

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
13 July 2006 (13.07.2006)

PCT

(10) International Publication Number
WO 2006/072599 A3

(51) International Patent Classification:

C07J 41/00 (2006.01) **A61P 37/00** (2006.01)
C07J 3/00 (2006.01) **A61K 31/56** (2006.01)
A61P 5/44 (2006.01)

(21) International Application Number:

PCT/EP2006/000149

(22) International Filing Date: 9 January 2006 (09.01.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

0500405.6 10 January 2005 (10.01.2005) GB
0518774.5 14 September 2005 (14.09.2005) GB

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (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))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))
- of inventorship (Rule 4.17(iv))

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

(88) Date of publication of the international search report:

31 August 2006

(71) Applicant (*for all designated States except US*): **GLAXO GROUP LIMITED** [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford Middlesex UB6 0NN (GB).

(72) Inventors; and

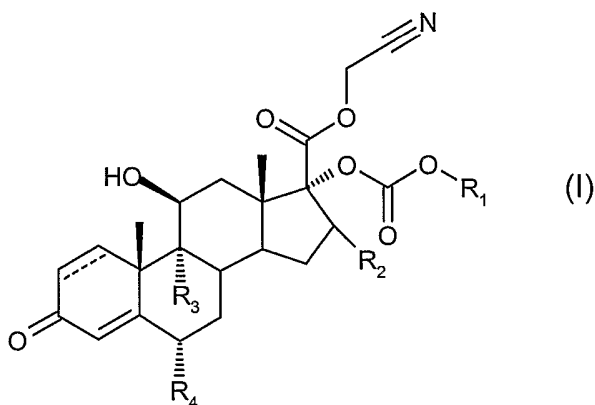
(75) Inventors/Applicants (*for US only*): **BIGGADIKE, Keith** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). **NEEDHAM, Deborah** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB).

(74) Agent: **PRITCHARD, Judith**; GlaxoSmithKline, Corporate Intellectual Property (CN925.1) 980 Great West Road, Middlesex Hertfordshire TW8 9GS (GB).

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,

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: ANDROSTANE 17-ALPHA CARBONATE DERIVATIVES FOR USE IN THE TREATMENT OF ALLERGIC AND INFLAMMATORY CONDITIONS



(57) Abstract: The present invention is directed to compounds of formula (I): wherein R₁ represents C₄-C₇ branched alkyl group, a bicycloalkyl group, or a C₅-C₆ cycloalkyl which optionally may be substituted with a C₁-C₄ alkyl group; R₂ represents hydrogen, a methyl group, which may be in either the α or β configuration, or a methylene group; R₃ and R₄ are the same or a different group and each independently represents hydrogen, halogen or a methyl group; represents a single or a double bond; and physiologically acceptable solvates thereof, physiologically functional derivatives thereof, pharmaceutical compositions comprising the compounds, the use of the compounds for the manufacture of medicaments particularly for the treatment of inflammatory and/or allergic conditions, processes for the preparation of the compounds, and chemical intermediates in the processes for the

manufacture of the compounds.

International application No
PCT/EP2006/000149

INV. C07J41/00 C07J3/00 A61P5/44 A61P37/00 A61K31/56

B. FIELDS SEARCHED

C07J A61P A61K

EPO-Internal, BEILSTEIN Data, CHEM ABS Data

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	US 4 996 335 A (BODOR ET AL) 26 February 1991 (1991-02-26) cited in the application column 41; examples 6A-6, 6A-10 column 45; examples 6B-16	25, 28
A	column 51; examples 7A-9, 7A-10 column 57; examples 7B-6 ----- -/--	1

X See patent family annex.

"&" document member of the same patent family

07/07/2006

Duval, E

INTERNATIONAL SEARCH REPORT

International application No

PCT/EP2006/000149

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	RACHWAL S DRUZGALA P LIU Z-Z VLASAK J BREWSTER M E POP E: "Chemistry of loteprednol etabonate and related steroids. II. Reactions at ring C and NMR structural studies of the resulting compounds" STERIODS: STRUCTURE, FUNCTION, AND REGULATION, ELSEVIER SCIENCE PUBLISHERS, NEW YORK, NY, US, vol. 63, no. 4, April 1998 (1998-04), pages 193-201, XP004122439 ISSN: 0039-128X page 196; compound 11 -----	1
P, X	WO 2005/005451 A (GLAXO GROUP LIMITED; BIGGADIKE, KEITH; JOHN, MATTHEW, PETER; NEEDHAM,) 20 January 2005 (2005-01-20) the whole document -----	1

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP2006/000149

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 24 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-24, 27

the compounds of formula (I), their medical uses,
compositions comprising them, and a process for their
preparation.

2. claims: 25, 26, 28

the intermediates of formula (II) and a process for their
preparation

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/EP2006/000149

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
US 4996335	A	26-02-1991	NONE	
WO 2005005451	A	20-01-2005	AU 2004255854 A1	20-01-2005
			AU 2004255855 A1	20-01-2005
			CA 2531905 A1	20-01-2005
			CA 2531911 A1	20-01-2005
			EP 1644397 A1	12-04-2006
			EP 1644398 A1	12-04-2006
			WO 2005005452 A1	20-01-2005
			MA 27897 A1	02-05-2006
			MA 27899 A1	02-05-2006