Isoguvacine hydrochloride

Cat. No.: HY-100810

CAS No.: 68547-97-7

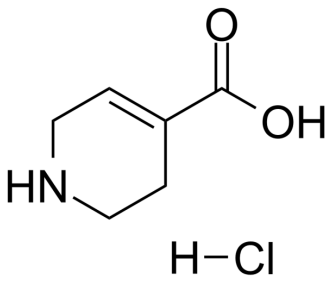
Molecular Formula: $C_6H_{10}ClNO_2$

Molecular Weight: 163.6

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (611.25 mM; Need ultrasonic)
DMSO : 25 mg/mL (152.81 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	6.1125 mL	30.5623 mL	61.1247 mL
5 mM	1.2225 mL	6.1125 mL	12.2249 mL
10 mM	0.6112 mL	3.0562 mL	6.1125 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (611.25 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (12.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (12.71 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (12.71 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Isoguvacine hydrochloride is a GABA receptor agonist.

IC_{50} & Target GABA[1]

In Vitro Isoguvacine binds to a mouse forebrain synaptic membrane preparation. The specific binding is displaceable by GABA, muscimol and bicuculline but not by picrotoxin or diaminobutyric acid. Kinetic data suggest two binding affinities. Highest

levels of binding are observed in the cerebellum, cortex and hippocampus[1]. Isoguvacine binds to membrane preparations of rat forebrain with pharmacological characteristics similar to the postsynaptic GABA recognition site: that it is transported into synaptosomal preparations by an uptake system similar to the high-affinity GABA uptake system; and that recently accumulated isoguvacine is released in a Ca^{2+}-dependent manner and by heteroexchange with external GABA[2]. Isoguvacine at a concentration of 50 μM blocks the seizure like events in 2 out of 6 organotypic hippocampal slice cultures. Isoguvacine inhibits the low magnesium induced seizure like events dose dependently[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]

The assay for ^{3}H-Isoguvacine binding is carried out as follows: membranes suspended in Tris-citrate buffer pH 7.1 are incubated at 4°C for 10 min with 8 nM ^{3}H-Isoguvacine. Identical samples are incubated in the presence of cold 0.5 mM GABA or 10 μM cold isoguvacine. The assay is terminated by centrifugation for 10 min at 4°C in a micro-centrifuge. The supernatants are rapidly aspirated and the surface of the pellets washed (2x) with ice-cold buffer. The pellet is resuspended in I00 μL of 0.1% Triton and placed in a scintillation vial. The assay tube is washed with I00 μL of distilled water and the wash added to the vial along with 10 ml of PCS: xylene (2:1). Counting efficiency is determined to be 40-45% in a Beckman liquid scintillation counter. Specific binding is defined as those radioactive cpm of bound ^{3}H-Isoguvacine that are displaced by excess cold isoguvacine or GABA[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Morin AM, et al. The binding of 3H-isoguvacine to mouse brain synapticmembranes. Life Sci. 1980 Apr 14;26(15):1239-45.

[2]. White WF, et al. Isoguvacine binding, uptake, and release: relation to the GABA system. J Neurochem. 1983 Jun;40(6):1701-8.

[3]. Wahab A, et al. Effects of gamma-aminobutyric acid (GABA) agonists and a GABA uptake inhibitor on pharmacoresistant seizure like events in organotypic hippocampal slice cultures. Epilepsy Res. 2009 Oct;86(2-3):113-23.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA