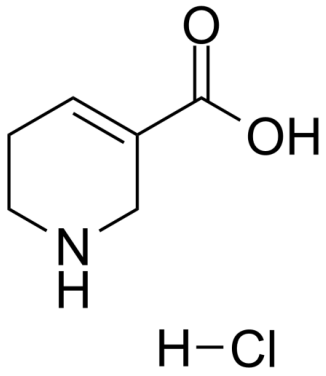
Data Sheet

Product Name:	Guvacine hydrochloride
Cat. No.:	CS-0020452
CAS No.:	6027-91-4
Molecular Formula:	$C_6H_{10}ClNO_2$
Molecular Weight:	163.60
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 1 mg/mL (6.11 mM; ultrasonic and warming and heat to 80°C); H2O : 41.67 mg/mL (254.71 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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). IC50 & 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 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). 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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

3-Pyridinecarboxylic acid, 1,2,5,6-tetrahydro-, hydrochloride (1:1)

SMILES:

O=C(C1=CCCNC1)O.[H]Cl

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