

Product Data Sheet

Product Name: Alvimopan dihydrate

Cat. No.: GC12619

Chemical Properties

Cas. No. 170098-38-1

Chemical Name 2-[[[(2S)-2-benzyl-3-[(3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethylpiperidin-1-yl]propanoyl]amino]acetic acid;dihydrate

SMILES CC1CN(CCC1(C)C2=CC(=CC=C2)O)CC(CC3=CC=CC=C3)C(=O)NCC(=O)O.O.O

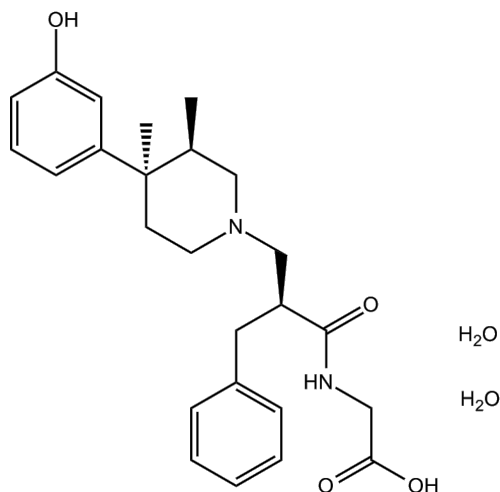
Formula $C_{25}H_{36}N_2O_6$ M.Wt 460.56

Solubility DMSO : 92mg/mL Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Alvimopan dihydrate is a selective antagonist of opioid receptor with IC₅₀ value of 1.7 nM.

Opioid receptor is a G protein-coupled receptor with opioids as ligands.

The dissociation rate of alvimopan from the micro opioid receptor ($t_{1/2}$ =30-44 min) was slower than those of the antagonists N-methylnaltrexone ($t_{1/2}$ =0.46 min) and naloxone ($t_{1/2}$ =0.82 min), and

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was similar to that of the long acting partial agonist buprenorphine ($t(1/2)=44$ min). When preincubation with the micro opioid receptor, the affinity and potency of alvimopan increased apparently, which is consistent with its long duration of action [1].

Patients with opioid induced bowel dysfunction (OBD) orally received alvimopan 0.5 mg twice daily (BID), 1 mg once daily (QD), 1 mg BID, or placebo for 6 weeks. Alvimopan significantly increased spontaneous bowel movements (SBMs) frequency each week in the last three weeks with alvimopan 0.5 mg BID (+1.71 mean SBMs/week), alvimopan 1 mg QD (+1.64) and alvimopan 1 mg BID (+2.52), respectively. While, Alvimopan caused side effects such as abdominal pain, nausea, and diarrhea, which was the same as the placebo. Alvimopan restored GI function and relieved OBD without affecting analgesia [2].

References:

- [1]. Cassel JA, Daubert JD, DeHaven RN. [(3)H]Alvimopan binding to the micro opioid receptor: comparative binding kinetics of opioid antagonists. *Eur J Pharmacol*, 2005, 520(1-3): 29-36.
- [2]. Webster L, Jansen JP, Peppin J, et al. Alvimopan, a peripherally acting mu-opioid receptor (PAM-OR) antagonist for the treatment of opioid-induced bowel dysfunction: results from a randomized, double-blind, placebo-controlled, dose-finding study in subjects taking opioids for chronic non-cancer pain. *Pain*, 2008, 137(2): 428-440.

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