MK-8245

Cat. No.: HY-13070

CAS No.: 1030612-90-8

Molecular Formula: $C_{17}H_{16}BrFN_6O_4$

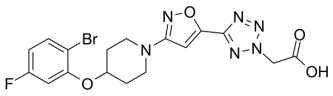
Molecular Weight: 467.25

Target: Stearoyl-CoA Desaturase (SCD)

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (214.02 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1402 mL	10.7009 mL	21.4018 mL
5 mM	0.4280 mL	2.1402 mL	4.2804 mL
10 mM	0.2140 mL	1.0701 mL	2.1402 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MK-8245 is a potent, liver-targeted stearoyl-CoA desaturase (SCD) inhibitor, with IC_{50}s of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1, with antidiabetic and antidyslipidemic efficacy[1].

IC_{50} & Target

IC50: 1 nM (human SCD1), 3 nM (rat SCD1), 3 nM (mouse SCD1)[1]

In Vitro

MK-8245 is a potent and liver-specific SCD inhibitor[1].
MK-8245 displays similar potencies against human, rat and mouse SCD1, with IC_{50} values of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1[1].

MK-8245 exhibits a significant SCD inhibition in the rat hepatocyte assay which contains functional, actives organic anion transporting polypeptides (OATPs) with IC_{50} of 68 nM, while being only weakly active OATPs in the HepG2 cell assay which is devoid of active with IC_{50} of ~1 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

MK-8245 (10mg/kg; p.o.) exhibits a tissue distribution profile concentrated in the liver, with low exposure in tissues associated with potential adverse events in rats, dogs, and rhesus monkeys[1].

MK-8245 improves glucose clearance in a dose-dependent manner in eDIO mice administrated before the glucose challenge [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL6 mice, male Sprague-Dawley rats[1]
Dosage:	10mg/kg
Administration:	Oral administration
Result:	Exhibits a tissue distribution profile concentrated in the liver.

CUSTOMER VALIDATION

- Sci China Life Sci. 2021 May 27;1-21.
- Aquaculture. 2023 Jun 10, 739766.

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REFERENCES

[1]. Oballa RM, et al. Development of a liver-targeted stearoyl-CoA desaturase (SCD) inhibitor (MK-8245) to establish a therapeutic window for the treatment of diabetes and dyslipidemia.J Med Chem. 2011 Jul 28;54(14):5082-96. Epub 2011 Jun 28.

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