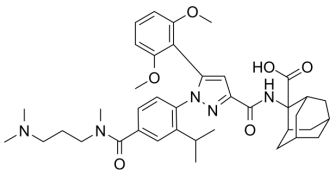
SR 142948

Cat. No.:	HY-107664
CAS No.:	184162-64-9
Molecular Formula:	$C_{39}H_{51}N_5O_6$
Molecular Weight:	685.85
Target:	Neurotensin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

SR 142948 is an orally active and selective non-peptide neurotensin receptor (NT) antagonist with IC_{50}s of 1.19 nM, 0.32 nM, 3.96 nM in h-NTR1-CHO cells, HT-29 cells, and adult rat brain, respectively. SR 142948 antagonizes NT-induced inositol monophosphate formation in HT-29 cells with an IC50 of 3.9 nM. SR 142948 blocks hypothermia, analgesia and steering behavior induced by NT in vivo. SR 142948 shows blood-brain permeability and can be used in study of psychiatric disorders [1][2].

In Vitro

SR 142948 (1 μM; 90 min) inhibits expression of c-fos and krox24 in CHO-hNT1-R cells[1].

SR 142948 (0-1 μM; 1 h) exhibits good antagonistic activity by inhibiting [^{125}I-Tyr^3]NT binds to h-NTR1-CHO and HT 29 cell membranes, with IC_{50}s of 1.19 and 0.32 nM, respectively[2].

SR 142948 (0-1 μM; 30 min) antagonizes production of IP1 stimulated by NT both in h-NTR1-CHO and HT 29 cells, in a concentration-dependent manner[2].

SR 142948 (1, 10 nM; 60-80 s) antagonizes intracellular calcium mobilization stimulated by NT in h-NTR1-CHO cells[2].

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

In Vivo

SR 142948 (2 μg/kg; p.o.; single) inhibits the turning behavior induced by NT (10 pg/mouse)[2].

SR 142948 (0.01, 0.03, 0.3 mg/kg; i.p.; single) prevents the enhancement of ACh release produced by NT (100 nM), in a dose-dependent manner[2].

SR 142948 (0-10 mg/kg; p.o.; single) partially but significantly blocks NT-induced hypothermia (53% at 2 mg/kg in rats and 54% at 4 mg/kg in mice)[2].

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

Animal Model:	Female Swiss albino CD1 mice (25-30 g; intrastriatal injection of 10 pg/mouse NT)[2].
Dosage:	2 μg/kg
Administration:	Oral administration; single.
Result:	Inhibited the turning behavior with maximal and significant antagonism between 1-2 h after administration.

REFERENCES

[1]. Portier M, et al. Neurotensin type 1 receptor-mediated activation of krox24, c-fos and Elk-1: preventing effect of the neurotensin antagonists SR 48692 and SR 142948. FEBS Lett. 1998 Jul 31;432(1-2):88-93.

[2]. Gully D, et al. Biochemical and pharmacological activities of SR 142948A, a new potent neurotensin receptor antagonist. J Pharmacol Exp Ther. 1997 Feb;280(2):802-12.

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