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Varenicline

产品编号： D51186

CAS: 249296-44-4

分子式： C13H13N3

纯度： ≥98%

InChi: InChI=1S/C13H13N3/c1-2-16-13-5-11-9-3-8(6-14-7-9)10(11)4-12(13)15-1/h1-2,4-5,8-9,14H,3,6-7H2/t8-,9+

InChi Key: JQSHBVHOMNKWFT-DTORHVGOSA-N

Smiles: C1NC[C@H]2C[C@@H]1C1C=C3N=CC=NC3=CC=12

外观： 固体粉末

作用通路： nAChR

溶解性： DMSO: 57 mg/mL(200.57 mM).

保存条件： Store in dry, dark place for one year.

产品介绍： Varenicline is a nicotinic receptor partial agonist—it stimulates nicotine receptors more weakly than nicotine itself does. In this respect it is similar to cytisine and different from the nicotinic antagonist, bupropion, and nicotine replacement therapies (NRTs) like nicotine patches and nicotine gum. Varenicline displays full agonism on α7 nicotinic acetylcholine receptors and is a partial agonist on the α4β2, α3β4, and α6β2 subtypes. In addition, it is a weak agonist on the α3β2 containing receptors. Varenicline's partial agonism on the α4β2 receptors rather than nicotine's full agonism produces less effect of dopamine release than nicotine's. This α4β2 competitive binding reduces the ability of nicotine to bind and stimulate the mesolimbic dopamine system—similar to the method of action of buprenorphine in the treatment of opioid addiction.

CAS：249296-44-4

分子式：C13H13N3

分子量：211.26

MDL：MFCD10001497

纯度：≥99.5% (HPLC)

作用靶点：nAChR;

作用通路：Membrane Transporter/Ion Channel; Neuronal Signaling；

产品描述：伐伦克林 (Varenicline) 是 α4β2 nAChR 烟碱乙酰胆碱受体的一个部分激动剂 (EC50=2.3 μM)。Varenicline 是 α3β4 nAChR 和 α7 nAChR 乙酰胆碱受体完全激动剂，EC50 值分别为 55 μM 和 18 μM。Varenicline 是一种基于胞嘧啶结构的烟碱配体，有潜力用于戒烟治疗方面的研究。