



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶ : C07D 235/20, A61K 31/415		A1	(11) International Publication Number: WO 96/07646
			(43) International Publication Date: 14 March 1996 (14.03.96)
(21) International Application Number: PCT/US95/11366		(74) Agent: CRAWFORD, Arthur, R.; Nixon & Vanderhye P.C., 8th floor, 1100 North Glebe Road, Arlington, VA 22201-4714 (US).	
(22) International Filing Date: 11 September 1995 (11.09.95)			
(30) Priority Data: 304,006 9 September 1994 (09.09.94) US		(81) Designated States: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, <u>SG</u> , SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG), ARIPO patent (KE, MW, SD, SZ, UG).	
(60) Parent Application or Grant (63) Related by Continuation US 304,006 (CIP) Filed on 9 September 1994 (09.09.94)			
(71) Applicants (for all designated States except US): THE WELL-COME FOUNDATION LIMITED [GB/GB]; Unicom House, 160 Euston Road, London NW1 2BP (GB). THE REGENTS OF THE UNIVERSITY OF MICHIGAN [US/US]; Room 2354, 475 East Jefferson, Ann Arbor, MI 48109-1248 (US).		Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.	
(72) Inventors; and (75) Inventors/Applicants (for US only): TOWNSEND, Leroy, B. [US/US]; 3317 East Dobson Place, Ann Arbor, MI 48105 (US). DALUGE, Susan, Mary [US/US]; 297 Azalea Drive, Chapel Hill, NC 27514 (US).		<i>Temp's copy</i>	

(54) Title: ANTIVIRAL NUCLEOSIDE ANALOGUES CONTAINING A SUBSTITUTED BENZIMIDAZOLE BASE ATTACHED TO A CARBOCYCLIC RING

(57) Abstract

Antiviral nucleoside analogues contain a substituted benzimidazole base attached to a carbocyclic ring in place of the conventional sugar residue. In formulae (I) and (I-1), R¹ is H, CH₃ or CH₂OH; R² is H or OH; R³ is H or OH; or R² and R³ together form a bond; R⁴ is amino, cyclopropylamino, cyclobutylamino, isopropylamino, *tert*-butylamino or -NR⁸R⁹ where R⁸ and R⁹ together with the nitrogen atom to which they are attached form a 4, 5 or 6-membered heterocyclic ring; R⁵ is H and R⁶ and R⁷ are Cl, excluding the compound (±)-(1R*, 2S*, 3S*, 5S*)-5-[5,6-Dichloro-2-(cyclopropylamino)-1H-benzimidazol-1-yl]-3-(hydroxymethyl)-1,2-cyclopentanediol and provided that at least one of R¹, R² and R³ is or contains OH. The compounds have activity against herpes virus especially cytomegalovirus and also hepatitis B virus infections.

