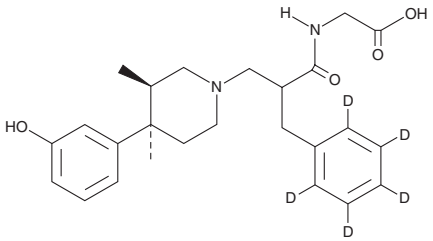
PRODUCT INFORMATION

Alvimopan-d_5

Item No. 33812

Formal Name:	N-[2-[[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethyl-1-piperidinyl]methyl]-1-oxo-3-(phenyl-d_5)propyl]-glycine
Synonym:	ADL 8-2698-d_5
MF:	$C_{25}H_{27}D_5N_2O_4$
FW:	429.6
Chemical Purity:	≥95% (Alvimopan)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_5); ≤1% d_0
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-d_5 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-d_5 is supplied as a solid. A stock solution may be made by dissolving the alvimopan-d_5 in the solvent of choice, which should be purged with an inert gas. Alvimopan-d_5 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).

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