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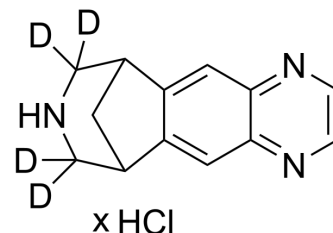
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Varenicline-d₄ hydrochloride

Cat. No.:	HY-10019AS1
Molecular Formula:	C ₁₃ H ₉ D ₄ N ₃ .xHCl
Target:	Isotope-Labeled Compounds; nAChR
Pathway:	Others; Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Varenicline-d ₄ hydrochloride is a deuterium labeled Varenicline (dihydrochloride) (HY-10019A) ^[1] . Varenicline (CP 526555) dihydrochloride is a potent partial agonist for α ₄ β ₂ nicotinic acetylcholine receptor (nAChR) with an EC ₅₀ value of 2.3 μM. Varenicline dihydrochloride is a full agonist for α ₃ β ₄ and α ₇ nAChRs with EC ₅₀ values of 55 μM and 18 μM, respectively ^[2] . Varenicline dihydrochloride is a nicotinic ligand based on the structure of cytosine, and has the potential for smoking cessation treatment ^[3] .
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Elif Baris, et al. Varenicline Prevents LPS-Induced Inflammatory Response via Nicotinic Acetylcholine Receptors in RAW 264.7 Macrophages. *Front Mol Biosci*. 2021 Oct 12;8:721533.
- [2]. Mihalak KB, et al. Varenicline is a partial agonist at alpha4beta2 and a full agonist at alpha7 neuronal nicotinic receptors. *Mol Pharmacol*. 2006 Sep;70(3):801-5. Epub 2006 Jun 9.
- [3]. Jin H, et al. Therapeutic concentrations of varenicline in the presence of nicotine increase action potential firing in human adrenal chromaffin cells. *J Neurochem*. 2017 Jan;140(1):37-52.
- [4]. Mitsuhsa Koga, et al. Varenicline promotes endothelial cell migration by lowering vascular endothelial-cadherin levels via the activated α₇ nicotinic acetylcholine receptor-mitogen activated protein kinase axis. *Toxicology*. 2017 Sep 1;390:1-9.
- [5]. Bagdas D, et al. New insights on the effects of varenicline on nicotine reward, withdrawal and hyperalgesia in mice. *Neuropharmacology*. 2018 Aug;138:72-79.
- [6]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother*. 2019 Feb;53(2):211-246.

Caution: Product has not been fully validated for medical applications. For research use only.

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