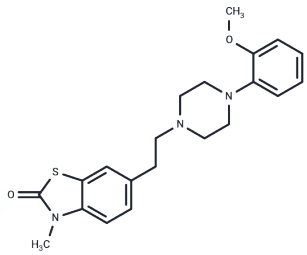
Data Sheet (Cat.No.T10168)

TargetMol

5-HT1A modulator 1

Chemical Properties



CAS No. : 142477-34-7

Formula: C21H25N3O2S

Molecular Weight: 383.51

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	5-HT1A modulator 1 exhibits high affinities for the 5-HT1A, α1-adrenergic receptor, and D2 receptor (IC50s = 2 nM, 10 nM, and 40 nM).
Targets(IC50)	5-HT Receptor,Adrenergic Receptor,Dopamine Receptor,Phospholipase
In vitro	5-HT1A modulator 1 displays affinities for the 5-HT1B, 5-HT2A, and 5-HT2C (IC50s = 300 nM, 500 nM, and 4000 nM)[1].
In vivo	5-HT1A modulator 1 (1 mg/kg; i.p) shows 94% antagonist action at 5-HT2A subtype in mice. 5-HT1A modulator 1 (1 mg/kg; i.p) completely blocks the stereotypes and the climbing. In rats, 5-HT1A modulator 1 (2 and 4 mg/kg; p.o) shows a respective 63% and 58% of antagonism and the antagonism is complete (103% and 108%) at doses of 8 and 16 mg/kg. 5-HT1A modulator 1 significantly reduces hyperactivity by 50%[1].
Kinase Assay	Binding was determined using membranes prepared from bovine hippocampus. Receptors were labeled with 0.5 nM [3H]-8-OH-DPAT by incubating with 11 concentrations of test compounds (1-10^5 nM) for 30 min at 25°C. Nonspecific binding was determined using 10 μM buspirone. Competition experiments were analyzed using the iterative nonlinear least squares curve fitting program Inplot 4, GraphPad. IC50 values were calculated using the Cheng-Prusoff equation.
Animal Research	Inject Swiss mice with test compounds (e.g. 5-HT1A modulators 1, 0.25 and 1 mg/kg i.p) prior to injection of 5-HTP (400 mg/kg i.p). Count the number of head twitches that occurred during the 10 minutes starting 10 minutes after the injection of 5HTP. Cyproheptadine was used as reference compound. Wistar rats (n=6) were used. 5-HT1A Modulator 1 was tested at pharmacological doses (1 and 2 mg/kg i.p) and high doses (32 and 64 mg/kg i.p). The intensity of forepaw pedaling was expressed as a percentage of the maximum possible score. The 5-HT1A agonist 8-OH-DPAT induced forepaw trampling and was used as a reference compound.

Solubility Information

Solubility	DMSO: 5 mg/mL (13.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6075 mL	13.0375 mL	26.0749 mL
5 mM	0.5215 mL	2.6075 mL	5.215 mL
10 mM	0.2607 mL	1.3037 mL	2.6075 mL
50 mM	0.0521 mL	0.2607 mL	0.5215 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

Taverne T, et al. Novel benzothiazolin-2-one and benzoxazin-3-one arylpiperazine derivatives with mixed 5HT1A/D2 affinity as potential atypical antipsychotics. J Med Chem. 1998 Jun 4;41(12):2010-8.