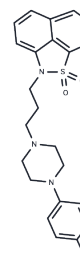


Fananserin

Chemical Properties

CAS No. :	127625-29-0
Formula:	C ₂₃ H ₂₄ N ₃ O ₂ S
Molecular Weight:	425.52
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Fananserin is an effective, selective and oral active antagonist of 5-HT ₂ (K _i = 0.37 nM for the rat 5-HT _{2A}). Fananserin is an antagonist of human D ₄ receptor (K _i = 2.93 nM).
Targets(IC ₅₀)	5-HT Receptor,Dopamine Receptor
In vitro	Fananserin displays low to moderate affinity for α ₁ -adrenoceptors, dopamine D ₂ receptors and histamine H ₁ receptors[1]. Fananserin displaces [3H]spiperone binding to recombinant human dopamine D ₄ receptors with a K _i of 2.93 nM[3]. Fananserin is relatively selective for 5-HT ₂ receptor with lower affinity for the 5-HT _{1A} receptor and very low affinity for the 5-HT ₃ receptor[3].
In vivo	Fananserin shows a moderate affinity for alpha 1-adrenoceptors in the rat thalamus with an IC ₅₀ of 14 nM and for H ₁ receptors in the guinea-pig cerebellum with an IC ₅₀ of 13 nM[1]. Fananserin displaces [125I]AMIK from 5-HT ₂ receptors with an IC ₅₀ of 0.21 nM in the rat frontal cortex[1]. Fananserin (0.5, 1, 2 and 4 mg/kg; p.o.) dose-dependently increases the duration of deep nonrapid eye movement (NREM) sleep at the expense of wakefulness[2].

Solubility Information

Solubility	DMSO: 95 mg/mL (223.26 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (7.76 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3501 mL	11.7503 mL	23.5007 mL
5 mM	0.470 mL	2.3501 mL	4.7001 mL
10 mM	0.235 mL	1.175 mL	2.3501 mL
50 mM	0.047 mL	0.235 mL	0.470 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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

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