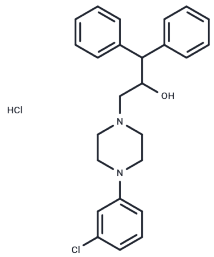
Data Sheet (Cat.No.T6423)

TargetMol

BRL-15572 dihydrochloride

Chemical Properties



CAS No. : 193611-72-2

Formula: C25H27ClN2O·2HCl

Molecular Weight: 479.87

Appearance: no data available

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

Biological Description

Description	BRL-15572 dihydrochloride (BRL 15573 dihydrochloride) is a 5-HT1D receptor antagonist with pKi of 7.9, also shows a considerable affinity at 5-HT1A and 5-HT2B receptors, exhibiting 60-fold selectivity over 5-HT1B receptor.
Targets(IC50)	5-HT Receptor
In vitro	BRL-15572 displays high affinity and selectivity for h5-HT1D receptors. BRL-15572 has 60-fold higher affinity for h5-HT1D than 5-HT1B receptors. BRL-15572 binds to h5-HT1B and h5-HT1D receptors with pKB of less than 6 and 7.1, respectively. BRL-15572 stimulates [35S]GTP γ S binding in both cell lines, with potencies that correlated with their receptor binding affinities in both h5-HT1B and h5-HT1D receptor expressing cell lines. BRL-15572 reveals receptor binding affinities for 5-HT1A, 5-HT1B, 5-HT1E, 5-HT1F, 5-HT2A, 5-HT2B, 5-HT2C, 5-HT6 and 5-HT7 with pKi of 7.7, 6.1, 5.2, 6.0, 6.6, 7.4, 6.2, 5.9 and 6.3, respectively. In the h5-HT1D cell line, both BRL-15572 (1 μM) shifts the 5-HT concentration response curve with pKB of 7.1, respectively. BRL-15572 does have moderately high affinity at human 5-HT1A and 5-HT2B receptors. [1] In human atrial appendages, the electrically evoked tritium overflow is inhibited by 5-HT in a manner susceptible to antagonism by BRL-15572 (300 nM; 23 times Ki at h5-HT1D receptors). [2] The inhibitory effect of 5-HT on the K+-evoked overflow of glutamate is antagonized by the h5-HT1D receptor ligand BRL-15572. BRL-15572 (1 μM) is unable to modify the effect of 5-HT at the autoreceptor regulating [3H]5-HT release. [3] The selective 5-HT1D/1B receptor antagonist BRL 15572 inhibits the effect of the agonist L-694 247. [4]
In vivo	In diabetic pithed rats, administration of the selective 5-HT1D receptor antagonist BRL-15572 (2 mg/kg) does not modify the decreased HR induced by vagal electrical stimulation. The effects of L-694,247 (50 μg/kg), a selective agonist for non-rodent 5-HT1B and 5-HT1D receptors, on the vagally induced bradycardia are not apparent after pretreatment with BRL-15572. [5]</sup
Cell Research	[35S]GTPγS binding studies. [35S]GTPγS binding studies in CHO cells expressing the h5-HT1B or h5-HT1D receptors are performed. In brief, membranes from 1 × 106 cells are preincubated at 30°C for 30 minutes, in HEPES buffer (HEPES [20 mM], MgCl2 [3 mM], NaCl [100 mM], ascorbate [0.2 mM]), containing GDP (10 μ M), with or without BRL-15572. The reaction is started by the addition of 10 μL of [35S]GTPγS (100 pM, assay concentration) followed by a further 30 minutes incubation at 30°C. Non-specific binding is determined by addition of unlabelled GTPγS (10 μM), prior to the addition of cells. The reaction is stopped by rapid filtration using Whatman GF/B grade filters followed by five

	washes with ice-cold HEPES buffer. Radioactivity is determined by liquid scintillation spectrometry.(Only for Reference)

Solubility Information

Solubility	DMSO: 89 mg/mL (185.47 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 38 mg/mL (79.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0839 mL	10.4195 mL	20.839 mL
5 mM	0.4168 mL	2.0839 mL	4.1678 mL
10 mM	0.2084 mL	1.0419 mL	2.0839 mL
50 mM	0.0417 mL	0.2084 mL	0.4168 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

Price GW, et al. Naunyn Schmiedebergs Arch Pharmacol. 1997, 356(3), 312-320.
Schlicker E, et al. Naunyn Schmiedebergs Arch Pharmacol. 1997, 356(3), 321-327.
Marcoli M, et al. Br J Pharmacol. 1999, 126(3), 607-612.
Calama E, et al. Clin Exp Pharmacol Physiol. 2005, 32(10), 894-900.
García M, et al. Clin Exp Pharmacol Physiol. 2007 Nov;34(11):1199-206.

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