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产品名称: **Alvimopan (monohydrate)**
产品别名: 爱维莫潘水合物 ; **ADL 8-2698 monohydrate; LY 246736 monohydrate**

生物活性:	
Description	Alvimopan monohydrate (ADL 8-2698 monohydrate) is a peripherally acting mu-opioid receptor (PAM-OR, IC50= 1.7 nM) antagonist for accelerating gastrointestinal recovery after surgery.
IC₅₀ & Target	IC50: 1.7 nM (Mu-type opioid receptor)[1].
In Vivo	Alvimopan monohydrate (ADL 8-2698 monohydrate) 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] . 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] .
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.