

# MK-8245

**Catalog No: tcsc0410**



## Available Sizes

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**Size:** 2mg

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**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

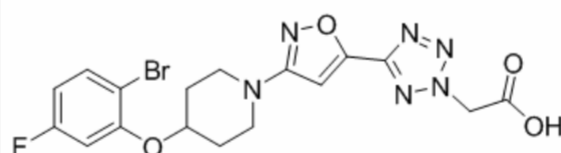
MK-8245 is a liver-targeting inhibitor of stearoyl-CoA desaturase (SCD) with IC<sub>50</sub> of 1 nM for human SCD1 and 3 nM for both rat SCD1 and mouse SCD1, with anti-diabetic and anti-dyslipidemic efficacy.

IC<sub>50</sub> 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 IC<sub>50</sub> 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 IC<sub>50</sub> of 68 nM, while being only weakly active in the HepG2 cell assay which is devoid of active OATPs with IC<sub>50</sub> of ~1 μM. MK-8245 displays highly selective activity for the Δ-5 and Δ-6 desaturases (i.e., >100000 μM vs rat and human Δ5D and Δ6D 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-Hardierian gland ratio of 21, suggesting a high degree of liver-targeting compared to a systemically distributed compound with liver-to-Hardierian 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 ED<sub>50</sub> of 7 mg/kg.



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