

(19) World Intellectual Property Organization
International Bureau(43) International Publication Date
19 December 2002 (19.12.2002)

PCT

(10) International Publication Number
WO 02/100844 A3(51) International Patent Classification⁷: **C07D 277/06**,
A61K 31/426, C07D 401/12, 417/12, 207/16, 265/06,
211/60, A61P 31/18

(21) International Application Number: PCT/US02/18717

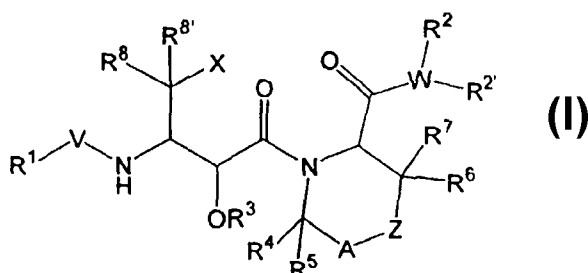
(22) International Filing Date: 11 June 2002 (11.06.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/297,460 11 June 2001 (11.06.2001) US
60/297,729 12 June 2001 (12.06.2001) US(71) Applicant: AGOURON PHARMACEUTICALS, INC.
[US/US]; 10350 North Torrey Pines Road, La Jolla, CA
92037 (US).(72) Inventors: **CANON-KOCH, Stacie, S.**; 5940 La Jolla
Messa Drive, La Jolla, CA 92137 (US). **ALEXANDER,
Therese, N.**; 6557 Thornwood Street, San Diego, CA
92111 (US). **BARVIAN, Mark**; 1225 Olivia Avenue, Ann
Arbor, MI 48104 (US). **BOLTON, Gary**; 4800 Hillway
Ct., Ann Arbor, MI 48105 (US). **BOYER, Fredrick, E.**;
482 Pleasant Ridge Drive, Canton Township, MI 48188
(US). **BURKE, Benjamin, J.**; 5761 Campanile Way, San
Diego, CA 92115 (US). **HOLLER, Tod**; 2381 Placid Way,
Ann Arbor, MI 48105 (US). **JEWELL, Tanya, M.**; 12604
Torrey Bluff Drive #400, San Diego, CA 92130 (US).
PRASAD JOSYULA, Vara; 3129 Fawn Meadow Court,
Ann Arbor, MI 48105 (US). **KUCERA, David, J.**; 14099
Recuerdo Drive, Del Mar, CA 92014 (US). **LINTON,
Maria, A.**; 11320 Red Cedar Drive, San Diego, CA 92131
(US). **MACHAK, Jeff**; 12980 Easton Court, Shelby
Township, MI 48315 (US). **MITCHELL, Lennert, J.**;
520 N. Woodlawn Avenue, Chula Vista, CA 91910 (US).
MURPHY, Sean, T.; 7716 Dover Drive, Ypsilanti, MI48197 (US). **REICH, Siegfried, H.**; 311 Glenmont Drive,
Solana Beach, CA 92075 (US). **SKALITZKY, Donald,
J.**; 4269 Taos Drive, San Diego, CA 92117 (US). **TAT-
LOCK, John, H.**; 13153 Dressage Lane, San Diego, CA
92130 (US). **VARNEY, Michael, D.**; 738 Barbara Avenue,
Solana Beach, CA 92075 (US). **VIRGIL, Scott, C.**; 3950
Mahaila Avenue, Apt. S23, San Diego, CA 92122 (US).
WEBBER, Stephen, E.; 3531 Millikan Avenue, San
Diego, CA 92122 (US). **WORLAND, Stephen, T.**; 727
Hoska Drive, Del Mar, CA 92014 (US). **MELNICK,
Michael**; 3613 Weeburn Court, Ann Arbor, MI 48108
(US).(74) Agents: **MANDRA, Raymond, R.** et al.; Fitzpatrick,
Cella, Harper & Scinto, 30 Rockefeller Plaza, New York,
NY 10112-3801 (US).(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, 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(88) Date of publication of the international search report:
6 March 2003

[Continued on next page]

(54) Title: HIV PROTEASE INHIBITORS, COMPOSITIONS CONTAINING THE SAME, THEIR PHARMACEUTICAL USES
AND MATERIALS FOR THEIR SYNTHESIS(57) Abstract: Compounds of Formula (I), where the for-
mula variables are as defined herein, are disclosed that ad-
vantageously inhibit or block the biological activity of the
HIV protease. These compounds, as well as pharmaceu-
tical compositions containing these compounds, are useful
for treating patients or hosts infected with the HIV virus. In-
termediates and synthetic methods for preparing such com-
pounds are also described.



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.