

purine derivatives

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23 - 186

Synthesis and Evaluation of 2-Amino-9-(1,3-dihydroxy-2-propoxymethyl)-6-fluoropurine Mono- and Diesters as Potential Prodrugs of Ganciclovir.

— Among the title compounds (Ia)–(Ih), monoester (Ih) has the highest bioavailability, 15-fold higher than that of ganciclovir, followed by compounds (Id), (Ia), (Ig), (If), (Ib), (Ic), and (Ie) in decreasing order of bioavailability. Monoesters (Ie)–(Ih) are quite stable at pH 6.0 with a half-life of 37 to 56 days but have short half-lives (61-69 min) at pH 1.2. Further studies on pharmacokinetics, enteric-coating formulation, and toxicology of compound (Ih) are underway. — (KIM, DAE-KEE; CHANG, KIEYOUNG; IM, GUANG-JIN; KIM, HUN-TAEK; LEE, NAMKYU; KIM, KEY H.; J. Med. Chem. 42 (1999) 2, 324-328; Life Sci. Res. Cent., Sunkyong Ind., Kyungdo 440-745, S. Korea; EN)

