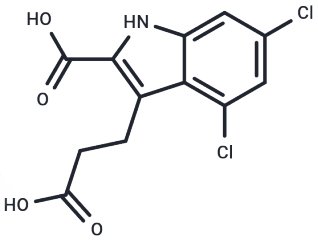
Data Sheet (Cat.No.T1897)

TargetMol

MDL-29951

Chemical Properties



CAS No. : 130798-51-5

Formula: C12H9Cl2NO4

Molecular Weight: 302.11

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

Biological Description

Description	MDL-29951 is a novel glycine antagonist for NMDA receptor activation.
Targets(IC50)	NMDAR,iGluR
In vitro	MDL 100,748 and MDL 29,951 are approximately 2000-fold selective for the glycine binding site relative to the glutamate recognition sites[1]. MDL-29951 is found to inhibit the human F16Bpase under these conditions (IC50=2.5 μM). MDL-29951 inhibits the human liver (IC50=2.5 μM), porcine kidney (IC50=1.0 μM), and rabbit liver (IC50=0.21 μM) isoforms of the enzyme, but is significantly less potent against the rat liver isoform (IC50=11 μM)[2]. The MDL29951-activated receptor exhibits other activities associated with GPCR-mediated signaling, including G protein-dependent activation of extracellular signal-regulated kinase 1 and 2 (ERK1/2) and recruitment of β-arrestin. As with recombinant cell systems, MDL29951 promotes Ca2+ signaling responses and inhibition of cyclic adenosine monophosphate (cAMP) accumulation in rat oligodendrocyte precursor cells during the period of peak GPR17 abundance. Effects of MDL29951 are markedly reduced in cells with low GPR17 abundance and are blocked by pranlukast[3].
Kinase Assay	[3H]JCPP (30.7 Ci/mmol) binding assays are conducted in minivials, incubated for 15 mm at 25°C in 1 mL of 50 mM Tris-HC1 (pH 7.4) containing 10 nM [3H]JCPP, 200 g of membrane protein and unlabeled ligands as indicated. Nonspecific binding is defined using 1 mM L-glutamate. Bound ligand is separated by centrifugation. Specific binding accounted for approximately 80% of total binding.

Solubility Information

Solubility	DMSO: 50 mg/mL (165.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.62 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.3101 mL	16.5503 mL	33.1005 mL
5 mM	0.662 mL	3.3101 mL	6.6201 mL
10 mM	0.331 mL	1.655 mL	3.3101 mL
50 mM	0.0662 mL	0.331 mL	0.662 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

Baron BM, et al. Potent indole- and quinoline-containing N-methyl-D-aspartate antagonists acting at the strychnine-insensitive glycine binding site. J Pharmacol Exp Ther. 1992 Sep;262(3):947-56.
Wright SW, et al. 3-(2-carboxyethyl)-4,6-dichloro-1H-indole-2-carboxylic acid: an allosteric inhibitor of fructose-1,6-bisphosphatase at the AMP site. Bioorg Med Chem Lett. 2003 Jun 16;13(12):2055-8.
Harden TK. Enigmatic GPCR finds a stimulating drug. Sci Signal. 2013 Oct 22;6(298):pe34.