Product Data Sheet

Product Name: 5-HT1A modulator 1
Cat. No.: GC31234

Chemical Properties

Cas. No.	142477-34-7		
SMILES	O=C1SC2=CC(CCN3CCN(C4=CC=CC=C4OC)CC3)=CC=C2N1C		
Formula	$C_{21}H_{25}N_3O_2S$	M.Wt	383.51
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	5-HT1A modulator 1		

Protocol

Kinase experiment:

Binding is determined using membranes prepared from bovine hippocampus. The receptor is labeled with 0.5 nM [3H]-8-hydroxydipropylaminotetralin (8-OH-DPAT) by incubation at 25°C for 30 min with 11 concentrations of the test compounds (1-105 nM). Nonspecific binding is determined using 10-5 Mbuspirone. Competition experiments are analyzed using the iterative nonlinear least-squares curve-fitting program Inplot 4, graphpad; IC50 values are calculated using the Cheng-Prusoff equation[1].

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Animal experiment:

Rats[1]Wistar rats (n=6) are used. 5-HT1A modulator 1 is tested at pharmacological doses (1 and 2 mg/kg ip, respectively) and at high doses (32 and 64 mg/kg ip) in rats. The intensity of forepaw treading is expressed as percentage of the maximal possible score. The 5HT1A agonist 8-OH-DPAT induces forepaw treading and is used as a reference compound. Mice[1] Swiss mice are injected with the test compound (e.g., 5-HT1A modulator 1, 0.25 and 1 mg/kg ip) before an injection of 5HTP (400 mg/kg ip). The number of head twiches occurring in a 10 min period starting 10 min after the injection of 5HTP is counted. Cyproheptadine is used as reference compound.

References:

[1]. 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.

Background

5-HT1A modulator 1 displays very high affinities for the 5HT1A, adrenergic α1 and dopamine D2 receptor with IC50s of 2 ±0.3 nM, 10 ± 3 nM and 40 ±9 nM, respectively.

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5-HT1A modulator 1 (Compound 24) also displays affinities for the 5HT1B, 5-HT2A and 5-HT2C receptor with IC50s of 300±55 nM, 500±75 nM, and 4000±440 nM, respectively[1].

5-HT1A modulator 1 (Compound 24) shows clear antagonist action at 5HT2A receptor subtype in mice. The antagonism is nearly complete at the dose of 1 mg/kg ip for 5-HT1A modulator 1 (94% of antagonism, $p<0.01$). 5-HT1A modulator 1 completely blocks the stereotypies and the climbing at the dose of 1 mg/kg ip (100% of antagonism). 5-HT1A modulator 1 is also tested in rats, using the same paradigm. After oral administration, 5-HT1A modulator 1 significantly ($p<0.05$) reduces the hyperactivity by 50% at the doses of 2 and 4 mg/kg po, respectively 63% and 58% of antagonism for 5-HT1A modulator 1; the antagonism is complete (103% and 108%) at the respective doses of 8 and 16 mg/kg po for 5-HT1A modulator 1 ($p<0.01$)[1].

[1]. 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.

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