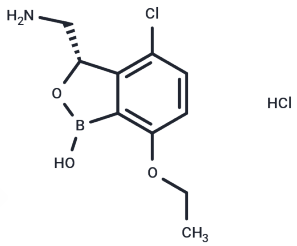
Data Sheet (Cat.No.T38773)

TargetMol

LeuRS-IN-1 hydrochloride

Chemical Properties



CAS No. : 1364683-67-9

Formula: C10H14BCl2NO3

Molecular Weight: 277.94

Storage: Keep away from moisture
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 hydrochloride is an orally active and highly potent inhibitor of Mycobacterium tuberculosis leucyl-tRNA synthetase with anti-leukemic activity and anti-malarial activity, inhibits M.tb LeuRS, inhibits HepG2 protein synthesis, and can be used in the study of leukemia.
Targets(IC50)	Antibacterial,Parasite
In vitro	LeuRS-IN-1 hydrochloride 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 hydrochloride 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 hydrochloride in HepG2 cells was 65.8 μM (48 h). [2]
In vivo	In animal experiments, LeuRS-IN-1 hydrochloride 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	3.5979 mL	17.9895 mL	35.979 mL
5 mM	0.7196 mL	3.5979 mL	7.1958 mL
10 mM	0.3598 mL	1.7989 mL	3.5979 mL
50 mM	0.072 mL	0.3598 mL	0.7196 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.