Asimadoline hydrochloride

Cat. No.: HY-107384A

CAS No.: 185951-07-9

Molecular Formula: $C_{27}H_{31}ClN_2O_2$

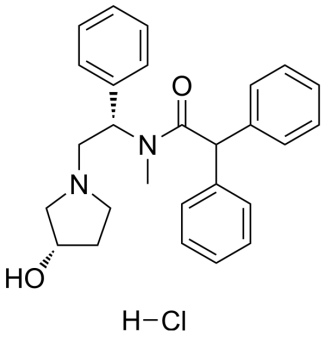
Molecular Weight: 451

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 240 mg/mL (532.15 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2173 mL	11.0865 mL	22.1729 mL
5 mM	0.4435 mL	2.2173 mL	4.4346 mL
10 mM	0.2217 mL	1.1086 mL	2.2173 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: ≥ 6 mg/mL (13.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6 mg/mL (13.30 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6 mg/mL (13.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Asimadoline (EMD-61753) hydrochloride 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 hydrochloride has low permeability across the blood brain barrier and has peripheral anti-inflammatory actions. Asimadoline hydrochloride ameliorates allodynia in diabetic rats and has the potential for irritable bowel syndrome (IBS)[1][2][3].

IC_{50} & Target

κ Opioid Receptor/KOR

In Vitro

Asimadoline (EMD-61753) hydrochloride has high selectively in κ: μ: δ opioid binding ratios of 1:501:498 in human recombinant receptors. The IC_{50} for Asimadoline hydrochloride 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 hydrochloride 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 hydrochloride demonstrates spasmolytic action against 400 μM barium chloride in the rat duodenum (IC_{50}=4.2 μM), suggesting that Asimadoline hydrochloride 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 hydrochloride; 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 hydrochloride is rapid and appears similar in animals and man. Asimadoline hydrochloride has peripheral anti-inflammatory actions that are partly mediated through increase in joint fluid substance P levels[1].
Treatment with Asimadoline hydrochloride (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]. 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.

[2]. Camilleri M, et al. Asimadoline, a κ-Opioid Agonist, and Visceral Sensation. Neurogastroenterol Motil. 2008 Sep; 20(9): 971–979.

[3]. 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.

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