Pentiapine

Cat. No.: HY-100143

CAS No.: 81382-51-6

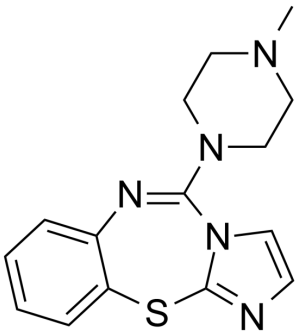
Molecular Formula: $C_{15}H_{17}N_5S$

Molecular Weight: 299.39

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (334.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3401 mL	16.7006 mL	33.4012 mL
	5 mM	0.6680 mL	3.3401 mL	6.6802 mL
	10 mM	0.3340 mL	1.6701 mL	3.3401 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 (8.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.35 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pentiapine (CGS 10746) is a dopamine release inhibitor without binding to synaptic dopamine receptor sites[1][2].

IC_{50} & Target

Dopamine receptor[1]

In Vivo

Pentiapine is a novel dopamine release inhibitor.The results show that Pentiapine dose-dependently reduces motor activity of mice. Moreover, Pentiapine dose-dependently reduces morphine-induced hyperactivity. Newman-Keuls post-hoc comparisons indicate that the group receiving morphine plus saline presents more activity than the groups receiving morphine plus 2 ($P<0.05$), 4, 8, 16, 24 and 32 ($P<0.01$) mg/kg of Pentiapine. Moreover, the groups receiving morphine plus 0.5, 1 and 2 mg/kg of Pentiapine present more activity than the groups receiving morphine plus 4, 8, 16, 24 and 32 mg/kg of

Pentiapine ($P<0.01$)[1]. 30 mg/kg dose of Pentiapine completely blocks the methylenedioxymethamphetamine (MDMA) conditioned place preference (CPP) and also blocks the establishment of a cocaine CPP[2].

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

PROTOCOL

Animal Administration [1]

Two hundred and fifty-seven male mice are used in this study. Animals are divided into 19 groups (n=8). The first group receives physiological saline, the second receives 40 mg/kg of morphine and the other eight groups receive 0.5, 1, 2, 4, 8, 16, 24 or 32 mg/kg of Pentiapine, respectively. The remaining groups receive an injection of morphine and 30 min afterwards, an injection of physiological saline, 0.5, 1, 2, 4, 8, 16, 24 or 32 mg/kg of Pentiapine, respectively. In the groups receiving only one injection, animals are placed onto the sensory plates for a period of 90 min immediately after treatment. The computer registers the activity each 15 min. In the groups receiving two injections, animals are placed onto the sensory plates immediately after the first injection for a period of 30 min then after the second injection the motor activity is registered at 15, 30, 45 and 60 min[1].

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

REFERENCES

[1]. Manzanedo C, et al. Effects of CGS 10746B on hyperactivity and place preference induced by morphine. Behav Brain Res. 2001 Nov 29;126(1-2):23-32.

[2]. Bilsky EJ, et al. CGS 10746B, a novel dopamine release inhibitor, blocks the establishment of cocaine and MDMA conditioned place preferences. Pharmacol Biochem Behav. 1998 Jan;59(1):215-20.

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