

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
19 December 2002 (19.12.2002)

PCT

(10) International Publication Number  
**WO 02/100845 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 277/06**,  
A61K 31/425, C07D 417/12, 403/12, 207/16, A61P 31/18

(21) International Application Number: PCT/US02/18548

(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 11 June 2001 (11.06.2001) US

(71) Applicant (*for all designated States except US*):  
**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  
Court, 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). **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, MI  
48197 (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).  
**WORLAND, Stephen, T.**; 727 Hoska Drive, Del Mar, CA  
92014 (US). **MELNICK, Michael**; 3613 Weeburn Court,  
Ann Arbor, MI 48108 (US). **LINTON, Maria A.**; 11320  
Red Cedar Drive, San Diego, CA 92131 (US). **WEBBER,**  
**Stephen E.**; 3531 Milikan Avenue, San Diego, CA 92122  
(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

*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: HV PROTEASE INHIBITORS, COMPOSITIONS CONTAINING THE SAME, THEIR PHARMACEUTICAL USES AND MATERIALS FOR THEIR SYNTHESIS

(57) Abstract: Compounds of formula (I) where the formula variables are as defined herein, are disclosed that advantageously inhibit or block the biological activity of the HIV protease. Theses compounds, as well as pharmaceutical compositions containing these compounds, are useful for treating patients or hosts infected with the HIV virus. Intermediates and synthetic methods for preparing such compounds are also described.



**WO 02/100845 A1**