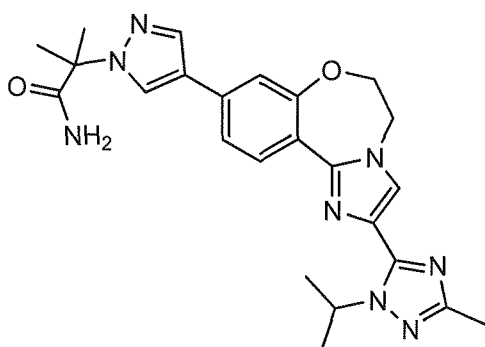




I (GDC-0032)

and stereoisomers, geometric isomers, tautomers, and pharmaceutically acceptable salts thereof.

In another aspect, there is provided a crystalline, isoamyl alcohol polymorph of (2-(4-(2-(1-isopropyl-3-methyl-1H-1,2,4-triazol-5-yl)-5,6-dihydrobenzo[f]imidazo[1,2-d][1,4]oxazepin-9-yl)-1H-pyrazol-1-yl)-2-methylpropanamide designated the Form C polymorph that exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2-theta at approximately 6.2, 14.1, 17.2, 18.3, 21.9, and 26.7.

The disclosure provides a pharmaceutical composition of a polymorph form of taselisib. In another aspect, there is provided a pharmaceutical composition comprising a therapeutically effective amount of the crystalline, isoamyl alcohol polymorph as defined herein and a pharmaceutically acceptable carrier, glidant, diluent, or excipient.

The disclosure provides a method of treating a hyperproliferative disorder in a mammal with a polymorph form of taselisib. In another aspect, there is provided a pharmaceutical composition as defined herein for use in the treatment of a

hyperproliferative disorder selected from multiple myeloma, lymphoma, leukemias, prostate cancer, breast cancer, hepatocellular carcinoma, lung cancer, pancreatic cancer, and colorectal cancer.

5 In another aspect, there is provided use of the pharmaceutical composition as defined herein in the manufacture of a medicament for treating a hyperproliferative disorder in a mammal, wherein the hyperproliferative disorder is selected from multiple myeloma, lymphoma, leukemias, prostate cancer, breast cancer, hepatocellular carcinoma, lung cancer, pancreatic cancer, and colorectal cancer.

The disclosure provides a process for preparing a crystalline polymorph of taselembic.

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BRIEF DESCRIPTION OF THE DRAWINGS

Figure 1 shows an ORTEP drawing of GDC-0032 Form A - mono-methanolate solvate. Atoms are represented by 50% probability anisotropic thermal ellipsoids.

Figure 2 shows XRPD of GDC-0032 Form A, mono-methanolate.

Claims

1. A crystalline, isoamyl alcohol polymorph of (2-(4-(2-(1-isopropyl-3-methyl-1H-1,2,4-triazol-5-yl)-5,6-dihydrobenzo[f]imidazo[1,2-d][1,4]oxazepin-9-yl)-1H-pyrazol-1-yl)-2-methylpropanamide designated the Form C polymorph that exhibits an X-ray powder diffraction pattern having characteristic peaks expressed in degrees 2-theta at approximately 6.2, 14.1, 17.2, 18.3, 21.9, and 26.7.
2. The Form C polymorph of claim 1 characterized by the X-ray powder diffraction pattern shown in Figure 13.

3. A pharmaceutical composition comprising a therapeutically effective amount of the crystalline, isoamyl alcohol polymorph of claim 1, and a pharmaceutically acceptable carrier, glidant, diluent, or excipient.

4. The pharmaceutical composition of claim 3 in the form of a tablet.

5 5. The pharmaceutical composition of claim 3 wherein the therapeutically effective amount is from 1 to 100 mg.

6. A pharmaceutical composition of claim 3 for use in the treatment of a hyperproliferative disorder selected from multiple myeloma, lymphoma, leukemias, prostate cancer, breast cancer, hepatocellular carcinoma, lung cancer, pancreatic cancer, and colorectal
10 cancer.

7. Use of the pharmaceutical composition of claim 3 in the manufacture of a medicament for treating a hyperproliferative disorder in a mammal, wherein the hyperproliferative disorder is selected from multiple myeloma, lymphoma, leukemias, prostate cancer, breast cancer, hepatocellular carcinoma, lung cancer, pancreatic cancer, and colorectal
15 cancer.

8. The use of claim 7 wherein the therapeutically effective amount is from 1 mg to 100 mg.

9. The use of claim 7 further comprising a chemotherapeutic agent selected from 5-fluorouracil, docetaxel, eribulin, gemcitabine, cobimetinib, 5-(2-fluoro-4-iodoanilino)-N-(2-
20 hydroxyethoxy)imidazole[1,5-a]pyridine-6-

carboxamide, paclitaxel, tamoxifen, fulvestrant, dexamethasone, pertuzumab, trastuzumab
emtansine, trastuzumab and letrozole.