

(19) World Intellectual Property Organization
International Bureau



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

PCT

(10) International Publication Number
WO 2006/074264 A3

(51) International Patent Classification:
C07D 401/06 (2006.01)

(21) International Application Number:

PCT/US2006/000251

(22) International Filing Date: 5 January 2006 (05.01.2006)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/641,899 6 January 2005 (06.01.2005) US

(71) Applicant (for all designated States except US): **SCHERING CORPORATION** [US/US]; 2000 Galloping Hill Road, Kenilworth, NJ 07033-0530 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KUO, Shen-Chun** [US/US]; 140 Glenwood Court, Union, NJ 07083 (US). **TSAI, David, Jieh-Shyh** [US/US]; 8 Canterbury Court, Warren, NJ 07059 (US). **LIAO, Hongbiao** [CN/US]; 26 Huntley Way, Bridgewater, NJ 08807 (US).

(74) Agent: **KALYANARAMAN, Palaiyur, S.**; Schering-Plough Corporation, 2000 Galloping Hill Road, Mail Stop K-6-1 1990, Kenilworth, NJ 07033-0530 (US).

(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, 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).

Declaration under Rule 4.17:

— as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))

Published:

— with international search report

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

2 November 2006

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: PREPARATION OF KETONE AMIDES

(57) Abstract: The present invention discloses a novel process to prepare ketone amides, which are useful intermediates for the preparation of antagonists of CCR5 receptor and therefore useful for the treatment of HIV virus infected mammals. It specifically discloses a novel process to synthesize 1-(2,4-dimethylpyrimidine-5-carbonyl)-4-piperidone, 1-[(2,4-dimethyl-3-pyridinyl)carbonyl]-4-piperidone and related compounds. A salient feature of the invention is the use of a three-phase reaction medium with an organic phase and a buffer salt slurry. (formule I)



WO 2006/074264 A3

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2006/000251

A. CLASSIFICATION OF SUBJECT MATTER
INV. C07D401/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	G. XU, A. KANNAN, T. L. HARTMAN, H. WARGO, K. WATSON, J. A. TURPIN, R. W. BUCKHEIT, A. A. JOHNSON, Y. POMMIER, M. CUSHMAN: "Synthesis of Substituted Diarylmethylenepiperidines (DAMPs), a Novel Class of Anti-HIV Agents" BIOORGANIC & MEDICINAL CHEMISTRY, vol. 10, 2002, pages 2807-2816, XP002381120	1-25
Y	page 2811, column 2, paragraph 2 - paragraph 3; compounds 7,8	26, 27
Y	WO 03/084950 A (SCHERING CORPORATION) 16 October 2003 (2003-10-16) page 4; compound XI page 6; compound XIV; sequence 1 claims 1-43	26, 27

Further documents are listed in the continuation of Box C. See patent family annex.

* Special categories of cited documents:

A document defining the general state of the art which is not considered to be of particular relevance	*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
E earlier document but published on or after the international filing date	*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
O document referring to an oral disclosure, use, exhibition or other means	* & * document member of the same patent family
P document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search 30 May 2006	Date of mailing of the international search report 20/06/2006
--	--

Name and mailing address of the ISA/ European Patent Office, P.O. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Megido, B
---	-------------------------------------

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2006/000251

C(Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT		
Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 2003/069252 A1 (BAROUDY BAHIGE M ET AL) 10 April 2003 (2003-04-10) cited in the application the whole document	1,22
A	US 2003/004185 A1 (BAROUDY BAHIGE M ET AL) 2 January 2003 (2003-01-02) cited in the application the whole document	1,22

INTERNATIONAL SEARCH REPORT

Information on patent family members

International application No

PCT/US2006/000251

Patent document cited in search report	Publication date	Patent family member(s)	Publication date	
WO 03084950	A	16-10-2003	AU 2003224777 A1	20-10-2003
			CA 2480482 A1	16-10-2003
			CN 1642943 A	20-07-2005
			EP 1490352 A1	29-12-2004
			JP 2005521743 T	21-07-2005
			MX PA04009428 A	25-01-2005
			ZA 200406546 A	19-09-2005

US 2003069252	A1	10-04-2003	NONE	

US 2003004185	A1	02-01-2003	US 6387930 B1	14-05-2002
