5-HT2B antagonist-1

Cat. No.: HY-147203

CAS No.: 393129-91-4

Molecular Formula: $C_{11}H_{14}BrN_5$

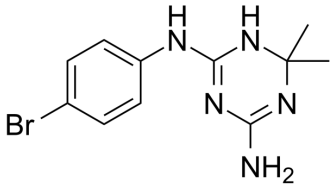
Molecular Weight: 296.17

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33.33 mg/mL (112.54 mM)

H_2O : 12.5 mg/mL (42.21 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3764 mL	16.8822 mL	33.7644 mL
5 mM	0.6753 mL	3.3764 mL	6.7529 mL
10 mM	0.3376 mL	1.6882 mL	3.3764 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.67 mg/mL (5.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.67 mg/mL (5.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

5-HT2B antagonist-1 is an orally active 5-HT2B receptor antagonist with an IC_{50} value of 33.4 nM. 5-HT2B antagonist-1 can be used in studies of diseases characterized by 5-HT2B receptor signaling, such as hepatocellular carcinoma, cardiovascular disease or gastrointestinal disease[1][2].

IC_{50} & Target

5-HT_{2B} Receptor

33.4 nM (IC_{50})

In Vitro

5-HT2B antagonist-1 (compound 5g) has some sodium channel binding activity with IC_{50} values in the range of 12.6 to 57.5 μ

	M[1]. 5-HT2B antagonist-1 (coumpound 1-e) inhibits 5-HT2B receptor activity by less than 50% at 1 μM in CHO-K1 cell lines[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	5-HT2B antagonist-1 (compound 15) (oral gavage, 30 mg/kg) can reduce visceral hypersensitivity significantly in irritable bowel syndrome (IBS) rats[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Xiang Ma, et al. Synthesis and in vitro evaluation of 2,4-diamino-1,3,5-triazine derivatives as neuronal voltage-gated sodium channel blockers. Bioorg Med Chem Lett. 2009 Oct 1;19(19):5644

[2]. Yu Zhou, et al. Structure-Based Discovery of Novel and Selective 5-Hydroxytryptamine 2B Receptor Antagonists for the Treatment of Irritable Bowel Syndrome. J Med Chem. 2016 Jan 28;59(2):707-20.

[3]. Huang Niu, et al. 5-HT2B Antagonists. WO2015158214

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