

Product Data Sheet

Product Name: Celiprolol (hydrochloride)

Cat. No.: GC12023

Chemical Properties

Cas. No. 57470-78-7

Chemical Name N'-[3-acetyl-4-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]phenyl]-N,N-diethyl-urea, monohydrochloride

SMILES CC(C1=C(C(=C(C=C1)OC(CO)CNC(C)(C)C)C)C)C(=O)NCCN(CC)CC=O.O.Cl

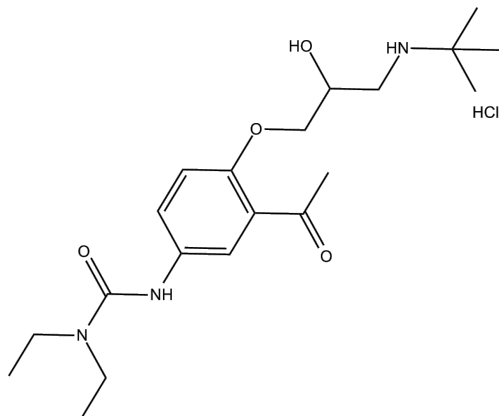
Formula $C_{20}H_{33}N_3O_4 \cdot HCl$ M.Wt 416

Solubility $\leq 2\text{mg/ml}$ in ethanol; 10mg/ml in DMSO; 10mg/ml in dimethyl formamide 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 size: ship with RT, or blue ice upon request.

Structure



Background

Celiprolol is a β_1 adrenergic receptor antagonist and β_2 adrenergic receptor partial agonist.

β_2 adrenergic receptor agonists, also known as adrenergic β_2 receptor agonists, are a class of drugs acting on the β_2 adrenergic receptor. Like other β adrenergic agonists, they cause smooth muscle

Caution: Product has not been fully validated for medical applications. For research use only.

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relaxation.

In vitro: The ability of celiprolol to induce the regulation of beta adrenergic receptors was investigated in S49 lymphoma cells. Results showed that celiprolol did not stimulate adenylate cyclase in membranes from wild-type (WT) S49 cells or induced the sequestration of beta adrenergic receptors on intact cells. Moreover, exposure of WT S49 cells to celiprolol led to the loss of around half of the total cellular beta adrenergic receptors [1].

In vivo: In a previous study, Japanese white rabbits underwent 30 min of ischemia and 48 h of reperfusion. Celiprolol was administered 20 min before ischemia with or without pretreatment with N(omega)-nitro-L-arginine methylester (L-NAME) or 5-hydroxydecanoic acid sodium salt (5-HD). Results showed that celiprolol could significantly reduce the infarct size dose-dependently. The infarct size-reducing effect of celiprolol was found to be completely blocked by L-NAME but not by 5-HD. In addition, celiprolol could increase the myocardial interstitial levels of NOx and reduce the intensity of myocardium staining [2].

Clinical trial: In a previous clinical study, the authors suggested that celiprolol might be the treatment to prevent major complications in patients with vascular Ehlers-Danlos syndrome [3].

References:

- [1] Reynolds EE, Molinoff PB. Down regulation of beta adrenergic receptors in S49 lymphoma cells induced by atypical agonists. J Pharmacol Exp Ther. 1986 Dec;239(3):654-60.
- [2] Chen, X. ,Minatoguchi, S.,Arai, M., et al. Celiprolol, a selective β 1-blocker, reduces the infarct size through production of nitric oxide in a rabbit model of myocardial infarction. Circulation Journal 71(4), 574-579 (2007).
- [3] Ong KT et al. Effect of celiprolol on prevention of cardiovascular events in vascular Ehlers-Danlos syndrome: a prospective randomised, open, blinded-endpoints trial. Lancet. 2010 Oct 30;376(9751):1476-84.

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