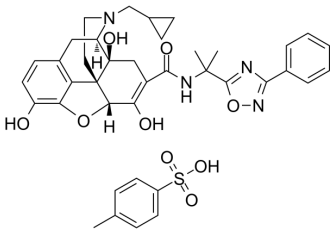
Naldemedine tosylate

Cat. No.:	HY-19627A
CAS No.:	1345728-04-2
Molecular Formula:	$C_{39}H_{42}N_4O_9S$
Molecular Weight:	742.84
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	-20°C, stored under nitrogen, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



BIOLOGICAL ACTIVITY

Description	Naldemedine (S-297995) tosylate is an orally active μ-opioid receptor antagonist (PAMORA)[1]. Naldemedine tosylate shows potent binding affinities (K_i=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 tosylate is predicted to bind to $3CL^{pro}$ encoded by SARS-CoV2 genome[3].
IC_{50} & Target	μ Opioid Receptor/MOR
In Vivo	Naldemedine tosylate (oral gavage; 0.03-10 mg/kg; once) represses the opioid-induced inhibition of small intestinal transit in rats[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 inhibition of small intestinal transit in rats with an ED_{50} of 0.03 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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