Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Alvimopan (dihydrate)

Catalog No: tcsc1540

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
170098-38-1

Formula:
$C_{25}H_{36}N_2O_6$

Pathway:
GPCR/G Protein;Neuronal Signaling

Target:
Opioid Receptor;Opioid Receptor

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
LY 246736 dihydrate;ADL 8-2698 dihydrate

Observed Molecular Weight:
460.56

Product Description

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Alvimopan dihydrate(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 thealvimopan 12-mg group [5].

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