Data Sheet (Cat.No.T27055)

TargetMol

CNS-5161

Chemical Properties

CAS No. : 160754-76-7

Formula: C16H18ClN3S2

Molecular Weight: 351.92

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	CNS-5161 is a selective, non-competitive NMDA receptor antagonist that is able to displace [3H] MK-801 binding with a Ki of 1.8 nm. CNS-5161 inhibits NMDA receptor-mediated excitatory neurotransmission in both in vitro and in vivo experiments, thereby facilitating the study of neuroprotective mechanisms and related signaling pathways. CNS-5161 is commonly used in neuroscience research to assess excitotoxicity and neuronal survival in model systems, and can be employed in studies of the mechanisms underlying central nervous system disorders.
Targets(IC50)	NMDAR
In vitro	Method: [^{3}H]CNS-5161 (0.1–2.5 nM) was incubated with brain cell membranes. Control groups (± glutamate/glycine) were established, and 100 μM MK-801 was used to assess non-specific binding. Kd and Bmax were determined using Scatchard analysis. Results: [^{3}H] CNS-5161 exhibits monovalent, high-affinity binding; at 37°C, Kd = 3.1 nM and Bmax = 3.2 pmol/mg protein. [2]
In vivo	Methods: Rats were administered 1 mCi/kg of [^{3}H] CNS-5161 via the tail vein, pretreated with saline, NMDA, MK-801, or NMDA+MK-801. Tissues were harvested at 5–120 min to measure radioactivity, and the brain region/cerebellum ratio was calculated. Results: The drug rapidly entered the brain, with brain uptake reaching 1.46% ID at 5 min; brain distribution in normal rats: hippocampus and cortex > thalamus > striatum > cerebellum, with a cortex/cerebellum ratio of 1.4; NMDA pretreatment increased the hippocampus/cerebellum ratio to 1.6–1.9, and MK-801 blocked this increase. [2]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8416 mL	14.2078 mL	28.4155 mL
5 mM	0.5683 mL	2.8416 mL	5.6831 mL
10 mM	0.2842 mL	1.4208 mL	2.8416 mL
50 mM	0.0568 mL	0.2842 mL	0.5683 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

Walters MR, et al. Early clinical experience with the novel NMDA receptor antagonist CNS 5161. Br J Clin Pharmacol. 2002 Mar;53(3):305-11.

Biegon A, et al. In vitro and in vivo characterization of [3H]CNS-5161--a use-dependent ligand for the N-methyl-D-aspartate receptor in rat brain. Synapse. 2007;61(8):577-586.

Walters MR, Bradford AP, Fischer J, Lees KR. Early clinical experience with the novel NMDA receptor antagonist CNS 5161. Br J Clin Pharmacol. 2002 Mar;53(3):305-11. PubMed PMID: 11874394; PubMed Central PMCID: PMC1874317.

McGinnity CJ, Hammers A, Riaño Barros DA, Luthra SK, Jones PA, Trigg W, Micallef C, Symms MR, Brooks DJ, Koepp MJ, Duncan JS. Initial evaluation of 18F-GE-179, a putative PET Tracer for activated N-methyl D-aspartate receptors. J Nucl Med. 2014 Mar;55(3):423-30. doi: 10.2967/jnumed.113.130641. PubMed PMID: 24525206.

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