(A1)

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

(19) World Intellectual Property Organization
International Bureau

G00002

(43) International Publication Date
20 June 2002 (20.06.2002)

PCT

(10) International Publication Number
WO 02/47762 A1

(51) International Patent Classification[7]: A61P 7/02, A61K 31/428, 31/381, C07D 277/46, 277/82, 333/78, 333/66, 409/12, 333/68, 495/04, 417/12, 409/04, 417/14

(21) International Application Number: PCT/GB01/05526

(22) International Filing Date:
12 December 2001 (12.12.2001)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/GB00/04764
13 December 2000 (13.12.2000) GB
0114185.2 12 June 2001 (12.06.2001) GB

(71) Applicant *(for all designated States except US)*: **TULARIK LIMITED** [GB/GB], 90 Fetter Lane, London EC4A 1JP (GB)

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **LIVELY, Sarah, Elizabeth** [GB/GB], Hillcrest, Reads Lane, Congleton, Cheshire CW12 3PJ (GB) **HARRISON, Martin, James** [GB/GB]; 29 Grenfell Road, Didsbury, Manchester M20 6TG (GB) **NAYLOR, Neil, Jason** [GB/GB]; 46 Beech Lane, Macclesfield, Cheshire, SK10 2DS (GB). **FARTHING, Christopher, Neil** [GB/GB], 40 Rodney Street, Macclesfield, Cheshire SK8 6TU (GB) **WASZKOWYCZ, Bohdan** [GB/GB], 46 Grange Park Avenue, Wilmslow, Cheshire SK9 4AL (GB).

(74) Agents: **HAY, Martin, Alexander** et al., Martin A. Hay & Co., 13 Queen Victoria Street, Macclesfield, Cheshire SK11 6LP (GB).

(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).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations 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, UZ, VN, YU, ZA, ZM, ZW, 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)*

— *of inventorship (Rule 4.17(iv)) for US only*

Published:

— *with international search 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

(54) Title: SERINE PROTEASE INHIBITORS

(57) Abstract: Compounds of Formula I where R_5, R_{6a}, each X, L, Cy and Lp are as defined in the specification, are tryptase inhibitors useful as anti-inflammatory agents

162162

WO 02/47762 A1