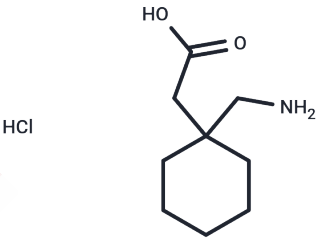
Data Sheet (Cat.No.T6507)

TargetMol

Gabapentin hydrochloride

Chemical Properties



CAS No. : 60142-95-2

Formula: C9H17NO2·HCl

Molecular Weight: 207.7

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Gabapentin hydrochloride (Neurontin HCl) is a GABA analogue, used to treat seizures and neuropathic pain.
Targets(IC50)	Calcium Channel,GABA Receptor
In vitro	Gabapentin suppresses ectopic afferent discharge activity generated from injured peripheral nerves. Gabapentin, in a range of 30 to 90 mg/kg, significantly attenuates allodynia in nerve-injured rats. Gabapentin dose-dependently inhibits the ectopic discharge activity of 15 injured sciatic afferent nerve fibers through an action on impulse generation. [1] Gabapentin inhibits KCl (30 mM)-evoked voltage-dependent Ca(2+) influx. Gabapentin potently inhibits the peak whole-cell Ca(2+) channel current (I(Ba)) in a dose-dependent manner with an estimated IC(50) value of 167 nM. Gabapentin inhibition is voltage-dependent, producing an approximately 7 mV hyperpolarizing shift in current voltage properties and reducing a non-inactivating component of whole-cell current activated at relatively depolarized potentials. [2] Gabapentin selectively activates heterodimeric GABAB1a-B2 receptors, but not GABAB1b-B2 or GABAB1c-B2 receptors. Gabapentin selectively activates presynaptic GABAB heteroreceptors on glutamatergic terminals, but not GABAB autoreceptors on GABAergic terminals. Gabapentin is found to inhibit both the excitatory synaptic transmission in vitro and the neuronal response to noxious electrical and mechanical stimulation in vivo mediated by α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA), but not those mediated by N-methyl-D-asparate (NMDA) receptors. Gabapentin acts as an AMPA-receptor antagonist in the rat spinal cord to exert its spinal antinociceptive effect. Gabapentin depresses, but NMDA enhanced, the presynaptic fiber volley in the CA1 region of rat hippocampal slices. [3]

Solubility Information

Solubility	DMSO: Insoluble, H2O: 10 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.8146 mL	24.0732 mL	48.1464 mL
5 mM	0.9629 mL	4.8146 mL	9.6293 mL
10 mM	0.4815 mL	2.4073 mL	4.8146 mL
50 mM	0.0963 mL	0.4815 mL	0.9629 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Pan HL, et al. J Pharmacol Exp Ther, 1999, 288(3), 1026-1030.
Sutton KG, et al. Br J Pharmacol,2002, 135(1), 257-265.
Cheng JK, et al. J Pharmacol Sci, 2006, 100(5), 471-486.