

**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:** C<sub>15</sub>H<sub>20</sub>N<sub>2</sub>O<sub>2</sub>.2HCl.

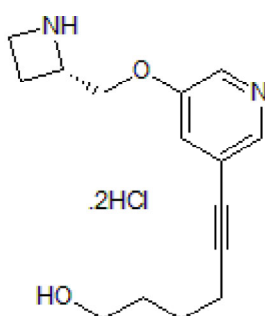
**Batch Molecular Weight:** 333.25

**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

**<sup>1</sup>H 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

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

**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:**

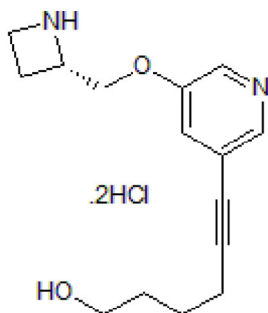
Batch Molecular Formula:  $C_{15}H_{20}N_2O_2 \cdot 2HCl$ .

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

This compound is hygroscopic and may absorb atmospheric moisture during prolonged storage, causing the solid to become sticky and/or collapse into a gel or glass-like form. Although purity is unaffected, it may be difficult to extract the full quantity from the vial. In such a situation, we recommend that solutions are made by adding solvent directly to the vial. The vial should then be vortexed vigorously to ensure the product has completely dissolved.

**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. \*Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

**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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