

Product Data Sheet

Product Name: MDL 105519

Cat. No.: GC30951

Chemical Properties

Cas. No. 161230-88-2

SMILES O=C(O)C(N1)=C(/C=C(C2=CC=CC=C2)/C(O)=O)C3=C1C=C(Cl)C=C3Cl

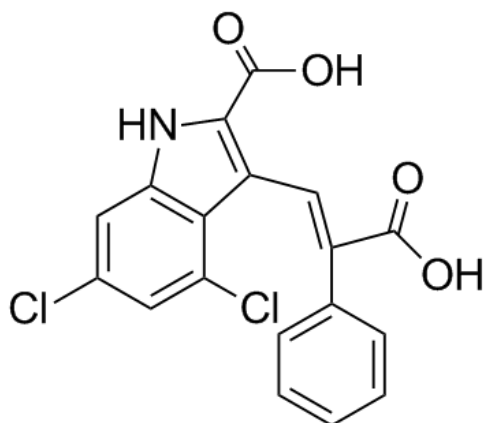
Formula $C_{18}H_{11}Cl_2NO_4$ M.Wt 376.19

Solubility DMSO : 17 mg/mL (45.19 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Animal experiment: Adult, male, CD rats are administered MK-801 (n=4, 2 mg/kg, i.p.) or MDL 105519 (n=4, 2 mg/kg, i.p.) and extracellular dopamine concentrations are measured using in vivo microdialysis[1]. Mice: Mice adult male CD-1 are injected with various doses of MDL 105519 (8, 16, 32, 64, 128 mg/kg) intraperitoneally and 30 min later are administered harmaline 50 mg/kg. Sixty minutes after the first injection, the mice are killed and cerebellar cGMP content is measured by radioimmunoassay[1].

References:

[1]. Baron BM, et al. Pharmacological characterization of MDL 105,519, an NMDA receptor glycine site antagonist. Eur J Pharmacol. 1997 Apr 4;323(2-3):181-92.

Background

MDL 105519 is a potent and selective antagonist of glycine binding to the NMDA receptor.

MDL 105519 is a potent and selective ligand for the glycine recognition site that completely inhibit the binding of [3H]glycine to rat brain membranes with a K_i value of 10.9 nM. MDL 105519 is approximately 10,000-fold selective for the glycine recognition site relative to the other receptor types investigated. MDL 105519 inhibits NMDA-dependent responses, such as elevations of [3H]TCP binding in brain membranes, cyclic GMP accumulation in brain slices, and alterations in cytosolic Ca^{2+} and Na^{+} - Ca^{2+} currents in cultured neurons. Inhibition is non-competitive with respect to NMDA and could be nullified with D-serine[1].

MDL 105519 is an NMDA receptor antagonist in vivo. Intravenously administration of MDL 105519 prevents harmaline-stimulated increases in cerebellar cyclic GMP content, providing biochemical evidence of NMDA receptor antagonism in vivo. This antagonism is associated with anticonvulsant activity in genetically based, chemically induced, and electrically mediated seizure models. Anxiolytic activity is observed in the rat separation-induced vocalization model, but muscle-relaxant activity is apparent at lower doses. Higher doses impair rotorod performance, but are without effect on mesolimbic dopamine turnover or prepulse inhibition of the startle reflex[1].

[1]. Baron BM, et al. Pharmacological characterization of MDL 105,519, an NMDA receptor glycine

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