(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

CORRECTED VERSION

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
27 December 2002 (27.12.2002)

PCT

(10) International Publication Number
WO 02/102796 A1

(51) International Patent Classification[7]: C07D 409/04, 411/04

(21) International Application Number: PCT/CA02/00899

(22) International Filing Date: 14 June 2002 (14.06.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/298,079 15 June 2001 (15.06.2001) US

(71) Applicant *(for all designated States except US)*: **SHIRE BIOCHEM INC.** [CA/CA]; 275 Armand Frappier Boulevard, Laval, Quebec H7V 4A7 (CA).

(72) Inventor; and
(75) Inventor/Applicant *(for US only)*: **YU, Qing** [CA/CA]; 58 Concorde Ouest, Laval, Quebec H7N 1H6 (CA).

(74) Agents: **WHEELER, Michael, E.** et al.; Smart & Biggar, P.O. Box 2999, Station D, 900-55 Metcalfe Street, Ottawa, Ontario K1P 5Y6 (CA).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:
— *with international search report*

(48) Date of publication of this corrected version:
18 September 2003

(15) Information about Correction:
see PCT Gazette No. 38/2003 of 18 September 2003, Section II

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 02/102796 A1

(54) Title: STEREOSELECTIVE METHOD FOR THE PREPARATION OF NUCLEOSIDE ANALOGUES

(57) Abstract: A process for producing predominantly *cis* nucleosides or nucleoside analogues and derivatives of formula (A): wherein R1 is a pyrimidine base or a pharmaceutically acceptable derivative thereof and Q is oxygen, carbon or sulphur, comprising a coupling step of the pyrimidine base with a molecule of formula (B) described herein in a suitable coupling solvent, in the presence of catalytic amounts of an element or combination of elements of groups 1B or 11B of the periodic table, a tertiary amine and a Lewis acid to obtained an intermediate of formula (D) which is deprotected in the subsequent step to generate the product of formula (A).