Bifeprunox

Cat. No.: HY-14547

CAS No.: 350992-10-8

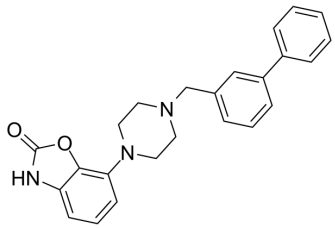
Molecular Formula: $C_{24}H_{23}N_3O_2$

Molecular Weight: 385.46

Target: Dopamine Receptor; 5-HT Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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



BIOLOGICAL ACTIVITY

Description

Bifeprunox is a potent dopamine D2-like and 5-HT1A receptor partial agonist with pK_is of 7.19 and 8.83 for cortex 5-HT1A and striatum D2, and a pEC_{50} of 6.37 for hippocampus 5-HT1A, respectively. Bifeprunox is an antipsychotic for the research of schizophrenia[1][2].

IC_{50} & Target

5-HT_{1A} Receptor	D_2 Receptor	5-HT_{1A} Receptor
7.19 (pKi, cortex)	8.83 (pKi, striatum)	6.37 (pEC50, hippocampus)

In Vitro

Bifeprunox has a pK_i of 8 at h5-HT1A receptors, with an E_{max} of 70%[1].

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

In Vivo

Bifeprunox (0.001-2.5 mg/kg) reduces marble burying in mice[2].

Bifeprunox (4-250 μg/kg) influences nicotine-seeking behaviour in response to drug-associated stimuli in rats[3].

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

Animal Model:	Male NMRI mice (weighing 20-22 g)[2]
Dosage:	0.001, 0.0025, 0.01, 0.04, 0.16, 0.63, and 2.5 mg/kg
Administration:	I.p.
Result:	Reduced marble burying. Potently active from 0.0025 mg/kg.

Animal Model:	Naïve male Wistar rats (weighing 250-275 g)[3]
Dosage:	4, 16, 64 and 250 μg/kg
Administration:	Injected s.c. 30 minutes before testing
Result:	4-16 μg/kg dose-dependently attenuated the responsereinstating effects of nicotine-associated cues. Higher doses (64-250 μg/kg, s.c.) reduced spontaneous locomotor activity and suppressed operant responding induced by sucrose-associated cues and by the primary reinforcing properties of nicotine or sucrose.

REFERENCES

[1]. Newman-Tancredi A, et al. Novel antipsychotics activate recombinant human and native rat serotonin 5-HT1A receptors: affinity, efficacy and potential implications for treatment of schizophrenia. Int J Neuropsychopharmacol. 2005 Sep;8(3):341-56.

[2]. Bruins Slot LA, et al. Effects of antipsychotics and reference monoaminergic ligands on marble burying behavior in mice. Behav Pharmacol. 2008 Mar;19(2):145-52.

[3]. Di Clemente A, et al. Bifeprunox: a partial agonist at dopamine D2 and serotonin 1A receptors, influences nicotine-seeking behaviour in response to drug-associated stimuli in rats. Addict Biol. 2012 Mar;17(2):274-86.

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