# Alvimopan

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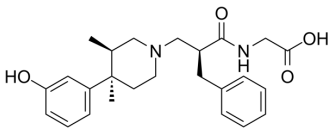
| **Cat. No.:** | HY-13243 |
| **CAS No.:** | 156053-89-3 |
| **Molecular Formula:** | $C_{25}H_{32}N_2O_4$ |
| **Molecular Weight:** | 424.53 |
| **Target:** | Opioid Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## BIOLOGICAL ACTIVITY

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| **Description** | Alvimopan (ADL 8-2698) is a potent, selective, orally active and reversible μ-opioid receptor antagonist, with an $IC_{50}$ of 1.7 nM. Alvimopan has selectivity for μ-opioid receptor ($K_i$=0.47 nM) over κ- and δ-opioid receptors ($K_i$s=100, 12 nM, respectively). Alvimopan can be used for the research of postoperative ileus[1][2][3]. |
| **$IC_{50}$ & Target** | IC50: 1.7 nM (μ-opioid receptor)[1] |
| **In Vitro** | Alvimopan inhibits the loperamide-stimulated [$^{35}$S]GTPγS binding to membranes containing the cloned human μ-opioid receptor, with an $IC_{50}$ value of 1.7 nM[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Alvimopan (0.1-1.0 mg/kg; p.o.) partially antagonizes the slowing of small intestinal transit of $^{113}$Sn-labelled microspheres produced by morphine in rats[3].<br>Alvimopan (3 mg/kg; p.o.) has no effect on the visceromotor behavioural responses (VMR) induced by noxious colorectal distension (CRD) in conscious rats[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Bourdonnec BL, et, al. Novel trans-3,4-dimethyl-4-(3-hydroxyphenyl)piperidines as mu opioid receptor antagonists with improved opioid receptor selectivity profiles. Bioorg Med Chem Lett. 2008 Mar 15;18(6):2006-12.

[2]. Erowele GI, et, al. Alvimopan (Entereg), a Peripherally Acting mu-Opioid Receptor Antagonist For Postoperative Ileus. P T. 2008 Oct;33(10):574-83.

[3]. Meerveld BG, et, al. Preclinical studies of opioids and opioid antagonists on gastrointestinal function. Neurogastroenterol Motil. 2004 Oct;16 Suppl 2:46-53.

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

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