Nisoxetine hydrochloride

Cat. No.: HY-B1704A

CAS No.: 57754-86-6

Molecular Formula: $C_{17}H_{22}ClNO_2$

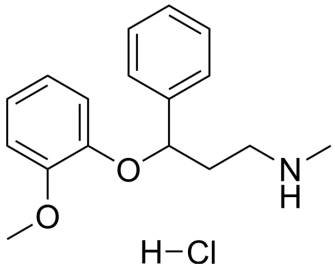
Molecular Weight: 307.82

Target: Monoamine Transporter; Sodium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-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 (812.16 mM; Need ultrasonic)

H_2O : 8.33 mg/mL (27.06 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2487 mL	16.2433 mL	32.4865 mL
5 mM	0.6497 mL	3.2487 mL	6.4973 mL
10 mM	0.3249 mL	1.6243 mL	3.2487 mL

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

BIOLOGICAL ACTIVITY

Description

Nisoxetine hydrochloride is a potent and selective inhibitor of noradrenaline transporter (NET), with a K_d of 0.76 nM.
Nisoxetine hydrochloride 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 hydrochloride inhibits [^{3}H]Nisoxetine binding to rat frontal cortical membranes with a K_i of 1.4±0.1 nM[2].
Nisoxetine hydrochloride inhibits [^{3}H]Noradrenaline uptake into rat frontal cortical synaptosomes with a K_i of 2.1±0.3 nM[2].
Nisoxetine hydrochloride 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) hydrochloride 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.) hydrochloride 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]. 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.

[2]. 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.

[3]. 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.

[4]. Leung YM, et, al. Nisoxetine blocks sodium currents and elicits spinal anesthesia in rats. Pharmacol Rep. 2013; 65(2): 350-7.

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