

Encenicline hydrochloride

Catalog No: tcsc1005

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

550999-74-1

Formula:

 $C_{16}H_{18}CI_2N_2OS$

Pathway:

Neuronal Signaling; Membrane Transporter/Ion Channel

Target:

nAChR;nAChR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (139.94 mM)

Alternative Names:

EVP-6124 (hydrochloride)

Observed Molecular Weight:

357.3

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Product Description

Encenicline hydrochloride (EVP-6124 hydrochloride) is a novel partial agonist of **α7** neuronal nicotinic acetylcholine receptors (**nAChRs**).

IC50 & Target: α7 nAChR^[1]

In Vitro: Encenicline (EVP-6124) displaces [³H]-MLA (Methyllycaconitine) (K_i =9.98 nM, plC₅₀=7.65±0.06, n=3) and [¹²⁵I]- α -bungarotoxin (K_i =4.33 nM, plC₅₀=8.07±0.04, n=3). Encenicline (EVP-6124) is approximately 300 fold more potent than the natural agonist ACh (K_i =3 μ M), measured in binding assays using [³H]-MLA. Encenicline hydrochloride inhibits the 5-HT₃ receptor by 51% at 10 nM, the lowest concentration tested. Evaluation of the human 5-HT_{2B} receptor expressed in CHO cells demonstrates displacement of [³H]-mesulergine (K_i =14 nM) and only antagonist activity in the rat gastric fundus assay at an IC₅₀ of 16 μ M. In binding and functional experiments, Encenicline (EVP-6124) shows selectivity for α 7 nAChRs and does not activate or inhibit heteromeric α 4β2 nAChRs^[1].

In Vivo: Encenicline hydrochloride has good brain penetration and an adequate exposure time. Encenicline hydrochloride (0.3 mg/kg, p.o.) significantly restores memory function in scopolamine-treated rats (0.1 mg/kg, i.p.) in an object recognition task (ORT). Although donepezil at 0.1 mg/kg, p.o. or Encenicline hydrochloride at 0.03 mg/kg, p.o. did not improve memory in this task, coadministration of these sub-efficacious doses fully restored memory. In a natural forgetting test, an ORT with a 24 h retention time, Encenicline hydrochloride improved memory at 0.3 mg/kg, p.o. This improvement is blocked by the selective α 7 nAChR antagonist methyllycaconitine (0.3 mg/kg, i.p. or 10 µg, i.c.v.). Encenicline hydrochloride is found to bind moderately to rat plasma proteins with a mean fu of 0.11±0.01 (mean±SD) or 11%. Over a range of 0.1-30 mg/kg, p.o., Encenicline hydrochloride demonstrates proportional dose escalation. T_{max} is at 4 h in plasma and 2 h brain, although the brain concentrations remained similar between 2 and 8 h. The B:P ratios are 1.7-5.1 between 1 and 8 h^[1]. Pharmacokinetic studies have shown that Encenicline hydrochloride (0.4 mg/kg, i.p.) reaches peak brain concentration 2 hr after administration and remains at effective concentrations for at least 4 hr. Encenicline hydrochloride is administered to WT mice at ZTO (0.4 mg/kg i.p single dose) and significantly increases the saturation index of NMDARs in slices obtained 4 hr later without causing prolonged wakefulness or enhanced locomotor activity ^[2].



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