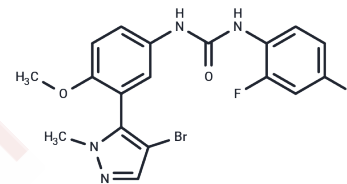


Nelotanserin

Chemical Properties

CAS No. :	839713-36-9
Formula:	C ₁₈ H ₁₅ BrF ₂ N ₄ O ₂
Molecular Weight:	437.24
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Nelotanserin (APD125) is a potent 5-HT _{2A} inverse agonist (IC ₅₀ : 1.7 nM), a moderately potent 5-HT _{2C} partial inverse agonist (IC ₅₀ : 79 nM) and a weak 5-HT _{2B} inverse agonist (IC ₅₀ : 791 nM).
Targets (IC ₅₀)	5-HT Receptor
In vivo	In healthy human volunteers, nelotanserin was rapidly absorbed after oral administration and achieved maximum concentrations 1 h later. EEG effects occurred within 2 to 4 h after dosing, and were consistent with vigilance-lowering. A dose response of nelotanserin was assessed in a postnap insomnia model in healthy subjects. All doses (up to 40 mg) of nelotanserin significantly improved measures of sleep consolidation, including decreases in the number of stage shifts, number of awakenings after sleep onset, microarousal index, and number of sleep bouts, concomitant with increases in sleep bout duration. Nelotanserin did not affect total sleep time, or sleep onset latency. Furthermore, subjective pharmacodynamic effects observed the morning after dosing were minimal and had no functional consequences on psychomotor skills or memory [1].

Solubility Information

Solubility	DMSO: 250 mg/mL (571.77 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2871 mL	11.4354 mL	22.8707 mL
5 mM	0.4574 mL	2.2871 mL	4.5741 mL
10 mM	0.2287 mL	1.1435 mL	2.2871 mL
50 mM	0.0457 mL	0.2287 mL	0.4574 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

Al-Shamma H A , Anderson C , Chuang E , et al. Nelotanserin, a Novel Selective Human 5-Hydroxytryptamine_{2A} Inverse Agonist for the Treatment of Insomnia[J]. Journal of Pharmacology and Experimental Therapeutics, 2010, 332(1):281-290.

Monti J M . Serotonin control of sleep-wake behavior[J]. Sleep Medicine Reviews, 2011, 15(4):0-281.

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