

Product Data Sheet

Product Name: Clomethiazole

Cat. No.: GC38758

Chemical Properties

Cas. No. 533-45-9

SMILES CC1=C(CCCl)SC=N1

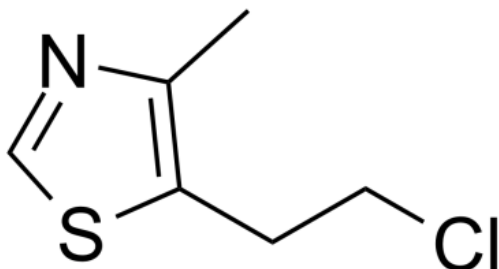
Formula C6H8ClNS M.Wt 161.65

Solubility DMSO: 250 mg/mL (1546.55 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available sizes: ship with RT, or blue ice upon request.

Structure



Background

Chlormethiazole is a 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].

Clomethiazole (1 mM), in the absence of GABA, to $\alpha 1/\beta 1/\gamma 2$ or $\alpha 1/\beta 2/\gamma 2$ subunit-containing cells produced large whole-cell currents[1]. Clomethiazole activates GABA_A currents in $\alpha 1/\beta 1/\gamma 2$ - and

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$\alpha 1/\beta 2/\gamma 2$ -containing cells, with EC50 values of 0.3 and 1.5 mM, respectively[1]. Clomethiazole (30 μ M) 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 IC50 values of 24 μ M and 42 μ M, respectively, in human liver microsomes, meanwhile all other isoforms exhibiting values > 300 μ M[2].

[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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