell proliferative disorder is diffuse large B cell lymphoma, follicular lymphoma or chronic lymphocytic leukemia. In some examples, the cancer is a B cell malignancy.

## CLAIMS

WHAT IS CLAIMED IS:

1. An immediate release solid tablet formulation comprising 60% w/w to 80% w/w ibrutinib and one or more pharmaceutically acceptable excipients,
wherein ibrutinib is a compound with the structure of Compound 1,




Compound 1; and

wherein ibrutinib is in an amount of about 140 mg, about 280 mg, about 420 mg, or about 560 mg in the tablet.

2. The solid tablet formulation of claim 1, wherein the ibrutinib is in micronized form, and the particle size of the micronized ibrutinib is about or less than 30 microns.

3. The solid tablet formulation of claim 2, wherein the particle size of micronized ibrutinib is about or less than 10 microns.

4. The solid tablet formulation of claim 1 further comprising one or more diluents.

5. The solid tablet formulation of claim 4, wherein the one or more diluents are selected from the group consisting of lactose, sucrose, dextrose, dextrates, maltodextrin, mannitol, xylitol, sorbitol, cyclodextrins, calcium phosphate, calcium sulfate, starches, modified starches, cellulose, microcrystalline cellulose, microcellulose, and talc.

6. The solid tablet formulation of claim 4 or claim 5, wherein the one or more diluents are lactose, cellulose, microcrystalline cellulose, or a combination thereof.

7. The solid tablet formulation of any one of claims 1-6, further comprising one or more lubricants.

8. The solid tablet formulation of claim 7, wherein the one or more lubricants are a stearate, a polyethylene glycol (PEG), or a wax.

9. The solid tablet formulation of any one of claims 1-8, further comprising one or more disintegrating agents.

10. The solid tablet formulation of claim 9, wherein the one or more disintegrating agents are selected from the group consisting of natural starch, a pregelatinized starch, a sodium starch, methylcrystalline cellulose, methylcellulose, croscarmellose, croscarmellose sodium, cross-linked sodium carboxymethylcellulose, cross-linked carboxymethylcellulose, cross-linked croscarmellose, cross-linked starch, cross-linked polymer, cross-linked polyvinylpyrrolidone, sodium alginate, a clay, and a gum.

11. The solid tablet formulation of claim 9, wherein the one or more disintegrating agents are selected from the group consisting of natural starch, a pregelatinized starch, a sodium starch, methylcrystalline cellulose, methylcellulose, croscarmellose, croscarmellose sodium, cross-linked sodium carboxymethylcellulose, cross-linked carboxymethylcellulose, cross-linked croscarmellose, sodium starch glycolate, crospovidone, cross-linked polyvinylpyrrolidone, sodium alginate, a clay, and a gum.

12. The solid tablet formulation of any one of claims 1-11, further comprising one or more binders.

13. The solid tablet formulation of claim 12, wherein the one or more binders are polyvinylpyrrolidone or hydroxypropyl cellulose.

14. The solid tablet formulation of any one of claims 1-13, wherein the excipients comprise intragranular and extragranular excipients; and the intragranular excipients comprise one or more diluents; and the extragranular excipients comprise one or more lubricants.

15. The solid tablet formulation of any one of claims 1-14, wherein the excipients comprise intragranular and extragranular excipients; and the intragranular excipients comprise lactose and microcrystalline cellulose; and the extragranular excipients comprise magnesium stearate.

16. The solid tablet formulation of any one of claims 1-15, wherein the excipients comprise lactose in an amount from 5% w/w to 20% w/w, 10% w/w to 20% w/w, 8% w/w to 15% w/w, 8.5% w/w to 14% w/w, or 12% w/w to 15% w/w.

17. The solid tablet formulation of any one of claims 1-16, wherein the excipients comprise microcrystalline cellulose in an amount from 5% w/w to 20% w/w, 8% w/w to 20% w/w, 8% w/w to 15% w/w, 1% w/w to 10% w/w, or 2% w/w to 5% w/w.

18. The solid tablet formulation of any one of claims 1-17, wherein the excipients comprise magnesium stearate in an amount from 0.1% w/w to 1.5% w/w, 0.4% w/w to 0.8% w/w, or 0.5% w/w to 0.6% w/w.

19. The solid tablet formulation of any one of claims 1-18, wherein the excipients comprise intragranular and extragranular excipients; and the intragranular excipients comprise lactose, microcrystalline cellulose, croscarmellose sodium, and hydroxypropyl cellulose; and the extragranular excipients comprise croscarmellose sodium and magnesium stearate.

20. The solid tablet formulation of any one of claims 1-19, wherein the excipients comprise croscarmellose sodium in an amount from 0% w/w to 10% w/w, 0% w/w to 5% w/w, 1% w/w to 10% w/w, 2% w/w to 5% w/w, 2% w/w to 4% w/w, 3% w/w to 7% w/w, or 1% w/w to 3% w/w.

21. The solid tablet formulation of any one of claims 1-20, wherein the excipients comprise hydroxypropyl cellulose in an amount from 0% w/w to 2% w/w, 0.1% w/w to 1.1% w/w, or 0.1% w/w to 1% w/w.

22. The solid tablet formulation of any one of claims 1-21, wherein the excipients comprise intragranular and extragranular excipients; and the intragranular excipients comprise lactose, polyvinylpyrrolidone, and croscarmellose sodium; and the extragranular excipients comprise croscarmellose sodium, microcrystalline cellulose, and magnesium stearate.

23. The solid tablet formulation of any one of claims 1-22, wherein the excipients comprise polyvinylpyrrolidone in an amount from 0% w/w to 5% w/w, or 1% w/w to 3% w/w.

24. An immediate release solid tablet formulation comprising
a. ibrutinib, and
b. one or more pharmaceutically acceptable excipients,
wherein the ibrutinib is present in an amount of 60% w/w to 80% w/w, and
wherein oral administration of one or more tablet(s) of the solid tablet formulation in an amount sufficient to deliver 560 mg of ibrutinib to a population of healthy human adults in a fasted state results in a mean $AUC_{0-\infty}$ of about 465 ng*h/ml+/−248 ng*h/ml.

25. The solid tablet formulation of claim 24, comprising 420 mg of ibrutinib.

26. The solid tablet of claim 24 or claim 25, further comprising a surfactant.

27. An immediate release solid tablet formulation comprising
a. 560 mg of ibrutinib, and
b. one or more pharmaceutically acceptable excipients,
wherein the ibrutinib is present in an amount of 60% w/w to 80% w/w, and
wherein oral administration of the solid tablet formulation to a population of healthy human adults in a fasted state results in a mean $AUC_{0-\infty}$ of about 465 ng*h/ml+/−248 ng*h/ml.

28. The solid tablet formulation of claim 27, further comprising a surfactant.

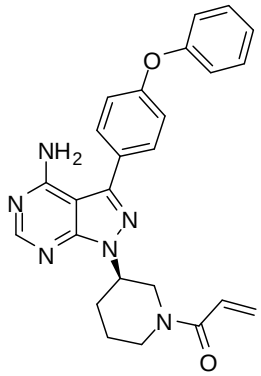
29. The solid tablet formulation of claim 24, comprising 140 mg of ibrutinib.

30. The solid tablet formulation of claim 24, comprising 280 mg of ibrutinib.

31. The solid tablet formulation of claim 24, comprising 560 mg of ibrutinib.

32. The solid tablet formulation of any one of claims 24-31, wherein the solid tablet formulation has pharmaceutically acceptable stability.

33. An immediate release solid tablet formulation comprising ibrutinib and pharmaceutically acceptable excipients, wherein the ibrutinib is a compound with the structure of Compound 1,



Compound 1;

and wherein the solid tablet formulation comprises 60% w/w to 75 % w/w of the ibrutinib, and the excipients comprise:

one or more diluents selected from group consisting of: lactose, sucrose, dextrose, dextrates, maltodextrin, mannitol, xylitol, sorbitol, cyclodextrins, calcium phosphate, calcium sulfate, starches, modified starches, cellulose, microcrystalline cellulose, microcellulose, and talc;

one or more disintegrating agents selected from the group consisting of: natural starch, a pregelatinized starch, a sodium starch, methylcrystalline cellulose, methylcellulose, croscarmellose, croscarmellose sodium, crosslinked sodium carboxymethylcellulose, cross-linked carboxymethylcellulose, cross-linked croscarmellose, cross-linked starch, cross-linked polymer, cross-linked polyvinylpyrrolidone, sodium alginate, a clay, and a gum;

one or more binders selected from the group consisting of: hydroxypropyl cellulose, and polyvinylpyrrolidone;

colloidal silicon dioxide; and

magnesium stearate.

34. The solid tablet formulation of claim 33, wherein the one or more disintegrating agents are selected from the group consisting of croscarmellose sodium, and crospovidone.

35. The solid tablet formulation of claim 33 or claim 34, wherein the diluent is microcrystalline cellulose.

36. The solid tablet formulation of any one of claims 33-35, wherein the binder is polyvinylpyrrolidone.

37. The solid tablet formulation of any one of claims 33-36, wherein the excipients comprise microcrystalline cellulose, crospovidone, polyvinylpyrrolidone, croscarmellose sodium, colloidal silicon dioxide, and magnesium stearate.

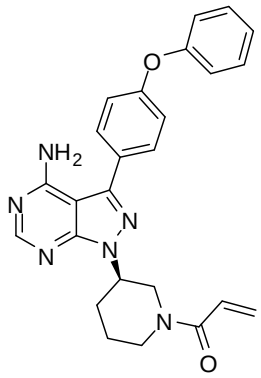
38. The solid tablet formulation of any one of claims 33-37, wherein the colloidal silicon dioxide is present in an amount from 0.1% w/w to 1.5% w/w.

39. The solid tablet formulation of any one of claims 33-38, wherein the magnesium stearate is present in an amount from 0.01% w/w to 5% w/w.

40. The solid tablet formulation of claim 39, wherein the magnesium stearate is present in an amount from 0.01% w/w to 2% w/w.

41. The solid tablet formulation of claim 40, wherein the magnesium stearate is present in an amount from 0.1% w/w to 0.7% w/w.

42. An immediate release solid tablet formulation comprising ibrutinib and pharmaceutically acceptable excipients, wherein the ibrutinib is a compound with the structure of Compound 1,




Compound 1;

and wherein the solid tablet formulation comprises 60% w/w to 75 % w/w of ibrutinib, and the excipients comprise:

microcrystalline cellulose in an amount from 1% w/w to 20% w/w;
croscarmellose sodium in an amount from 1% w/w to 10% w/w;
crospovidone in an amount from 5% w/w to 15% w/w;
polyvinylpyrrolidone in an amount from 1% w/w to 5% w/w;
sodium lauryl sulfate in an amount from 0% w/w to 10% w/w;
colloidal silicon dioxide in an amount from 0.1% w/w to 1.5% w/w; and
magnesium stearate in an amount from 0.01% w/w to 5% w/w.

43. The solid tablet formulation of claim 42, wherein the microcrystalline cellulose is present in an amount from 1% w/w to 10% w/w.

44. The solid tablet formulation of claim 42, wherein the microcrystalline cellulose is present in an amount from 5% w/w to 20% w/w.

45. The solid tablet formulation of claim 44, wherein the microcrystalline cellulose is present in an amount from 8% w/w to 20% w/w.

46. The solid tablet formulation of claim 45, wherein the microcrystalline cellulose is present in an amount from 8% w/w to 15% w/w.

47. The solid tablet formulation of any one of claims 42-46, wherein the croscarmellose sodium is present in an amount from 5% w/w to 10% w/w.

48. The solid tablet formulation of any one of claims 42-47, wherein the polyvinylpyrrolidone is present in an amount from 1% w/w to 3% w/w.

49. The solid tablet formulation of any one of claims 42-48, wherein the sodium lauryl sulfate is present in an amount from 0.5% w/w to 5% w/w.

50. The solid tablet formulation of any one of claims 42-49, wherein the colloidal silicon dioxide is present in an amount from 0.4% w/w to 0.8% w/w.

51. The solid tablet formulation of any one of claims 42-50, wherein the magnesium stearate is present in an amount from 0.1% w/w to 1.5% w/w.

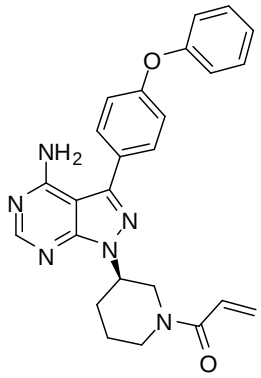
52. The solid tablet formulation of any one of claims 42-50, wherein the magnesium stearate is present in an amount from 0.01% w/w to 2% w/w.

53. The solid tablet formulation of claim 52, wherein the magnesium stearate is present in an amount from 0.1% w/w to 0.7% w/w.

54. The solid tablet formulation of claim 42, wherein the microcrystalline cellulose is present in an amount from 1% w/w to 10% w/w;
wherein the croscarmellose sodium is present in an amount from 5% w/w to 10% w/w;
wherein the polyvinylpyrrolidone is present in an amount from 1% w/w to 3% w/w; and
wherein the magnesium stearate is present in an amount from 0.01% w/w to 5% w/w.

55. The solid tablet formulation of any one of claims 42-54, wherein ibrutinib is present in an amount of about 140 mg, about 280 mg, about 420 mg, or about 560 mg.

56. An immediate release solid tablet formulation comprising ibrutinib and pharmaceutically acceptable excipients, wherein ibrutinib is a compound with the structure of Compound 1,




Compound 1;

wherein the solid tablet formulation comprises 60% w/w to 75 % w/w of the ibrutinib; and
wherein the excipients comprise intragranular and extragranular excipients; wherein the intragranular excipients comprise microcrystalline cellulose and polyvinylpyrrolidone; and
wherein the extragranular excipients comprise croscarmellose sodium, and colloidal silicon dioxide.

57. The solid tablet formulation of claim 56, wherein the extragranular excipients further comprise microcrystalline cellulose.