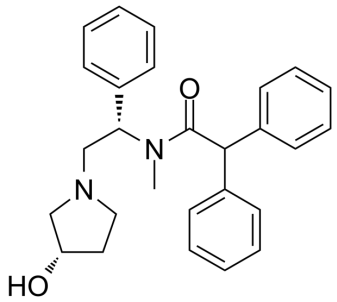
Asimadoline

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 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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