Product Data Sheet

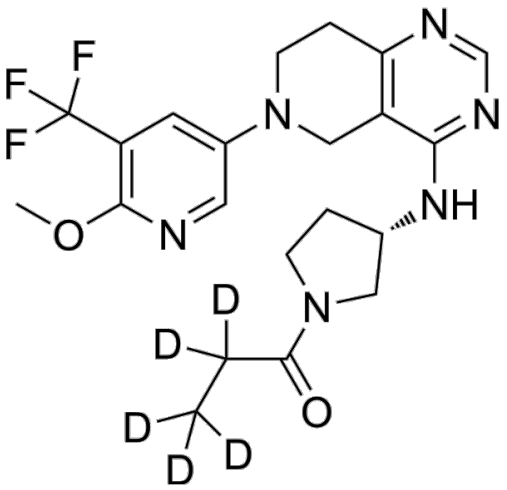
Product Name: Leniolisib-d5
Cat. No.: GC74094

Chemical Properties

Cas. No.

Formula	$C_{21}H_{20}D_5F_3N_6O_2$	M.Wt	455.49
Solubility	DMSO : 100 mg/mL (219.54 mM; Need ultrasonic)	Storage	-20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Leniolisib-d5 is a deuterated labeled Leniolisib. Leniolisib (CDZ173) is a potent and selective PI3Kδ

inhibitor. Leniolisib has the potential for immunodeficiency disorders treatment.

Stable heavy isotopes of drogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1].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[2].

Oral leniolisib lead to a dose-dependent reduction in PI3K/AKT pathway activity and resolve the immune dysregulation with normalization of circulating transitional and na ve 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[2].

References:
[1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.
[2]. 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.
[3]. 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