RTM 97030852

PCT WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 6 : C07K 5/083, A61K 38/06 — A1

(11) International Publication Number: WO 96/23812

(43) International Publication Date: 8 August 1996 (08.08.96)

(21) International Application Number: PCT/GB96/00193

(22) International Filing Date: 30 January 1996 (30.01.96)

(30) Priority Data:
9502152.3 3 February 1995 (03.02.95) GB

(71) Applicant: ZENECA LIMITED [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB).

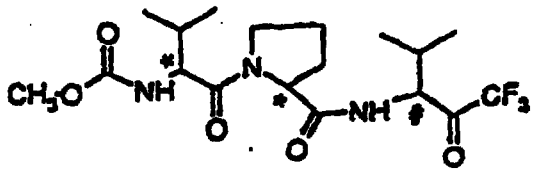
(72) Inventors: BERNSTEIN, Peter, Robert; 1800 Concord Pike, Wilmington, DE 19897 (US). VEALE, Chris, Allan; 1800 Concord Pike, Wilmington, DE 19897 (US). DAVIES, Elwyn, Peter; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

(74) Agent: BILL, Kevin; Zeneca Pharmaceuticals, Intellectual Property Dept., Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).

(81) Designated States: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, 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 (AZ, BY, KG, KZ, RU, TJ, TM), European patent (AT, BE, CH, DE, DK, ES, 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: PROLINE DERIVATIVES USEFUL AS INHIBITORS OF HUMAN LEUKOCYTE ELASTASE



(I)

(57) Abstract

The present invention relates to particular forms of a novel 1-substituted-N-[2-methyl-1-(trifluoroacetyl)propyl]pyrrolidine-2-carboxamide of formula (I) which are inhibitors of human leukocyte elastase (HLE), also known as human neutrophil elastase (HNE), making them useful whenever such inhibition is desired, such as for research tools in pharmacological, diagnostic and related studies and in the treatment of diseases in mammals in which HLE is implicated. The invention also includes pharmaceutical compositions containing such forms, processes for preparing the forms and intermediates useful in the synthesis of the forms.