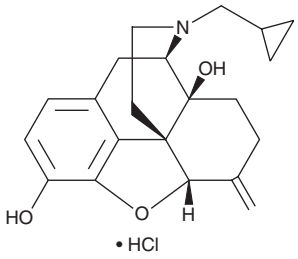
PRODUCT INFORMATION

Nalmefene (hydrochloride)

Item No. 28266

CAS Registry No.:	58895-64-0
Formal Name:	(5α)-17-(cyclopropylmethyl)-4,5-epoxy-6-methylene-morphinan-3,14-diol, monohydrochloride
Synonym:	NIH 10365
MF:	$C_{21}H_{25}NO_3$ • HCl
FW:	375.9
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Nalmefene (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the nalmefene (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Nalmefene (hydrochloride) is soluble (≥10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in acetonitrile.

Nalmefene (hydrochloride) is slightly soluble (0.1-1 mg/ml) in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Nalmefene is an antagonist of μ- and κ-opioid receptors (K_is = 0.91 and 1.03 nM, respectively, in CHO membranes expressing the human receptors).[1] It is selective for μ- and κ-opioid receptors over δ-opioid receptors (K_i = 13.26 nM in CHO membranes expressing the human receptors). Nalmefene decreases self-administration of alcohol in non-alcohol- and alcohol-dependent rats.[2] It reduces food and water intake and body weight in obese and lean rats when administered at a dose of 25 mg/kg per day.[3] Nalmefene (32 mg/kg) inhibits morphine-induced scratching behavior and increases in the latency to tail withdrawal in a warm water tail withdrawal assay in rhesus monkeys.[4] Formulations containing nalmefene have been used in the treatment of opioid overdose.

References

1. Ghirmai, S., Azar, M.R., Polgar, W.E., *et al.* Synthesis and biological evaluation of α- and β-6-amido derivatives of 17-cyclopropylmethyl-3, 14β-dihydroxy-4, 5α-epoxymorphinan: Potential alcohol-cessation agents. *J. Med. Chem.* **51(6)**, 1913-1924 (2008).
2. Walker, B.M. and Koob, G.F. Pharmacological evidence for a motivational role of κ-opioid systems in ethanol dependence. *Neuropsychopharmacology* **33(3)**, 643-652 (2008).
3. McLaughlin, C.L. and Baile, C.A. Nalmefene decreases meal size, food and water intake and weight gain in Zucker rats. *Pharmacol. Biochem. Behav.* **19(2)**, 235-240 (1983).
4. Holden Ko, M.C. and Naughton, N.N. An experimental itch model in monkeys: Characterization of intrathecal morphine-induced scratching and antinociception. *Anesthesiology* **92(3)**, 795-805 (2000).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM