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Monograph Number: 1

Title: Abacavir

CAS Registry Number: 136470-78-5

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

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

Manufacturers' Codes: 1592U89

Molecular Formula: C₁₁H₁₄N₄O

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). Prepn: 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 (1996). 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). [α]_D²⁰ -59.77 [α]_D²⁰ -127.87 [α]_D²⁰ -218.17 (c = 0.15 in methanol).

Log P (1-octanol/0.1M sodium phosphate): 1.22 ? 0.03 (pH 7.4). pKa 5.01. Soly in water (25°): >80 mM (pH 7).

Melting point: mp 165°

pKa: pKa 5.01

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Martha Windholz

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