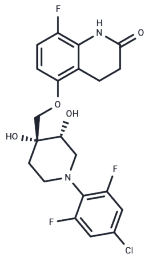
Data Sheet (Cat.No.T37880)

TargetMol

OPC-167832

Chemical Properties



CAS No. : 1883747-71-4

Formula: C21H20ClF3N2O4

Molecular Weight: 456.84

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	OPC-167832 is a highly potent and orally bioavailable dprE1 inhibitor with an IC_{50} of 0.258 μM. It exhibits anti-mycobacterial activity against Mycobacterium tuberculosis and can be used in tuberculosis-related research.
Targets(IC50)	Antibacterial
In vitro	Methods: In vitro drug sensitivity testing of OPC-167832 was performed on Mycobacterium tuberculosis and various standard strains, and its bacteriostatic and bactericidal activities against intracellular Mycobacterium tuberculosis were determined. Results: 1 OPC-167832 exhibited potent inhibitory activity against the Mycobacterium tuberculosis laboratory strains H37Rv and Kurono, with a MIC of 0.0005 μg/mL for both, while it had almost no antibacterial activity against standard strains of aerobic and anaerobic non-mycobacterial bacteria. 2 The IC_{90} of OPC-167832 against intracellular Mycobacterium tuberculosis was less than 100%, and the bacteriostatic concentrations against H37Rv and Kurono strains were 0.0048 μg/mL and 0.0027 μg/mL, respectively; it could exert intracellular bactericidal effect at low concentrations, and the bactericidal activity tended to be saturated when the concentration was ≥0.004 μg/mL [1].
In vivo	Methods: OPC-167832 was administered orally at doses of 0.625-10 mg/kg to detect its pharmacokinetics and lung tissue distribution; oral administration at the same doses was performed for 4 weeks to observe changes in lung tissue CFU; intragastric administration of 2.5 mg/kg combined with DCMB was conducted for 12 weeks to evaluate the combined therapeutic effect. Results: 1 OPC-167832 had good pharmacokinetic properties after oral administration, with a time to peak concentration (tmax) of 0.5-1.0 h and an elimination half-life (t1/2) of 1.3-2.1 h; the drug concentration in the lungs was approximately twice that in the plasma, and the Cmax and AUCt in both plasma and lungs were dose-dependent. 2 Compared with the vehicle group, OPC-167832 (0.625-10 mg/kg, oral administration, 4 weeks) significantly reduced lung CFU, and the lung CFU decreased in a dose-dependent manner within the dose range of 0.625-2.5 mg/kg. 3 OPC-167832 (2.5 mg/kg, intragastric administration, combined with DCMB, 12 weeks) showed the optimal therapeutic effect; the lung CFU of mice was below the detection

In vivo	limit after 6 weeks of treatment, and the lung bacteria of all mice were eradicated after 8 weeks of treatment [1].

Solubility Information

Solubility	DMSO: 144 mg/mL (315.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.189 mL	10.9448 mL	21.8895 mL
5 mM	0.4378 mL	2.189 mL	4.3779 mL
10 mM	0.2189 mL	1.0945 mL	2.189 mL
50 mM	0.0438 mL	0.2189 mL	0.4378 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Norimitsu Hariguchi, et al. OPC-167832, a Novel Carbostyril Derivative with Potent Antituberculosis Activity as a DprE1 Inhibitor.Antimicrob Agents Chemother. 2020 May 21;64(6):e02020-19.