2003035318

30 JUN 2003

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

(19) World Intellectual Property Organization
International Bureau

162162

(43) International Publication Date
18 July 2002 (18.07.2002)

PCT

(10) International Publication Number
WO 02/055083 A1

(51) International Patent Classification[7]: A61K 31/505, C07D 487/04, A61P 25/28

(21) International Application Number: PCT/GB02/00091

(22) International Filing Date: 10 January 2002 (10.01.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0100624.6 10 January 2001 (10.01.2001) GB

(71) Applicant *(for all designated States except US)*: **VERNALIS RESEARCH LIMITED** [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **GILLESPIE, Roger, John** [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). **LERPINIERE, Joanne** [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). **GAUR, Suneel** [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). **BAMFORD, Samantha, Jayne** [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). **STRATTON, Gemma, Caroline** [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). **LEONARDI, Stefania** [IT/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). **WEISS, Scott, Murray** [US/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB).

(74) Agents: **COCKERTON, Bruce, Roger** et al.; Carpmaels & Ransford, 43 Bloomsbury Square, London WC1A 2RA (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.

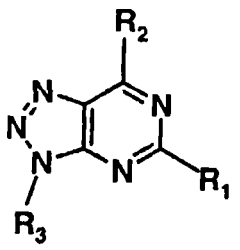
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,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

Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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: TRIAZOLO[4,5-d] PYRIMIDINE DERIVATIVES AND THEIR USE AS PURINERGIC RECEPTOR ANTAGONISTS

WO 02/055083 A1



(I)

(57) Abstract: The use of a compound of formula (I): wherein R_1 is selected from H, alkyl, aryl, alkoxy, aryloxy, alkylthio, arylthio, halogen, CN, NR_5R_6, NR_4COR_5, $NR_4CONR_5R_6$, $NR_4CO_2R_7$ and $NR_4SO_2R_7$; R_2 is selected from aryl attached via an unsaturated carbon; R_3 is selected from H, alkyl, COR_5, CO_2R_7, $CONR_5R_6$, $CONR_4NR_5R_6$ and SO_2R_7; R_4, R_5 and R_6 are independently selected from H, alkyl and aryl or where R_5 and R_6 are in an NR_5R_6 group, R_5 and R_6 may be linked to form a heterocyclic group, or where R_4,R_5 and R_6 are in a ($CONR_4NR_5R_6$) group, R_4 and R_5 may be linked to form a heterocyclic group; and R_7 is selected from alkyl and aryl, or a pharmaceutically acceptable salt thereof or prodrug thereof, in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A_{2A} receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or said disorder is depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection in a subject; compounds of formula (I) for use in therapy; and novel compounds of formula (I) per se.

ACTION