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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 August 2004 (05.08.2004)

PCT

(10) International Publication Number
WO 2004/065353 A1

(51) International Patent Classification[7]: C07C 255/25, A61K 31/16, A61P 43/00, G01N 33/00, C07C 271/22, 323/44, 335/16, 307/10, 255/24, 255/58, C07D 317/66, C07C 335/12, 335/18, 311/10, C07D 333/34

(21) International Application Number: PCT/EP2003/014844

(22) International Filing Date: 23 December 2003 (23.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
02028699.3 23 December 2002 (23.12.2002) 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). **STRAGIES, Roland** [DE/DE]; Schonensche Str. 2, 10439 Berlin (DE).

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

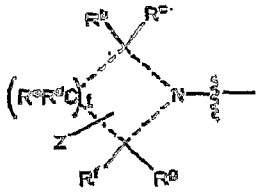
(81) Designated States *(national)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, 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 (BW, 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).

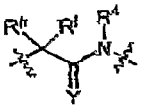
Published:
— *with international search report*
— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

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.

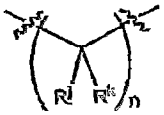
(54) Title: COMPOUNDS FOR THE INHIBITION OF ROTAMASES



(II)



(III)



(IV)

(57) Abstract: The present invention is related to a compound of formula (I): A-B-E-D (I), wherein: A is selected from the group consisting R^a-L1-K-L2; and (II) B is either present or absent, but if B is present then B is (III), E is (IV), D is selected from the group comprising -(CR^1R^m) $_rC(O)H$, -(CR^1R^m)$_r$C-N, -CR^1R^m)$_r$NHNHC(O)NR^5R^6, -(CR^1R^m)$_r$C(O)(CR^nR^o)$_r$c(O)OR^7, -(CR^1R^m)$_r$C(O)(Cr^nR^o)$_r$C(O)NR^8R^9, -(CR^1R^m)$_r$CH(OH)(Cr^nR^o)$_r$ C(O)U, -(CR^1R^m)$_r$C(O)W-(CR^1R^m)$_r$C(O)CH_2W, -(CR^1R^m)$_r$C(O)haloalkyl, and -(CR^1R^m)$_r$C(O)(CR^nR^o)$_r$-CHN_2, and the use of said compounds as an inhibitor to rotamases.

WO 2004/065353 A1