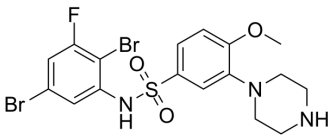
SB357134

Cat. No.:	HY-119061
CAS No.:	219963-52-7
Molecular Formula:	$C_{17}H_{18}Br_2FN_3O_3S$
Molecular Weight:	523.21
Target:	5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

SB-357134 is a potent, selective, brain penetrant, and orally active 5-HT6 receptor antagonist. SB-357134 enhances memory and learning and increases seizure threshold in rats[1].

In Vitro

SB-357134 (0.1, 0.3, 1, and 3 μM) concentration-dependently inhibits the 5-HT-mediated increased level of cAMP, which is surmountable at high 5-HT concentrations, consistent with competitive antagonism[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SB-357134 dose-dependently inhibits the specific [125I]SB-258585 binding[1].

SB-357134 (0.03-30 mg/kg; 0-24 h; p.o.; single dose) produces a potent and dose-related anticonvulsant effect in the rat MEST model, with a minimum significantly effective dose of 0.1 mg/kg[1].

Chronic administration of SB-357134 (10 mg/kg; p.o.; twice daily; 7 days) significantly shortens path length compared to vehicle and acute administration of SB-357134[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague–Dawley rats[1]
Dosage:	0.03–30 mg/kg
Administration:	0-24 h; p.o.; 0.03–30 mg/kg
Result:	Produced a potent and dose-related anticonvulsant effect in the rat MEST model, with a minimum significantly effective dose of 0.1 mg/kg. Increased seizure threshold up to 123±12% at the highest dose tested of 30 mg/kg. At 10 mg/kg, exhibited a rapid onset of action, significantly increasing seizure threshold from a control value of 21.7 to 26.7 mA at 1 h postdose. Observed Peak activity within 4–6 h postdose. With the exception of an unexplained loss of activity at 12 h, had a long duration of action of 21 h in this model.

Animal Model:	Sprague–Dawley rats[1]
Dosage:	10 mg/kg
Administration:	10 mg/kg; p.o.; twice daily; 7 days

Result:	Significantly shortened path length when administered chronically compared to vehicle and administered acutely.

REFERENCES

[1]. Stean TO, et al. Pharmacological profile of SB-357134: a potent, selective, brain penetrant, and orally active 5-HT(6) receptor antagonist. Pharmacol Biochem Behav. 2002 Apr;71(4):645-54.

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