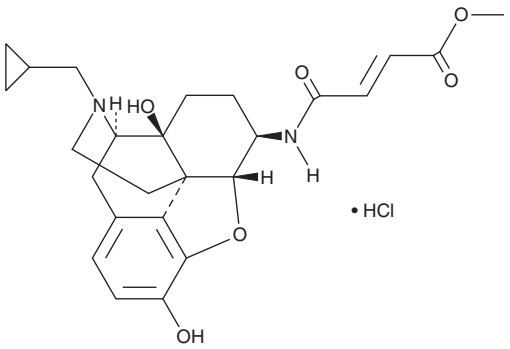
PRODUCT INFORMATION

β-Funaltrexamine (hydrochloride)

Item No. 39901

CAS Registry No.:	72786-10-8
Formal Name:	4-[[(5α,6β)-17-(cyclopropylmethyl)-4,5-epoxy-3,14-dihydroxymorphinan-6-yl]amino]-4-oxo-2-butenoic acid, methyl ester, monohydrochloride
Synonyms:	β-FNA, Naltrexone fumarate methyl ester
MF:	$C_{25}H_{30}N_2O_6 \bullet HCl$
FW:	491.0
Purity:	≥95%
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

β-Funaltrexamine (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the β-funaltrexamine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. β-Funaltrexamine (hydrochloride) is sparingly soluble (1-10 mg/ml) in DMSO.

Description

β-Funaltrexamine is an irreversible μ- and κ_1-opioid receptor antagonist (K_is = 0.3 and 0.2 nM, respectively).[1,2] It is selective for μ- and κ_1-opioid receptors over the δ-opioid receptor (K_i = 12.8 nM).[1] β-Funaltrexamine also reversibly inhibits electricity-induced twitches of isolated guinea pig ileal longitudinal muscle strips (IC_{50} = 48 nM), indicating opioid agonist activity.[2] *In vivo*, β-funaltrexamine (0.3 μg/animal, i.c.v.) inhibits conditioned place preference induced by the μ-opioid receptor agonist endomorphin 1 (Item No. 23280) in mice.[3] It prevents decreases in urine output induced by the opioid agonists fentanyl, D-propoxyphene, buprenorphine, profadol, or bromadoline in water-loaded rats when administered at a dose of 40 mg/kg.[4] β-Funaltrexamine also reduces hemokinin 1-induced increases in the latency to withdrawal in the tail-flick test in mice.[5]

References

1. Toll, L., Berzetei-Gurske, I.P., Polgar, W.E., *et al. NIDA Res. Monogr.* **178**, 440-466 (1998).
2. Takemori, A.E., Larson, D.L., and Portoghese, P.S. *Eur. J. Pharmacol.* **70(4)**, 445-451 (1981).
3. Wu, H.-e., MacDougall, R.S., Clithero, A.D., *et al. Neurosci. Lett.* **365(3)**, 157-161 (2004).
4. Hayes, A.G., Skingle, M., and Tyers, M.B. *J. Pharmacol. Exp. Ther.* **240(3)**, 984-988 (1987).
5. Fu, C.Y., Zhao, Y.L., Dong, L., *et al. Brain Behav. Immun.* **22(6)**, 850-860 (2008).

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