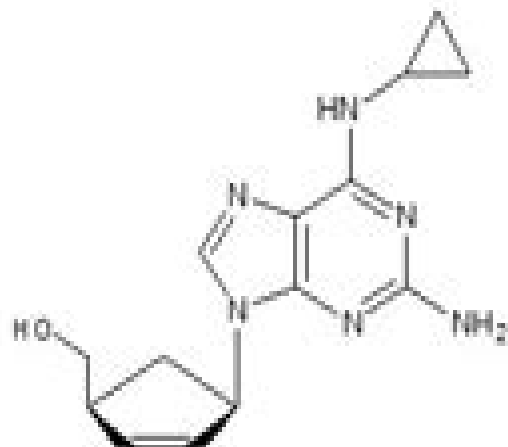




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Monograph Number: 1

Title: Abacavir

CAS Registry Number: 136470-78-5

CAS Name: (1*S*,4*R*)-4-[2-Amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol

Additional Names: (-)-*cis*-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol

Manufacturers' Codes: 1592U89

Molecular Formula: C₁₃H₁₆N₆O

Molecular Weight: 286.33

Percent Composition: C 58.73%, H 6.34%, N 29.35%, O 5.59%

Literature References: Nucleoside reverse transcriptase inhibitor (NRTI). Prep'n: S. M. Daluge, EP 349242 (1990 to Wellcome Found.); idem, US 5034394 (1991 to Burroughs Wellcome). Asymmetric synthesis: M. T. Crimmins, B. W. King, *J. Org. Chem.* **61**, 4192 (1996). Pharmacology and biological profile: S. M. Daluge et al., *Antimicrob. Ag. Chemother.* **41**, 1082 (1997). Review of antiviral activity and clinical evaluations: R. H. Foster, D. Faulds, *Drugs* **55**, 729-736 (1998). Clinical trial of triple nucleoside regimen in HIV patients: S. Staszewski et al., *J. Am. Med. Assoc.* **285**, 1155 (2001).

Properties: White solid foam from acetonitrile, mp 165°. uv max (pH 1): 296, 255 nm (ϵ 14000, 10700); uv max (pH 7): 284, 259 nm (ϵ 15900, 9200); uv max (pH 13): 284, 259 nm (ϵ 15800, 9100). $[\alpha]_D^{20}$ -59.7° $[\alpha]_{435}^{20}$ -127.8° $[\alpha]_{589}^{20}$ -218.1° (c = 0.15 in methanol).

Log P (1-octanol/0.1*M* sodium phosphate): 1.22 ? 0.03 (pH 7.4). p*K*_a 5.01. Sol'y in water (25°: >80 mM (pH 7).

Melting point: mp 165°

p*K*_a: p*K*_a 5.01

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