

Alvimopan

Catalog No: tcsc0536



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

156053-89-3

Formula:

$C_{25}H_{32}N_2O_4$

Pathway:

GPCR/G Protein;Neuronal Signaling

Target:

Opioid Receptor;Opioid Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

ADL 8-2698;LY 246736

Observed Molecular Weight:

424.53

Product Description

Alvimopan(LY 246736; ADL 8-2698) is a peripherally acting mu-opioid receptor (PAM-OR, IC₅₀= 1.7 nM) antagonist for accelerating gastrointestinal recovery after surgery.

IC₅₀ Value: 1.7 nM (Mu-type opioid receptor) [1]

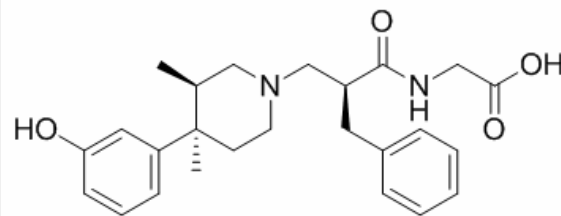
Target: mu-opioid receptor

in vitro: The dissociation rate of alvimopan from the micro opioid receptor (t(1/2)=30--44 min) was comparable to that of the long acting partial agonist buprenorphine (t(1/2)=44 min), but was slower than those of the antagonists naloxone (t(1/2)=0.82 min) and N-methylnaltrexone (t(1/2)=0.46 min) [2].

in vivo: Alvimopan did not significantly accelerate GI-3 compared with placebo [6 mg: hazard ratio (HR) = 1.20, p = 0.080; 12 mg: HR = 1.24, p = 0.038). However, after adjustment for significant covariates (sex/surgical duration), benefits were significant for both doses (6 mg: HR = 1.24, p = 0.037; 12 mg: HR = 1.26, p = 0.028). Alvimopan also significantly accelerated time to GI-2 (6 mg: HR = 1.37, p = 0.008; 12 mg: HR = 1.33, p = 0.018) and DCO (6 mg: HR = 1.31, p = 0.008; 12 mg: HR = 1.28, p = 0.015) [3]. Alvimopan (1 and 3 mg/kg) significantly reversed this delayed GI transit when administered 45 min prior to surgery. However, the effects of alvimopan were less pronounced when administered following surgery [4].

Toxicity: The most common treatment-emergent adverse events across all treatment groups were nausea, vomiting, and hypotension; the incidence of nausea and vomiting was reduced by 53 percent in the alvimopan 12-mg group [5].

Clinical trial: Intercostal Nerve Block With Liposome Bupivacaine in Subjects Undergoing Posterolateral Thoracotomy. Phase 3



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