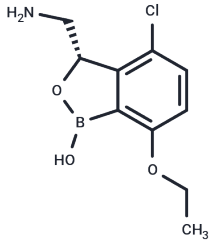
Data Sheet (Cat.No.T38775)

TargetMol

LeuRS-IN-1

Chemical Properties



CAS No. : 1364914-72-6

Formula: C10H13BClNO3

Molecular Weight: 241.48

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	LeuRS-IN-1 is an orally active and potent Mycobacterium tuberculosis leucyl-tRNA synthetase inhibitor with anti-leukemic and anti-malarial activity, inhibits M.tb LeuRS, inhibits HepG2 protein synthesis, and can be used in leukemia research.
Targets(IC50)	Antibacterial,Parasite
In vitro	LeuRS-IN-1 showed high inhibitory activity against leucyl tRNA synthetase of Mycobacterium tuberculosis (M.tb) with IC50 and Kd values of 0.06 μM and 0.075 μM, respectively, and a MIC of 0.02 μg/mL against M.tb H37Rv strain. [1] LeuRS-IN-1 was less effective in inhibiting human cytoplasmic LeuRS and HepG2 protein synthesis, with IC50 and EC50 values of 38.8 μM and 19.6 μM, respectively, and the toxicity EC50 of LeuRS-IN-1 in HepG2 cells was 65.8 μM (48 h). [2]
In vivo	In animal experiments, LeuRS-IN-1 administered orally at 100 mg/kg per day for 14 consecutive days reduced the lung colony units of acute tuberculosis mice, while 33 mg/kg administered orally 5 days per week for 4 consecutive weeks reduced the lung and spleen colony units of chronic tuberculosis mice. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.1411 mL	20.7056 mL	41.4113 mL
5 mM	0.8282 mL	4.1411 mL	8.2823 mL
10 mM	0.4141 mL	2.0706 mL	4.1411 mL
50 mM	0.0828 mL	0.4141 mL	0.8282 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

Palencia A, et al. Discovery of Novel Oral Protein Synthesis Inhibitors of Mycobacterium tuberculosis That Target Leucyl-tRNA Synthetase. Antimicrob Agents Chemother. 2016 Sep 23;60(10):6271-80.
Li X, et al. Discovery of a Potent and Specific M. tuberculosis Leucyl-tRNA Synthetase Inhibitor: (S)-3-(Aminomethyl)-4-chloro-7-(2-hydroxyethoxy)benzo[c][1,2]oxaborol-1(3H)-ol (GSK656). J Med Chem. 2017 Oct 12;60(19):8011-8026.