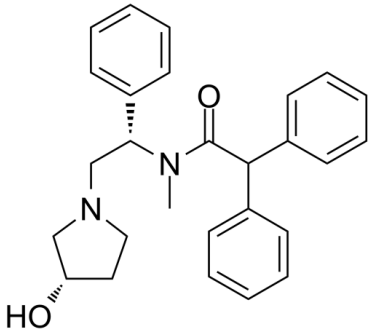
Data Sheet

Product Name:	Asimadoline
Cat. No.:	CS-8053
CAS No.:	153205-46-0
Molecular Formula:	C27H30N2O2
Molecular Weight:	414.54
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : ≥ 103.3 mg/mL (249.19 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Asimadoline is a potent **κ opioid** receptor agonist with **IC_{50}**s of 5.6 and 1.2 nM for guinea pig and human recombinant κ opioid receptor, respectively. IC50 & Target: IC50: 5.6 nM (guinea pig κ opioid), 1.2 nM (human recombinant κ opioid)[1] **In Vitro:** 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 IC_{50}, suggesting no relevant antihistaminergic, antiserotonergic or anticholinergic effects. 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]. **In Vivo:** 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].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: The Asimadoline is dissolved in 30% polyethelene glycol in sterile normal saline[2].[2]Rats: 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].

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.

CAIndexNames:

4(3H)-Pteridinone, 2-amino-6-(1,2-dihydroxypropyl)-5,6,7,8-tetrahydro-Benzeneacetamide, N-[(1S)-2-[(3S)-3-hydroxy-1-pyrrolidinyl]-1-phenylethyl]-N-methyl-α-phenyl-

SMILES:

O[C@H]1CCN(C1)C[C@H](C2=CC=CC=C2)N(C)C(C(C3=CC=CC=C3)C4=CC=CC=C4)=O

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

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