产品名称：

3-((1r,4s)-4-(4-chlorophenylsulfonyl)-4-(2,5-difluorophenyl)cyclohexyl)propanoic acid

产品别名：**MK-0752**

生物活性：

Description

MK-0752 is a moderately potent γ-secretase inhibitor, which reduces Aβ40 production with IC50 of 5 nM. IC50 value: 5 nM (reduces Aβ40 production) [1] Target: γ-secretase in vitro: MK-0752 is identified as a moderately potent γ-secretase inhibitor, which reduces Aβ40 in a dose-dependent manner with an IC50 of 5 nM in human SH-SY5Y cells [1]. In vitro, MK-0752 blocks Notch-intracellular domain (ICD) cleavage and its subsequent nuclear translocation [2]. in vivo: MK-0752 (240 mg/kg) reduces the generation of newly produced Aβ with 90% decrease of AUV in the brain of rhesus monkeys. In addition, MK-0752 treatment increases levels of Aβ 1-14, Aβ 1-15, and Aβ 1-16 , while decreases levels of Aβ 1-17 [1]. In guinea-pigs, oral administration of MK-0752 (10 mg/kg -30 mg/kg) results in the dose-dependent reduction of Aβ40 in plasma, brain and cerebrospinal fluid (CSF) with IC50 of 440 nM in brain [2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (225.78 mM)

Ethanol : 10 mg/mL (22.58 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2578 mL	11.2892 mL	22.5785 mL
5 mM	0.4516 mL	2.2578 mL	4.5157 mL
10 mM	0.2258 mL	1.1289 mL	2.2578 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 (5.64 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.64 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 (5.64 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.64 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 (5.64 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.64 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]. Cook JJ, et al. Acute gamma-secretase inhibition of nonhuman primate CNS shifts amyloid precursor protein (APP) metabolism from amyloid-beta production to alternative APP fragments without amyloid-beta rebound. J Neurosci, 2010, 30(19), 6743-6750. [2]. Harrison H, et al. Regulation of breast cancer stem cell activity by signaling through the Notch4 receptor. Cancer Res, 2010, 70(2), 709-718.