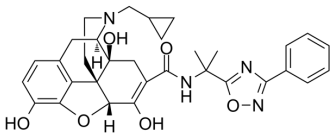
Naldemedine

Cat. No.:	HY-19627
CAS No.:	916072-89-4
Molecular Formula:	$C_{32}H_{34}N_4O_6$
Molecular Weight:	570.64
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

Description

Naldemedine (S-297995) is an orally active μ-opioid receptor antagonist (PAMORA)[1]. Naldemedine shows potent binding affinities (Ki=0.34, 0.43, 0.94 nM, respectively) and antagonist activities (IC_{50}=25.57, 7.09, 16.1 nM, respectively) for recombinant human μ-, δ-, and κ- opioid receptors[2]. Naldemedine can be used in opioid-induced constipation (OIC) research[2]. Naldemedine is predicted to bind to $3CL^{pro}$ encoded by SARS-CoV2 genome[3].

In Vivo

Naldemedine (oral gavage; 0.03-10 mg/kg; once) represses the opioid-induced inhibition of small intestinal transit in rats by subcutaneous morphine and oxycodone[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6-week-old Wistar and SD male rats[2]
Dosage:	0.03-10 mg/kg
Administration:	Oral gavage; 0.03-10 mg/kg; once
Result:	Repressed the subcutaneous morphine-induced inhibition of small intestinal transit in rats with an ED_{50} of 0.03 mg/kg, and the oxycodone-induced inhibition model with an ED_{50} of 0.02 mg/kg.

REFERENCES

[1]. Hannah A. Blair. Naldemedine: A Review in Opioid-Induced Constipation. Drugs. 2019 Jul;79(11):1241-1247.

[2]. Toshiyuki Kanemasa, et al. Pharmacologic effects of naldemedine, a peripherally acting μ-opioid receptor antagonist, in in vitro and in vivo models of opioid-induced constipation. Neurogastroenterol Motil. 2019 May;31(5):e13563.

[3]. Sugandh Kumar, et al. Identification of multipotent drugs for COVID-19 therapeutics with the evaluation of their SARS-CoV2 inhibitory activity. Comput Struct Biotechnol J. 2021;19:1998-2017.

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

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