Roxindole hydrochloride

Cat. No.: HY-106100A

CAS No.: 108050-82-4

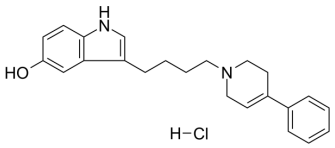
Molecular Formula: $C_{23}H_{27}ClN_2O$

Molecular Weight: 382.93

Target: Dopamine Receptor; Serotonin Transporter

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (130.57 mM; Need ultrasonic)
H_2O : 1 mg/mL (2.61 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6114 mL	13.0572 mL	26.1144 mL
	5 mM	0.5223 mL	2.6114 mL	5.2229 mL
	10 mM	0.2611 mL	1.3057 mL	2.6114 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: ≥ 2.08 mg/mL (5.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Roxindole hydrochloride (EMD 38362), an indot-alkyl-pipenidine, is a potent agonist at dopamine autoreceptors, with an affinity for the D2-like subtype in the low nanomolar range. Roxindole can be used for the research of positive and negative schizophrenic symptoms. Roxindole is a 5-HT_{1A} agonist and 5-HT uptake inhibitor with high affinity for 5-HT_{1A} (IC_{50}=0.9 nM). Antipsychotic and antidepressant activities[1][2][3].

In Vivo

Roxindole hydrochloride inhibits apomorphine-induced climbing in mice and stereotyped behavior in rats with ED_{50}s of 1.4 mg/kg s.c. and 0.65 mg/kg s.c., respectively, and inhibits conditioned avoidance response in rats (ED_{50}=1.5 mg/kg s.c.)[1].

	Roxindole hydrochloride (1, 3, 10 mg/kg; s.c) inhibits both effects of 8-OH-DPAT (flat body and forepaw treading) in normal rats (male Wistar 200-350g)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Bartoszyk GD, Harting J, Minck KO. Roxindole: psychopharmacological profile of a dopamine D2 autoreceptor agonist. J Pharmacol Exp Ther. 1996;276(1):41-48.

[2]. Wetzel H, et al. Roxindole, a dopamine autoreceptor agonist, in the treatment of positive and negative schizophrenic symptoms. Am J Psychiatry. 1994;151(10):1499-1502.

[3]. Maj J, et al. Roxindole, a dopamine autoreceptor agonist with a potential antidepressant activity. II. Effects on the 5-hydroxytryptamine system. Pharmacopsychiatry. 1997;30(2):55-61.

[4]. Prehn JH, et al. Effects of serotonergic drugs in experimental brain ischemia: evidence for a protective role of serotonin in cerebral ischemia. Brain Res. 1993;630(1-2):10-20.

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