



>>**Entry Name:** Leuprorelin, BAN, INN

Synonym(s): 6-D-Leucine-9-(*N*-ethyl-L-prolinamide)-10-deglycinamideluteinizing hormone-releasing factor (pig), 9Cl. Leuprolide. Leuplin

CAS Registry Number: 53714-56-0

H-5-oxoPro-His-Trp-Ser-Tyr-D-Leu-Leu-Arg-Pro-NHEt

Molecular Formula: C₅₉H₈₄N₁₆O₁₂

Molecular Weight: 1209.413

Accurate Mass: 1208.645464

Percentage Composition: C 58.59%; H 7.00%; N 18.53%; O 15.87%

Biological Use/Importance: Highly potent LHRH analogue used to suppress testosterone in treatment of prostatic cancer. Also used in other sex-hormone-related disorders. Protective effect on cisplatin-induced renal and gastrointestinal tract toxicity

Development Status: Marketed drug. Launched 1984. Worldwide 46th best selling prescription drug as Lupron (acetate salt) (\$0.95 bn) (Tap Pharmaceutical products) and worldwide 55th best selling pharmaceutical drug as Leuplin (\$0.81 bn) (Takeda Chemical Industries) (2000, Pharma Business)

Optical Rotation: [α]_D²⁶ -33 (c, 0.5 in AcOH aq.)

Sigma: L5009

>>**Derivative:** Acetate salt (1:1)

Synonym(s): Leuprolide acetate, USAN. Carcinil. Enanton. Enantone. Ginecrin. Leuplin. Leuprolidine. Leupron. Lucrin. Lupron. Procren. Prostag. Trenantone. Abbott 43818. DC-2-269. TAP 144

CAS Registry Number: 74381-53-6

Molecular Formula: C₆₁H₈₈N₁₆O₁₄

Molecular Weight: 1269.465

Accurate Mass: 1268.666594

Percentage Composition: C 57.71%; H 6.99%; N 17.65%; O 17.64%

References:

- Vilchez-Martinez, J.A. *et al.*, *Biochem. Biophys. Res. Commun.*, 1974, **59**, 1226, (*synth, pharmacol*)
Deslauriers, R. *et al.*, *Biochemistry*, 1976, **15**, 4672, (*cmr*)
Fujino, M. *et al.*, *Chem. Comm.*, 1980, 668, (*synth*)
Fukuda, T. *et al.*, *Chem. Pharm. Bull.*, 1982, **30**, 2825, (*synth*)
Matsuzawa, A. *et al.*, *Eur. J. Cancer Clin. Oncol.*, 1982, **18**, 495, (*pharmacol*)
Clin. Ther., Suppl. A, 1992, **14**, (*rev, issue*)
Adjei, A.L. *et al.*, *Pharm. Biotechnol.*, 1993, **5**, 159, (*rev*)
Plosker, G.L. *et al.*, *Drugs*, 1994, **48**, 930, (*rev*)
Negwer, M., *Organic-Chemical Drugs and their Synonyms*, 7th edn., Akademie-Verlag, 1994, 11940, (*synonyms*)
Nomura, K. *et al.*, *Endocrine J.*, 1995, **42**, 315, (*use*)
Martindale, *The Extra Pharmacopoeia*, 32nd edn., Pharmaceutical Press, 1999, 1253