Metergoline

Cat. No.: HY-B1033

CAS No.: 17692-51-2

Molecular Formula: $C_{25}H_{29}N_3O_2$

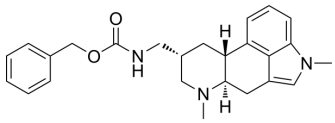
Molecular Weight: 403.52

Target: 5-HT Receptor; Dopamine Receptor; Sodium Channel

Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 66.67 mg/mL (165.22 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
	1 mM	2.4782 mL	12.3910 mL	24.7819 mL
	5 mM	0.4956 mL	2.4782 mL	4.9564 mL
	10 mM	0.2478 mL	1.2391 mL	2.4782 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: ≥ 2.08 mg/mL (5.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Metergoline is a serotonin (5-HT) receptor and dopamine receptors antagonist, with pK_is of 8.64, 8.75 and 8.75 for 5-HT_{2A}, 5-HT_{2B} and 5-HT_{2C}, respectively. Metergoline is a high-affinity ligand for the h5-HT_7 receptor, with a K_i of 16 nM. Metergoline is also a reversible neural Na^+ channels inhibitor. Metergoline is commonly used for the research of seasonal affective disorder, prolactin hormone regulation[1][2][3].

IC_{50} & Target	5-HT_{2A} Receptor	5-HT_{2B} Receptor	5-HT_{2C} Receptor	Human 5-HT_7 Receptor
	8.64 (pKi)	8.75 (pKi)	8.75 (pKi)	16 nM (Ki)

In Vitro	Metergoline (3 μM) causes a 6.8±1.2 mV depolarizing shift of the steady-state activation curve of the Na^+currents, and does not alter the inactivation curve[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Metergoline (5 mg/kg; i.p.) completely blocks the response to intrathecal 5-HT (2 μg)[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male albino mice (25-40 g)[4]
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection
Result:	Inhibited bites or scratches induced by 5-HT (2 μg).

REFERENCES

[1]. Antony R Knight, et al. Pharmacological characterisation of the agonist radioligand binding site of 5-HT(2A), 5-HT(2B) and 5-HT(2C) receptors. Naunyn Schmiedebergs Arch Pharmacol. 2004 Aug;370(2):114-23.

[2]. Jessica A. Knight, et al. Pharmacological Analysis of the Novel, Rapid, and Potent Inactivation of the Human 5-Hydroxytryptamine7 Receptor by Risperidone, 9-OH-Risperidone, and Other Inactivating Antagonists. Mol Pharmacol. 2009 Feb; 75(2): 374-380.

[3]. Jun-ho Lee, et al. Metergoline inhibits the neuronal Nav1.2 voltage-dependent Na+ channels expressed in Xenopus oocytes. Acta Pharmacol Sin. 2014 Jul; 35(7): 862-868.

[4]. P K Eide, et al. The behavioural response to intrathecal serotonin is changed by acute but not by repeated treatment with zimelidine or metergoline. Pharmacol Toxicol. 1991 Nov;69(5):361-4.

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