Product Data Sheet

Product Name:	Paroxetine hydrochloride hemihydrate (BRL29060 hydrochloride hemihydrate)
Cat. No.:	GC30992

Chemical Properties

Cas. No.	110429-35-1		
SMILES	FC1=CC=C([C@H]2[C@H](COC3=CC=C(OCO4)C4=C3)CNCC2)C=C1.[0.5H2O].Cl		
Formula	$C_{19}H_{22}ClFNO_{3.5}$	M.Wt	374.83
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Protocol

Cell experiment:	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.

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Animal experiment: Animals are divided into two main groups: 1) pre-emptive and 2) post-injury group. Each main group is divided into three different subgroups: I) CCI vehicle-treated group, II) sham group, and III) CCI paroxetine-treated group. Vehicle is injected i.p. to CCI and sham-operated animals. In the pre-emptive study, paroxetine (10 mg/kg) is injected 1 h before surgery and continued daily until day 14 post surgery. In the post-injury group, paroxetine (10 mg/kg) is administered at day 7 post injury and continued daily until day 14. All behavioral tests are recorded on day 0 (control day) before surgery and on days 1, 3, 5, 7, 10, and 14 post-nerve injury.

References:

[1]. Wang Q, et al. Paroxetine alleviates T lymphocyte activation and infiltration to joints of collagen-induced arthritis. Sci Rep. 2017 Mar 28;7:45364.
[2]. Liu RP, et al. Paroxetine ameliorates lipopolysaccharide-induced microglia activation via differential regulation of MAPK signaling. J Neuroinflammation. 2014 Mar 12;11:47.

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[3]. 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.
[4]. 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.

Background

Paroxetine HCl (BRL-29060A, FG-7051) is an antidepressant drug of the SSRI type.

Paroxetine apparently exerts their antidepressant activity by increasing the concentration of 5-HT in the extracellular compartment, thereby enhancing serotoninergic neurotransmission. Paroxetine (1-300 μM) results in a concentration-dependent reduction in the firing rate of DRN serotoninergic neurons with IC50 values of 1.4 μM in the ACSF superfusing brain stem slices. [1] Paroxetine is a highly potent inhibitor of desipramine hydroxylation, the inhibition constant (Ki) value of 2.0 mM indicated greater inhibiting potency than fluoxetine or norfluoxetine. [2] Paroxetine is shown to be a potent (Ki = 1.1 nM) and specific inhibitor of [3H]-5-hydroxytryptamine (5-

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HT) uptake into rat cortical and hypothalamic synaptosomes in vitro. Paroxetine demonstrates weak affinity for muscarinic receptors (Ki = 89 nM) but is at least 15 fold weaker than amitriptyline (Ki = 5.1 nM). [3] Paroxetine inactivates CYP2D6 via the formation of a metabolite intermediate complex. [4]

Paroxetine produces a dose-related inhibition of [3H]-5-HT uptake (ED50 = 1.9 mg/kg) into rat hypothalamic synaptosomes ex vivo with little effect on [3H]-l-noradrenaline (NA) uptake (ED50 greater than 30 mg/kg). Paroxetine (ED50 1-3 mg/kg PO) prevents the 5-HT depleting effect of p-chloroamphetamine (PCA) in rat brain, demonstrating 5-HT uptake blockade in vivo. [3]

[1] Le Poul E, et al. Naunyn Schmiedebergs Arch Pharmacol, 1995, 352(2), 141-148. [2] von Moltke LL, et al. J Clin Psychopharmacol, 1995, 15(2), 125-131. [3] Thomas DR, et al. Psychopharmacology (Berl), 1987, 93(2), 193-200.

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