Pharmaceutical Compositions

TECHNICAL FIELD

[001] The present disclosure relates to crystal forms of pharmaceutical compounds, formulations including, methods of forming, and methods of using these crystal forms.

SUMMARY

[002] Described herein are new crystal forms of pharmaceutical compounds. In one embodiment, the pharmaceutical compounds are included in a pharmaceutical composition useful for treating a disease or condition. In one embodiment, the disease or condition is cancer.

[003] In some embodiments, pharmaceutical compositions are described including resiquimod in the form of a sulfate salt in crystal form A. The sulfate salt can be a monosulfate salt and/or an anhydrate. This crystal form can be prepared in an appropriate dosage from.

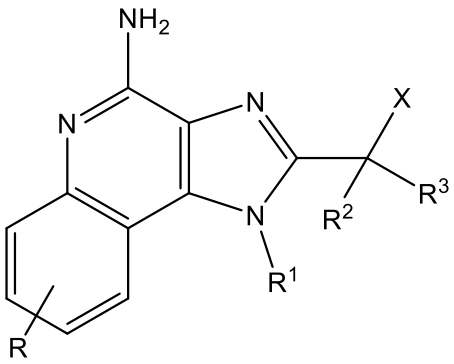
[003a] In one aspect, there is provided a dosage form comprising the composition described herein.

[004] In one embodiment, the sulfate salt in crystal form A is characterized by x-ray powder diffraction spectrum that comprises peaks at about 7 to about 8 degrees 2θ, about 13.5 to about 14.5 degrees 2θ, about 18 to about 19 degrees 2θ, and/or about 15 to about 16 degrees 2θ.

[004a] In another aspect, there is provided a composition comprising resiquimod in the form of a sulfate salt in crystal form A, wherein crystal form A is characterized by x-ray powder diffraction spectrum that comprises peaks at 7 to 8 degrees 2θ, 13.5 to 14.5 degrees 2θ, 19 to 20 degrees 2θ, and 19.5 to 20.5 degrees 2θ

[005] The sulfate salt in crystal form A can be stable at room temperature for at least about 2 days or at least about 1 week.

[006] Other embodiments describe pharmaceutical compositions comprising a crystal form of a compound of Formula I:

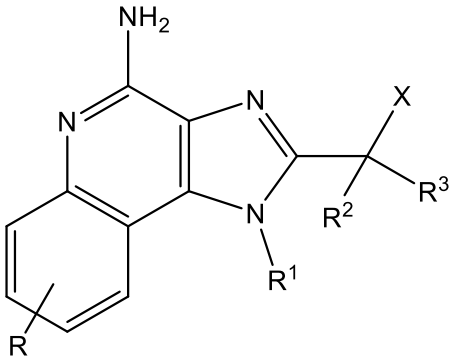


(I).

[007] Formula I can be a compound having a formula 4-amino-α-butyl-1-(2-methylpropyl)-1H-imidazo-[4,5-c]-quinoline-2-methanol hemihydrate, 4-amino-α,α-dimethyl-2-ethoxymethyl-1H-imidazo-[4,5-c]-quinoline-1-ethanol, 2-ethoxymethyl-1-(2-methylpropyl)-1H-imidazo-[4,5-c]-quinolin-4-amine, or 4-amino-1-phenylmethyl-1H-imidazo-[4,5-c]-quinoline-2-methanol. In one embodiment, Formula I is resiquimod.

[008] In some embodiments, the crystal form of a compound of Formula I can be in form A and/or be a sulfate salt. In one embodiment, a sulfate salt is a monosulfate salt and/or an anhydrate.

[009] Other embodiments provide methods of treating diseases or conditions. In one embodiment, methods of treating cancer are described. The methods can comprise: administering a pharmaceutical composition including a crystal form of a compound having a Formula I:



(I).

[009a] In another aspect, there is provided a use of a composition as described herein in the preparation of a medicament for the treatment of cancer in a subject in need thereof.

[009b] In yet another aspect, there is provided a use of a composition as described herein in the preparation of a medicament for the treatment of a tumor in a subject in need thereof.

BRIEF DESCRIPTION OF THE DRAWINGS

[0010] FIG. 1 illustrates XRPD patterns of mono-HCl salt crystal form Type A batches.

[0011] FIG. 2 illustrates a PLM image of mono-HCl salt crystal form Type A (807919-16-A).

[0012] FIG. 3 illustrates TGA/DSC curves of mono-HCl salt crystal form Type A (807919-16-A).

[0013] FIG. 4 illustrates an XRPD pattern of di-HCl salt crystal form Type A (807919-14-A).

[0014] FIG. 5 illustrates a PLM image of di-HCl salt crystal form Type A (807919-14-A).

We claim:

1. A composition comprising resiquimod in the form of a sulfate salt in crystal form A, wherein crystal form A is characterized by x-ray powder diffraction spectrum that comprises peaks at 7 to 8 degrees 2θ, 13.5 to 14.5 degrees 2θ, 19 to 20 degrees 2θ, and/ or 19.5 to 20.5 degrees 2θ.

2. The composition of claim 1, wherein the sulfate salt is a monosulfate salt.

3. The composition of claim 1, wherein the sulfate salt is an anhydrate.

4. The composition of claim 1, wherein the crystal form A is thermodynamically stable at room temperature at least about 2 days.

5. The composition of claim 1, wherein the crystal form A is thermodynamically stable at room temperature at least about 1 week.

6. A dosage form comprising the composition of claim 1.

7. Use of a composition of claim 1 in the preparation of a medicament for the treatment of cancer in a subject in need thereof.

8. Use of a composition of claim 1 in the preparation of a medicament for the treatment of a tumor in a subject in need thereof.

9. The use of claim 8, wherein the tumor is a carcinoma, a sarcoma, or a blastoma.