SR 142948 dihydrochloride

Cat. No.: HY-107664A

Molecular Formula: $C_{39}H_{53}Cl_2N_5O_6$

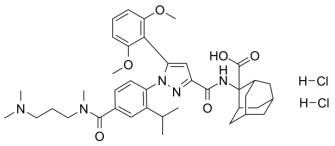
Molecular Weight: 758.77

Target: Neurotensin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (65.90 mM; Need ultrasonic)

DMSO : 10 mg/mL (13.18 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3179 mL	6.5896 mL	13.1792 mL
	5 mM	0.2636 mL	1.3179 mL	2.6358 mL
	10 mM	0.1318 mL	0.6590 mL	1.3179 mL

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

BIOLOGICAL ACTIVITY

Description

SR 142948 dihydrochloride 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 dihydrochloride antagonizes NT-induced inositol monophosphate formation in HT-29 cells with an IC_{50} of 3.9 nM. SR 142948 dihydrochloride blocks hypothermia, analgesia and steering behavior induced by NT in vivo. SR 142948 dihydrochloride shows blood-brain permeability and can be used in study of psychiatric disorders[1][2].

In Vitro

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

SR 142948 (0-1 μM; 1 h) dihydrochloride 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) dihydrochloride 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) dihydrochloride 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) dihydrochloride inhibits the turning behavior induced by NT (10 pg/mouse)[2].

SR 142948 (0.01, 0.03, 0.3 mg/kg; i.p.; single) dihydrochloride 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) dihydrochloride 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]. M Portier, et al. neurotensin antagonists SR Neurotensin type 1 receptor-mediated activation of krox24, c-fos and Elk-1: preventing effect of the 48692 and SR 142948. FEBS Lett. 1998 Jul 31;432(1-2):88-93.

[2]. D Gully, 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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