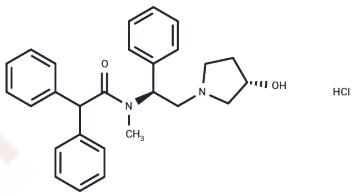
Data Sheet (Cat.No.T4691)

TargetMol

Asimadoline hydrochloride

Chemical Properties



CAS No. : 185951-07-9

Formula: C27H31ClN2O2

Molecular Weight: 451.01

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Asimadoline hydrochloride (EMD-61753 hydrochloride) is a κ-opioid receptor agonist potentially for the treatment of pruritus. Asimadoline hydrochloride has also been shown to be used in the treatment of irritable bowel syndrome.
Targets(IC50)	Opioid Receptor
In vitro	The IC50 for Asimadoline binding to μ-opioid receptors is 3 μM and to δ-opioid receptors is 0.7 μM. At high concentrations, Asimadoline demonstrates spasmolytic action against 400 μM barium chloride in the rat duodenum (IC50: 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 metabolism of Asimadoline is rapid and appears similar in animals and man. The absorption rate following oral administration is 80% in rats and >90% in dogs and monkeys. Asimadoline has peripheral anti-inflammatory actions that are partly mediated through an 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].
Animal Research	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].

Solubility Information

Solubility	DMSO: 60 mg/mL (133.03 mM),Heating is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (11.09 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2172 mL	11.0862 mL	22.1725 mL
5 mM	0.4434 mL	2.2172 mL	4.4345 mL
10 mM	0.2217 mL	1.1086 mL	2.2172 mL
50 mM	0.0443 mL	0.2217 mL	0.4434 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

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.