

MK-8245

Catalog No: tcsc0410



Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1030612-90-8

Formula:

$C_{17}H_{16}BrFN_6O_4$

Pathway:

Metabolic Enzyme/Protease

Target:

Stearoyl-CoA Desaturase (SCD)

Purity / Grade:

>98%

Solubility:

DMSO : 19 mg/mL (40.66 mM; Need ultrasonic and warming)

Observed Molecular Weight:

467.25

Product Description

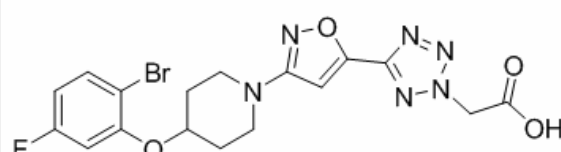
MK-8245 is a liver-targeting inhibitor of stearyl-CoA desaturase (SCD) with IC₅₀ of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1, with anti-diabetic and anti-dyslipidemic efficacy.

IC₅₀ value: 1 nM (hSCD1) [1]

Target: SCD1

in vitro: MK-8245, a phenoxy piperidine isoxazole derivative, has been identified as a potent and liver-specific SCD inhibitor. It contains a tetrazole acetic acid moiety, which is the key molecule for OATPs recognition and liver-targeting. MK-8245 displays similar potencies against human, rat and mouse SCD1 with IC₅₀ values of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1. MK-8245 exhibits a significant SCD inhibition in the rat hepatocyte assay which contains functional, active OATPs with IC₅₀ of 68 nM, while being only weakly active in the HepG2 cell assay which is devoid of active OATPs with IC₅₀ of ~1 μ M. MK-8245 displays highly selective activity for the Δ -5 and Δ -6 desaturases (i.e., >100000 μ M vs rat and human Δ 5D and Δ 6D as assessed in the HepG assay [1].

in vivo: Administration of MK-8245 at 10 mg/kg in mice exhibits a tissue distribution profile concentrated in the liver. It shows a liver-to-Harderian gland ratio of 21, suggesting a high degree of liver-targeting compared to a systemically distributed compound with liver-to-Harderian gland ratio of 1.5. Oral dosing of MK-8245 in mice, rats, dogs, and rhesus monkeys demonstrates that MK-8245 is distributed mainly to the liver, with low exposure in tissues associated with potential adverse events. The liver-to-skin ratios are >30:1 in all four species. Administration of MK-8245 to eDIO mice before the glucose challenge improves glucose clearance in a dose-dependent manner with ED₅₀ of 7 mg/kg.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!