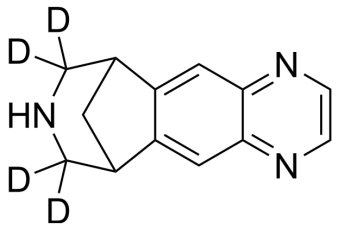
Varenicline-d_4

Cat. No.:	HY-10019S
CAS No.:	2183239-01-0
Molecular Formula:	$C_{13}H_9D_4N_3$
Molecular Weight:	215.29
Target:	nAChR; Isotope-Labeled Compounds
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Varenicline-d_4 is deuterium labeled Varenicline. Varenicline (CP 526555) is a potent partial agonist for α4β2 nicotinic acetylcholine receptor (nAChR) with an EC50 value of 2.3 μM. Varenicline is a full agonist for α3β4 and α7 nAChRs with EC50 values of 55 μM and 18 μM, respectively[1]. Varenicline is a nicotinic ligand based on the structure of cytisine, has the potential for smoking cessation treatment[2].
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]. 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.

[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]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-216.

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