Spiroxatrine

Cat. No.: HY-12706

CAS No.: 1054-88-2

Molecular Formula: $C_{22}H_{25}N_3O_3$

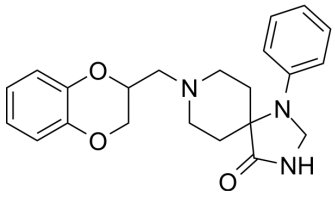
Molecular Weight: 379.45

Target: 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 : 1.92 mg/mL (5.06 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6354 mL	13.1770 mL	26.3539 mL
5 mM	0.5271 mL	2.6354 mL	5.2708 mL
10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

Spiroxatrine (R 5188) is a selective, dual antagonist of 5-HT1α and α2-adrenergic, with the K_i values of 3.94, 224000, 118.5 nM for 5-HT1α, 5-HT1β and 5-HT2, respectively. Spiroxatrine (R 5188) has a sedative effect[1][2][3][4].

IC_{50} & Target

α2-adrenergic receptor	5-HT_{1A} Receptor	$5\text{-HT}_{1B/D}$ Receptor	5-HT_2 Receptor
	3.94 nM (Ki)	224000 nM (Ki)	118.5 nM (Ki)

In Vitro

Spiroxatrine (0.01-0.1 μM, 15 mins) increases contraction in vas deferenstissues from α2A/D-adrenoceptor knockout mice[2].

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

In Vivo

Spiroxatrine (1-25 ug for i.p., 5 days) increases hindpaw withdrawal latencies to thermal and mechanical stimulation in the nerve injury rat and Carrageenan (HY-125474)-induced rat inflammation model[3].

Spiroxatrine (4 mg/kg/day for i.p., 5 mins) increases the voluntary oral ethanol intake induced by Fluoxetine (HY-B0102) in the selectively bred alcohol-preferring P line of rats [4].

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

Animal Model:	The nerve injury rat model and Carrageenan (HY-125474)-induced rat inflammation model [3]
Dosage:	1, 10, 25 ug, 5 days
Administration:	Intraperitoneal injection (i.p.)
Result:	Increased hindpaw withdrawal latencies to thermal and mechanical stimulation.

Animal Model:	Fluoxetine (HY-B0102) -induced reduction of ethanol Intake by the P Line of rats[4]
Dosage:	4 mg/kg/day, 5 mins
Administration:	Intraperitoneal injection (i.p.)
Result:	Increased the voluntary oral ethanol intake induced by Fluoxetine (HY-B0102) in the selectively bred alcohol-preferring P line of rats.

REFERENCES

[1]. D L Nelson, et al. Spiroxatrine: a selective serotonin1A receptor antagonist. Eur J Pharmacol. 1986 May 13;124(1-2):207-8.

[2]. Linda Cleary, et al. Investigation of neurotransmission in vas deferens from alpha(2A/D)-adrenoceptor knockout mice. Br J Pharmacol. 2002 Jul;136(6):857-64.

[3]. Z-Y Liu, et al. Involvement of 5-hydroxytryptamine(1A) receptors in the descending anti-nociceptive pathway from periaqueductal gray to the spinal dorsal horn in intact rats, rats with nerve injury and rats with inflammation. Neuroscience. 2002;112(2):399-407.

[4]. W J McBride, et al. Spiroxatrine augments fluoxetine-induced reduction of ethanol intake by the P line of rats. Pharmacol Biochem Behav. 1989 Oct;34(2):381-6.

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