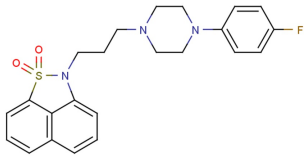
Data Sheet (Cat.No.T15270)

TargetMol
A DRUG SCREENING EXPERT

Fananserin

Chemical Properties



CAS No.:	127625-29-0
Formula:	C23H24FN3O2S
Molecular Weight:	425.52
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Fananserin is an orally bioavailable and selective antagonist of the 5-HT2 receptor (Ki: 0.37 nM for the rat 5-HT2A receptor). It also is an antagonist of dopamine D4 receptor (Ki: 2.93 nM for the human dopamine D4 receptor).
Targets(IC_{50})	5-HT2 Receptor: 0.37 nM (ki) D4 Receptor: 2.93 nM (ki)
In vitro	Fananserin displaces [3H]spiperone binding to recombinant human dopamine D 4 receptors (Ki: 2.93 nM) [1]. Fananserin is relatively selective for the 5-HT2 receptor. It also has a lower affinity for the 5-HT1A receptor and a very low affinity for the 5-HT3 receptor [1]. RP 62203 shows low to moderate affinity for α1-adrenoceptors, dopamine D2 receptors, and histamine H 1 receptors [2].
In vivo	Fananserin shows a moderate affinity for alpha 1-adrenoceptors in the rat thalamus (IC50: 14 nM) and for histamine H1 receptors in the guinea-pig cerebellum (IC50: 13 nM). Fananserin displaces [125I]AMIK from 5-HT2 receptors (IC50: 0.21 nM in rat frontal cortex)[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].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.35 mL	11.75 mL	23.501 mL
5 mM	0.47 mL	2.35 mL	4.7 mL
10 mM	0.235 mL	1.175 mL	2.35 mL
50 mM	0.047 mL	0.235 mL	0.47 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

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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