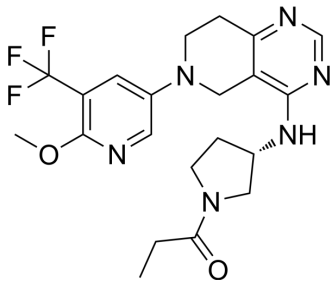
Leniolisib

Cat. No.:	HY-17635
CAS No.:	1354690-24-6
Molecular Formula:	$C_{21}H_{25}F_3N_6O_2$
Molecular Weight:	450.46
Target:	PI3K
Pathway:	PI3K/Akt/mTOR
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (222.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2200 mL	11.0998 mL	22.1995 mL
	5 mM	0.4440 mL	2.2200 mL	4.4399 mL
	10 mM	0.2220 mL	1.1100 mL	2.2200 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Leniolisib (CDZ173) is a potent and selective PI3Kδ inhibitor. Leniolisib has the potential for immunodeficiency disorders treatment.

IC_{50} & Target

PI3Kδ 11 nM (IC_{50})	PI3Kα 280 nM (IC_{50})	PI3Kβ 480 nM (IC_{50})	PI3Kγ 2.57 μM (IC_{50})
DNA-PK 880 nM (IC_{50})			

In Vitro	Expression of APDS mutant p110δ in cell lines and patient-derived lymphocytes lead to increased pathway activity, measured as phosphorylation of AKT or S6, which is suppressed by leniolisib in a concentration dependent way[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Oral leniolisib lead to a dose-dependent reduction in PI3K/AKT pathway activity and resolve the immune dysregulation with normalization of circulating transitional and naïve B cells and reduction in PD-1+CD4+ and senescent CD57+CD8+ T cells. After 12 weeks of treatment, all patients show amelioration of lymphoproliferation with lymph node sizes and spleen volumes reduced by 39% (mean, range 26-57%) and 40% (mean, range: 13-65%), respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Syst Biol. 2023 Dec 18.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Hoegenauer K, et al. Discovery of CDZ173 (Leniolisib), Representing a Structurally Novel Class of PI3K Delta-Selective Inhibitors. ACS Med Chem Lett. 2017 Aug 25;8(9):975-980.

[2]. Rao V, et al. Effective 'Activated PI3Kd Syndrome' -targeted therapy with PI3Kd inhibitor leniolisib. The New England journal of medicine: NEJM. ISSN 0028-4793; 1533-4406

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA