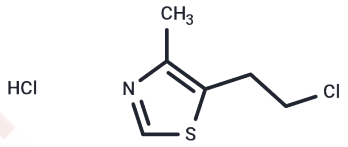
Data Sheet (Cat.No.T14983)

TargetMol

Clomethiazole

Chemical Properties



CAS No. : 533-45-9

Formula: C6H8ClNS

Molecular Weight: 161.65

Appearance: no data available

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

Biological Description

Description	Clomethiazole (Distraneurin) is an orally active GABAA agonist and it is an anticonvulsant agent. It also has the potential for treating convulsive status epilepticus. Chlormethiazole inhibits cytochrome P450 isoforms: CYP2A6 and CYP2E1 in human liver microsomes.
Targets(IC50)	GABA Receptor,Cytochromes P450
In vitro	Clomethiazole inhibits cytochrome P450 isoforms, CYP2A6 and CYP2E1 (IC50: 24 μM and 42 μ), in human liver microsomes. But all other isoforms exhibiting values > 300 μM[2]. Clomethiazole (1 mM), in the absence of GABA, to α1/β1/γ2 or α1/β2/γ2 subunit-containing cells produced large whole-cell currents[1]. Clomethiazole activate GABAA currents in α1/β1/γ2- and α1/β2/γ2-containing cells (EC50: 0.3 and 1.5 mM) [1]. Clomethiazole (30 μM) at low concentration also potentiates the action of GABA in both cell types, equivalent to a 3-fold increase in potency and up to 1.8-fold increase in maximal current[1].

Solubility Information

Solubility	DMSO: 240 mg/mL (1484.69 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	6.1862 mL	30.931 mL	61.862 mL
5 mM	1.2372 mL	6.1862 mL	12.3724 mL
10 mM	0.6186 mL	3.0931 mL	6.1862 mL
50 mM	0.1237 mL	0.6186 mL	1.2372 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

Nelson RM, et al.Electrophysiological actions of gamma-aminobutyric acid and clomethiazole on recombinant GABA(A) receptors.Eur J Pharmacol. 2002 Oct 11;452(3):255-62.
Stresser DM, et al. Selective Time- and NADPH-Dependent Inhibition of Human CYP2E1 by Clomethiazole.Drug Metab Dispos. 2016 Aug;44(8):1424-30

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