Product Data Sheet

Product Name: Losartan Carboxaldehyde
Cat. No.: GC14013

Chemical Properties

Cas. No.	114798-36-6		
Chemical Name	2-butyl-4-chloro-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-imidazole-5-carboxaldehyde		
SMILES	[H]N1N=NN=C1C2=CC=CC=C2C3=CC=C(CN4C(C([H])=O)=C(Cl)N=C4CCCC)C=C3		
Formula	$C_{22}H_{21}ClN_6O$	M.Wt	420.9
Solubility	≤30mg/ml in ethanol;30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Losartan Carboxaldehyde		

Background

Losartan is an inhibitor of endothelial cyclooxygenase (COX)-2.

COX-2 produces prostaglandins that inhibit apoptosis and stimulate angiogenesis and invasiveness, and thus selective COX-2 inhibitors can reduce prostaglandin synthesis and restore apoptosis.

In vitro: Losartan is an intermediate aldehyde metabolite of losartan, the angiotensin II type 1 receptor antagonist. Losartan could not block angiotensin receptors, but inhibit the expression of endothelial cyclooxygenase (COX)-2, therefore exerting anti-inflammatory actions. Moreover, losartan at 1 μM was able to block the upregulation of ICAM-1 mRNA and COX-dependent generation of thromboxane A2 and prostaglandin F2α [1].

In vivo: In animal stufdy, losartan was infused for 10 days to rats on a normal sodium intake (NNa) and rats on a high sodium intake (HNa) to suppress endogenous Ang II. Although basal plasma renin activity was markedly suppressed in HNa rats compared with NNa rats, control arterial pressure was not different between NNa and HNa rats. Losartan could decrease

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arterial pressure from control levels in NNa rats on the first day of infusion but had no effect on arterial pressure in HNa rats. In addition, by day 10 of losartan infusion, arterial pressure had decreased further from control levels in NNa rats but remained unchanged compared with control in HNa rats [2].

Clinical trial: In patients with mild to moderate hypertension, losartan as monotherapy could lower blood pressure to a similar degree to enalapril, atenolol and felodipine. Losartan combined with hydrochlorothiazide could reduce blood pressure than either drug separately given. About 1/3 patients with severe hypertension have response to the combination product [3].

References:
[1] C. Kr mer, J. Sunkomat, J. Witte, et al. Angiotensin II receptor-independent antiinflammatory and antiaggregatory properties of losartan: Role of the active metabolite EXP3179. Circulation Research 90(7), 770-776 (2002).
[2] Collister JP, Hornfeldt BJ, Osborn JW. Hypotensive response to losartan in normal rats. Role of Ang II and the area postrema. Hypertension. 1996 Mar;27(3 Pt 2):598-606.
[3] Goa KL, Wagstaff AJ. Losartan potassium: a review of its pharmacology, clinical efficacy and tolerability in the management of hypertension. Drugs. 1996 May;51(5):820-45.

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