Antipsychotic agent-2

Cat. No.: HY-149247

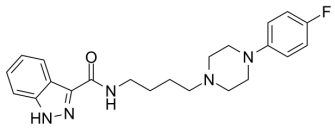
Molecular Formula: $C_{22}H_{26}FN_5O$

Molecular Weight: 395.47

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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



BIOLOGICAL ACTIVITY

Description

Antipsychotic agent-2 (Compound 11) is a potent antipsychotic agent. Antipsychotic agent-2 shows affinities for 5-HT_{1A}, 5-HT_{2A}, 5-HT_{2C}, D_2 and H_1 receptors with K_is of 56.6, 66.7, 552, 596 and 1140 nM, respectively. Antipsychotic agent-2 has BBB permeability[1].

IC_{50} & Target

5-HT_{1A} Receptor	5-HT_{2A} Receptor	D_2 Receptor
160 nM (EC50)	96.4 nM (Kb)	45.7 nM (Kb)

In Vitro

Antipsychotic agent-2 (Compound 11) antagonizes the inhibition of Forskolin (HY-15371)-stimulated cAMP production elicited by 10^{-6} M dopamine in CHO-K1 cells stably expressing human D_2 receptors, indicative of D_2 antagonistic activity (K_b =45.7 nM)[1].

Antipsychotic agent-2 inhibits the Forskolin-stimulated cAMP production in HEK293 cells stably expressing 5-HT_{1A} receptors in a concentration-dependent manner, indicative of 5-HT_{1A} agonistic activity (EC_{50}=160 nM), while it behaves as 5-HT_{2A} antagonists, antagonizing the inositol phosphate production stimulated by 10^{-6} 5-HT in CHO-K1 cells expressing 5-HT_{2A} receptors (K_b=96.4 nM)[1].

Antipsychotic agent-2 (0.1-10 μM) is a relatively low potency CYP3A4 inducer[1].

Antipsychotic agent-2 (0.3-30 μM; 72 h) represents good safety profile and shows significant cytotoxic activity only at 30 μM concentration in SH-SY5Y cells[1].

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

In Vivo

Antipsychotic agent-2 (Compound 11; 20-80 mg/kg; i.p.; once) induces statistically significant changes in spontaneous locomotor activity in mice[1].

Antipsychotic agent-2 (40 mg/kg; i.p.; once) decreases amphetamine-induced hyperactivity in mice[1].

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

Animal Model:	Naive Swiss male mice, amphetamine-induced hyperactivity[1]
Dosage:	40 mg/kg
Administration:	IP, once
Result:	Decreased amphetamine-induced hyperactivity.

REFERENCES

[1]. Stępnicki P, et al. Discovery of novel arylpiperazine-based DA/5-HT modulators as potential antipsychotic agents - Design, synthesis, structural studies and pharmacological profiling. Eur J Med Chem. 2023 Apr 5;252:115285.

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