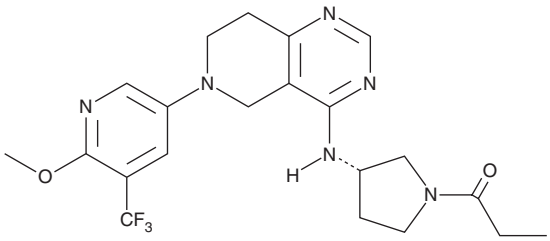
PRODUCT INFORMATION

Leniolisib

Item No. 28078

CAS Registry No.: 1354690-24-6
Formal Name: 1-[(3S)-3-[[5,6,7,8-tetrahydro-6-[6-methoxy-5-(trifluoromethyl)-3-pyridinyl]pyrido[4,3-d]pyrimidin-4-yl]amino]-1-pyrrolidinyl]-1-propanone
Synonym: CDZ 173
MF: $C_{21}H_{25}F_3N_6O_2$
FW: 450.5
Purity: ≥98% (mixture of rotamers)
UV/Vis.: λ_{max}: 248 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Leniolisib is supplied as a crystalline solid. A stock solution may be made by dissolving the leniolisib in the solvent of choice, which should be purged with an inert gas. Leniolisib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of leniolisib in these solvents is approximately 20, 25, and 30 mg/ml, respectively.

Leniolisib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, leniolisib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Leniolisib has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Leniolisib is an inhibitor of PI3Kδ (IC_{50} = 0.011 µM).[1] It is selective for PI3Kδ over PI3Kα, PI3Kβ, and PI3Kγ (IC_{50}s = 0.244, 0.424, and 2.23 µM, respectively). It inhibits phosphorylation of the PI3K target Akt in Rat-1 fibroblasts expressing P13K p110δ with an IC_{50} value of 0.056 µM. Leniolisib inhibits the mixed lymphocyte reaction (MLR), indicating inhibition of allogeneic T cell activation, in isolated human peripheral blood mononuclear cells (PBMCs) and isolated mouse splenocytes (IC_{50}s = 0.079 and 0.033 µM, respectively). It also inhibits PI3Kδ-dependent B cell activation and IgM-induced B cell proliferation in isolated mouse splenocytes. Leniolisib (3 and 10 mg/kg) reduces the production of rat anti-rat collagen antibodies, as well as reduces paw swelling and joint erosion in a rat model of collagen-induced arthritis.

Reference

1. Hoegenauer, K., Soldermann, N., Zécri, F., *et al.* Discovery of CDZ173 (leniolisib), representing a structurally novel class of PI3K delta-selective inhibitors. *ACS Med. Chem. Lett.* **8(9)**, 975-980 (2017).

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