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Produktinformation

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See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Leniolisib-d_5

Cat. No.: HY-17635S

Molecular Formula: $C_{21}H_{20}D_5F_3N_6O_2$

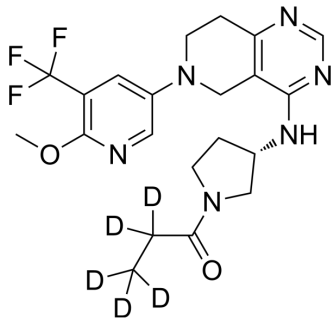
Molecular Weight: 455.49

Target: PI3K; Isotope-Labeled Compounds

Pathway: PI3K/Akt/mTOR; Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (219.54 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1954 mL	10.9772 mL	21.9544 mL
5 mM	0.4391 mL	2.1954 mL	4.3909 mL
10 mM	0.2195 mL	1.0977 mL	2.1954 mL

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

BIOLOGICAL ACTIVITY

Description

Leniolisib-d5 is a deuterated labeled Leniolisib[1]. Leniolisib (CDZ173) is a potent and selective PI3Kδ inhibitor. Leniolisib has the potential for immunodeficiency disorders treatment.

In Vitro

Stable heavy isotopes of hydrogen, 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].

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 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

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

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