

Rivanicline hemioxalate

Chemical Properties

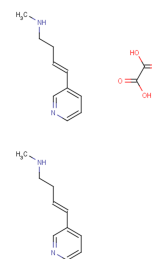
CAS No. :

Formula: C₁₂H₁₆N₂O₄

Molecular Weight: 207.23

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Rivanicline hemioxalate, also known as RJR-2403 hemioxalate or (E)-Metanicotine hemioxalate, is a chemical compound acting as a neuronal nicotinic receptor agonist with pronounced selectivity for the $\alpha 4\beta 2$ receptor subtype, showing over 1,000-fold greater selectivity for this subtype ($K_i=26$ nM) compared to $\alpha 7$ receptors ($K_i=3.6$ μ M). Its in vitro studies demonstrate no significant activation of nAChRs in PC12 cells, muscle type nAChRs, or muscarinic receptors at concentrations up to 1 mM. Furthermore, Rivanicline displayed less than one-tenth the potency of nicotine in inducing ileum contraction, with substantially lower efficacy, and failed to antagonize nicotine-induced stimulation of muscle or ganglionic nAChR functions, with an IC ₅₀ value greater than 1 mM. Chronic exposure to Rivanicline at 10 microM led to up-regulation of high-affinity nAChRs in M10 cells, mimicking effects observed with nicotine. In vivo studies revealed that Rivanicline significantly reversed scopolamine-induced amnesia and improved working and reference memory in a rat model, while being 15 to 30 times less potent than nicotine in affecting body temperature, respiration, and other physiological responses. Metanicitone's potency was approximately five times lower than nicotine in tail-flick tests following subcutaneous administration, yet slightly more potent upon central administration.
Targets(IC50)	Others,AChR

Solubility Information

Solubility	DMSO: 50 mg/mL (241.28 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2.5 mg/mL (12.06 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0965 mL	0.4826 mL	0.9651 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

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

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