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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

PRODUCT INFORMATION

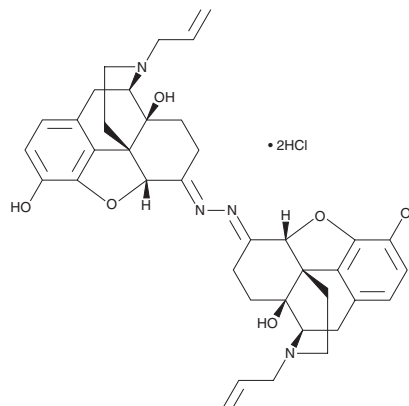


Naloxonazine (hydrochloride)

Item No. 21950

CAS Registry No.: 880759-65-9
Formal Name: (5 α)-[(5 α)-4,5-epoxy-3,14-dihydroxy-17-(2-propenyl)morphinan-6-ylidene]hydrazone, 4,5-epoxy-3,14-dihydroxy-17-(2-propenyl)-morphinan-6-one, dihydrochloride

Synonym: NLXZ
MF: C₃₈H₄₂N₄O₆ • 2HCl
FW: 723.7
Purity: \geq 98%
Supplied as: A solid
Storage: -20°C
Stability: \geq 4 years



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

Laboratory Procedures

Naloxonazine (hydrochloride) is supplied as a solid. Aqueous solutions of naloxonazine (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. Naloxonazine (hydrochloride) is slightly soluble in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

Description

Naloxonazine is a μ -opioid receptor antagonist ($K_i = 0.054$ nM in a radioligand binding assay).¹ It is selective for μ -opioid over κ - and δ -opioid receptors (K_i s = 11 and 8.6 nM, respectively, in radioligand binding assays) and selectively binds to high-affinity μ_1 -opioid over μ - and δ -opioid receptors (K_d s = 0.1, 2, and 5 nM, respectively).^{1,2} Naloxonazine (0.16 mg/kg) reverses sufentanil-induced antinociception, as well as hypercapnia and hypoxia, markers of respiratory depression, in rats.³ It reduces ethanol self-administration and food intake in rats when administered at a dose of 10 mg/kg.⁴ Naloxonazine (20 mg/kg) inhibits cocaine-induced place preference in rats.⁵

References

1. Raynor, K., Kong, H., Chen, Y., *et al.* Pharmacological characterization of the cloned κ -, δ -, and μ -opioid receptors. *Mol. Pharm.* **45**(2), 330-334 (1994).
2. Cruciani, R.A., Lutz, R.A., Munson, P.J., *et al.* Naloxonazine effects on the interaction of enkephalin analogs with μ -1, μ and δ opioid binding sites in rat brain membranes. *J. Pharmacol. Exp. Ther.* **242**(1), 15-20 (1987).
3. Verborgh, C. and Meert, T.F. Antagonistic effects of naloxone and naloxonazine on sufentanil-induced antinociception and respiratory depression in rats. *Pain* **83**(1), 17-24 (1999).
4. Mhatre, M. and Holloway, F. μ 1-opioid antagonist naloxonazine alters ethanol discrimination and consumption. *Alcohol* **29**(2), 109-116 (2003).
5. Rademacher, D.J. and Steinpreis, R.E. Effects of the selective μ_1 -opioid receptor antagonist, naloxonazine, on cocaine-induced conditioned place preference and locomotor behavior in rats. *Neurosci. Lett.* **332**(3), 159-162 (2002).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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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