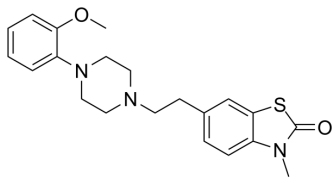
5-HT1A modulator 1

Cat. No.:	HY-100290
CAS No.:	142477-34-7
Molecular Formula:	$C_{21}H_{25}N_3O_2S$
Molecular Weight:	383.51
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	5-HT1A modulator 1 displays very high affinities for the $5HT_{1A}$, adrenergic α_1 and dopamine D_2 receptor with IC_{50}s of 2 ±0.3 nM, 10 ± 3 nM and 40 ±9 nM, respectively.
IC_{50} & Target	sPLA2 2 nM (IC_{50}) 5-HT_{2A} Receptor 500 nM (IC_{50}) 5-HT_{2C} Receptor 4000 nM (IC_{50}) α1 receptor 10 nM (IC_{50}) D2 receptor 40 nM (IC_{50})
In Vitro	5-HT1A modulator 1 (Compound 24) also displays affinities for the $5HT_{1B}$, 5-HT_{2A} and 5-HT_{2C} receptor with IC_{50}s of 300±55 nM, 500±75 nM, and 4000±440 nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	5-HT1A modulator 1 (Compound 24) shows clear antagonist action at $5HT_{2A}$ 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Binding is determined using membranes prepared from bovine hippocampus. The receptor is labeled with 0.5 nM [^{3}H]-8-hydroxydipropylaminotetralin (8-OH-DPAT) by incubation at 25°C for 30 min with 11 concentrations of the test compounds ($1-10^5$ 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; IC_{50} values are calculated using the Cheng-Prusoff equation[1].

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Animal Administration [1]	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 $5HT_{1A}$ 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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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