Ecopipam

Cat. No.: HY-14690

CAS No.: 112108-01-7

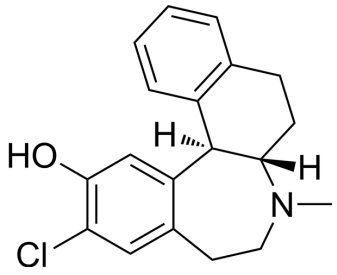
Molecular Formula: $C_{19}H_{20}ClNO$

Molecular Weight: 313.82

Target: Dopamine Receptor; 5-HT Receptor; Adrenergic Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Ecopipam (SCH 39166) 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 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 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 80 nM (Ki)	Alpha-2A adrenergic receptor 731 nM (Ki)		

In Vitro

Ecopipam (2 μM) 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.) abolishes Nicotine-induced enhancement of a sensory reinforcer in adult rats[3].
Ecopipam (10, mg/kg, oral administration) antagonizes Apomorphine-induced stereotypy in rats[4].
Ecopipam (5 and 10 μM, perfusion, 1 μL/min) 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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