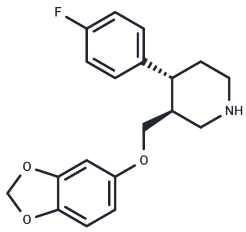
Data Sheet (Cat.No.T1636)

TargetMol

Paroxetine hydrochloride

Chemical Properties



CAS No. : 78246-49-8

Formula: C19H21ClFNO3

Molecular Weight: 365.826

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Paroxetine hydrochloride (Paroxetine HCl) is a serotonin uptake inhibitor that is effective in the treatment of depression.
Targets(IC50)	5-HT Receptor,AChR,Autophagy,GRK,Serotonin Transporter
In vitro	Paroxetine, at an ED50 of 1-3 mg/kg when administered orally (PO), demonstrates the capability to prevent the depletion of serotonin (5-HT) in rats' brains induced by p-chloroamphetamine (PCA), signifying an inhibition of serotonin uptake in vivo. Additionally, in isolated rat hypothalamic synaptosomes, paroxetine exhibits a dose-dependent inhibition of [3H] - 5-HT uptake with an ED50 of 1.9 mg/kg, while showing minimal effects on the uptake of [3H] - norepinephrine (NA), with an ED50 exceeding 30 mg/kg.
In vivo	Paroxetine, a highly effective hydroxylated metabolite inhibitor of (dextromethorphan), demonstrates the concentration-dependent reduction in the firing rate of serotonergic neurons within the DRN of super fused brainstem slices at 1-300 μM, with an IC50 value of 1.4 μM. With an inhibition constant (Ki) of 2 mM, Paroxetine's inhibitory capacity surpasses that of fluoxetine or norfluoxetine, indicating its more potent effect. Moreover, in rat cortical and in vitro hypothalamic synapses, Paroxetine acts as a potent and specific inhibitor of [3H]-5-hydroxytryptamine (5-HT), with a Ki of 1.1 nM. Its antidepressant activity is attributed to the increased concentration of 5-HT in the extracellular compartment, thereby enhancing serotonergic neurotransmission. Paroxetine also inactivates CYP2D6 by forming metabolic intermediate complexes.
Cell Research	Paroxetine is dissolved in DMSO. Cell viability is determined by the tetrazolium salt 3-[4,5-dimethylthiazol-2-yl]-2,5-diphenyltetrazolium bromide (MTT) assay. BV2 and primary microglial cells are initially seeded into 96-well plates at a density of 1×104 cells/well and 5×104 cells/well, respectively. Following treatment, MTT (5 mg/mL in PBS) is added to each well and incubated at 37°C for four hours. The resulting formazan crystals are dissolved in dimethylsulfoxide (DMSO). The optical density is measured at 570 nm, and results are expressed as a percentage of surviving cells compared with the control.

Solubility Information

Solubility	H2O: 10 mg/mL (27.34 mM),Sonication is recommended. Ethanol: 35 mg/mL (95.67 mM),Sonication is recommended. DMSO: 45 mg/mL (123.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7335 mL	13.6676 mL	27.3351 mL
5 mM	0.5467 mL	2.7335 mL	5.467 mL
10 mM	0.2734 mL	1.3668 mL	2.7335 mL
50 mM	0.0547 mL	0.2734 mL	0.5467 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.

Reference

Le Poul E, et al. Naunyn Schmiedebergs Arch Pharmacol, 1995, 352(2), 141-148.
Wang K, Gong Q, Zhan Y, et al. Blockage of autophagic flux and induction of mitochondria fragmentation by Paroxetine hydrochloride in lung cancer cells promotes apoptosis via the ROS-MAPK pathway. Frontiers in Cell and Developmental Biology. 2020, 7: 397
Xu D W, Li W Y, Shi T S, et al.MiR-184-3p in the paraventricular nucleus participates in the neurobiology of depression via regulation of the hypothalamus-pituitary-adrenal axis.Neuropharmacology.2024: 110129.
von Moltke LL, et al. J Clin Psychopharmacol, 1995, 15(2), 125-131.
Thomas DR, et al. Psychopharmacology (Berl), 1987, 93(2), 193-200.
Bertelsen KM, et al. Drug Metab Dispos, 2003, 31(3), 289-293.
Lassen TR, et al. Effect of paroxetine on left ventricular remodeling in an in vivo rat model of myocardial infarction. Basic Res Cardiol. 2017 May;112(3):26.
Waldschmidt HV, et al. Structure-Based Design of Highly Selective and Potent G Protein-Coupled Receptor Kinase 2 Inhibitors Based on Paroxetine. J Med Chem. 2017 Apr 13;60(7):3052-3069.
Wang K, Gong Q, Zhan Y, et al. Blockage of autophagic flux and induction of mitochondria fragmentation by Paroxetine hydrochloride in lung cancer cells promotes apoptosis via the ROS-MAPK pathway[J]. Frontiers in Cell and Developmental Biology. 2020, 7: 397.