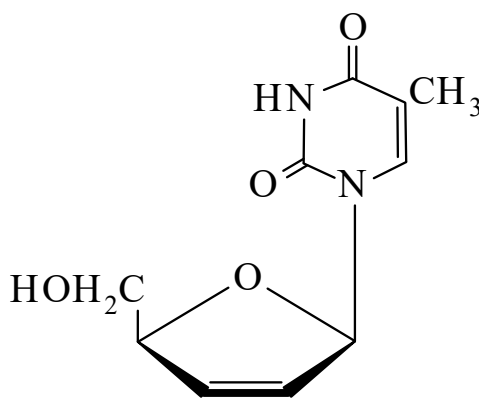




>>Entry Name: Stavudine, BAN, INN, USAN

Synonym(s): 2',3'-Didehydro-3'-deoxythymidine, 9Cl. 1-(2,3-Dideoxy-β-D-*glycero*-pent-2-enofuranosyl)thymine, 8Cl. 3'-Deoxy-2',3'-didehydrothymidine. Zerit. D4T. BMY 27857



CAS Registry Number: 3056-17-5

Molecular Formula: C₁₀H₁₂N₂O₄

Molecular Weight: 224.216

Accurate Mass: 224.079708

Percentage Composition: C 53.57%; H 5.39%; N 12.49%; O 28.54%

Biological Use/Importance: Anti-HIV agent

Development Status: Marketed drug. Launched 1994 (US). Worldwide 81st best selling prescription drug (\$0.62 bn) (Bristol-Myers Squibb) (2000, Pharma Business)

Melting Point: Mp 165-166°

Optical Rotation: $[\alpha]_D^{25} -42$ (c, 0.69 in H₂O)

Calculated Log P Data: Log P -0.48 (calc)

Other Data: $\lambda_{\max}$ 266 nm (ϵ 9910) (H₂O)

Sigma: D1413

>>Derivative: 5'-Ac

CAS Registry Number: 77421-68-2

Molecular Formula: C₁₂H₁₄N₂O₅

Molecular Weight: 266.253

Accurate Mass: 266.090273

Percentage Composition: C 54.13%; H 5.30%; N 10.52%; O 30.05%

Physical Description: Solid

Melting Point: Mp 179-181°

>>Derivative: 5'-O-Trityl

Optical Rotation: $[\alpha]_D^{25} -32$ (c, 0.85 in EtOH)

References:

- Horwitz, J.P. *et al.*, *J.O.C.*, 1966, **31**, 205, (*synth*)
Ferrier, R.J., *Adv. Carbohydr. Chem. Biochem.*, 1969, **24**, 199, (*rev*)
Verheyden, J. *et al.*, *J.O.C.*, 1974, **39**, 3573
Zemlicka, J. *et al.*, *J.A.C.S.*, 1975, **97**, 4089, (*pmr*)
Lin, T.S. *et al.*, *Biochem. Pharmacol.*, 1987, **36**, 2713, (*rev*)
Mansuri, M.M. *et al.*, *J. Med. Chem.*, 1989, **32**, 461, (*synth, pharmacol*)
Chu, C.K. *et al.*, *J.O.C.*, 1989, **54**, 2217; 1990, **55**, 1418, (*synth, pharmacol, bibl*)
Mansuri, M.M. *et al.*, *J.O.C.*, 1989, **54**, 4780, (*synth, pmr, cmr, Ac*)
Vial, J.-M. *et al.*, *Nucleosides Nucleotides*, 1990, **9**, 245, (*synth*)
Hitchcock, M.J.M., *Antiviral Chem. Chemother.*, 1991, **2**, 125, (*rev*)
Harte, W.E. *et al.*, *Biochem. Biophys. Res. Commun.*, 1991, **175**, 298, (*cryst struct*)
Cosford, N.D.P. *et al.*, *J.O.C.*, 1991, **56**, 2161, (*synth, bibl*)
Van Roey, P. *et al.*, *J.A.C.S.*, 1993, **115**, 5365, (*cryst struct, bibl*)
Tortolani, D.R. *et al.*, *J. Pharm. Sci.*, 1994, **83**, 339, (*derivs*)
Lea, A.P. *et al.*, *Drugs*, 1996, **51**, 846, (*rev, pharmacokin*)
Hurst, M. *et al.*, *Drugs*, 1999, **58**, 919-949, (*rev*)
Martindale, The Extra Pharmacopoeia, 32nd edn., Pharmaceutical Press, 1999, 625