Data Sheet (Cat.No.T26690)

TargetMol

AVN-101

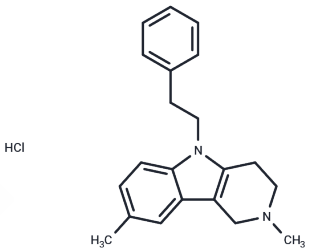
Chemical Properties

CAS No. : 1061354-48-0

Formula: C21H25ClN2

Molecular Weight: 340.89

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	AVN-101 is a highly potent 5-HT7 receptor antagonist with a Ki value of 153 pM. AVN-101 also exhibits considerable affinity for histamine H1 (Ki value of 0.58 nM) and adrenergic α2A, α2B and α2C (Ki values of 0.41-3.6 nM) receptors. AVN-101 has shown good oral bioavailability and brain-blood barrier permeability, low toxicity and reasonable efficacy in animal models of central nervous system diseases.
Targets(IC50)	5-HT Receptor,Adrenergic Receptor,Histamine Receptor
In vitro	**METHODS**: The ability of AVN-101 to block hERG channels was tested in single-cell patch clamp experiments using HEK cells stably expressing hERG potassium channels and measuring potassium currents. **RESULTS** Both AVN-101 and M1 blocked hERG channels with an IC50 score of 0.58 μM. [2]
In vivo	**METHODS**: AVN-101 (5 mg/kg) was evaluated in Wistar rats when administered by oral (PO) and intravenous (I.v) routes. AVN-101 was detected in plasma using LC-MS/MS. **RESULTS** The bioavailability of AVN-101 in rats (calculated based on AUC0 240 values) was 26.3% when injected intraperitoneally and 8.5% when administered orally. However, it should be noted that the drug elimination rate determined in the in vivo experiments, Kel = 0.0121 min-1 (i.v.), was significantly slower than that observed in rat microsomes (Kel = 0.335 min-1). [1]

Solubility Information

Solubility	DMSO: 60 mg/mL (176.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9335 mL	14.6675 mL	29.335 mL
5 mM	0.5867 mL	2.9335 mL	5.867 mL
10 mM	0.2933 mL	1.4667 mL	2.9335 mL
50 mM	0.0587 mL	0.2933 mL	0.5867 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

Ivachtchenko AV, Lavrovsky Y, Okun I. AVN-101: A Multi-Target Drug Candidate for the Treatment of CNS Disorders. J Alzheimers Dis. 2016 May 25;53(2):583-620.