(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
24 October 2002 (24.10.2002)

PCT

(10) International Publication Number
WO 02/083671 A1

(51) International Patent Classification[7]: C07D 471/04, A61K 31/55, A61P 9/04

(21) International Application Number: PCT/EP02/03668

(22) International Filing Date: 3 April 2002 (03.04.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/283,305 12 April 2001 (12.04.2001) US
0119305.1 8 August 2001 (08.08.2001) GB

(71) Applicant: **AVENTIS PHARMA DEUTSCHLAND GMBH** [DE/DE]; Brüningstrasse 50, 65929 Frankfurt (DE).

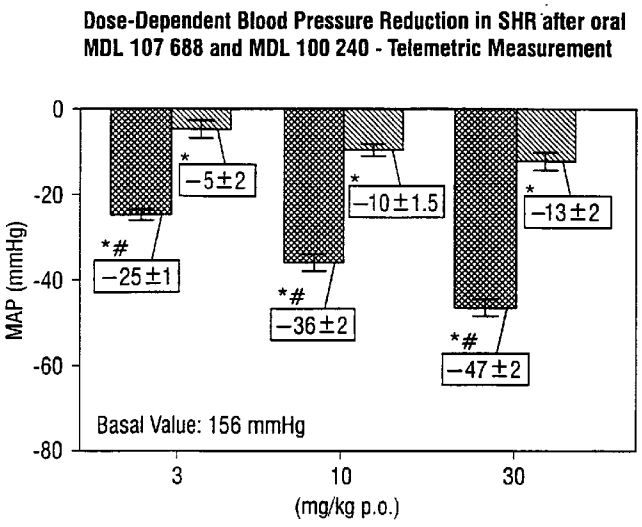
(72) Inventors: **FLYNN, Gary, A.**; 9750 N. Cliff View Place, Tucson, AZ 85737 (US). **MEHDI, Shujaath**; 43 N. 14th Avenue, Appartment 2, Manville, NJ 08835 (US). **KOEHL, Jack, Roger**; 168 Aster Court, Whitehouse Station, NJ 08889 (US). **ANDERSON, Barbara, Ann**; 239 Forestwood Drive, Cincinnati, OH 45216 (US). **GERKEN, Manfred**; Lahnblick 13, 35041 Marburg (DE). **JABLONKA, Bernd**; Im Wingert 5, 61440 Oberursel (DE). **KLEEMANN, Heinz-Werner**; Mainstrasse 29, 65474 Bischofsheim (DE). **LINZ, Wolfgang**; Huxelrebenweg 54, 55129 Mainz (DE). **SEIZ, Werner**; Gartenstrasse 42, 60596 Frankfurt am Main (DE). **SEURING, Bernhard**; Frankfurter Strasse 19, 65719 Hofheim (DE).

(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,

[Continued on next page]

(54) Title: MERCAPTOACETYLAMIDE DERIVATIVES, A PROCESS FOR THEIR PREPARATION AND THEIR USE

(57) Abstract: This invention discloses and claims a series of mercaptoacetylamide derivatives of formula (I). Also disclosed and claimed are pharmaceutical compositions incorporating these compounds and processes for preparing said compounds. The use of said compounds for inhibition of the enzymes angiotensin converting enzyme and neutral endopeptidase, and for the treatment of hypertension and congestive heart failure are also disclosed and claimed.



n=6 / Group
*p<0.05 vs Basal Value
#p<0.05 vs MDL 100 240

MDL 107 688 is [4S-[4α, 7α(S), 12bβ]]-7-[[2(S)-acetylthio-3-methyl-1-oxobutyl]amino]-1,2,3,4,6,7,8,12b-octahydro-6-oxo-pyrido[2,1a][2]benzazepine-4-carboxylic acid.

MDL 100 240 is [4S-[4α, 7α(S), 12bβ]]-7-[(1-oxo-2(S)-acetylthio-3-phenylpropyl) amino]-1,2,3,4,6,7,8,12b-octahydro-6-oxo-pyrido[2,1a][2]benzazepine-4-carboxylic acid.

WO 02/083671 A1

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*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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.