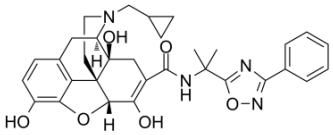
Naldemedine

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 COA.



BIOLOGICAL ACTIVITY

Description

Naldemedine (S-297995) is an orally active, peripherally acting **μ-opioid receptor** antagonist. Naldemedine shows potent binding affinities (IC_{50}s = 1.15, 1.11, and 1.5 nM, repectively) and antagonist activities (IC_{50}s= 25.57, 7.09, 16.1 nM, repectively) for recombinant human μ-, δ-, and κ- opioid receptors. Naldemedine tempers opioid-induced constipation (OIC) without compromising opioid analgesia[1].

In Vivo

Naldemedine (S-297995) (0.001-10 mg/kg; p.o.) significantly represses the opioid-induced inhibition of small intestinal transit in rats. In the subcutaneous Morphine-induced inhibition model, the ED_{50}s ± standard error of the mean is 0.03 ± 0.02 mg/kg for Naldemedine. Subcutaneous morphine-inhibited castor oil-induced diarrhea in rats, and pretreatment with Naldemedine 0.03-1 mg/kg significantly reverses this effect[1].

Animal Model:	6-week-old Crlj: WI male rats[1]
Dosage:	0.001-10 mg/kg
Administration:	P.o.
Result:	Significantly repressed the opioid-induced inhibition of small intestinal transit in rats by subcutaneous morphine (P < 0.05 or P < 0.01 for naldemedine 0.03-10 mg/kg), and oxycodone (P < 0.01 for naldemedine 0.03-3 mg/kg).

REFERENCES

[1]. Kanemasa T, 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;31(5):e13563.

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