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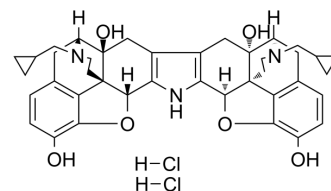
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Norbinaltorphimine dihydrochloride

Cat. No.:	HY-100903
CAS No.:	113158-34-2
Molecular Formula:	C ₄₀ H ₄₅ Cl ₂ N ₃ O ₆
Molecular Weight:	734.71
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (136.11 mM; Need ultrasonic)				
	H ₂ O : 33.33 mg/mL (45.36 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	1.3611 mL	6.8054 mL	13.6108 mL
		5 mM	0.2722 mL	1.3611 mL	2.7222 mL
10 mM		0.1361 mL	0.6805 mL	1.3611 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (3.40 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (3.40 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Norbinaltorphimine dihydrochloride is a potent and selective κ opioid receptor antagonist.
IC ₅₀ & Target	κ opioid receptor ^[1]
In Vitro	Norbinaltorphimine reversibly antagonize the effects of κ agonists with pA ₂ values of 10.2-10.4. Norbinaltorphimine is much less potent as an antagonist at μ and δ receptors, pA ₂ values are 7.4-7.6 and 7.6-7.8, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Norbinaltorphimine has weak and inconsistent effects on THC-induced taste avoidance in adolescent rats in that Norbinaltorphimine both attenuates and strengthens taste avoidance dependent on dose and trial. Norbinaltorphimine has

limited impact on the final one-bottle avoidance and no effects on the two-bottle preference test. Interestingly, Norbinaltorphimine has no effect on THC-induced taste avoidance in adult rats as well^[2]. Norbinaltorphimine pretreatment significantly attenuates stress-induced reinstatement of nicotine-CPP, but has no effect on nicotine-primed reinstatement^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration ^{[2][3]}

Rats^[2]

Norbinaltorphimine is dissolved in sterile H₂O at a concentration of 15 mg/mL and administered subcutaneously (SC) at a dose of 15 mg/kg. Male Sprague Dawley rats are ranked according to average water consumption on all habituation cycles and assigned to one of two groups [Norbinaltorphimine (n=42) and Vehicle (n=42)], such that mean water intake is comparable among groups. On PND 34 (approximately 24 h prior to conditioning, see below), subjects assigned to the Norbinaltorphimine group are injected with Norbinaltorphimine (15 mg/kg) and subjects assigned to the Vehicle group are injected with the Norbinaltorphimine vehicle at an equal volume^[2].

Mice^[3]

Mice are conditioned with 0.5 mg/kg nicotine, injected subcutaneously (s.c.) for 3 days and tested in the nicotine-conditioned place preference (CPP) model. After 3 days extinction, Norbinaltorphimine (10 mg/kg, s.c.) is administered 16 h prior to a priming dose of nicotine (0.1 mg/kg, s.c.), and mice are tested in the CPP model for nicotine-induced reinstatement of CPP. A separate group of mice is subjected to a 2-day modified forced swim test (FST) paradigm to induce stress after 3 days extinction from CPP. Mice are given vehicle or Norbinaltorphimine (10 mg/kg, s.c.) 16 h prior to each FST session^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Front Immunol. 2021 Jul 7;12:692286.
- Front Cell Neurosci. 2022 Jun 2;16:894886.
- Aging. 2021 May 20;13(10):14355-14371.

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REFERENCES

- [1]. Birch PJ, et al. Norbinaltorphimine: antagonist profile at kappa opioid receptors. Eur J Pharmacol. 1987 Dec 15;144(3):405-8.
- [2]. Flax SM, et al. Effect of norbinaltorphimine on Δ^9 -tetrahydrocannabinol (THC)-induced taste avoidance in adolescent and adult Sprague-Dawley rats. Psychopharmacology (Berl). 2015 Sep;232(17):3193-201.
- [3]. Jackson KJ, et al. Effects of the kappa opioid receptor antagonist, norbinaltorphimine, on stress and drug-induced reinstatement of nicotine-conditioned place preference in mice. Psychopharmacology (Berl). 2013 Apr;226(4):763-8.

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

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