

PRODUCT INFORMATION



Alvimopan-d₅ Item No. 33812

Formal Name: N-[2-[[[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]methyl]-1-oxo-3-(phenyl-d₅)propyl]-glycine

Synonym: ADL 8-2698-d₅

MF: C₂₅H₂₇D₅N₂O₄

FW: 429.6

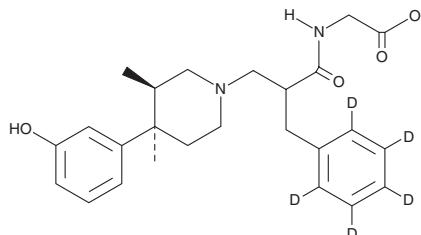
Chemical Purity: ≥95% (Alvimopan)

Deuterium Incorporation: ≥99% deuterated forms (d₁-d₅); ≤1% d₀

Supplied as: A 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-d₅ is intended for use as an internal standard for the quantification of alvimopan (Item No. 23902) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Alvimopan-d₅ is supplied as a solid. A stock solution may be made by dissolving the alvimopan-d₅ in the solvent of choice, which should be purged with an inert gas. Alvimopan-d₅ is soluble in methanol and DMSO.

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. *Bioorg. Med. Chem. Lett.* **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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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