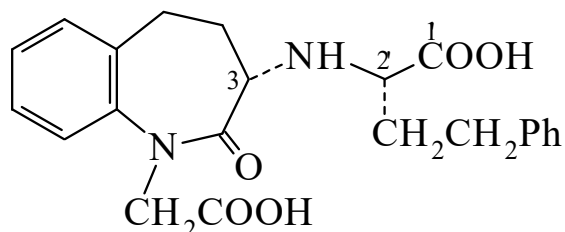




>>Entry Name: **Benazeprilat**, INN, USAN

Synonym(s): 3-[(1-Carboxy-3-phenylpropyl)amino]-2,3,4,5-tetrahydro-2-oxo-1*H*-1-benzazepine-1-acetic acid, 9Cl. CGS 14831



CAS Registry Number: 89747-91-1

Related CAS Registry Numbers(s): 86541-76-6 86541-77-7 86541-78-8

Molecular Formula: C₂₂H₂₄N₂O₅

Molecular Weight: 396.442

Accurate Mass: 396.168523

Percentage Composition: C 66.65%; H 6.10%; N 7.07%; O 20.18%

Biological Use/Importance: Angiotensin-converting enzyme inhibitor; antihypertensive agent

Melting Point: Mp 270-272°

Optical Rotation: [α]_D -200.5 (c, 1 in 3% NH₄OH)

Calculated Log P Data: Log P 1.86 (calc)

Other Data: Stereochem. is (2*S*,3*S*). Other diastereoisomers have been synth.

>>Derivative: 1'-Mono-Et ester

Synonym(s): **Benazepril**, BAN, INN. Cibacen. Lotensin. CGS 14824

CAS Registry Number: 86541-75-5

Molecular Formula: C₂₄H₂₈N₂O₅

Molecular Weight: 424.496

Accurate Mass: 424.199823

Percentage Composition: C 67.91%; H 6.65%; N 6.60%; O 18.85%

Biological Use/Importance: ACE inhibitor; antihypertensive agent

Development Status: Marketed drug. Launched 1990. Worldwide 61st best selling prescription drug as Lotensin and Lotrel (combination with Amlodipine [BSH61-G](#)) (\$0.75 bn) (Novartis) (2000, Pharma Business)

Calculated Log P Data: Log P 1.8 (calc)

Other Data: Metab. *in vivo* to benazeprilat. Component of Lotrel

>>Derivative: 1'-Mono-Et ester; hydrochloride

Synonym(s): **Benazepril hydrochloride**, USAN

CAS Registry Number: 86541-74-4

Physical Description: Cryst. (3-pentanone/MeOH)

Melting Point: Mp 188-190°

Optical Rotation: [α]_D -141 (c, 0.9 in EtOH)

References:

- Waeber, G. *et al.*, *Eur. J. Clin. Pharmacol.*, 1981, **31**, 643, (*ester*)
Schaller, M.D. *et al.*, *Eur. J. Clin. Pharmacol.*, 1985, **28**, 267, (*ester*)
Watthey, J.W.H. *et al.*, *J. Med. Chem.*, 1985, **28**, 1511, (*synth, pharmacol*)
Kaiser, G. *et al.*, *J. Chromatogr.*, 1987, **419**, 123, (*glc, ms*)
Boyer, S.K. *et al.*, *Helv. Chim. Acta*, 1988, **71**, 337, (*synth, ir, pmr*)
Webb, R.L. *et al.*, *Cardiovasc. Drug Rev.*, 1990, **8**, 89, (*rev*)
Kunick, C., *Pharm. Ztg.*, 1994, **139**, 26, (*rev*)
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