Alvimopan dihydrate

Cat. No.: HY-76657A

CAS No.: 170098-38-1

Molecular Formula: $C_{25}H_{36}N_2O_6$

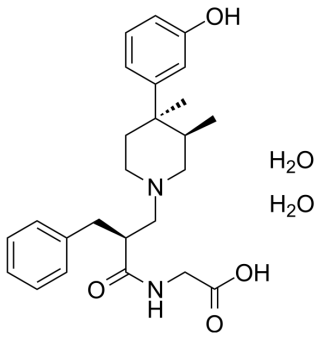
Molecular Weight: 460.56

Target: Opioid Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (72.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1713 mL	10.8563 mL	21.7127 mL
	5 mM	0.4343 mL	2.1713 mL	4.3425 mL
	10 mM	0.2171 mL	1.0856 mL	2.1713 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: ≥ 2.5 mg/mL (5.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Alvimopan dihydrate (ADL 8-2698 dihydrate) is a potent, selective, orally active and reversible μ-opioid receptor antagonist, with an IC_{50} of 1.7 nM. Alvimopan dihydrate has selectivity for μ-opioid receptor (K_i=0.47 nM) over κ- and δ-opioid receptors (K_is=100, 12 nM, respectively). Alvimopan dihydrate can be used for the research of postoperative ileus[1][2][3].

IC_{50} & Target

μ Opioid Receptor/MOR

In Vitro

Alvimopan inhibits the loperamide-stimulated [^{35}S]GTPγS binding to membranes containing the cloned human μ-opioid

	receptor, with an IC_{50} of 1.7 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Alvimopan (0.1-1.0 mg/kg; p.o.) partially antagonizes the slowing of small intestinal transit of ^{113}Sn-labelled microspheres in rats[3]. Alvimopan (3 mg/kg; p.o.) has no effect on the visceromotor behavioural responses (VMR) induced by noxious colorectal distension (CRD) in conscious rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bourdonnec BL, et, al. Novel trans-3,4-dimethyl-4-(3-hydroxyphenyl)piperidines as mu opioid receptor antagonists with improved opioid receptor selectivity profiles. Bioorg Med Chem Lett. 2008 Mar 15;18(6):2006-12.

[2]. Erowele GI, et, al. Alvimopan (Entereg), a Peripherally Acting mu-Opioid Receptor Antagonist For Postoperative Ileus. P T. 2008 Oct;33(10):574-83.

[3]. Meerveld BG, et, al. Preclinical studies of opioids and opioid antagonists on gastrointestinal function. Neurogastroenterol Motil. 2004 Oct;16 Suppl 2:46-53.

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