



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁶: C07K 5/027, C07C 271/22, C07D 233/70, A61K 38/05, 31/415, 31/27	A1	(11) International Publication Number: WO 99/31122 (43) International Publication Date: 24 June 1999 (24.06.99)
(21) International Application Number: PCT/US98/26583 (22) International Filing Date: 15 December 1998 (15.12.98) (30) Priority Data: 08/991,739 16 December 1997 (16.12.97) US (71) Applicant: AGOURON PHARMACEUTICALS, INC. [US/US]; 10350 North Torrey Pines Road, La Jolla, CA 92037-1022 (US). (72) Inventors: WEBBER, Stephen, E.; 3884 Mt. Abraham Avenue, San Diego, CA 92111 (US). DRAGOVICH, Peter, S.; 1372 Blue Heron Avenue, Encinitas, CA 92024 (US). PRINS, Thomas, J.; 2448 Oxford Avenue, Cardiff, CA 92007 (US). LITTLEFIELD, Ethel, S.; 9934 Parkdale Avenue, San Diego, CA 92126 (US). MARAKOVITS, Joseph, T.; 1449 Via Terrassa, Encinitas, CA 92024 (US). BABINE, Robert, E.; 7973 Amargosa Drive, Carlsbad, CA 92009 (US). (74) Agents: GARRETT, Arthur, S.; Finnegan, Henderson, Farabow, Garrett & Dunner, L.L.P., 1300 I Street, N.W., Washington, DC 20005-3315 (US) et al.		(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, 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, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SZ, 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). Published <i>With international search report.</i> <i>Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.</i>
(54) Title: ANTIPICORNAVIRAL COMPOUNDS AND METHODS FOR THEIR USE AND PREPARATION (57) Abstract Picornaviral 3C protease inhibitors, obtainable by chemical synthesis, inhibit or block the biological activity of picornaviral 3C proteases. These compounds, as well as pharmaceutical compositions that contain these compounds, are suitable for treating patients or hosts infected with one or more picornaviruses. Several novel methods and intermediates can be used to prepare the novel picornaviral 3C protease inhibitors of the present invention.		