SKF 83959

Cat. No.: HY-130344

CAS No.: 80751-85-5

Molecular Formula: $C_{18}H_{20}ClNO_2$

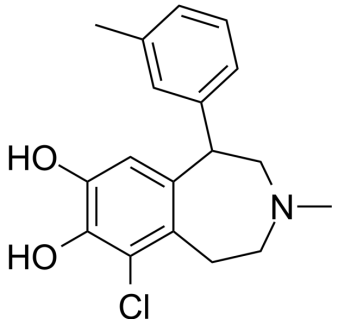
Molecular Weight: 317.81

Target: Dopamine Receptor; Sigma Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (314.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

SKF83959 is a potent and selective dopamine D_1-like receptor partial agonist. SKF83959 K_i values for rat D_1, D_5, D_2 and D_3 receptors are 1.18, 7.56, 920 and 399 nM, respectively. SKF83959 is a potent allosteric modulator of sigma (σ)-1 receptor. SKF83959 belongs to benzazepine family and has improvements on cognitive dysfunction. SKF83959 can be used for the research of Alzheimer's disease and depression[1][2][3][4].

IC_{50} & Target

D_1 Receptor 1.18 nM (Ki)	Sigma 1 Receptor	D_5 Receptor 7.56 nM (Ki)	D_2 Receptor 920 nM (Ki)
D_3 Receptor 399 nM (Ki)			

In Vitro

SKF83959 (10~250 μM) stimulates PIP2 hydrolysis in membranes. SKF83959 (0.1~10 μM; PC12 cell) changes the EC_{50} value of SKF81297 from 0.5 nM in control tissue to 31.6 nM, 251.2 nM and 631.0 nM[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SKF83959 (0.5 and 1 mg/kg; i.p.; 1 hour) reverses the scopolamine-induced cognitive impairments in the passive avoidance task and Y-Maze test[1].

SKF83959 (1 mg/kg; i.p.; 30 minutes) induced memory enhancing effects are prevented by brain-derived neurotrophic factor system blockade[1].

SKF83959 has anti-amnesic activities and restores the scopolamine-decreased BDNF signaling pathway in the hippocampus in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ICR male mice (8 weeks)[1]
Dosage:	0.5 and 1 mg/kg
Administration:	I.p.; 1 hour
Result:	Reversed the scopolamine-induced cognitive impairments in the passive avoidance task and Y-Maze test.

Animal Model:	Male ICR male mice (8 weeks)[1]
Dosage:	1 mg/kg
Administration:	I.p.; 30 minutes
Result:	The memory enhancing effects were prevented by BDNF system blockade.

REFERENCES

[1]. Sheng G, et al. SKF83959 Has Protective Effects in the Scopolamine Model of Dementia. Biol Pharm Bull. 2018;41(3):427-434.

[2]. Jin LQ, et al. SKF83959 selectively regulates phosphatidylinositol-linked D1 dopamine receptors in rat brain. J Neurochem. 2003;85(2):378-386.

[3]. Neumeyer JL, et al. Receptor affinities of dopamine D1 receptor-selective novel phenylbenzazepines. Eur J Pharmacol. 2003;474(2-3):137-140.

[4]. Guo L, et al. SKF83959 is a potent allosteric modulator of sigma-1 receptor. Mol Pharmacol. 2013;83(3):577-586.

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