

(19) World Intellectual Property Organization
International Bureau



G00001

(43) International Publication Date
4 January 2001 (04.01.2001)

PCT

(10) International Publication Number
WO 01/00571 A1

(51) International Patent Classification⁷: C07C 279/16,
277/00, C07D 261/20

(21) International Application Number: PCT/US00/16013

(22) International Filing Date: 9 June 2000 (09.06.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/141,301 28 June 1999 (28.06.1999) US

(71) Applicant: ORTHO-MCNEIL PHARMACEUTICAL,
INC. [US/US]; U.S. Route #202, P.O. Box 300, Raritan, NJ
08869-0602 (US).

(72) Inventors: ABDEL-MAGID, Ahmed, F.; 1126 Pine
Street, Montgomery County, Lansdale, PA 19446 (US).
BICHSEL, Hans-Ulrich; Salen-Reutenen, CH-8507

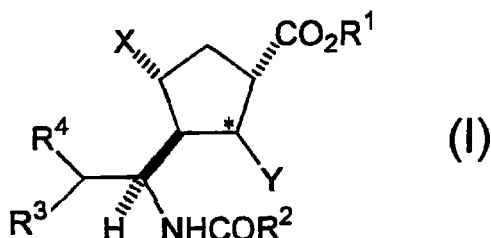
Horhausen (CH). KOREY, Daniel, J.; 1272 Thames Cres-
cent, Yardley, PA 19067 (US). LAUFER, Gunther, G.;
Lehener-Strasse 158, D-799106 Freiburg (DE). LEHTO,
Erja, A.; Schaffhauserstrasse 276, CH-8057 Zurich (CH).
MATTEI, Sebastiano; Via Ai Monti 122, CH-6605
Locarno (CH). REY, Max; Riedernerstrasse 69, CH-8304
Wallisellen (CH). SCHULTZ, Thomas, W.; 91 Matthew
Circle, Richboro, PA 18954 (US). MARYANOFF, Cyn-
thia; 4029 Devonshire Drive, Buckingham Township, PA
18938 (US).

(74) Agents: CIAMPORCERO, Audley, A., Jr. et al.;
Johnson & Johnson, 1 Johnson & Johnson Plaza, New
Brunswick, NJ 08933-7003 (US).

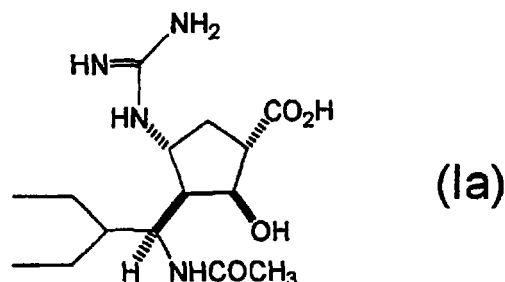
(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE,
DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO,

[Continued on next page]

(54) Title: PROCESS FOR PREPARING SUBSTITUTED CYCLOPENTANE DERIVATIVES AND NOVEL CRYSTALLINE
STRUCTURES THEREOF



(57) Abstract: The invention relates to a process for
preparing substituted cyclopentane derivatives repre-
sented by formula (I) wherein R¹, R², R³, R⁴, X and Y
are as described in the specification, and pharmaceu-
tically acceptable salts thereof. The invention further
relates to a process for purifying the compound of
formula (Ia) and novel crystalline forms of the com-
pound of formula (Ia).



WO 01/00571 A1



162162

NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW.

Published:

— *With international search report.*

(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, 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), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

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.