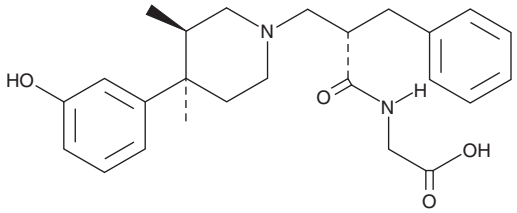
PRODUCT INFORMATION

Alvimopan

Item No. 23902

CAS Registry No.:	156053-89-3
Formal Name:	N-[(2S)-2-[[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]methyl]-1-oxo-3-phenylpropyl]-glycine
Synonyms:	ADL 8-2698, LY246736
MF:	$C_{25}H_{32}N_2O_4$
FW:	424.5
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Alvimopan is supplied as a crystalline solid. A stock solution may be made by dissolving the alvimopan in the solvent of choice, which should be purged with an inert gas. Alvimopan is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of alvimopan in these solvents is approximately 20 and 10 mg/ml, respectively.

Alvimopan is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, alvimopan should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Alvimopan has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Alvimopan is a μ-opioid receptor antagonist (K_i = 0.47 nM).[1] It is selective over the κ- and δ-opioid receptors (K_is= 100 nM and 12 nM, respectively). Alvimopan inhibits μ-opioid receptor-mediated GTP binding to CHO cell membranes with an IC_{50} value of 1.7 nM. It inhibits morphine-induced slowing of colorectal transit in mice with an ED_{50} value of 0.41 mg/kg.[2] Alvimopan (0.3 and 1 mg/kg, p.o.) reduces inhibition of gastrointestinal (GI) transit induced by morphine, but not apraclonidine (Item No. 23904), in rats. Formulations containing alvimopan have been used in the treatment of opioid-induced bowel dysfunction.

References

1. Le Bourdonnec, B., Barker, W.M., Belanger, S., *et al.* Novel *trans*-3,4-dimethyl-4-(3-hydroxyphenyl) piperidines as μ opioid receptor antagonists with improved opioid receptor selectivity profiles. *Bioorganic & Medicinal Chemistry Letters* **18(6)**, 2006-2012 (2008).
2. Greenwood-Van Meerveld, B., Gardner, C.J., Little, P.J., *et al.* Preclinical studies of opioids and opioid antagonists on gastrointestinal function. *Neurogastroenterol. Motil.* **16(Suppl. 2)**, 46-53 (2004).

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