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产品名称：阿西马朵林
产品别名：Asimadoline；EMD-61753

生物活性：

Description	Asimadoline is a potent κ opioid receptor agonist with IC_{50}s of 5.6 and 1.2 nM for guinea pig and human recombinant κ opioid receptor, respectively.
IC_{50} & Target	IC50: 5.6 nM (guinea pig κ opioid), 1.2 nM (human recombinant κ opioid)[1]
In Vitro	The IC_{50} for Asimadoline binding to μ-opioid receptors is 3 μM and to δ-opioid receptors is 0.7 μM. The IC_{50} values for D1, D2, kainate, σ, PCP/NMDA, H1, α1, α2, M1/M2, glycine, 5HT1A, 5HT1C, 5HT1D, 5HT2, 5HT3, AMPA and kainate/AMPA receptors are all >10 IC_{50}, suggesting no relevant antihistaminergic, antiserotonergic or anticholinergic effects. At high concentrations, Asimadoline demonstrates spasmolytic action against 400 μM barium chloride in the rat duodenum (IC_{50}=4.2 μM), suggesting that Asimadoline may block the direct stimulant effects of barium on smooth muscle through mechanisms that are not identified[1].
In Vivo	The absorption rate following oral administration is 80% in rats and >90% in dogs and monkeys. The metabolism of Asimadoline is rapid and appears similar in animals and man. Asimadoline has peripheral anti-inflammatory actions that are partly mediated through increase in joint fluid substance P levels[1]. Treatment with Asimadoline (5 mg/kg/day i.p.) produces marked (and sustained) attenuation of the disease with all three time regimes[2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 103.3 mg/mL (249.19 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4123 mL	12.0616 mL	24.1231 mL
	5 mM	0.4825 mL	2.4123 mL	4.8246 mL
	10 mM	0.2412 mL	1.2062 mL	2.4123 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 (6.03 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.03 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 (6.03 mM); Suspended solution; Need ultrasonic 此方案可获得 2.5 mg/mL (6.03 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 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 (6.03 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.03 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]. Camilleri M, et al. Asimadoline, a κ-Opioid Agonist, and Visceral Sensation. Neurogastroenterol Motil. 2008 Sep; 20(9): 971–979. [2]. Binder W, et al. Involvement of substance P in the anti-inflammatory effects of the peripherally selective kappa-opioid asimadoline and the NK1 antagonist GR205171. Eur J Neurosci. 1999 Jun;11(6):2065-72.

实验参考：

Animal Administration	Rats: Asimadoline (5 mg/kg/day, n=10 per group) or vehicle (2 mL/kg/day, n=10) is administered to DA rats by i.p. injection twice daily (i) during the primary inflammatory phase (days 1–3); (ii) once the disease is established (days 13–21); or (iii) throughout the entire time course (days 1-21). Non-arthritic control animals receive Asimadoline (5 mg/kg/day, n=5) or vehicle (2 mL/kg/day, n=5) by i.p. injection twice daily. In all cases, disease parameters are assessed. In this experiment, the SP content of joint tissue is assessed only after the rats are killed (day 21)[2].
References	[1]. Camilleri M, et al. Asimadoline, a κ-Opioid Agonist, and Visceral Sensation. Neurogastroenterol Motil. 2008 Sep; 20(9): 971–979. [2]. Binder W, et al. Involvement of substance P in the anti-inflammatory effects of the peripherally selective kappa-opioid asimadoline and the NK1 antagonist GR205171. Eur J Neurosci. 1999 Jun;11(6):2065-72.