Fananserin

Cat. No.: HY-103104

CAS No.: 127625-29-0

Molecular Formula: $C_{23}H_{24}FN_3O_2S$

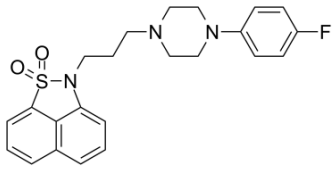
Molecular Weight: 425.52

Target: 5-HT Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (235.01 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3501 mL	11.7503 mL	23.5007 mL
5 mM	0.4700 mL	2.3501 mL	4.7001 mL
10 mM	0.2350 mL	1.1750 mL	2.3501 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.88 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fananserin (RP 62203) is an orally bioavailable, potent and selective 5-hydroxytryptamine2 (5-HT_2) receptor antagonist, with a K_i of 0.37 nM for the rat 5-HT_{2A} receptor. Fananserin also is a selective dopamine D4 receptor antagonist, with a K_i of 2.93 nM for the human dopamine D4 receptor[1].

IC_{50} & Target

5-HT_2 Receptor	D_4 Receptor
0.37 nM (Ki)	2.93 nM (Ki)

In Vitro

Fananserin is relatively selective for 5-HT_2 receptor, having lower affinity for the 5-HT_{1A} receptor and very low affinity for the 5-HT_3 receptor[1].

	Fananserin displaces [^{3}H]spiperone binding to recombinant human dopamine D 4 receptors with a K_i of 2.93 nM[1]. RP 62203 displays low to moderate affinity for α1-adrenoceptors, dopamine D2 receptors and histamine H_1 receptors[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Fananserin displaces [^{125}I]AMIK from 5-HT_2 receptors with an IC_{50} of 0.21 nM in rat frontal cortex[2]. Fananserin shows moderate affinity for alpha 1-adrenoceptors in the rat thalamus (IC_{50} = 14 nM) and for histamine H1 receptors in the guinea-pig cerebellum (IC_{50} = 13 nM)[2]. Fananserin (0.5-4 mg/kg; p.o.) increases the duration of deep nonrapid eye movement (NREM) sleep at the expense of wakefulness in a dose-dependent manner[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Adult male Sprague Dawley rats (250-300 g)[3]
Dosage:	0.5 mg/kg, 1 mg/kg,2 mg/kg, 4 mg/kg
Administration:	Oral administration
Result:	Increased the duration of deep nonrapid eye movement (NREM) sleep at the expense of wakefulness in a dose-dependent manner from 0.5 mg/kg.

REFERENCES

[1]. Heuillet E, et al. The naphtosultam derivative RP 62203 (fananserin) has high affinity for the dopamine D4 receptor. Eur J Pharmacol. 1996 Oct 24;314(1-2):229-33.

[2]. Malgouris C, et al. Autoradiographic studies of RP 62203, a potent 5-HT2 receptor antagonist. In vitro and ex vivo selectivity profile. Eur J Pharmacol. 1993 Mar 16;233(1):29-35.

[3]. Stutzmann JM, et al. RP 62203, a 5-hydroxytryptamine2 antagonist, enhances deep NREM sleep in rats. Sleep. 1992 Apr;15(2):119-24.

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