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61/422,907 14 December 2010 (14.12.2010) US
- (71) Applicant (for all designated States except US): **MERCK SHARP & DOHME CORP.** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (72) Inventors; and
- (71) Applicants (for NZ, US only): **CHEN, Cheng** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **KONG, Jongrock** [KR/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **HUMPHREY, Guy** [GB/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **DOLMAN, Sarah** [CA/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **LI, Hongmei** [CN/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **TUDGE, Matthew, T.** [GB/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **YONG, Kelvin** [CA/US]; 601 Riverside Ave., Unit 313, Lyndhurst, New Jersey 07071 (US). **XIANG, Bangping** [CN/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). **ZACUTO, Michael** [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (74) Common Representative: **MERCK SHARP & DOHME CORP.**; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.
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- 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))
- Published:**
- with international search report (Art. 21(3))
- (88) Date of publication of the international search report:  
27 December 2013



WO 2012/082672 A3

(54) Title: PROCESS AND INTERMEDIATES FOR PREPARING MACROLACTAMS

(57) Abstract: The present invention relates to macrolactam compounds, intermediates useful in the preparation of macrolactams, methods for preparing the intermediates, and methods for preparing and modifying macrolactams. One use of the compounds and methods described herein is in the production of macrolactam compounds able to inhibit HCV NS3 protease activity. An example of an HCV inhibitory compound that can be synthesized using the procedures described herein is Compound A and derivative thereof.

**INTERNATIONAL SEARCH REPORT**

International application No.

PCT/US 11/64521

**A. CLASSIFICATION OF SUBJECT MATTER**

IPC(8) - A01N 43/36; A61K 31/40 (2012.01)

USPC - 514/408

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)  
USPC: 514/408

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched  
USPC: 514/410; 514/412; 514/415; 514/427 (see search terms below)

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
WEST: PGPB, USPT, USOC, EPAB, JPAB  
GOOGLE: SCHOLAR/PATENTS: macrolactam intermediates proline methyl ester indole hydroxyproline cyclopropyl sulfonamide cyclization hydrogenation indoline isoindole sulfonyl cyclopropyl amino

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2007/015787 A1 (HOLLOWAY et al.) 08 February 2007 (08.02.2007) pg 13, ln 28 to pg 14, ln 5; pg 22, Scheme 1; pg 23, ln 1-10; pg 23, ln 16-18, Scheme 2; pg 32, ln 10-21; pg 41, ln 1 to pg 42, ln 9; pg 43, ln 11 to pg 44, ln 27; pg 45, ln 1-5; pg 51, ln 1-21	1-11, 21
X	WO 03/099274 A1 (WANG et al.) 04 December 2003 (04.12.2003) pg 36, Scheme VIII, compound 3 to 4 and compound 5 to 5a and 6; pg 154, Scheme 3, step 7; pg 612, Scheme 1, step 3; pg 613, ln 13-23 This document can be viewed by entering the doc number at the following url: <a href="http://worldwide.espacenet.com/numberSearch?locale=en_EP">http://worldwide.espacenet.com/numberSearch?locale=en_EP</a>	22-23

Further documents are listed in the continuation of Box C.

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|---|--|
| * Special categories of cited documents:  | "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  |
| "A" document defining the general state of the art which is not considered to be of particular relevance  | "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   |
| "E" earlier application or patent but published on or after the international filing date   | "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 |
| "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) | "&" document member of the same patent family  |
| "O" document referring to an oral disclosure, use, exhibition or other means  |  |
| "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

27 March 2012 (27.03.2012)

Date of mailing of the international search report

**09 APR 2012**

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US, Commissioner for Patents  
P.O. Box 1450, Alexandria, Virginia 22313-1450  
Facsimile No. 571-273-3201

Authorized officer:

Lee W. Young

PCT Helpdesk: 571-272-4300  
PCT OSP: 571-272-7774

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US 11/64521

**Box No. 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:
  
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.: 12-20  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box No. 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:

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 additional fees, this Authority did not invite payment of additional fees.
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 and, where applicable, the payment of a protest fee.
  - The additional search fees were accompanied by the applicant's protest but the applicable protest fee was not paid within the time limit specified in the invitation.
  - No protest accompanied the payment of additional search fees.