SKF-82958 hydrobromide

Cat. No.: HY-10435A

CAS No.: 74115-01-8

Molecular Formula: $C_{19}H_{21}BrClNO_2$

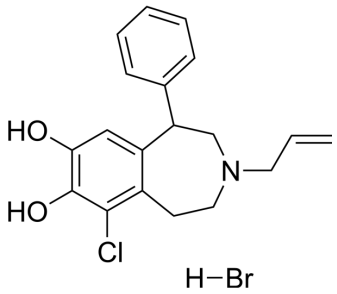
Molecular Weight: 410.73

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°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 : ≥ 100 mg/mL (243.47 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4347 mL	12.1734 mL	24.3469 mL
5 mM	0.4869 mL	2.4347 mL	4.8694 mL
10 mM	0.2435 mL	1.2173 mL	2.4347 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SKF-82958 ((±)-SKF 82958) hydrobromide is a dopamine D1 receptor full agonist ($K_{0.5}$=4 nM), displays selective for D1 over D2 receptors ($K_{0.5}$=73 nM). SKF-82958 hydrobromide induces dopamine D1 receptor-dependent adenylate cyclase activity in rat striatal membranes (EC_{50}=491 nM)[1].

IC_{50} & Target

D_1 Receptor

In Vivo

SKF-82958 ((±)-SKF 82958) hydrobromide (0.003-0.1 mg/kg; i.p.) results in dose-dependent increases in responding on the SKF-82958 appropriate lever, with full substitution following administration of the SKF-82958 hydrobromide training dose

0.03 mg/kg. Increasing the dose of SKF-82958 hydrobromide also results in a significant reduction in response rate[2].
?SKF-82958 hydrobromide (0.5-2.0 mg/kg; i.p) significantly suppresses pilocarpine-induced jaw movements[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats[3]
Dosage:	0.5-2.0 mg/kg
Administration:	I.p
Result:	Significantly reduced the number of tremulous jaw movements induced by 4.0 mg/kg pilocarpine.

REFERENCES

[1]. Mottola DM, et al. Conformational analysis of D1 dopamine receptor agonists: pharmacophore assessment and receptor mapping. J Med Chem. 1996;39(1):285-296.

[2]. Haile CN, et al. The dopamine D(1) receptor agonist SKF-82958 serves as a discriminative stimulus in the rat. Eur J Pharmacol. 2000;388(2):125-131.

[3]. Mayorga AJ, et al. Striatal and nigral D1 mechanisms involved in the antiparkinsonian effects of SKF 82958 (APB): studies of tremulous jaw movements in rats. Psychopharmacology (Berl). 1999;143(1):72-81.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA