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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
13 November 2003 (13.11.2003)

PCT

(10) International Publication Number
WO 03/093267 A1

(51) International Patent Classification[7]: C07D 471/08, A61K 31/4995

(21) International Application Number: PCT/EP03/03721

(22) International Filing Date: 8 April 2003 (08.04.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PCT/EP02/04705 29 April 2002 (29.04.2002) EP

(71) Applicant *(for all designated States except US)*: **ACTELION PHARMACEUTICALS LTD** [CH/CH]; Gewerbestrasse 16, CH-4123 Allschwil (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **BEZENCON, Olivier** [CH/CH]; Dürrenmattweg 53, CH-4123 Allschwil (CH). **BUR, Daniel** [CH/CH]; Im Rosengarten 24, CH-4106 Therwil (CH). **FISCHLI, Walter** [CH/CH]; Obertorweg 64, CH-4123 Allschwil (CH). **REMEN, Lubos** [CH/CH]; Kurzelängeweg 28, CH-4123 Allschwil (CH). **RICHARD-BILDSTEIN, Sylvia** [FR/FR]; 34a, rue D'ottmarsheim, F-68170 Rixheim (FR). **WEBER, Hans-Peter** [CH/CH]; Seewenweg 45, CH-4146 Hochwald (CH). **WELLER, Thomas** [CH/CH]; Hoelzlistrasse 58, CH-4102 Binningen (CH).

(74) Agent: **HOFMAN, Dieter**; StratAll, Therwilerstrasse 87, CH-4153 Reinach (CH).

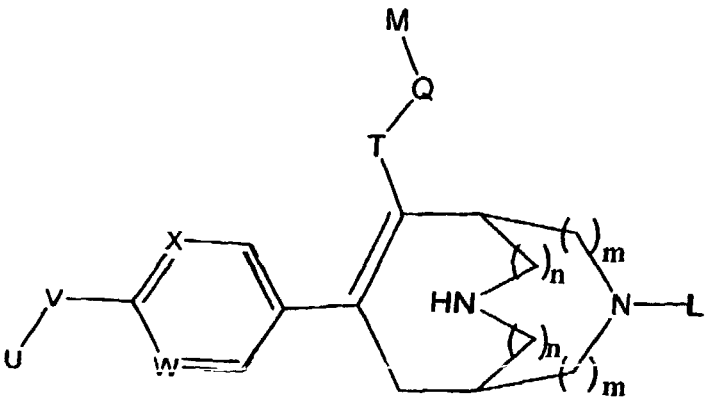
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, 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, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (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: 7-ARYL-3,9-DIAZABICYCLO(3.3.1)NON-6-ENE DERIVATIVES AND THEIR USE AS RENIN INHIBITORS IN THE TREATMENT OF HYPERTENSION, CARDIOVASCULAR OR RENAL DISEASES



(I)

(57) Abstract: The invention relates to novel 3,9-diazabicyclo[3.3.1]nonene derivatives of formula (I) and related compounds and their use as active ingredients in the preparation of pharmaceutical compositions. The invention also concerns related aspects including processes for the preparation of the compounds, pharmaceutical compositions containing one or more of those compounds and especially their use as inhibitors or renin.

WO 03/093267 A1