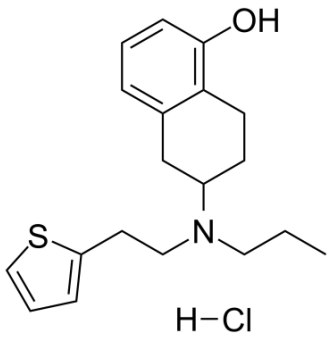
(Rac)-Rotigotine hydrochloride



Cat. No.:	HY-15394
CAS No.:	102120-99-0
Molecular Formula:	$C_{19}H_{26}ClNOS$
Molecular Weight:	351.93
Target:	Dopamine Receptor; Adrenergic Receptor; 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 : ≥ 50 mg/mL (142.07 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.8415 mL	14.2074 mL	28.4147 mL
	5 mM	0.5683 mL	2.8415 mL	5.6829 mL
	10 mM	0.2841 mL	1.4207 mL	2.8415 mL

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

In Vivo

1. Add each solvent one by one: **10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.5 mg/mL (7.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

(Rac)-Rotigotine hydrochloride is a racemate of Rotigotine. Rotigotine is a full agonist of **dopamine receptor**, a partial agonist of the **5-HT1A receptor**, and an antagonist of the **α2B-adrenergic receptor**, with K_is of 0.71 nM, 4-15 nM, and 83 nM for the dopamine D3 receptor and D2, D5, D4 receptors, and dopamine D1 receptor.

IC_{50} & Target	D_3 Receptor	D_2 Receptor	D_4 Receptor	D_5 Receptor

	0.71 nM (Ki)	13.5 nM (Ki)	3.9-15 nM (Ki)	5.4 nM (Ki)
	D_1 Receptor 83 nM (Ki)	5-HT_{1A} Receptor 30 nM (Ki)	5-HT_{2B} Receptor 27 nM (Ki)	
In Vitro	Rotigotine has a 10-fold selectivity for D3 (pK_i=9.2) receptors compared with D2, D4 and D5 (pK_i=8.5-8.0) and a 100-fold selectivity compared with D1 receptors (pK_i=7.2). In functional studies, Rotigotine behaves as full agonist at all dopamine receptors but notably the potency for stimulation of D1 receptors is similar to that for D2 and D3 receptors (pEC_{50} respectively: 9.0, 9.4-8.6, 9.7)[1]. Rotigotine (10 μM) decreases the number of THir neurons by 40% in primary mesencephalic cell culture. Rotigotine (0.01 μM) slightly protects dopaminergic neurons against MPP+ toxicity, significantly protects dopaminergic neurons against rotenone-induced cell death, and significantly inhibits ROS production by rotenone[4]. .			

REFERENCES

[1]. Wood M, et al. Rotigotine is a potent agonist at dopamine D1 receptors as well as at dopamine D2 and D3 receptors. Br J Pharmacol. 2015 Feb;172(4):1124-35.

[2]. Scheller D, et al. The in vitro receptor profile of rotigotine: a new agent for the treatment of Parkinson's disease. Naunyn Schmiedebergs Arch Pharmacol. 2009 Jan;379(1):73-86.

[3]. Fenu S, et al. In vivo dopamine agonist properties of rotigotine: Role of D1 and D2 receptors. Eur J Pharmacol. 2016 Oct 5;788:183-91.

[4]. Radad K, et al. Neuroprotective effect of rotigotine against complex I inhibitors, MPP+ and rotenone, in primary mesencephalic cell culture. Folia Neuropathol. 2014;52(2):179-86.

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