Rivanicline hemioxalate

Cat. No.: HY-13225B

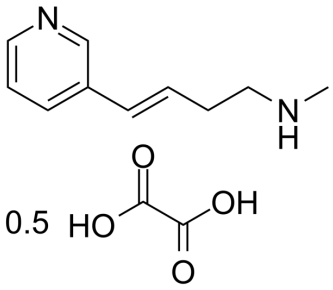
Molecular Formula: $C_{11}H_{15}N_2O_2$

Molecular Weight: 207.23

Target: nAChR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (241.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.8256 mL	24.1278 mL	48.2556 mL
	5 mM	0.9651 mL	4.8256 mL	9.6511 mL
	10 mM	0.4826 mL	2.4128 mL	4.8256 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 (12.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (12.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (12.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rivanicline hemioxalate (RJR-2403 hemioxalate; (E)-Metanicotine hemioxalate) is a neuronal nicotinic receptor agonist, showing high selectivity for the α4β2 subtype (K_i=26 nM); > 1,000 fold selectivity than α7 receptors(K_i= 3.6 μM).IC50 value: 26 nM [1]Target: α4β2 nAChRin vitro: At concentrations up to 1 mM, Rivanicline does not significantly activate nAChRs in PC12 cells, muscle type nAChRs or muscarinic receptors. Dose-response curves for agonist-induced ileum contraction indicate that Rivanicline is less than one-tenth as potent as nicotine with greatly reduced efficacy. Rivanicline does not antagonize nicotine-stimulated muscle or ganglionic nAChR function (IC50 > 1 mM). Chronic exposure of M10 cells to Rivanicline (10 microM) results in an up-regulation of high-affinity nAChRs phenomenologically similar to that seen with nicotine [1].in vivo: Rivanicline significantly improved passive avoidance retention after scopolamine-induced amnesia and enhanced both working and reference memory in rats with ibotenic acid lesions of the forebrain cholinergic projection system in an 8-arm

radial maze paradigm. By comparison, Rivanicline was 15 to 30-fold less potent than nicotine in decreasing body temperature, respiration, Y-maze rears and crosses and acoustic startle response [2]. Metanicotine was about 5-fold less potent than nicotine in the tail-flick test after s.c administration, but slightly more potent after central administration [3].

REFERENCES

[1]. Bencherif M, et al. RJR-2403: a nicotinic agonist with CNS selectivity I. In vitro characterization. J Pharmacol Exp Ther. 1996 Dec;279(3):1413-21.

[2]. Lippiello PM, et al. RJR-2403: a nicotinic agonist with CNS selectivity II. In vivo characterization. J Pharmacol Exp Ther. 1996 Dec;279(3):1422-9.

[3]. Damaj MI, et al. Antinociceptive and pharmacological effects of metanicotine, a selective nicotinic agonist. J Pharmacol Exp Ther. 1999 Oct;291(1):390-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA