

Product Data Sheet

Product Name: Naldemedine

Cat. No.: GC46182

Chemical Properties

Cas. No. 916072-89-4

SMILES OC1=CC=C2C3=C1O[C@](C(O)=C(C(NC(C)(C)C4=NC(C5=CC=CC=C5)=NO4)=O)C6)([H])[C@@]3(CCN7CC8CC8)[C@]6(O)[C@H]7C2

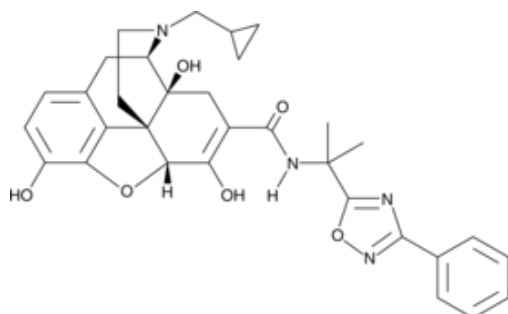
Formula C₃₂H₃₄N₄O₆ M.Wt 570.6

Solubility Chloroform: slightly soluble Storage Store at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT, or Condition blue ice upon request.

Structure



Background

Naldemedine is a peripherally acting opioid receptor antagonist (IC₅₀s = 1.15, 1.11, and 1.5 nM for recombinant human μ -, δ -, and κ -opioid receptors, respectively).^{1,2} It inhibits opioid-induced emesis and vomiting in ferrets and opioid-induced constipation in rats (ED₅₀ = 0.03 mg/kg for both) without affecting the analgesic effect of morphine in the tail-flick test in rats.¹ Naldemedine induces the opioid withdrawal symptoms of diarrhea and teeth chattering, but not jumping, in morphine-dependent rats when administered at doses of 1 and 3 mg/kg, respectively.² Formulations containing naldemedine have been used in the treatment of opioid-induced constipation.

[1. Inagaki, M., Kume, M., Tamura, Y., et al. Discovery of naldemedine: A potent and orally available opioid receptor antagonist for treatment of opioid-induced adverse effects. *Bioorg. Med. Chem. Lett.*

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

29(1), 73-77 (2019).|2. Kanemasa, T., Koike, K., Arai, 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. 31(5), e13563 (2019).

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA