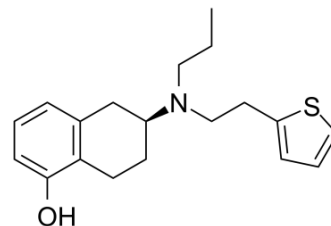


Rotigotine

Cat. No.:	HY-75502		
CAS No.:	99755-59-6		
Molecular Formula:	C ₁₉ H ₂₅ NOS		
Molecular Weight:	315.47		
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 (158.49 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		3.1699 mL	15.8494 mL	31.6987 mL
	5 mM		0.6340 mL	3.1699 mL	6.3397 mL
	10 mM		0.3170 mL	1.5849 mL	3.1699 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 3.5 mg/mL (11.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 3.5 mg/mL (11.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 3.5 mg/mL (11.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rotigotine (N-0437; N-0923) is a full agonist of dopamine receptor, a partial agonist of the 5-HT_{1A} receptor, and an antagonist of the α_{2B}-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.

IC₅₀ & Target

D ₃ Receptor 0.71 nM (K _i)	D ₂ Receptor 4-15 nM (K _i)	D ₅ Receptor 4-15 nM (K _i)	D ₄ Receptor 4-15 nM (K _i)
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	D ₁ Receptor 83 nM (Ki)	5-HT _{1A} Receptor 30 nM (Ki)	5-HT ₇ Receptor 86 nM (Ki)
In Vitro	<p>Rotigotine (N-0437; N-0923) 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].</p> <p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		
In Vivo	<p>In primed rats, Rotigotine (N-0437; N-0923; 0.035, 0.1 and 0.35 mg/kg) induces contralateral turning behavior in a dose dependent manner. In drug naive rats, the turning behavior induced by Rotigotine, either alone or in combination with SCH 39166, is reduced compared to primed rats^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		

PROTOCOL

Kinase Assay ^[1]

Binding assays are performed in 96-well polypropylene tubes in a final volume of 2 mL for D₁ and D₄ membranes and 1 mL for D₂, D₃ and D₅ membranes containing: 50 μL radioligand, 10 μL drug/buffer/non-specific binding, buffer (final concentration 50 mM Tris-HCl pH 7.4, MgCl₂ 2 mM) and membranes (5 μg protein for D₂ and D₃ and 25 μg protein for D₁ and D₅). Following 120 min of incubation at 25°C, bound radioligand is determined by rapid vacuum filtration through A/C glass fibre filters presoaked in 0.1% polyethylenimine. The filters are washed four times with 2 mL ice-cold washing buffer (Tris-HCl 50 mM, pH 7.4 at 4°C) and retained radioactivity is determined by liquid scintillation counting.

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Animal Administration ^[3]

Primed rats: Two weeks after the 6-OHDA lesions, rats are primed with apomorphine (0.5 mg/kg s.c.). Rats showing less than 150 contralateral rotations during the 1 h testing period are excluded from the study. Three days after priming, rats are divided into different experimental groups and treated with different doses of the dopamine receptor agonists (Rotigotine or pramipexole) alone or in combination with dopamine D₁ (SCH 39166) or D₂ (eticlopride) receptor antagonists as reported: saline+Rotigotine (0.035 mg/kg s.c., n=9; 0.1 mg/kg s.c., n=9; 0.35 mg/kg s.c., n=8); SCH 39166 (0.1 mg/kg s.c.)+Rotigotine (0.035 mg/kg s.c., n=5; 0.1 mg/kg s.c., n=7; 0.35 mg/kg s.c., n=5); eticlopride (0.1 mg/kg s.c.) + Rotigotine (0.1 mg/kg s.c., n=5; 0.35 mg/kg s.c., n=5); Saline+pramipexole (0.035 mg/kg s.c., n=5; 0.1 mg/kg s.c., n=12; 0.35 mg/kg s.c., n=7); SCH 39166 (0.1 mg/kg s.c.)+pramipexole (0.035 mg/kg s.c., n=5; 0.1 mg/kg s.c., n=6; 0.35 mg/kg s.c., n=6); eticlopride (0.1 mg/kg s.c.)+pramipexole (0.1 mg/kg s.c., n=7; 0.35 mg/kg s.c., n=5).

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

CUSTOMER VALIDATION

- Clin Chem. 2019 Dec;65(12):1522-1531.

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REFERENCES

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[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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