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产品名称：MK-3207
产品别名：MK-3207

生物活性：

Description

MK-3207 is a potent and orally bioavailable CGRP receptor antagonist (IC50= 0.12 nM; Ki value= 0.024 nM); highly selective versus human AM1, AM2, CTR, and AMY3. IC50 Value: 0.024 nM (Ki, Human CGRP) [1] In common with other CGRP receptor antagonists, MK-3207 displays lower affinity for human CGRP receptors from other species, including canine and rodent. in vitro: MK-3207 is a potent antagonist of the human and rhesus monkey CGRP receptors (K(i) = 0.024 nM). in vivo: MK-3207 produced a concentration-dependent inhibition of dermal vasodilation, with plasma concentrations of 0.8 and 7 nM required to block 50 and 90% of the blood flow increase, respectively. The tritiated analog [3H]MK-3207 was used to study the binding characteristics on the human CGRP receptor. [3H]MK-3207 displayed reversible and saturable binding (K(D) = 0.06 nM), and the off-rate was determined to be 0.012 min(-1), with a t(1/2) value of 59 min [1]. After the first interim analysis, the two lowest MK-3207 doses (2.5, 5 mg) were identified as showing insufficient efficacy. Per the pre-specified adaptive design decision rule, only the 2.5-mg group was discontinued and the five highest doses (5, 10, 20, 50, 100 mg) were continued into the second stage [2]. Clinical trial: MK-3207 for the treatment of acute migraines. Phase 2b

Solvent&Solubility

In Vitro:

DMSO : ≥ 100 mg/mL (179.34 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7934 mL	8.9672 mL	17.9343 mL
	5 mM	0.3587 mL	1.7934 mL	3.5869 mL
	10 mM	0.1793 mL	0.8967 mL	1.7934 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 (4.48 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (4.48 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 (4.48 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.48 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 (4.48 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.48 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]. Salvatore CA, Moore EL, Calamari A, Pharmacological properties of MK-3207, a potent and orally active calcitonin gene-related peptide receptor antagonist. J Pharmacol Exp Ther. 2010 Apr;333(1):152-60. [2]. Hewitt DJ, Aurora SK, Dodick DW, Randomized controlled trial of the CGRP receptor antagonist MK-3207 in the acute treatment of migraine. Cephalalgia. 2011 Apr;31(6):712-22.