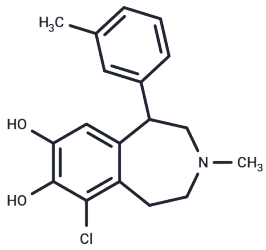
Data Sheet (Cat.No.T60835)

TargetMol

SKF83959

Chemical Properties



CAS No. : 80751-85-5

Formula: C18H20ClNO2

Molecular Weight: 317.81

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	SKF83959, a benzazepine analog, is a selective and potent partial agonist of the dopamine D1 receptor with Ki values of 1.18, 7.56, 920, and 399 nM for rat D1, D5, D2, and D3 receptors, respectively.SKF83959 is a potent variant modulator of the sigma (σ) -1 receptor, which ameliorates cognitive dysfunction for the study depression and Alzheimer's disease.
Targets(IC50)	Dopamine Receptor,Sigma receptor
In vitro	SKF83959 (0.1-30 micromol/L) improved H2O2-reduced cell viability in a dose-dependent manner. Moreover, SKF83959 treatment significantly inhibited H2O2-activated glycogen synthase kinase-3beta (GSK-3beta) which was associated with the drug's neuroprotective effect. Moreover, the application of either SKF83959 or a pharmacological inhibitor of GSK-3beta attenuated the inhibition by H2O2 on the expression of inducible NO synthase and the production of NO. In addition, SKF83959 also effectively decreased the level of lipid peroxidation and increased the activity of GSH-peroxidase altered by H2O2. These results suggest that SKF83959 exerts its neuroprotective effect through both receptor-dependent and independent mechanisms: Inhibition of GSK-3beta and consequently increasing the expression of inducible NO synthase via putative PI-linked DAR; and its anti-oxidative activity which is independent of DAR.[5]
In vivo	SKF83959 (0.5, 1 mg/kg; i.p.; 1 h; Male ICR male mice) alleviates scopolamine-induced cognitive impairments in the passive avoidance task and Y-Maze test.[1] SKF83959 (1 mg/kg; i.p.; 30 minutes; Male ICR male mice) memory-enhancing effects are hindered by the blockade of the brain-derived neurotrophic factor system.[1] SKF83959 exhibits anti-amnesic activities and reinstates the scopolamine-induced decrease in the BDNF signaling pathway in the hippocampus of mice.[1]

Solubility Information

Solubility	DMSO: 90 mg/mL (283.19 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1465 mL	15.7327 mL	31.4653 mL
5 mM	0.6293 mL	3.1465 mL	6.2931 mL
10 mM	0.3147 mL	1.5733 mL	3.1465 mL
50 mM	0.0629 mL	0.3147 mL	0.6293 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

Sheng G, et al. SKF83959 Has Protective Effects in the Scopolamine Model of Dementia. Biol Pharm Bull. 2018;41 (3):427-434.
Jin LQ, et al. SKF83959 selectively regulates phosphatidylinositol-linked D1 dopamine receptors in rat brain. J Neurochem. 2003;85(2):378-386.
Neumeyer JL, et al. Receptor affinities of dopamine D1 receptor-selective novel phenylbenzazepines. Eur J Pharmacol. 2003;474(2-3):137-140.
Guo L, et al. SKF83959 is a potent allosteric modulator of sigma-1 receptor. Mol Pharmacol. 2013;83(3):577-586.
Yu Y, et al. Neuroprotective effects of atypical D1 receptor agonist SKF83959 are mediated via D1 receptor-dependent inhibition of glycogen synthase kinase-3 beta and a receptor-independent anti-oxidative action. J Neurochem. 2008 Feb;104(4):946-56