产品名称：**5-[3-[4-(2-溴-5-氟苯氧基)-1-哌啶基]-5-异恶唑基]-2H-四氮唑-2-乙酸**
产品别名：**MK-8245**

生物活性：

Description	MK-8245 is a potent, liver-targeted stearoyl-CoA desaturase (SCD) inhibitor, with IC50s 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 IC50 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 IC50 of 68 nM, while being only weakly active OATPs in the HepG2 cell assay which is devoid of active with IC50 of ~1 μM[1].
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].

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

Solvent&Solubility

In Vitro:

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

	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.35 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

	2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.35 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (5.35 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.35 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.