

Nisoxetine

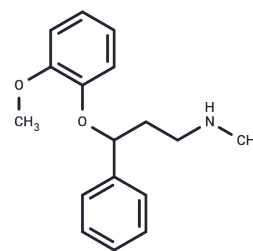
Chemical Properties

CAS No. : 53179-07-0

Formula: C17H21NO2

Molecular Weight: 271.35

Storage: Store at low temperature
 Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

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|---------------|---|
| Description | Nisoxetine is a selective NET (noradrenaline transporter) inhibitor ($K_d=0.76$ nM) capable of blocking voltage-gated sodium channels, inducing spinal anaesthesia in rats, and exhibiting antidepressant effects. |
| Targets(IC50) | Norepinephrine, Monoamine Transporter, Sodium Channel |
| In vitro | Nisoxetine inhibits the binding of $[3H]$ Nisoxetine on rat frontal cortex membranes with a $K_i = 1.4 \pm 0.1$ nM[2]. Nisoxetine inhibits the uptake of $[3H]$ norepinephrine in rat frontal cortex synaptosomes with a $K_i = 2.1 \pm 0.3$ nM[2]. At membrane potentials of -70 mV and -100 mV, the IC50 values of Nisoxetine for Na ⁺ currents are 1.6 μ M and 28.6 μ M, respectively[3]. |
| In vivo | Acute administration of Nisoxetine (saline: 0, 3, 10, and 30 mg/kg; i.p.) resulted in a dose-dependent reduction in the 24 h refeeding response in male Sprague Dawley rats maintained on standard chow [4]. |

Solubility Information

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| Solubility | DMSO: 200 mg/mL (737.06 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|--|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 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 |
| 50 mM | 0.0737 mL | 0.3685 mL | 0.7371 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Béique 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.

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.

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

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.

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