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产品名称: 爱维莫潘

产品别名: **Alvimopan dihydrate; 爱维莫潘二水合物 ; ADL 8-2698 dihydrate; LY 246736 dihydrate**

生物活性:

Description

Alvimopan dihydrate (ADL 8-2698 dihydrate) 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

In Vitro:

DMSO : 33.33 mg/mL (72.37 mM; Need ultrasonic)

	Solvent	Mass	Concentration	1 mg	5 mg	10 mg
Preparing			1 mM	2.1713 mL	10.8563 mL	21.7127 mL
Stock Solutions			5 mM	0.4343 mL	2.1713 mL	4.3425 mL
			10 mM	0.2171 mL	1.0856 mL	2.1713 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。

储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:

——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶

1. 请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.43 mM, 饱和度未知) 的澄清溶液。



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	<p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。</p> <p>2. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% (20% SBE-β-CD in saline)</p> <p>Solubility: \geq 2.5 mg/mL (5.43 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (5.43 mM, 饱和度未知) 的澄清溶液。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中, 混合均匀。</p> <p>3. 请依序添加每种溶剂: 10% DMSO \rightarrow 90% corn oil</p> <p>Solubility: \geq 2.5 mg/mL (5.43 mM); Clear solution</p> <p>此方案可获得 \geq 2.5 mg/mL (5.43 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p>
References	<p>[1]. NCBI BioAssay: 325959</p> <p>[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.</p> <p>[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.</p> <p>[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.</p> <p>[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.</p>