Proteins

Inhibitors

Norfluoxetine hydrochloride

Cat. No.: HY-W011235 CAS No.: 57226-68-3 Molecular Formula: $C_{16}H_{17}ClF_{3}NO$ Molecular Weight: 331.76

Target: 5-HT Receptor; Calcium Channel; Drug Metabolite

Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel; Metabolic

Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

$$H_2N$$

Product Data Sheet

HCI

SOLVENT & SOLUBILITY

In Vitro

DMSO: 125 mg/mL (376.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.0142 mL	15.0711 mL	30.1423 mL
	5 mM	0.6028 mL	3.0142 mL	6.0285 mL
	10 mM	0.3014 mL	1.5071 mL	3.0142 mL

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

BIOLOGICAL ACTIVITY

Description Norfluoxetine hydrochloride is an active N-demethylated metabolite of Fluoxetine. Fluoxetine is a selective serotonin (5-HT) reuptake inhibitor that is metabolized to Norfluoxetine hydrochloride by cytochrome P450 (CYP) 2D6, CYP2C19, and CYP3A4. Norfluoxetine hydrochloride inhibits 5-HT uptake and inhibits CaV3.3 T current (IC50 = $5 \mu M$). Norfluoxetine hydrochloride has anticonvulsant activity [1][2][3][4].

IC₅₀ & Target 5-HT Receptor Ca_V3.3 5 μM (IC₅₀)

> Pretreatment with Fluoxetine or Norfluoxetine hydrochloride (20mg/kg s.c.), as well as Phenytoin (30 mg/kg s.c.) and Clonazepam (0.1mg/kg s.c.) significantly increases both the rate and duration of survival, demonstrating a significant protective effect against Pentylenetetrazol-induced epilepsy^[1].

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

REFERENCES

In Vivo

- [1]. Valéria Kecskeméti, et al. Norfluoxetine and fluoxetine have similar anticonvulsant and Ca2+ channel blocking potencies. Brain Res Bull. 2005 Sep 30;67(1-2):126-32.
- [2]. Achraf Traboulsie, et al. T-type calcium channels are inhibited by fluoxetine and its metabolite norfluoxetine. Mol Pharmacol. 2006 Jun;69(6):1963-8.
- [3]. Hyeon-Cheol Jeong, et al. Prediction of Fluoxetine and Norfluoxetine Pharmacokinetic Profiles Using Physiologically Based Pharmacokinetic Modeling. Clin Pharmacol. 2021 Nov;61(11):1505-1513.
- [4]. DT Wong, et al. Norfluoxetine enantiomers as inhibitors of serotonin uptake in rat brain. Neuropsychopharmacology. 1993 Jun;8(4):337-44.

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

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