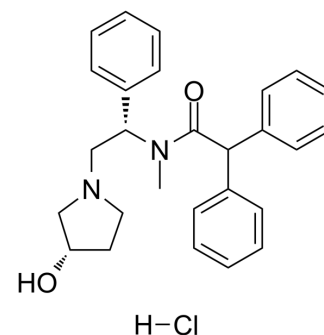


Asimadoline hydrochloride

Cat. No.:	HY-107384A
CAS No.:	185951-07-9
Molecular Formula:	C ₂₇ H ₃₁ ClN ₂ O 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	1 mg	5 mg	10 mg
		Concentration				
		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	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 6 mg/mL (13.30 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 6 mg/mL (13.30 mM); Clear solution 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]} .
IC₅₀ & Target	IC ₅₀ : 5.6 nM (guinea pig κ opioid), 1.2 nM (human recombinant κ opioid) ^[1]
In Vitro	Asimadoline (EMD-61753) hydrochloride has high selectivity 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, $\alpha 1$, $\alpha 2$, M1/M2, glycine, 5HT1A, 5HT1C, 5HT1D, 5HT2, 5HT3, AMPA and kainate/AMPA receptors are all $>10 \mu\text{M}$ ^[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 ($\text{IC}_{50}=4.2 \mu\text{M}$), 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.

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

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