9803446-5

IPOS COPY.

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07K 5/00, A61K 38/55 | A1

(11) International Publication Number: WO 97/22618

(43) International Publication Date: 26 June 1997 (26.06.97)

(21) International Application Number: PCT/US96/20370

(22) International Filing Date: 20 December 1996 (20.12.96)

(30) Priority Data:
08/575,648 20 December 1995 (20.12.95) US

(71) Applicant: VERTEX PHARMACEUTICALS INCORPORATED [US/US]; 130 Waverly Street, Cambridge, MA 02139-4242 (US).

(72) Inventors: BEMIS, Guy, W.; 15 Mystic Lake Drive, Arlington, MA 02174 (US). DUFFY, John, P.; 37 Murdock Street, Brighton, MA 02135 (US). FRIDMAN, Wolf, Herman; 27, rue Berthollet, F-75005 Paris (FR). GOLEC, Julian, M., C.; 8 Manor Farm Chapel Road, Ashbury, Swindon, Wiltshire, SN6 8LS (GB). LIVINGSTON, David J.; 20 Madison Avenue, Newtonville, MA 02160 (US). MULLICAN, Michael, D.; 110 Parker Road, Needham, MA 02194 (US). MURCKO, Mark, A.; 520 Marshall Street, Holliston, MA 01746 (US). ZELLE, Robert, E.; 67 Boon Road, Stow, MA 01775 (US).

(74) Agents: HALEY, James, F., Jr. et al.; Fish & Neave, 1251 Avenue of the Americas, New York, NY 10020-1104 (US).

(81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, 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, TJ, TM, TR, TT, UA, UG, UZ, VN, ARIPO patent (KE, LS, MW, SD, SZ, UG), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG).

Published

With international search report.

Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: INHIBITORS OF INTERLEUKIN-1β CONVERTING ENZYME

(57) Abstract

The present invention relates to novel classes of compounds which are inhibitors of interleukin-1β converting enzyme. This invention also relates to pharmaceutical compositions comprising these compounds. The compounds and pharmaceutical compositions of this invention are particularly well suited for inhibiting ICE activity and consequently, may be advantageously used as agents against interleukin-1 and apoptosis-mediated diseases, including inflammatory diseases, autoimmune diseases, proliferative, infectious, and degenerative diseases. This invention also relates to methods for inhibiting ICE activity and methods for treating interleukin-1 mediated diseases using the compounds and compositions of this invention.