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产品名称：MK-5046
产品别名：MK-5046

生物活性：

Description

MK-5046 is a novel BRS-3 agonist, binds to BRS-3 with high affinity (mouse Ki = 1.6 nM, human Ki = 25 nM). IC50 value: 1.6 nM (Ki, for mouse), 25 nM (Ki, for human) [1] Target: BRS-3 in vitro: MK-5046 is a novel BRS-3 agonist, with improved BRS-3 potency, specificity, and pharmacokinetic properties that allows in-depth investigation of BRS3 agonism in preclinical species and is also potentially suitable for use in humans. MK-5046 exhibits no appreciable binding activity at the neuromedin B and gastrin-releasing peptide receptors, as well as many other receptors, ion channels, and enzymes. In a cell-based Ca2+ mobilization functional assay, MK-5046 activates human BRS-3 with similar agonist efficacy as the peptide BRS-3 agonist.[1] MK-5046 is a potent, selective bombesin receptor subtype-3 agonist for the treatment of obesity.[2] in vivo: MK-5046 is the first BRS-3 agonist with properties suitable for use in larger mammals. In dogs, MK-5046 treatment produced statistically significant and persistent weight loss, which was initially accompanied by increases in body temperature and heart rate that abated with continued dosing. MK-5046 also effectively reduced body weight in rats and caused modest increases in body temperature, heart rate, and blood pressure. MK-5046 in rodents and dogs and further support BRS-3 agonism as a new approach to the treatment of obesity.[1]

Solvent&Solubility

In Vitro:

DMSO : 14.29 mg/mL (32.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2504 mL	11.2519 mL	22.5038 mL
	5 mM	0.4501 mL	2.2504 mL	4.5008 mL
	10 mM	0.2250 mL	1.1252 mL	2.2504 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: ≥ 1.43 mg/mL (3.22 mM); Clear solution

此方案可获得 ≥ 1.43 mg/mL (3.22 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 14.299999 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: ≥ 1.43 mg/mL (3.22 mM); Clear solution 此方案可获得 ≥ 1.43 mg/mL (3.22 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 14.299999 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: ≥ 1.43 mg/mL (3.22 mM); Clear solution 此方案可获得 ≥ 1.43 mg/mL (3.22 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 14.299999 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Guan XM, et al. Antiobesity effect of MK-5046, a novel bombesin receptor subtype-3 agonist. J Pharmacol Exp Ther. 2011 Feb;336(2):356-364. [2]. Sebhat IK, et al. Discovery of MK-5046, a Potent, Selective Bombesin Receptor Subtype-3 Agonist for the Treatment of Obesity. ACS Med Chem Lett. 2010 Oct 18;2(1):43-47.