Guvacine hydrochloride

Cat. No.: HY-100809

CAS No.: 6027-91-4

Molecular Formula: $C_6H_{10}ClNO_2$

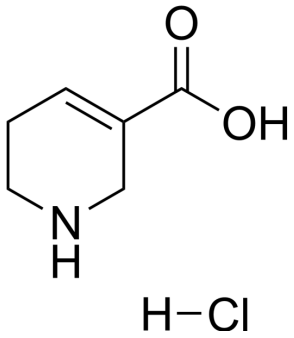
Molecular Weight: 163.6

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: -20°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 : 41.67 mg/mL (254.71 mM; Need ultrasonic)

DMSO : 10 mg/mL (61.12 mM; ultrasonic and warming and heat to 60°C)

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: 25 mg/mL (152.81 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Guvacine hydrochloride is an alkaloid from the nut of Areca catechu, acts as an inhibitor of GABA transporter, and dispalys modest selectivity for cloned GABA transporters with IC_{50}s of 14 μM (human GAT-1), 39 μM (rat GAT-1), 58 μM (rat GAT-2), 119 μM (human GAT-3), 378 μM (rat GAT-3), and 1870 μM (human BGT-3).

IC_{50} & Target

IC50: 14 μM (human GAT-1), 39 μM (rat GAT-1), 58 μM (rat GAT-2), 119 μM (human GAT-3), 378 μM (rat GAT-3), 1870 μM (human BGT-3)[1]

In Vitro

Guvacine hydrochloride is a potent inhibitor of GABA transporter, dispalys modest selectivity forcloned GABA transporters with IC_{50}s of 14 μM (human GAT-1), 39 μM (rat GAT-1), 58 μM (rat GAT-2), 119 μM (human GAT-3), 378 μM (rat GAT-3), and 1870 μM (human BGT-3). Guvacine has low affinity at hBGT-1 (IC_{50} >1 mM)[1]. Guvacine hydrochloride is a potent inhibitor of GABA uptake, but does not inhibit sodium-independent GABA binding, and is weak or inactive as a GABA receptor agonist[2]. Guvacine inhibits the uptake GABA and β-alanine with IC_{50}s of 23 ± 2 μM, 66 ± 11 μM in the Cat spinal cord, and 8 ± 1 μM, 123 ± 28 μM in the rat cerebral cortex, respectively[3].

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

PROTOCOL

Cell Assay [1]

Cells grown in 24-well plates are washed 3 × with Hepes-buffered saline (HBS, in mM: NaC1, 150; Hepes, 20; $CaCl_2$, 1; glucose, 10; KC1, 5; $MgCl_2$, 1; pH 7.4) and allowed to equilibrate on a 37°C slide warmer. After 10 min the medium is removed and unlabeled drugs (Guvacine , etc.) in HBS are added (450 µL/well). Transport is initiated by adding 50 µL per well of a concentrated solution of [^{3}H]GABA in HBS (final concentration = 50 nM). Non-specific uptake is defined in parallel wells with 1 mM unlabeled GABA, and is subtracted from total uptake to yield specific uptake; all data represent specific uptake. Plates are incubated at 37°C for 10 min, then washed rapidly 3 × with ice-cold HBS, using a 24-position plate washer. Cells are solubilized with 0.05% sodium deoxycholate/0.1 N NaOH (0.25 mL/well), an aliquot neutralized with 1 N HC1, and radioactivity is determined by scintillation counting. Protein is quantified in an aliquot of the solubilized cells using a BIO-RAD protein assay kit[1].

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

REFERENCES

[1]. Borden LA, et al. Tiagabine, SK&F 89976-A, CI-966, and NNC-711 are selective for the cloned GABA transporter GAT-1. Eur J Pharmacol. 1994 Oct 14;269(2):219-24.

[2]. Krogsgaard-Larsen P, et al. Structure-activity studies on the inhibition of GABA binding to rat brain membranes by muscimol and related compounds. J Neurochem. 1978 Jun;30(6):1377-82.

[3]. Lodge D, et al. Effects of the Areca nut constituents arecaidine and guvacine on the action of GABA in the cat central nervous system. Brain Res. 1977 Nov 18;136(3):513-22.

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