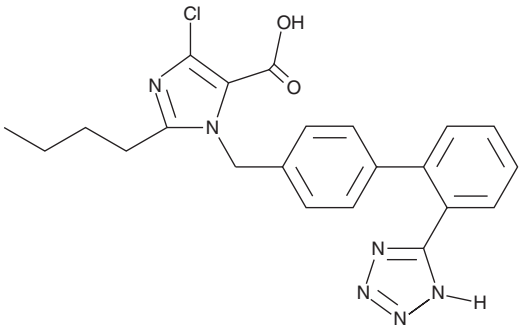
PRODUCT INFORMATION

Losartan Carboxylic Acid

Item No. 15957

CAS Registry No.:	124750-92-1
Formal Name:	2-butyl-4-chloro-1-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1H-imidazole-5-carboxylic acid
Synonyms:	E-3174, EXP-3174
MF:	$C_{22}H_{21}ClN_6O_2$
FW:	436.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 247 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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 AT_2 (K_i = >10,000 nM in COS-7 cells expressing the human receptor). It reduces U-44619-induced aggregation of platelets in isolated human platelet-rich plasma when used at a concentration of 50 µM.[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 AT_1-receptor antagonists, losartan and EXP 3174, in water-deprived Brattleboro rats. *Br. J. Pharmacol.* **108(3)**, 684-688 (1993).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM