RS-102221 hydrochloride

Cat. No.: HY-101365A

CAS No.: 187397-18-8

Molecular Formula: $C_{27}H_{32}ClF_3N_4O_7S$

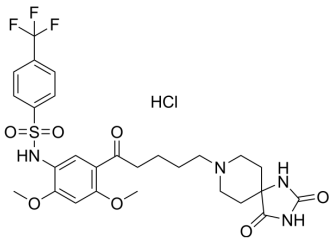
Molecular Weight: 649.08

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 : 125 mg/mL (192.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5406 mL	7.7032 mL	15.4064 mL
	5 mM	0.3081 mL	1.5406 mL	3.0813 mL
	10 mM	0.1541 mL	0.7703 mL	1.5406 mL

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

BIOLOGICAL ACTIVITY

Description

RS-102221 hydrochloride is a selective 5-HT2C receptor antagonist (K_i=10 nM). RS-102221 hydrochloride shows nearly 100-fold selectivity for the 5-HT2C receptor as compared to the 5-HT2A and 5-HT2B receptors. RS-102221 hydrochloride can promote the differentiation of new nerve cells. RS-102221 hydrochloride increases food-intake and weight-gain in rats[1][2].

IC_{50} & Target			
	5-HT_{2C} Receptor 10 nM (Ki)	5-HT_{2A} Receptor	5-HT_{2B} Receptor

In Vitro

RS-102221 hydrochloride (0.3-300nM; 24 h) promotes the differentiation of adult hippocampal neural precursor cells (ahNPCs) and significantly increases the percentage of MAP-2^+ cells[1].

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

Cell Differentiation Assay[1]

Cell Line:	Mouse adult hippocampal neural progenitor cells (ahNPCs)
Concentration:	0.3, 1, 10, 30, 100, and 300 nM

	Incubation Time:	24 hours
	Result:	Significantly increases the percentage of MAP-2$^+$ cells at 10 nM.

In Vivo

RS-102221 hydrochloride (2 mg/kg; i.p.; once daily for 14 d) increases food-intake and weight-gain in rats[2].
RS-102221 hydrochloride (2 mg/kg; i.p.; single dose), combined with the 3,4-Methylenedioxy-N-methamphetamine (MDMA or 'ecstasy'), suppresses the MDMA-induced hypophagia for the first 1 h period, and also suppresses MDMA-induced hyperlocomotion in mice[3].
RS-102221 hydrochloride (2 mg/kg; i.p.; single dose) can reduce anxiety and reduce the amplitude of startle reflex in mice in light and dark test[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bortolotto V, et al. Proneurogenic Effects of Trazodone in Murine and Human Neural Progenitor Cells. ACS Chem Neurosci. 2017 Sep 20;8(9):2027-2038.

[2]. Bonhaus DW, et al. RS-102221: a novel high affinity and selective, 5-HT2C receptor antagonist. Neuropharmacology. 1997 Apr-May;36(4-5):621-9.

[3]. Salzer I, et al. Control of sensory neuron excitability by serotonin involves 5HT2C receptors and Ca(2+)-activated chloride channels. Neuropharmacology. 2016 Nov;110(Pt A):277-286.

[4]. Conductier G, et al. 3,4-N-methlenedioxymethamphetamine-induced hypophagia is maintained in 5-HT1B receptor knockout mice, but suppressed by the 5-HT2C receptor antagonist RS102221. Neuropsychopharmacology. 2005 Jun;30(6):1056-63.

Caution: Product has not been fully validated for medical applications. For research use only.

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