Product Data Sheet

Product Name: Asimadoline (EMD-61753)
Cat. No.: GC31762

Chemical Properties

Cas. No.	153205-46-0		
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		
Formula	$C_{27}H_{30}N_2O_2$	M.Wt	414.54
Solubility	DMSO : ≥ 103.3 mg/mL (249.19 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Asimadoline (EMD-61753)		

Protocol

Animal experiment:

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].

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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.

Background

Asimadoline is a potent κ-opioid receptor (KOR) agonist (IC_{50}s = 5.6 and 1.2 nM for guinea pig and human receptors, respectively).[1] It is 501- and 498-fold selective for κ-opioid over μ- and δ-opioid receptors, respectively. Asimadoline is spasmolytic in isolated rat duodenum (IC_{50} = 4.2 μM) and inhibits spontaneous contractions of isolated rat uterus (IC_{50} = 12.7 μM). *In vivo*, asimadoline reduces joint damage in a rat model of arthritis induced by complete Freund's adjuvant (CFA). Asimadoline (25 mg/kg) also reduces the abdominal withdrawal reflex in a model of visceral pain induced by colonic distension in wild-type, but not *KOR*$^{-/-}$, mice.[2]

1.Camilleri, M.Asimadoline, a κ-opioid agonist, and visceral sensationNeurogastroenterol. Motil.20(9)971-979(2008) 2.Larsson, M.H., Bayati, A., Lindstr?m, E., et al.Involvement of

kappa-opioid receptors in visceral nociception in miceNeurogastroenterol. Motil.20(10)1157-1164(2008)

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