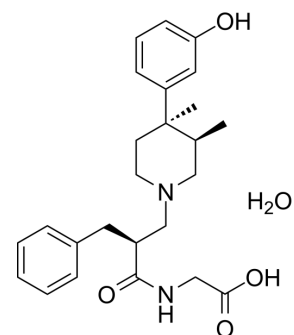


Alvimopan monohydrate

Cat. No.:	HY-76657		
CAS No.:	1383577-62-5		
Molecular Formula:	C ₂₅ H ₃₄ N ₂ O ₅		
Molecular Weight:	442.55		
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



BIOLOGICAL ACTIVITY

Description	Alvimopan monohydrate (ADL 8-2698 monohydrate) is a potent, selective, orally active and reversible μ -opioid receptor antagonist, with an IC ₅₀ of 1.7 nM. Alvimopan monohydrate has selectivity for μ -opioid receptor (K _i =0.47 nM) over κ - and δ -opioid receptors (K _i s=100, 12 nM, respectively). Alvimopan monohydrate can be used for the research of postoperative ileus [1][2][3].
IC₅₀ & 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.

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

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