Nisoxetine

Cat. No.: HY-B1704

CAS No.: 53179-07-0

Molecular Formula: $C_{17}H_{21}NO_2$

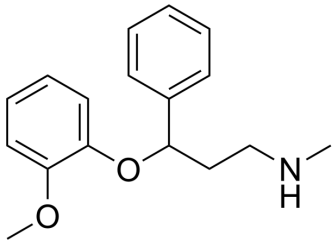
Molecular Weight: 271.35

Target: Monoamine Transporter; Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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].

IC_{50} & Target

Kd: 0.76 nM (NET)[1]

In Vitro

Nisoxetine inhibits [^{3}H]Nisoxetine binding to rat frontal cortical membranes with a K_i of 1.4±0.1 nM[2].

Nisoxetine inhibits [^{3}H]Noradrenaline uptake into rat frontal cortical synaptosomes with a K_i of 2.1±0.3 nM[2].

Nisoxetine inhibits Na^+ currents with IC_{50}s 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 μM
Administration:	A single intrathecal injection
Result:	Showed ED_{50}s of 0.82, 0.75 and 0.70 μM in blocking motor function, proprioception, and nociception respectively.

CUSTOMER VALIDATION

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

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REFERENCES

[1]. Béïque JC, et, al. Affinities of venlafaxine and various reuptake inhibitors for the serotonin and norepinephrine transporters. Eur J Pharmacol. 1998 May 15; 349(1): 129-32.

[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA