

## Product Data Sheet

Product Name: Alvimopan

Cat. No.: GC17623

### Chemical Properties

Cas. No. 156053-89-3

Chemical Name 2-((Z)-((S)-2-benzyl-1-hydroxy-3-((3R,4R)-4-(3-hydroxyphenyl)-3,4-dimethylpiperidin-1-yl)propylidene)amino)acetic acid

SMILES C[C@@]1([H])CN(C[C@]/C(O)=N/CC(O)=O)([H])CC2=CC=CC=C2)CC[C@]1(C3=CC(O)=CC=C3)C

Formula C<sub>25</sub>H<sub>32</sub>N<sub>2</sub>O<sub>4</sub> M.Wt 424.53

Solubility DMF: 10 mg/ml, DMSO: 20 mg/ml, DMSO:PBS(pH 7.2) (1:3): 0.25 mg/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 (LY 246736; ADL 8-2698) is a peripherally acting mu-opioid receptor (PAM-OR, IC<sub>50</sub> = 1.7 nM) antagonist for accelerating gastrointestinal recovery after surgery. IC<sub>50</sub> 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<sub>1/2</sub> = 30--44 min) was comparable to that of the long acting partial agonist buprenorphine (t<sub>1/2</sub> = 44 min), but was slower than those of the antagonists naloxone (t<sub>1/2</sub> = 0.82 min) and N-methylnaltrexone (t<sub>1/2</sub> = 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 =

**Caution: Product has not been fully validated for medical applications. For research use only.**

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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

### References:

- [1]. NCBI BioAssay: 325959
- [2]. Cassel JA, et al. [(3)H]Alvimopan binding to the micro opioid receptor: comparative binding kinetics of opioid antagonists. *Eur J Pharmacol.* 2005 Sep 27;520(1-3):29-36.
- [3]. Viscusi ER, et al. Alvimopan, a peripherally acting mu-opioid receptor antagonist, compared with placebo in postoperative ileus after major abdominal surgery: results of a randomized, double-blind, controlled study. *Surg Endosc.* 2006 Jan;20(1):64-70.
- [4]. Fukuda H, et al. The selective mu opioid receptor antagonist, alvimopan, improves delayed GI transit of postoperative ileus in rats. *Brain Res.* 2006 Aug 2;1102(1):63-70.
- [5]. Delaney CP, et al. Phase III trial of alvimopan, a novel, peripherally acting, mu opioid antagonist, for postoperative ileus after major abdominal surgery. *Dis Colon Rectum.* 2005 Jun;48(6):1114-25; discussion 1125-6; author reply 1127-9.

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