PRODUCT INFORMATION



Losartan Carboxylic Acid

Item No. 15957

CAS Registry No.:	124750-92-1		CI	011
Formal Name:	2-butyl-4-chloro-1-[[2'-(2H-tetrazol-		Ĩ	0H /
	5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-			
	imidazole-5-carboxylic acid	N N	`/	0
Synonyms:	E-3174, EXP-3174		— N	
MF:	$C_{22}H_{21}CIN_6O_2$	\checkmark \checkmark		
FW:	436.9			
Purity:	≥98%			
UV/Vis.:	λ _{max} : 247 nm			N
Supplied as:	A crystalline solid			/ \
Storage:	-20°C			N N H
Stability:	≥4 years			N

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Losartan carboxylic acid is supplied as a crystalline solid. A stock solution may be made by dissolving the losartan carboxylic acid in the solvent of choice, which should be purged with an inert gas. Losartan carboxylic acid is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of losartan carboxylic acid in these solvents is approximately 30 mg/ml.

Losartan carboxylic acid is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, losartan carboxylic acid should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Losartan carboxylic acid has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Losartan carboxylic acid is an antagonist of the angiotensin II type 1b (AT_{1b}) receptor (K_i = 0.67 nM in COS-7 cells expressing the human receptor) and an active metabolite of losartan (Item No. 10006594).^{1,2} It is formed from losartan by the cytochrome P450 (CYP) isoform CYP2C9. Losartan carboxylic acid is selective for AT_{1b} over AT₂ (K_i = >10,000 nM in COS-7 cells expressing the human receptor). It reduces U-44619induced aggregation of platelets in isolated human platelet-rich plasma when used at a concentration of 50μ M.³ Losartan carboxylic acid (1 mg/kg) reduces mean arterial pressure (MAP) in water-deprived rats.⁴

References

- 1. Inada, Y., Nakane, T., and Chiba, S. Binding of KRH-594, an antagonist of the angiotensin II type 1 receptor, to cloned human and rat angiotensin II receptors. Fundam. Clin. Pharmacol. 16(4), 317-323 (2002).
- 2. Yasar, U., Tybring, G., Hidestrand, M., et al. Role of CYP2C9 polymorphism in losartan oxidation. Drug Metab. Dispos. 29(7), 1051-1056 (2001).
- 3. Munger, M.A. Use of angiotensin receptor blockers in cardiovascular protection: Current evidence and future directions. PT 36(1), 22-40 (2011).
- 4. Widdop, R.E., Gardiner, S.M., Kemp, P.A., et al. Comparison of the regional haemodynamic effects of the AT₁-receptor antagonists, losartan and EXP 3174, in water-deprived Brattleboro rats. Br. J. Pharmacol. 108(3), 684-688 (1993).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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