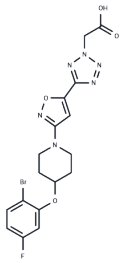
Data Sheet (Cat.No.T2650)

TargetMol

MK-8245

Chemical Properties



CAS No. : 1030612-90-8

Formula: C17H16BrFN6O4

Molecular Weight: 467.25

Storage: Store at low temperature,Keep away from moisture,
Store under nitrogen
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	MK-8245 is a liver-targeting SCD inhibitor for human SCD1 (IC50: 1 nM) and for rat/mouse SCD1 (IC50: 3 nM), with anti-diabetic and anti-dyslipidemic function.
Targets(IC50)	Dehydrogenase,Stearoyl-CoA Desaturase (SCD)
In vitro	In mice, rats, dogs, and cynomolgus monkeys, the primary site of action for MK-8245 (p. o.) is the liver, displaying minimal efficacy in tissues associated with potential adverse reactions. In eDIO mice, MK-8245 demonstrates a dose-dependent effect on glucose screening, enhancing glucose clearance (ED50: 7 mg/kg).
In vivo	In experiments conducted on rat liver cells exhibiting functional activity of OATPs, MK-8245 demonstrated a potent inhibitory effect on SCD, with an IC50 of 68 nM. However, in experiments with HepG2 cells, which lack active OATPs, the compound was less effective, showing an IC50 of 1 μM. In HepG experiments, MK-8245 displayed high selectivity towards Δ-5 and Δ-6 desaturases. MK-8245 contains a tetrazole acetic acid group, endowing it with the capability for OATP recognition and liver-targeting functions.
Kinase Assay	High Throughput Screening: FITC-MBM1 at 15 nM and menin at 150 nM in the FP buffer are mixed and incubated for 1h in the dark at room temperature. For point screening, the 0.2 μL of each compound (20 μM final concentration, 1% DMSO) is added to 20 μL of the aliquot of the protein-peptide mixture and incubated on 384-well plates in the dark at room temperature for 1h. In confirmation screening, the serial dilution plates with compounds in DMSO are prepared and used to titrate the menin-FITC-MBM1 complex. Change in fluorescence polarization is monitored at 525 nm after excitations at 495 nm using the PHERAstar microplate reader (BMG) and applied to determine IC50 values with the Origin 7.0 program.

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 145 mg/mL (310.33 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (7.06 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1402 mL	10.7009 mL	21.4018 mL
5 mM	0.428 mL	2.1402 mL	4.2804 mL
10 mM	0.214 mL	1.0701 mL	2.1402 mL
50 mM	0.0428 mL	0.214 mL	0.428 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

Oballa RM, et al. J Med Chem, 2011, 54(14), 5082-5096.