SKF 83959 hydrobromide

Cat. No.: HY-103412

CAS No.: 67287-95-0

Molecular Formula: $C_{18}H_{21}BrClNO_2$

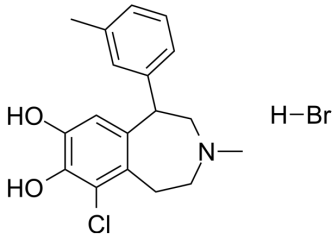
Molecular Weight: 398.72

Target: Dopamine Receptor; Sigma Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (50.16 mM; Need ultrasonic and warming)

DMF : 20 mg/mL (50.16 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5080 mL	12.5401 mL	25.0803 mL
5 mM	0.5016 mL	2.5080 mL	5.0161 mL
10 mM	0.2508 mL	1.2540 mL	2.5080 mL

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

BIOLOGICAL ACTIVITY

Description

SKF83959 hydrobromide is a potent and selective dopamine D_1-like receptor partial agonist. SKF83959 hydrobromide 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 hydrobromide is a potent allosteric modulator of sigma (σ)-1 receptor. SKF83959 hydrobromide belongs to benzazepine family and has improvements on cognitive dysfunction. SKF83959 hydrobromide can be used for the research of Alzheimer's disease and depression[1][2][3][4].

IC_{50} & Target

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

sigma (σ)-1

In Vitro

SKF83959 hydrobromide (10~250 μM) stimulates PIP2 hydrolysis in membranes. SKF83959 hydrobromide (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 hydrobromide (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 hydrobromide (1 mg/kg; i.p.; 30 minutes) induced memory enhancing effects are prevented by brain-derived neurotrophic factor system blockade[1].
SKF83959 hydrobromide 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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