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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
4 March 2004 (04.03.2004)

PCT

(10) International Publication Number
WO 2004/018503 A1

(51) International Patent Classification[7]: C07K 7/64, 7/08, 1/04, A61K 38/12, A61P 31/04

(21) International Application Number: PCT/EP2002/009278

(22) International Filing Date: 20 August 2002 (20.08.2002)

(25) Filing Language: English

(26) Publication Language: English

(71) Applicants *(for all designated States except US)*: **POLYPHOR LTD.** [CH/CH]; Gewerbestrasse 14, CH-4123 Allschwil (CH). **UNIVERSITÄT ZÜRICH** [CH/CH]; Rämistrasse 71, CH-8006 Zürich (CH).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **VRIJBLOED, Jan, Wim** [NL/CH]; Vorhaldenstrasse 14, CH-8049 Zürich (CH). **OBRECHT, Daniel** [CH/CH]; Im Eichhacker 21, CH-4112 Bättwil (CH). **ROBINSON, John, Anthony** [GB/CH]; Tobelstrasse 24, CH-8615 Wermatswil (CH). **SELLIER, Odile** [FR/CH]; Dörflistrasse 67, CH-4050 Zürich (CH). **KESSLER, Marc** [FR/CH]; Tulpenstrasse 8, CH-8051 Zürich (CH).

(74) Agent: **BRAUN, André**; Braun & Partner, Reussstrasse 22, CH-4054 Basel (CH).

(81) Designated States *(national)*: AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), 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, SI, SK (utility model), SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

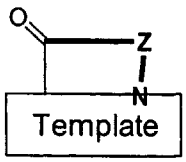
(84) Designated States *(regional)*: ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, 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.

WO 2004/018503 A1

(54) Title: TEMPLATE-FIXED PEPTIDOMIMETICS WITH ANTIBACTERIAL ACTIVITY



(I)

(57) Abstract: Template-fixed β-hairpin peptidomimetics of the general formula (I), wherein Z is a template-fixed chain of 12 α-amino acid residues which, depending on their positions in the chain (counted starting from the N-terminal amino acid) are Gly, or Pro, or of certain types which, as the remaining symbols in the above formula, are defined in the description and the claims, and salts thereof, have the property to selectively inhibit the growth of or to kill microorganisms such as Pseudomonas aeruginosa and Acinetobacter. They can be used as disinfectants for foodstuffs, cosmetics, medicaments or other nutrient-containing materials, or as medicaments to treat or prevent infections. In a specific embodiment, the template is based on the D-Pro-L-Pro dipeptide. These β-hairpin peptidomimetics can be manufactured by processes which are based on a mixed solid- and solution phase synthetic strategy.