PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 : C07D 401/12, A61K 31/47, A61P 11/06 | A1

(11) International Publication Number: WO 00/26208

(43) International Publication Date: 11 May 2000 (11.05.00)

(21) International Application Number: PCT/GB99/03628

(22) International Filing Date: 2 November 1999 (02.11.99)

(30) Priority Data:
9824160.7 4 November 1998 (04.11.98) GB

(71) Applicant: DARWIN DISCOVERY LIMITED [GB/GB]; Cambridge Science Park, Milton Road, Cambridge CB4 4WE (GB).

(72) Inventors: DYKE, Hazel, Joan; Darwin Discovery Limited, Cambridge Science Park, Milton Road, Cambridge CB4 4WE (GB). MONTANA, John, Gary; Darwin Discovery Limited, Cambridge Science Park, Milton Road, Cambridge CB4 4WE (GB).

(74) Agent: GILL JENNINGS & EVERY; Broadgate House, 7 Eldon Street, London EC2M 7LH (GB).

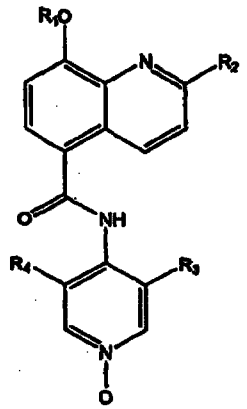
(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

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.

(54) Title: N–OXIDES OF HETEROCYCLIC COMPOUNDS WITH TNF AND PDE–IV INHIBITING ACTIVITY



(I)

(57) Abstract

N–oxides of formula (i) wherein R_1 is CH_3, CH_2F, CHF_2 or CF_3; R_2 is CH_3 or CF_3; R_3 is F, Cl, Br, CN or CH_3; and R_4 is H, F, Cl, Br, CN or CH_3; and pharmaceutically–acceptable salts thereof, are useful as therapeutic agents, e.g. for the treatment of inflammatory diseases.