Asimadoline

Cat. No.: HY-107384

CAS No.: 153205-46-0

Molecular Formula: $C_{27}H_{30}N_2O_2$

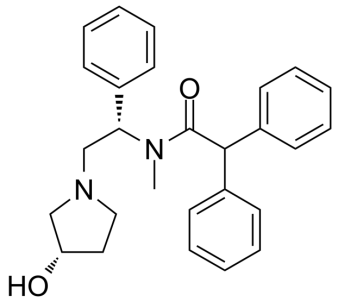
Molecular Weight: 414.54

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (241.23 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.03 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Asimadoline (EMD-61753) is an orally active, selective and peripherally active κ-opioid agonist with IC_{50}s of 5.6 nM (guinea pig) and 1.2 nM (human recombinant). Asimadoline has low permeability across the blood brain barrier and has peripheral anti-inflammatory actions. Asimadoline ameliorates allodynia in diabetic rats and has the potential for irritable bowel syndrome (IBS)[1][2][3].

IC_{50} & Target

IC50: 5.6 nM (guinea pig κ opioid), 1.2 nM (human recombinant κ opioid)[1]

In Vitro

Asimadoline (EMD-61753) has high selectively in κ: μ: δ opioid binding ratios of 1:501:498 in human recombinant receptors. 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 μM[1].

Asimadoline has affinity to sodium and L type Ca^{2+} ion channels at IC_{50} concentrations 150 to 800 fold the IC_{50} for the κ receptors[1].

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Asimadoline (EMD-61753; 1, 5, 15 mg/kg; s.c.) acutely ameliorates both formalin-evoked hyperalgesia and tactile allodynia in diabetic rats[3].

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult female Sprague-Dawley rats[3]
Dosage:	1, 5, 15 mg/kg
Administration:	SC; single dose
Result:	Acutely ameliorated both formalin-evoked hyperalgesia and tactile allodynia in diabetic rats.

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.

[3]. C G Jolivalt, et al. Dynorphin A, kappa opioid receptors and the antinociceptive efficacy of asimadoline in streptozotocin-induced diabetic rats. Diabetologia. 2006 Nov;49(11):2775-85.

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