24 June 2004

162162

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

(19) World Intellectual Property Organization
International Bureau

G00001

(43) International Publication Date
5 July 2001 (05.07.2001)

PCT

(10) International Publication Number
WO 01/47922 A2

(51) International Patent Classification[7]: C07D 471/00

(21) International Application Number: PCT/GB00/04993

(22) International Filing Date:
27 December 2000 (27.12.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
9930698.7 24 December 1999 (24.12.1999) GB
60/215,818 5 July 2000 (05.07.2000) US

(71) Applicant *(for all designated States except US)*: **AVENTIS PHARMA LIMITED** [GB/GB]; Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **COX, Paul, Joseph** [GB/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB). **MAJID, Tahir, Nadeem** [GB/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB). **LAI, Justine, Yeun, Quai** [SG/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB). **MORLEY, Andrew, David** [GB/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB). **AMENDOLA, Shelley** [GB/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB). **DEPRETS, Stephanie** [FR/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB). **EDLIN, Chris** [GB/GB]; Aventis Pharma Limited, Aventis House, 50 Kings Hill Avenue, West Malling, Kent ME19 4AH (GB).

(74) Agent: **JONES, Stephen, Anthony**; AdamsonJones, Broadway Business Centre, 32a Stoney Street, Nottingham NG1 1LL (GB).

(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, 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, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, 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, GW, ML, MR, NE, SN, TD, TG).

Published:

— *Without international search report and to be republished upon receipt of that report.*

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 01/47922 A2

(54) Title: AZAINDOLES

(57) Abstract: The invention is directed to compositions containing physiologically active compounds of general formula (I) wherein R^1 is aryl or heteroaryl; R^2 represents hydrogen, acyl, cyano, halo, lower alkenyl or lower alkyl optionally substituted by a substituent selected from cyano, heteroaryl, heterocycloalkyl, $-Z^1R^8$,$-C(=O)-NY^3Y^4$,$-CO_2R^8$,$-NY^3Y^4$,$-N(R^6)-C(=O)-R^7$, $-N(R^6)-C(=O)-NY^3Y^4$,$-N(R^6)-C(=O)-OR^7$, $-N(R^6)-SO_2-R^7$,$-N(R^6)-SO_2-NY^3Y^4$ and one or more halogen atoms; R^3 represents hydrogen, aryl, cyano, halo, heteroaryl, lower alkyl, $-C(=O)-OR^5$ or $-C(=O)-NY^3Y$; and X^1 represents N, CH, C-halo, C-CN, C-R^7, C-NY^3Y^4, C-OH, C-Z^2R^7, C-$C(=O)-OR^5$, C-$C(=O)-NY^3Y^4$, C-$N(R^8)-C(=O)-R^7$, C-$SO_2-NY^3Y^4$, C-$N(R^8)-SO_2-R^7$, C-alkenyl, C-alkynyl or C-NO_2; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compounds and their prodrugs, as well as to novel compounds within the scope of fomula (I). Such compounds and compositions have valuable pharmaceutical properties, in particular the ability to inhibit protein kinases.