Clomethiazole

Cat. No.: HY-129105

CAS No.: 533-45-9

Molecular Formula: C_6H_8ClNS

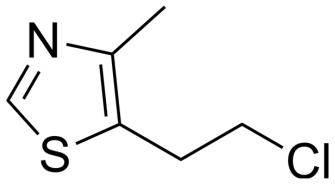
Molecular Weight: 161.65

Target: GABA Receptor; Cytochrome P450

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling; Metabolic Enzyme/Protease

Storage:

Pure form	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (618.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	6.1862 mL	30.9310 mL	61.8621 mL
	5 mM	1.2372 mL	6.1862 mL	12.3724 mL
	10 mM	0.6186 mL	3.0931 mL	6.1862 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (12.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (12.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (12.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Chlormethiazole is an potent and orally active $GABA_A$ agonist[1]. Chlormethiazole inhibits cytochrome P450 isoforms: CYP2A6 and CYP2E1 in human liver microsomes. Chlormethiazole is an anticonvulsant agent and has the potential for treating convulsive status epilepticus[2].

IC_{50} & Target

CYP2

In Vitro

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, with EC_{50} values of 0.3 and 1.5 mM, respectively[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].
Clomethiazole inhibits cytochrome P450 isoforms, CYP2A6 and CYP2E1 with IC_{50} values of 24 μM and 42 μM, respectively, in human liver microsomes, meanwhile all other isoforms exhibiting values > 300 μM[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomaterials. 4 August 2022, 121720.

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REFERENCES

[1]. 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.

[2]. 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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