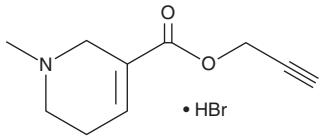
PRODUCT INFORMATION

Arecaidine propargyl ester (hydrobromide)

Item No. 34568

CAS Registry No.: 116511-28-5
Formal Name: 1,2,5,6-tetrahydro-1-methyl-3-pyridinecarboxylic acid, 2-propyn-1-yl ester, monohydrobromide
Synonym: APE
MF: $C_{10}H_{13}NO_2 \bullet HBr$
FW: 260.1
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Arecaidine propargyl ester (hydrobromide) is supplied as a solid. A stock solution may be made by dissolving the arecaidine propargyl ester (hydrobromide) in the solvent of choice, which should be purged with an inert gas. Arecaidine propargyl ester (hydrobromide) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of arecaidine propargyl ester (hydrobromide) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of arecaidine propargyl ester (hydrobromide) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of arecaidine propargyl ester (hydrobromide) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Arecaidine propargyl ester is an agonist of M_2 muscarinic acetylcholine receptors (mAChRs).[1] It selectively binds to M_2 over M_1, M_3, M_4, and M_5 mAChRs in CHO cells expressing the human receptors (K_is = 0.0871, 1.23, 0.851, 0.977, and 0.933 µM, respectively). Arecaidine propargyl ester induces contractions in isolated guinea pig atrium (pD_2 = 8.67). It induces apoptosis and the production of reactive oxygen species (ROS) in U87 and U251 glioblastoma cells when used at a concentration of 100 µM.[2] Arecaidine propargyl ester decreases mean arterial blood pressure in normotensive cats (ED_{25} = 1.9 nmol/kg).[3]

References

1. Scapecchi, S., Matucci, R., Bellucci, C., *et al*. Highly chiral muscarinic ligands: the discovery of (2*S*,2'*R*,3'*S*,5'*R*)-1-methyl-2-(2-methyl-1,3-oxathiolan-5-yl)pyrrolidine 3-sulfoxide methyl iodide, a potent, functionally selective, M_2 partial agonist. *J. Med. Chem.* **49(6)**, 1925-1931 (2006).
2. Di Bari, M., Tombolillo, B., Conte, C., *et al*. Cytotoxic and genotoxic effects mediated by M2 muscarinic receptor activation in human glioblastoma cells. *Neurochem. Int.* **90**, 261-70 (2015).
3. Porsius, A.J. and Van Zwieten, P.A. Central action of some cholinergic drugs (arecaidine esters) and nicotine on blood pressure and heart rate of cats. *Prog. Brain Res.* **47**, 131-135 (1977).

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