

Certificate of Analysis

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Product Name: Sazetidine A dihydrochloride

Catalog No.: 2736

Batch No.: 3

CAS Number: 2455450-63-0

IUPAC Name: 6-[5-[(2S)-2-Azetidinylmethoxy]-3-pyridinyl]-5-hexyn-1-ol dihydrochloride

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₅H₂₀N₂O₂·2HCl.

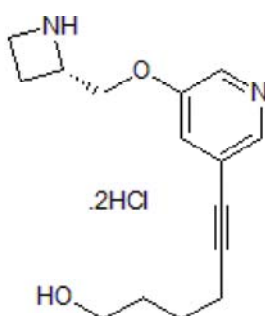
Batch Molecular Weight: 333.25

Physical Appearance: White solid

Solubility: water to 50 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 98.8% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen	Chlorine
Theoretical	54.06	6.65	8.41	15.96
Found	53.41	6.59	8.25	19.65

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

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Description:

Sazetidine A dihydrochloride is a subtype-selective $\alpha 4\beta 2$ nicotinic acetylcholine receptor ligand (K_i values are 0.26 and 54 nM at $\alpha 4\beta 2$ and $\alpha 3\beta 4$ receptors respectively). May act as a silent desensitizer or as an agonist, depending on subunit stoichiometry ($EC_{50} = 1.1$ nM for nAChR-stimulated dopamine release). Exhibits analgesic activity in vivo and significantly reduces nicotine self-administration in an experimental rat model.

Physical and Chemical Properties:

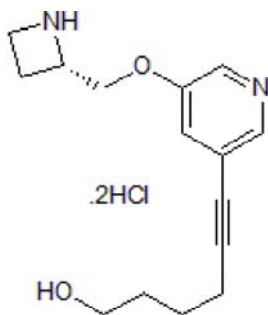
Batch Molecular Formula: $C_{15}H_{20}N_2O_2 \cdot 2HCl$.

Batch Molecular Weight: 333.25

Physical Appearance: White solid

Minimum Purity: $\geq 98\%$

Batch Molecular Structure:



Storage: Store at $-20^{\circ}C$. This product is packaged under an inert atmosphere.

Solubility & Usage Info:

water to 50 mM

CAUTION - This product is hygroscopic and we recommend that it is desiccated upon arrival.

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a $45-60^{\circ}C$ 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 $-20^{\circ}C$ or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Levin et al (2010) Sazetidine-A, a selective $\alpha 4\beta 2$ nicotinic receptor desensitizing agent and partial agonist, reduces nicotine self-administration in rats. *J.Pharmacol.Exp.Ther.* **332** 933. PMID: 20007754.

Cucchiaro et al (2008) Analgesic effects of Sazetidine-A, a new nicotinic cholinergic drug. *Anesthesiology* **109** 512. PMID: 18719450.

Zwart et al (2008) Sazetidine-A is a potent and selective agonist at native recombinant $\alpha 4\beta 2$ nicotinic acetylcholine receptors. *Mol.Pharmacol.* **73** 1843.

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