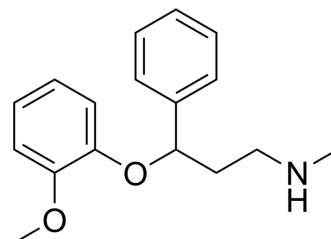


Nisoxetine

Cat. No.:	HY-B1704
CAS No.:	53179-07-0
Molecular Formula:	C ₁₇ H ₂₁ NO ₂
Molecular Weight:	271.35
Target:	Monoamine Transporter; Sodium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	<div>Pure form</div> <div>-20°C 3 years</div> <div>4°C 2 years</div> <div>In solvent</div> <div>-80°C 6 months</div> <div>-20°C 1 month</div>



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (921.32 mM; Need ultrasonic)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		3.6853 mL	18.4264 mL	36.8528 mL
	5 mM		0.7371 mL	3.6853 mL	7.3706 mL
	10 mM		0.3685 mL	1.8426 mL	3.6853 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Nisoxetine is a potent and selective inhibitor of noradrenaline transporter (NET), with a K_d of 0.76 nM. Nisoxetine is an antidepressant and local anesthetic, it can block voltage-gated sodium channels^{[1][2][3]}.

IC₅₀ & Target

K_d: 0.76 nM (NET)^[1]

In Vitro

Nisoxetine inhibits [³H]Nisoxetine binding to rat frontal cortical membranes with a K_i of 1.4±0.1 nM^[2].
 Nisoxetine inhibits [³H]Noradrenaline uptake into rat frontal cortical synaptosomes with a K_i of 2.1±0.3 nM^[2].
 Nisoxetine inhibits Na⁺ currents with IC₅₀s of 1.6 and 28.6 μM at the membrane potential of -70 and -100 mV, respectively^[3].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Nisoxetine (2.2 μM; a single intrathecal injection) shows 100, 100, and 100% of blockades in motor function, proprioception, and with duration of action of about 61, 96, and 236 min, respectively^[3].
 Nisoxetine (3,10, 30 mg/kg, i.p.) inhibits refeeding response (intake of standard chow) in rats^[4].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats(290-340 g) ^[3]
Dosage:	0.6, 1.2, 1.8, 2.2 μ M
Administration:	A single intrathecal injection
Result:	Showed ED ₅₀ s of 0.82, 0.75 and 0.70 μ M in blocking motor function, proprioception, and nociception respectively.

CUSTOMER VALIDATION

- Crit Rev Anal Chem. 2021 Mar 10;1-15.

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REFERENCES

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- [2]. Cheetham SC, et, al. [3H]nisoxetine-a radioligand for noradrenaline reuptake sites: correlation with inhibition of [3H]noradrenaline uptake and effect of DSP-4 lesioning and antidepressant treatments. Neuropharmacology. 1996 Jan; 35(1): 63-70.
- [3]. Leung YM, et, al. Nisoxetine blocks sodium currents and elicits spinal anesthesia in rats. Pharmacol Rep. 2013; 65(2): 350-7.
- [4]. Bello NT, et al. High-fat diet-induced alterations in the feeding suppression of low-dose nisoxetine, a selective norepinephrine reuptake inhibitor. J Obes. 2013;2013:457047.

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

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