

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
1 April 2004 (01.04.2004)

PCT

(10) International Publication Number
WO 2004/026815 A2

(51) International Patent Classification⁷: **C07C 275/34**,
335/18, A61K 31/17

(21) International Application Number:
PCT/EP2003/010406

(22) International Filing Date:
18 September 2003 (18.09.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
02020987.0 18 September 2002 (18.09.2002) EP

(71) Applicant (for all designated States except US): **JERINI AG** [DE/DE]; Invalidenstr. 130, 10115 Berlin (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **KNOLLE, Jochen** [DE/DE]; Schröderstr.11, 10115 Berlin (DE). **SCHUTKOWSKI, Mike** [DE/DE]; Am Glockenstuhl 27, 06268 Ziegelroda (DE). **HUMMEL, Gerd** [DE/DE]; Pankstr. 12, 13357 Berlin (DE). **TRADLER, Thomas**

[DE/DE]; Johanna-Tesch-Strasse 48, 12439 Berlin (DE). **JOBRON, Laurence** [FR/DE]; Pankstr. 12, 13357 Berlin (DE). **CHRISTNER, Claudia** [DE/DE]; Anklamer Str.1, 10115 Berlin (DE). **SCHARN, Dirk** [DE/DE]; Berliner Strasse 95, 13507 Berlin (DE). **STRAGIES, Roland** [DE/DE]; Schonensche Str. 2, 10439 Berlin (DE). **GIBSON, Christoph** [DE/DE]; Lychener Str. 8, 10437 Berlin (DE). **OSTERKAMP, Frank** [DE/DE]; Nipkowstrasse 11, 12489 Berlin (DE).

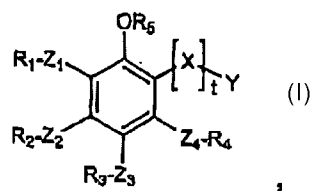
(74) Agent: **BOHMANN, Armin, K.**; Bohmann & Loosen, Sonnenstrasse 8, 80331 München (DE).

(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, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

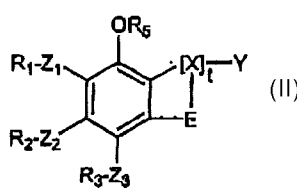
(84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,

[Continued on next page]

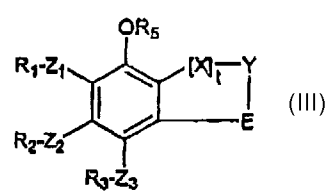
(54) Title: NEW COMPOUNDS FOR THE INHIBITION OF ROTAMASES AND USE THEREOF



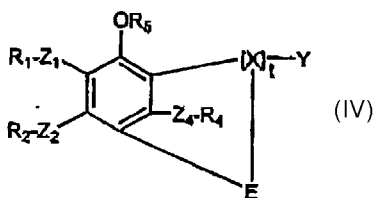
(I)



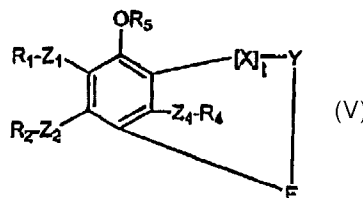
(II)



(III)



(IV)



(V)

(57) Abstract: The present invention is related to a compound of the formula (I), (II), (III), (IV), (V): wherein Z₁, Z₂, Z₃ and Z₄ are each and independently selected from the group comprising C(O)-, -C(S)-, -C(O)-NR₁₀-, -C(S)-NR₁₁-, -C(N-CN)-NR₁₂-, -S(O)-, -S(O₂)-, -S(O)-NR₁₃-, and S(O₂)-NR₁₄-, -O-, -S- or are each and individually absent; X is a spacer and is independently selected from the group comprising -M₁-L₁-K-L₂-M₂-, wherein Y is selected from the group comprising alkyl, substituted alkyl, straight alkyl, substituted straight alkyl, branched alkyl, substituted branched alkyl, straight alkenyl, substituted straight alkenyl, branched alkenyl, substituted branched alkenyl, straight alkynyl, substituted straight alkynyl, branched alkynyl, substituted branched alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclyl, substituted heterocyclyl, mono-unsaturated heterocyclyl, poly-unsaturated heterocyclyl, mono-substituted poly-unsaturated heterocyclyl, poly-substituted poly-unsaturated heterocyclyl, mono-substituted mono-unsaturated heterocyclyl, poly-substituted mono-unsaturated heterocyclyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl, wherein Y is different from a peptide or is absent.



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).

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.

Published:

- *without international search report and to be republished upon receipt of that report*