

Data Sheet

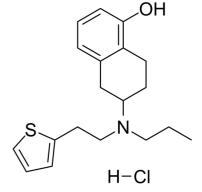
Product Name: (Rac)-Rotigotine (hydrochloride)

Cat. No.: CS-0925
CAS No.: 102120-99-0
Molecular Formula: C19H26CINOS

Molecular Weight: 351.93

Target: 5-HT Receptor; Adrenergic Receptor; Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling Solubility: DMSO : \geq 50 mg/mL (142.07 mM)



BIOLOGICAL ACTIVITY:

(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 $\alpha 2B$ -adrenergic receptor, with K_i s of 0.71 nM, 4-15 nM, and 83 nM for the dopamine D3 receptor and D2, D5, D4 receptors, and dopamine D1 receptor. IC50 & Target: Ki: Dopamine receptor; 5-HT receptor^{[1][2]} **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 (pEC₅₀ 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.

CAIndexNames:

1-Naphthalenol, 5,6,7,8-tetrahydro-6-[propyl[2-(2-thienyl)ethyl]amino]-, hydrochloride (1:1)

SMILES:

OC1=C2CCC(N(CCC)CCC3=CC=CS3)CC2=CC=C1.[H]Cl

Page 1 of 2 www.ChemScene.com

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

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Page 2 of 2 www.ChemScene.com