

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
28 May 2009 (28.05.2009)

PCT

(10) International Publication Number  
**WO 2009/065897 A2**

- (51) International Patent Classification:  
A61K 38/07 (2006.01)
- (21) International Application Number:  
PCT/EP2008/065925
- (22) International Filing Date:  
20 November 2008 (20.11.2008)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
07121200.5 21 November 2007 (21.11.2007) EP  
61/004,097 21 November 2007 (21.11.2007) US
- (71) Applicants (for all designated States except US): **VIB VZW** [BE/BE]; Rijnvischestràat 120, B-9052 Gent (BE). **UNIVERSITEIT GENT** [BE/BE]; Sint-Pieter-snieuwstraat 25, B-9000 Gent (BE). **KATHOLIEKE UNIVERSITEIT LEUVEN, K.U. LEUVEN R & D** [BE/BE]; Minderbroedersstraat 8a - bus 5105, B-3000 Leuven (BE).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **BEYAERT, Rudi** [BE/BE]; Gentseseesteenweg 16, B-9750 Zingem (BE). **MARYNEN, Peter** [BE/BE]; Keulenstraat 147, B-3020 Herent (BE). **BAENS, Thijs** [BE/BE]; Kwadeschuurstraat 8, B-3210 Lubbeek (BE). **HEYNINCK, Karen** [BE/BE]; Van Thorenburghlaan 9, B-9860 Scheldewindeke (BE).
- (74) Common Representative: **VIB VZW**; Rijnvischestràat 120, B-9052 Gent (BE).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:  
— without international search report and to be republished upon receipt of that report  
— with sequence listing part of description published separately in electronic form and available upon request from the International Bureau



WO 2009/065897 A2

(54) Title: INHIBITORS OF MALT1 PROTEOLYTIC ACTIVITY AND USES THEREOF

(57) Abstract: The present invention relates to inhibitors of MALT1 proteolytic and/or autoprotoeolytic activity. More specifically, it relates to compounds such as, but not limited to peptide derivates such as Z-LSSR-CHO, Z-LSSR-CMK, Z-GASR-CHO, and Z-GASR-CMK, and small compounds such as 5-[[5-(3-chloro-4-methylphenyl)-2-furyl]methylene]-2-thioxodihydro-4,6(1H,5H)-pyrimidinedione and variants thereof, and the use of those compounds for the preparation of a medicament. The invention relates further to a method to screen for inhibitors of the MALT1 proteolytic and/or autoprotoeolytic activity.