

Certificate of Analysis

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Product Name: Varenicline tartrate

Catalog No.: 3754

Batch No.: 3

CAS Number: 375815-87-5

IUPAC Name: 7,8,9,10-Tetrahydro-6,10-methano-6*H*-pyrazino[2,3-*h*][3]benzazepine tartrate

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₃H₁₃N₃.C₄H₆O₆

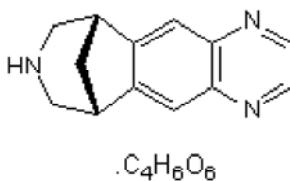
Batch Molecular Weight: 361.37

Physical Appearance: White solid

Solubility: water to 100 mM
DMSO to 50 mM

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.7% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	56.5	5.3	11.63
Found	56.65	5.35	11.53

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Orally active, subtype-selective partial agonist at $\alpha 4\beta 2$ nicotinic receptors (K_i values are 0.06, 240, 322 and 3540 nM for $\alpha 4\beta 2$, $\alpha 3\beta 4$, $\alpha 7$, $\alpha 1\beta \gamma \delta$ receptors respectively). Reduces nicotine-evoked dopamine release in vitro and decreases nicotine self-administration in vivo. Also potently activates PSAM⁴-5-HT₃ and PSAM⁴-GlyR chimeric ion channels (EC₅₀ values are 4 and 1.6 nM, respectively)

Physical and Chemical Properties:

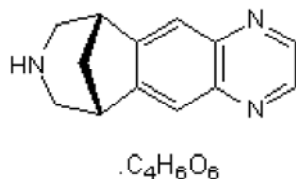
Batch Molecular Formula: C₁₃H₁₃N₃.C₄H₆O₆

Batch Molecular Weight: 361.37

Physical Appearance: White solid

Minimum Purity: >99%

Batch Molecular Structure:



References:

Rollema et al (2009) Preclinical pharmacology of the $\alpha 4\beta 2$ nAChR partial agonist varenicline related to effects on reward, mood and cognition. *Biochem.Pharmacol.* **78** 813. PMID: 19501054.

Rollema et al (2007) Pharmacological profile of the $\alpha 4\beta 2$ nicotinic acetylcholine receptor partial agonist varenicline, an effective smoking cessation aid. *Neuropharmacology* **52** 985. PMID: 17157884.

Coe et al (2005) Varenicline: an $\alpha 4\beta 2$ nicotinic receptor partial agonist for smoking cessation. *J.Med.Chem.* **48** 3474. PMID: 15887955.

Storage: Desiccate at RT

Solubility & Usage Info:

water to 100 mM

DMSO to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold for research purposes under agreement from Pfizer Inc.

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