Ecopipam hydrochloride

Cat. No.: HY-14689

CAS No.: 190133-94-9

Molecular Formula: $C_{19}H_{21}Cl_2NO$

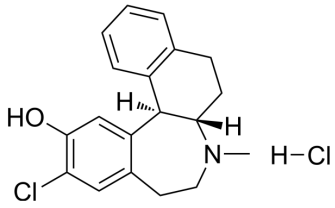
Molecular Weight: 350.28

Target: Dopamine Receptor; 5-HT Receptor; Adrenergic 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 (285.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8549 mL	14.2743 mL	28.5486 mL
	5 mM	0.5710 mL	2.8549 mL	5.7097 mL
	10 mM	0.2855 mL	1.4274 mL	2.8549 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 (7.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.14 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ecopipam (SCH 39166) hydrochloride is a potent, selective and orally active antagonist of dopamine D1/D5 receptor, with K_is of 1.2 nM and 2.0 nM, respectively. Ecopipam hydrochloride shows more than 40-flod selectivity over D2, D4, 5-HT, and α2a receptor (K_i=0.98, 5.52, 0.08, and 0.73 μM, respectively). Ecopipam hydrochloride can be used for the research of schizophrenia and obesity[1][3].

IC_{50} & Target

D_1 Receptor 1.2 nM (Ki)	D_5 Receptor 2.0 nM (Ki)	D_2 Receptor 980 nM (Ki)	D_4 Receptor 5520 nM (Ki)
5-HT Receptor	Alpha-2A adrenergic		

	80 nM (Ki)	receptor 731 nM (Ki)

In Vitro

Ecopipam (2 μM) hydrochloride completely abolishes the proconvulsive effect of Dopamine (10 μM) in isolated corticohippocampal formation[2].

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

In Vivo

Ecopipam (0.003-0.3 mg/kg, a single s.c.) hydrochloride abolishes Nicotine-induced enhancement of a sensory reinforcer in adult rats[3].

Ecopipam (10, mg/kg, oral administration) hydrochloride antagonizes Apomorphine-induced stereotypy in rats[4].

Ecopipam (5 and 10 μM, perfusion, 1 μL/min) hydrochloride reversibly and dose-dependently decreases acetylcholine release in the rat striatum[5].

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

Animal Model:	Male young adult Long-Evans rats injected with Nicotine[3]
Dosage:	0.003, 0.01, 0.03, 0.1, 0.3 mg/kg
Administration:	A single s.c. 20 min before Nicotine (0.1 mg/kg)
Result:	Dose-dependently reduced pressing on both active and inactive levers.

REFERENCES

[1]. Wu WL, et, al. Dopamine D1/D5 receptor antagonists with improved pharmacokinetics: design, synthesis, and biological evaluation of phenol bioisosteric analogues of benzazepine D1/D5 antagonists. J Med Chem. 2005 Feb 10;48(3):680-93.

[2]. Sharopov S, et al. Dopaminergic modulation of low-Mg^{2}-induced epileptiform activity in the intact hippocampus of the newborn mouse in vitro. J Neurosci Res. 2012 Oct;90(10):2020-33.

[3]. Satanove DJ, et al. Nicotine-induced enhancement of a sensory reinforcer in adult rats: antagonist pretreatment effects. Psychopharmacology (Berl). 2021 Feb;238(2):475-486.

[4]. R E Chipkin, et al. Pharmacological profile of SCH39166: a dopamine D1 selective benzonaphthazepine with potential antipsychotic activity. J Pharmacol Exp Ther. 1988 Dec;247(3):1093-102.

[5]. E Acquas, et al. Local application of SCH 39166 reversibly and dose-dependently decreases acetylcholine release in the rat striatum. Eur J Pharmacol. 1999 Nov 3;383(3):275-9.

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