

## CLAIMS

1. A solid pharmaceutical composition, wherein the solid pharmaceutical composition comprises:

- (1) adagrasib;
- (2) one or more diluents selected from the group consisting of microcrystalline cellulose, mannitol, and a combination thereof;
- (3) crospovidone;
- (4) colloidal silicon dioxide; and
- (5) magnesium stearate.

2. The solid pharmaceutical composition of claim 1, wherein:

- (1) the adagrasib constitutes 30-67% of the composition;
- (2) the crospovidone constitutes 2% to 5% of the composition;
- (3) the colloidal silicon dioxide constitutes 0.5-2% of the composition; and
- (4) the magnesium stearate constitutes 1-3% of the composition,

wherein all percentages are percentages by weight.

3. The solid pharmaceutical composition of claim 1, wherein:

- (1) the adagrasib constitutes 30-35% of the composition;
- (2) the crospovidone constitutes 2% to 5% of the composition;
- (3) the colloidal silicon dioxide constitutes 0.5-1.5% of the composition; and
- (4) the magnesium stearate constitutes 1-2% of the composition,

wherein all percentages are percentages by weight.

4. The solid pharmaceutical composition of claim 1, wherein:

- (1) the adagrasib constitutes about 33.3% percent of the composition;
- (2) the crospovidone constitutes about 3% of the composition;
- (3) the colloidal silicon dioxide constitutes about 1% of the composition; and
- (4) the magnesium stearate constitutes about 1.5% of the composition,

wherein all percentages are percentages by weight.

5. The solid pharmaceutical composition of any one of claims 1-4, wherein the one or more diluents comprise mannitol.

6. The solid pharmaceutical composition of any one of claims 1-4, wherein the solid pharmaceutical composition comprises mannitol that constitutes 0-10% of the solid pharmaceutical composition by weight percentage.

7. The solid pharmaceutical composition of any one of claims 1-6, wherein the one or more diluents comprise microcrystalline cellulose.

8. The solid pharmaceutical composition of any one of claims 1-6, wherein the solid pharmaceutical composition comprises microcrystalline cellulose that constitutes 20-55% of the solid pharmaceutical composition by weight percentage.

9. A solid pharmaceutical composition in the form of a tablet comprising:

- (1) adagrasib constituting about 33.3% percent of the composition;
- (2) microcrystalline cellulose constituting about 51.2% of the composition;
- (3) mannitol constituting about 10.0% of the composition;
- (4) crospovidone constituting about 3.0% of the composition;
- (5) colloidal silicon dioxide constituting about 1.0% of the composition; and
- (6) magnesium stearate constituting about 1.5% of the composition,

wherein all percentages are percentages by weight, and

wherein the solid pharmaceutical composition further comprises a film coat.

10. A solid pharmaceutical composition, wherein the solid pharmaceutical composition comprises:

- (1) adagrasib constituting 30-67% percent of the composition;
- (2) microcrystalline cellulose constituting 20-55% of the composition;
- (3) mannitol constituting 0-10% of the composition;
- (4) crospovidone constituting 2% to 5% of the composition;
- (5) colloidal silicon dioxide constituting 0.5-2% of the composition; and
- (6) magnesium stearate constituting 1-3% of the composition,

wherein all percentages are percentages by weight.

11. A solid pharmaceutical composition, wherein the solid pharmaceutical composition comprises:

- (1) adagrasib constituting 30-35% percent of the composition;
- (2) microcrystalline cellulose constituting 50-55% of the composition;
- (3) mannitol constituting 0-10% of the composition;
- (4) crospovidone constituting 2% to 5% of the composition;
- (5) colloidal silicon dioxide constituting up to 0.5-1.5% of the composition; and
- (6) magnesium stearate constituting 1-2% of the composition,

wherein all percentages are percentages by weight.

12. The solid pharmaceutical composition of any one of claims 1-11, wherein the mannitol constitutes 8-10% of the composition.

13. The solid pharmaceutical composition of any one of claims 1-12, wherein the solid pharmaceutical composition comprises a film coating.

14. The solid pharmaceutical composition of any one of claims 1-13, wherein the solid pharmaceutical composition is a tablet formulation.

15. The solid pharmaceutical composition of claim 14, wherein a single oral dose of the tablet provides a  $C_{\max}$  for adagrasib in a human that is lower as compared to a  $C_{\max}$  for adagrasib in the human provided by a single oral dose of a capsule formulation comprising the same amount of adagrasib, optionally wherein the  $C_{\max}$  for adagrasib in the tablet is about 13% lower than the  $C_{\max}$  of the capsule formulation when the human is under fasted conditions.

16. The solid pharmaceutical composition of claims 14 or 15, wherein a single oral dose of the tablet formulation provides an  $AUC_{0 \rightarrow \infty}$  for adagrasib in the human that is lower as compared to the  $AUC_{0 \rightarrow \infty}$  for adagrasib in a human provided a single oral dose of a capsule formulation comprising the same amount of adagrasib, optionally wherein the  $AUC_{0 \rightarrow \infty}$  for adagrasib in the tablet formulation is about 10% lower than the  $AUC_{0 \rightarrow \infty}$  of the capsule formulation when the human is under fasted conditions.

17. The solid pharmaceutical composition of any one of claims 14-16, wherein:
- (i) a side effect of nausea associated with administration of the solid pharmaceutical composition in the human occurs in less than 17% of the total subject population;
  - (ii) a side effect of vomiting associated with administration of the solid pharmaceutical composition in the human occurs in less than 5% of the total subject population;
- or
- (iii) a side effect of diarrhea associated with administration of the solid pharmaceutical composition in the human occurs in less than 20% of the total subject population.
18. The solid pharmaceutical composition of any one of claims 1-17, wherein the adagrasib is present as a salt thereof.
19. The solid pharmaceutical composition of any one of claims 1-18, wherein the solid pharmaceutical composition is provided as a unit dosage form.
20. The solid pharmaceutical composition of any one of claims 1-19, wherein the amount of adagrasib in the solid pharmaceutical composition is at least, or about, 200 mg.
21. The solid pharmaceutical composition of any one of claims 1-20, wherein the amount of adagrasib in the solid pharmaceutical composition is:
- (i) at least, or about, 300 mg; or
  - (ii) at least, or about, 600 mg.
22. A solid pharmaceutical composition comprising adagrasib prepared by a process which comprises the steps of:
- (a) pre-blending, wherein a diluent, a glidant, and adagrasib are blended together;
  - (b) de-lumping the components of step (a) using a screening mill;
  - (c) blending the components of step (b) with a disintegrant, and a glidant;
  - (d) lubricating by adding a lubricant to the components of step (c);
  - (e) performing a dry granulation of the components of step (d) using a roller compactor, producing a granulated blend;

- (f) blending a diluent, a disintegrant and a glidant with the granulated blend of step (e);
- (g) lubricating by adding a lubricant to the components of step (f) to produce a lubricated blend;
- (h) performing compression by charging the lubricated blend of step (g) to a rotary tablet press and compressing the lubricated blend into tablet cores; and
- (i) performing film coating by charging the core tablets of step (h) into a pan coater and adding a film coating agent.

23. A method of making the solid pharmaceutical composition of any one of claims 1-22, wherein said pharmaceutical composition is made by dry granulation, optionally wherein the method comprises the steps of :

- (a) pre-blending, wherein a diluent, a glidant, and adagrasib are blended together;
- (b) de-lumping the components of step (a) using a screening mill;
- (c) blending the components of step (b) with a disintegrant, and a glidant;
- (d) lubricating by adding a lubricant to the components of step (c);
- (e) performing a dry granulation of the components of step (d) using a roller compactor, producing a granulated blend;
- (f) blending a diluent, a disintegrant and a glidant with the granulated blend of step (e);
- (g) lubricating by adding a lubricant to the components of step (f) to produce a lubricated blend;
- (h) performing compression by charging the lubricated blend of step (g) to a rotary tablet press and compressing the lubricated blend into tablet cores; and
- (i) performing film coating by charging the core tablets of step (h) into a pan coater and adding a film coating agent.

24. Use of a therapeutically effective amount of a solid pharmaceutical composition as defined by any one of claims 1-22 for the manufacture of a medicament for use in treating cancer in a subject in need thereof, optionally wherein:

- (i) the cancer is a KRas G12C-associated cancer;
- (ii) the cancer is lung cancer;
- (iii) the therapeutically effective amount is at least or about 600 mg of adagrasib;

(iv) a side effect of nausea in the subject is less than a side effect of nausea associated with administration of a capsule composition of the same amount of adagrasib;

(v) a side effect of vomiting in the subject is less than a side effect of vomiting associated with administration of a capsule composition of the same amount of adagrasib;

(vi) a side effect of diarrhea in the subject is less than a side effect of diarrhea associated with administration of a capsule composition of the same amount of adagrasib;

(v) a side effect of nausea in the subject occurs in less than 17% of the total subject population;

(vi) a side effect of vomiting in the subject occurs in less than 5% of the total subject population; or

(vii) a side effect of diarrhea in the subject occurs in less than 20% of the total subject population.