

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
21 June 2007 (21.06.2007)

PCT

(10) International Publication Number
WO 2007/070201 A1

(51) International Patent Classification:

C07D 211/26 (2006.01) C07D 417/06 (2006.01)
C07D 211/22 (2006.01) A61K 31/445 (2006.01)
C07D 401/12 (2006.01) A61K 31/453 (2006.01)
C07D 407/12 (2006.01) A61P 9/00 (2006.01)
C07D 409/06 (2006.01)

(21) International Application Number:

PCT/US2006/043920

(22) International Filing Date:

13 November 2006 (13.11.2006)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/736,564 14 November 2005 (14.11.2005) US
60/845,291 18 September 2006 (18.09.2006) US
60/845,331 18 September 2006 (18.09.2006) US

(71) Applicant (for all designated States except US): **VITAE PHARMACEUTICALS, INC.** [US/US]; 502 WEST OFFICE CENTER DRIVE, Fort Washington, PA 19034 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BALDWIN, John, J.** [US/US]; 621 GYPSY HILL CIRCLE, Gwynedd, PA 19437 (US). **CLAREMON, David, A.** [US/US]; 1508 AIDENN LAIR ROAD, Maple Glen, PA 19002 (US). **TICE, Colin** [US/US]; 1211 STRATFORD AVENUE, Elkins Park, PA 19027 (US). **CACATIAN, Salvation** [US/US]; 247 S. JUNIPER STREET, APT. 804, Philadelphia, PA 19107 (US). **DILLARD, Lawrence, W.** [US/US]; 496 KINGS ROAD, Yardley, PA 19067 (US). **ISHCHENKO, Alexey, V.** [UA/US]; 1241 BROOKVIEW PLACE, Elkins Park, PA 19027 (US). **YUAN, Jing** [CN/US]; 3855 BLAIR MILL ROAD APT. 219E, Horsham, PA 19044 (US). **XU, Zhenrong** [CN/US];

3855 BLAIR MILL ROAD APT. 235F, Horsham, PA 19044 (US). **MCGEEHAN, Gerard** [US/US]; 1105 WESTOVER ROAD, Wilmington, DE 19807 (US). **SIMPSON, Robert, D.** [US/US]; 2001 N. VAN BUREN STREET, Wilmington, DE 19802 (US). **SINGH, Suresh, B.** [US/US]; 4 ADAMS ROAD, Kendall Park, NJ 08824 (US). **ZHAO, Wei** [CN/US]; 930 HERITAGE DRIVE, Eagleville, PA 19403 (US). **FLAHERTY, Patrick, T.** [US/US]; 1134 BILTMORE AVENUE, Pittsburgh, PA 15216 (US).

(74) Agents: **ABELLEIRA, Susan, M.** et al.; HAMILTON, BROOK, SMITH & REYNOLDS, P.C., 530 VIRGINIA ROAD, P.O. BOX 9133, Concord, MA 01742-9133 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, 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, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.

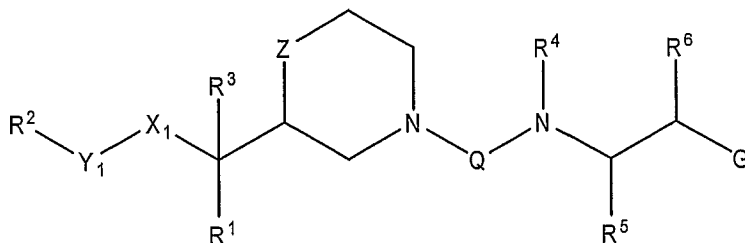
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (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: ASPARTIC PROTEASE INHIBITORS



(57) Abstract: The present invention is directed to aspartic protease inhibitors. Certain aspartic protease inhibitors of the invention can be represented by the following structural formula or a pharmaceutically acceptable salt thereof. The present invention is also directed to pharmaceutical compositions comprising the disclosed aspartic protease inhibitors. The present invention is further directed to methods of antagonizing one or more aspartic proteases

in a subject in need thereof, and methods for treating an aspartic protease mediated disorder in a subject using the disclosed aspartic protease inhibitors.

WO 2007/070201 A1