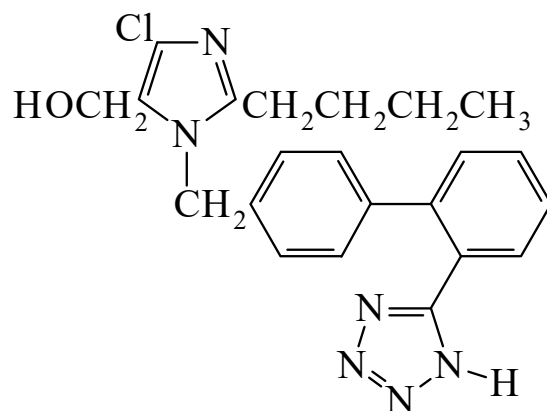




>>Entry Name: Losartan, BAN, INN

Synonym(s): 2-Butyl-4-chloro-1-[[2'-(1*H*-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1*H*-imidazole-5-methanol, 9Cl. 2-Butyl-4-chloro-5-hydroxymethyl-1-[[2'-(1*H*-tetrazol-5-yl)biphenyl-4-yl]methyl]imidazole



CAS Registry Number: 114798-26-4

Molecular Formula: C₂₂H₂₃ClN₆O

Molecular Weight: 422.916

Accurate Mass: 422.162186

Percentage Composition: C 62.48%; H 5.48%; Cl 8.38%; N 19.87%; O 3.78%

Biological Use/Importance: Angiotensin II AT₁-receptor antagonist. Orally active antihypertensive agent, used in the treatment of congestive heart failure

Physical Description: Cryst.

Development Status: Marketed drug. Launched 1994 (Sweden). Worldwide 21st best selling prescription drug as Cozaar and as Hyzaar (in combination with Hydrochlorothiazide [FXF44-U](#)) (\$1.72 bn) (Merck) (2000, PharmaBusiness)

Melting Point: Mp 183.5-184.5°

pKa Value: pK_a 5

Calculated Log P Data: Log P 4.5 (calc)

>>Derivative: K salt

Synonym(s): Losartan potassium, USAN. Avastar. Cosaar. Cozaar. Lorzaar. Lortaan. Losaprex. Neo-Lotan. DuP 753. MK 954. X 7711

CAS Registry Number: 124750-99-8

Physical Description: Cryst.

Melting Point: Mp 263-264°

>>Derivative: 5-Carboxylic acid

References:

- Eur. Pat.*, 1989, *du Pont de Nemours*, 324 377; *CA*, **112**, 118817f, (*synth, pharmacol*)
Chiu, A.T. *et al.*, *J. Pharmacol. Exp. Ther.*, 1990, **252**, 711; 726, (*pharmacol*)
Timmermans, P.B.M. *et al.*, *Am. J. Hypertens.*, 1991, **4**, 2755; 2885, (*rev*)
Wong, P.C. *et al.*, *Cardiovasc. Drug Rev.*, 1991, **9**, 317, (*rev*)
Carini, D.J. *et al.*, *J. Med. Chem.*, 1991, **34**, 2525, (*synth, pmr, pharmacol*)
Timmermans, P.B.M. *et al.*, *Trends Pharmacol. Sci.*, 1991, **12**, 55, (*rev*)
Stearns, R.A. *et al.*, *Drug Metab. Dispos.*, 1992, **20**, 281, (*metab*)
Furtek, C.I. *et al.*, *J. Chromatogr.*, 1992, **573**, 295, (*hplc*)
Van Meel, J.C.A. *et al.*, *Arzneim.-Forsch.*, 1993, **43**, 242, (*rev, pharmacol*)
Ohtawa, M. *et al.*, *Br. J. Clin. Pharmacol.*, 1993, **35**, 290, (*pharmacokinetic*)
Siegl, P.K.S., *J. Hypertens.*, 1993, **11**, S19, (*rev*)
Larsen, R.D. *et al.*, *J.O.C.*, 1994, **59**, 6391, (*synth*)
Schricker, K. *et al.*, *Proc. Natl. Acad. Sci. U.S.A.*, 1995, **92**, 8006, (*pharmacol*)
Mavromoustakos, T. *et al.*, *J. Med. Chem.*, 1999, **42**, 1714-1722, (*nmr, conformn*)
Martindale, The Extra Pharmacopoeia, 32nd edn., Pharmaceutical Press, 1999, 899