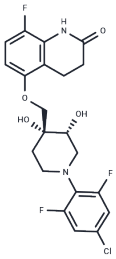
Data Sheet (Cat.No.T37880)

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 potent and orally active dprE1 Inhibitor with an IC50 of 0.258 μM. OPC-167832 has antituberculosis activity and can be used for the research of tuberculosis caused by Mycobacterium tuberculosis[1].
Targets(IC50)	Others,Antibacterial
In vitro	OPC-167832 exhibits very low MICs against laboratory strains of M. tuberculosis H37Rv (MIC: 0.0005 μg/ml) and Kurono (MIC: 0.0005 μg/ml) and strains with monoresistance to rifampin (RIF), isoniazid (INH), ethambutol (EMB), streptomycin (STR), and pyrazinamide (PZA) (MIC: 0.00024-0.001 μg/ml). However, OPC-167832 has minimal or no activity against standard strains of nonmycobacterial aerobic and anaerobic bacteria[1].The IC90 values of OPC-167832 against intracellular M. tuberculosis strains H37Rv and Kurono are 0.0048 and 0.0027 μg/ml, respectively. OPC-167832 shows bactericidal activity against intracellular M. tuberculosis at a low concentration, and the bactericidal activity is saturated at concentrations of 0.004 μg/ml or higher[1].
In vivo	OPC-167832 (oral administration; 0.625-10 mg/kg) exhibits a good pharmacokinetic characteristic. The plasma reaches peak at 0.5 h to 1.0 h (tmax) and is eliminated with a half-life (t1/2) of 1.3 h to 2.1 h OPC-167832 distribution in the lungs is approximately 2 times higher than that in plasma, and the Cmax and AUCt of OPC-167832 in plasma and the lungs shows dose dependency[1].OPC-167832 (oral administration; 0.625-10 mg/kg; 4 weeks) significantly reduces lung CFU compared to the vehicle group. The dose-dependent decrease of lung CFU is observed from 0.625 mg/kg to 2.5 mg/kg. In a M. tuberculosis Kurono-infected ICR female mice model. OPC-167832 combines with DMD, BDQ, or LVX via oral gavage exhibits significantly higher efficacies than each single agent alone[1].[1].OPC-167832 (oral gavage; 2.5 mg/kg; combination with DCMB; 12 weeks) demonstrates the most potent efficacy when compares with DC, DCB. The lung CFU count after 6 weeks of treatment is below the detection limit, and at the end of just 8 weeks of treatment, the bacteria in the lungs of all the evaluated mice had already been eradicate[1].

Solubility Information

Solubility	DMSO: 180 mg/mL (394.01 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.