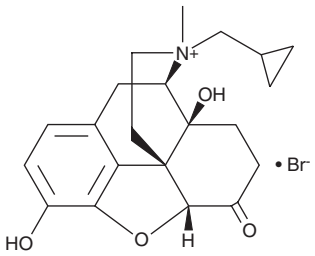
PRODUCT INFORMATION

Methylnaltrexone (bromide)

Item No. 24072

CAS Registry No.: 73232-52-7
Formal Name: 17-(cyclopropylmethyl)-4,5α-epoxy-3,14-dihydroxy-17-methyl-6-oxo-morphinanium, monobromide
Synonyms: MRZ 2663BR, Naltrexone methylbromide
MF: $C_{21}H_{26}NO_4$ • Br
FW: 436.3
Purity: ≥95%
Supplied as: A crystalline 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

Methylnaltrexone (bromide) is supplied as a crystalline solid. Aqueous solutions of methylnaltrexone (bromide) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of methylnaltrexone (bromide) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Methylnaltrexone is a quaternary positively-charged form of naltrexone (Item No. 15520) that does not cross the blood brain barrier. It is a peripherally restricted μ-opioid receptor antagonist with a K_i value of 10 nM for human recombinant receptors expressed in CHO-K1 cells and IC_{50} values of 130.7 and 0.43 nM in rat brain membranes prepared with sodium chloride or water, respectively.[1] Methylnaltrexone is selective for μ-opioid receptors over δ- and κ-opioid receptors (K_is = 630.95 and 31.62 nM, respectively). It inhibits contractions evoked by electrical field stimulation (EFS) in ileum isolated from morphine-naïve guinea pigs and dose-dependently decreases spontaneous mechanical activity in ileum isolated from morphine-treated guinea pigs when administered at doses of 1 and 10 μM.[2] It does not inhibit heroin self-administration in rats and does not induce withdrawal syndrome in morphine-dependent rhesus monkeys when administered at doses up to 32 mg/kg.[1,3] Formulations containing methylnaltrexone have been used in the treatment of opioid-induced constipation.

References

1. Valentino, R.J., Katz, J.L., Medzihradsky, F., *et al.* Receptor binding, antagonist, and withdrawal precipitating properties of opiate antagonists. *Life Sci.* **32(25)**, 2887-2896 (1983).
2. Beattie, D.T., Cheruvu, M., Mai, N., *et al.* The *in vitro* pharmacology of the peripherally restricted opioid receptor antagonists, alvimopan, ADL 08-0011 and methylnaltrexone. *Naunyn Schmiedebergs Arch. Pharmacol.* **375(3)**, 205-220 (2007).
3. Koob, G.F., Pettit, H.O., Ettenberg, A., *et al.* Effects of opiate antagonists and their quaternary derivatives on heroin self-administration in the rat. *J. Pharm. Exp. Ther.* **229(2)**, 481-486 (1984).

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