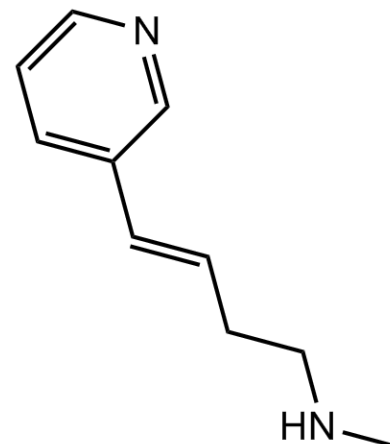


Product Data Sheet

Chemical Properties

Product Name:	RJR-2403
Cas No.:	15585-43-0
M.Wt:	162.23
Formula:	C10H14N2
Synonyms:	Rivanicline; Metanicotine; RJR 2403



Chemical Name:	(E)-N-methyl-4-pyridin-3-ylbut-3-en-1-amine
Canonical SMILES:	CNCCC=CC1=CN=CC=C1
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	Neuroscience
Pathways:	Nicotinic Receptor

Description:

RJR-2403 Description:

Ki: RJR-2403 compound binds with high affinity to [3H]nicotine binding sites in rat brain (Ki 526 nM) but possesses weak affinity (36 mM) for the 125I-labeled a-bungarotoxin-sensitive nAChR subtype [1].

RJR-2403 (TC-2403, Rivanicline, (E)-metanicotine) is a drug which acts as a partial agonist at neural nicotinic acetylcholine receptors. It is subtype-selective, binding primarily to the $\alpha 4\beta 2$ subtype. It has nootropic effects and was originally developed as a potential treatment for Alzheimer's disease, but a second action that was subsequently found was that it inhibits the production of Interleukin-8 and thus produces an antiinflammatory effect, and so it has also been developed as a potential treatment for ulcerative colitis. RJR-2403 also has stimulant and analgesic actions which are thought to be mediated through stimulation of noradrenaline release, and so it could potentially also have other applications.

in vitro: Previous *in vitro* results suggest that RJR-2403 interacts with higher potency at CNS nAChR sub-types than at muscle, ganglionic or enteric nAChRs and has higher selectivity for CNS vs. muscle or ganglionic nAChRs than does nicotine. [2].

In vivo: The data from an *in vivo* study demonstrate the efficacy of oral RJR 2403 in improving cognitive performance and the long duration of action of RJR 2403 in young adult rats. In contrast, no significant memory improvement was seen in aged rats aged (24–26 months old) after RJR 2403 administration. The inability of RJR 2403 to enhanced cognitive functions in aged rats might be related to the decrease in the number of $\alpha 4\beta 2$ nicotinic receptors, which occurs with age. A similar decreased responsiveness in aged rats has been seen with nicotine. The persistence of action of RJR 2403 provides additional promise for its potential as a treatment for cognitive dysfunction [3].

Clinical trial: Up to now, RJR-2403 is still in the preclinical development stage.

Reference:

[1] Damaj MI, Glassco W, Aceto MD, Martin BR. Antinociceptive and pharmacological effects of metanicotine, a selective nicotinic agonist. *J Pharmacol Exp Ther.* 1999;291(1):390-8.

[2] Bencherif M, Lovette ME, Fowler KW, Arrington S, Reeves L, Caldwell WS, Lippiello PM. RJR-2403: a nicotinic agonist with CNS selectivity I. *In vitro* characterization. *J Pharmacol Exp Ther.* 1996;279(3):1413-21.

[3] Edward D. Levin and N. Channelle Christopher. Persistence of nicotinic agonist RJR 2403-induced working memory improvement in rats. *Drug Development Research.* 55(2):97–103, 2002

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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