Product Datasheet

Fananserin

Catalog No. **BP-02416** · CAS **127625-29-0** · Form **free base** · Physical state **solid** · Version **1.0** · Revision **2026-09-11**

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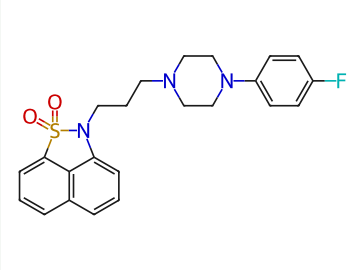
Target	Research area
5-HT Receptor; Dopamine Receptor	**Neurological Disease**

Molecular formula	**$C_{23}H_{24}FN_3O_2S$**
Molecular weight	**425.5190**

STRUCTURE



DESCRIPTION

Fananserin (RP 62203) is an orally bioavailable, potent and selective 5-hydroxytryptamine2 (5-HT2) receptor antagonist, with a Ki of 0.37 nM for the rat 5-HT2A receptor. Fananserin also is a selective dopamine D4 receptor antagonist, with a Ki of 2.93 nM for the human dopamine D4 receptor .

BIOLOGICAL ACTIVITY

In Vitro
Fananserin is relatively selective for 5-HT2 receptor, having lower affinity for the 5-HT1A receptor and very low affinity for the 5-HT3 receptor.

Fananserin displaces [3H]spiperone binding to recombinant human dopamine D 4 receptors with a Ki of 2.93 nM.

RP 62203 displays low to moderate affinity for α1-adrenoceptors, dopamine D2 receptors and histamine H 1 receptors.
In Vivo
Fananserin displaces [125I]AMIK from 5-HT2 receptors with an IC50 of 0.21 nM in rat frontal cortex.

Fananserin shows 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 (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.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

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.