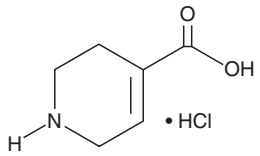
PRODUCT INFORMATION

Isoguvacine (hydrochloride)

Item No. 33143

CAS Registry No.: 68547-97-7
Formal Name: 1,2,3,6-tetrahydro-4-pyridinecarboxylic acid, monohydrochloride
MF: $C_6H_9NO_2 \bullet HCl$
FW: 163.6
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Isoguvacine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the isoguvacine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Isoguvacine (hydrochloride) is soluble in the organic solvent DMSO at a concentration of approximately 1 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of isoguvacine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of isoguvacine (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Isoguvacine is a $GABA_A$ receptor agonist.[1] It binds to rat synaptic cortical membranes (K_i = 55 nM) and activates $\alpha_1\beta_2\gamma_{2S}$, $\alpha_2\beta_2\gamma_{2S}$, $\alpha_3\beta_2\gamma_{2S}$, $\alpha_5\beta_2\gamma_{2S}$, and ρ_1 subunit-contanining $GABA_A$ receptors in a FLIPR assay (EC_{50}s = 13, 4.5, 5.6, 0.78, and 3.1 µM, respectively).[1] It inhibits CA1 population spikes in rat hippocampal slices (EC_{50} = 13 µM) and high potassium/low magnesium-induced seizures in rat pups.[2,3] Chronic administration of isoguvacine (2 mg/kg) improves tactile over-reactivity, anxiety-like behaviors, and social impairments in mouse models of autism spectrum disorder (ASD).[4]

References

1. Petersen, J.G., Sørensen, T., Damgaard, M., *et al.* Synthesis and pharmacological evaluation of 6-aminonicotinic acid analogues as novel $GABA_A$ receptor agonists. *Eur. J. Med. Chem.* **84**, 404-416 (2014).
2. Kemp, J.A., Marshall, G.R., and Woodruff, G.N. Quantitative evaluation of the potencies of GABA-receptor agonists and antagonists using the rat hippocampal slice preparation. *Br. J. Pharmacol.* **87(4)**, 677-684 (1986).
3. Isaev, D., Isaeva, E., Khazipov, R., *et al.* Anticonvulsant action of GABA in the high potassium-low magnesium model of ictogenesis in the neonatal rat hippocampus in vivo and in vitro. *J. Neurophysiol.* **94(4)**, 2987-2992 (2005).
4. Orefice, L.L., Mosko, J.R., Morency, D.T., *et al.* Targeting peripheral somatosensory neurons to improve tactile-related phenotypes in ASD models. *Cell* **178(4)**, 867-886 (2019).

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