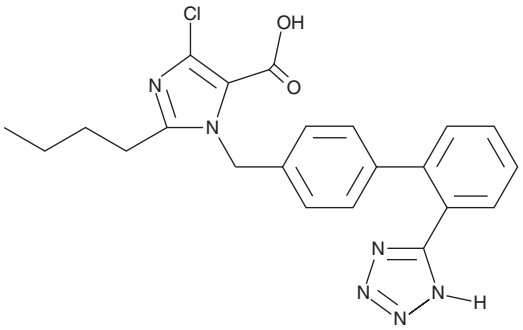
PRODUCT INFORMATION

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: ≥2 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 a physiologically active metabolite of losartan (Item No. 10006594), produced by cytochrome P450 isoforms in the liver.[1] Like the parent compound, losartan carboxylic acid is a potent AT_1 antagonist (K_is = 0.57 and 0.67 nM for rat and human forms, respectively), producing a depressor response and vasodilatation.[2-4] When administered intravenously, losartan carboxylic acid is more potent and has a longer duration of action than losartan.[4] However, the metabolite has very low oral bioavailability.[4] Losartan, but not its metabolite, inhibits platelet aggregation *in vitro*.[5]

References

1. Yasar, U., Tybring, G., Hidestrand, M., *et al.* Role of CYP2C9 polymorphism in losartan oxidation. *Drug Metabolism and Disposition* **29(7)**, 1051-1056 (2001).
2. 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).
3. 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. *British Journal of Pharmacology* **108(3)**, 684-688 (1993).
4. Burnier, M. Angiotensin II type 1 receptor blockers. *Circulation* **103(6)**, 904-912 (2001).
5. Munger, M.A. Use of angiotensin receptor blockers in cardiovascular protection: Current evidence and future directions. *PT* **36(1)**, 22-40 (2011).

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