



(51) International Patent Classification:

A61K 31/69 (2006.01) A61P 37/00 (2006.01)
C07F 5/02 (2006.01)

(21) International Application Number:

PCT/EP2012/076595

(22) International Filing Date:

21 December 2012 (21.12.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/579,076 22 December 2011 (22.12.2011) US
11195107.5 22 December 2011 (22.12.2011) EP

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(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, BN, BR, BW, BY, BZ, CA, CH, CL, 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, PA, PE, PG, PH, PL, PT, QA, RO, RS, RU, RW, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, 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, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

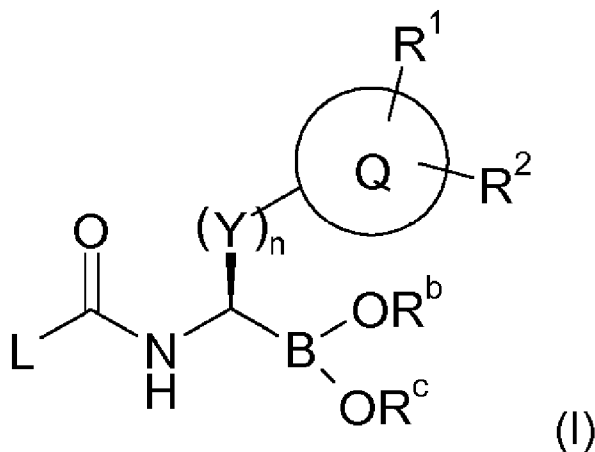
Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

— with international search report (Art. 21(3))

(54) Title: ALPHA-AMINO BORONIC ACID DERIVATIVES, SELECTIVE IMMUNOPROTEASOME INHIBITORS



(57) Abstract: The present invention provides compounds of Formula (I) as inhibitors of LMP7 for the treatment of autoimmune and inflammatory diseases. In formula (I), R^b and R^c are independently selected from one another from H or C₁-C₆-alkyl; whereby R^b and R^c may be linked to form a 5 or 6 membered-ring containing the oxygen atoms to which they are linked; Q denotes Ar, Het or cycloalkyl; R¹ R² independently from each other denotes H, OR^a, Hal, C₁-C₆-alkyl wherein 1 to 5 H atoms may be independently replaced by OH or Hal; Y denotes CR³R⁴, preferably CH₂ or C(CH₃)₂; R³, R⁴ independently of one another denote H or C₁-C₆-alkyl; L denotes L₁ or L₂ or alkyl; n is an integer selected from 0 to 3; L₁ is Q₁-CO-M- wherein Q₁ is Ar or Het, preferably, phenyl, naphthyl or pyridine, optionally substituted with 1 to 5 groups independently selected from OR^a, Hal, phenyl, and C₁-C₆-alkyl wherein 1 to 5 H atoms may be independently replaced by OH or Hal; L₂ is Q₂-M- wherein Q₂ is a fused bicyclic system containing 1 nitrogen atom and 1 to 3 additional groups independently selected from O, S, N, or CO, and wherein at least one of the rings is aromatic whereby the fused bicyclic system is optionally substituted with 1 to 5 groups independently selected from OR^a, Hal, phenyl, and C₁-C₆-alkyl wherein 1 to 5 H atoms may be independently replaced by OH or Hal; or Q₂ is unsaturated or aromatic 5 membered-ring system containing 1 to 3 heteroatoms selected from N, O, S and CO, and optionally substituted

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with a phenyl ring or pyridine ring whereby phenyl ring and pyridine ring are optionally substituted with 1 to 4 groups independently selected from OR^a, Hal, phenyl, and C₁-C₆-alkyl wherein 1 to 5 H atoms may be independently replaced by OH or Hal; M is a linear or branched alkylene having 1 to 5 carbon atoms wherein 1 or 2 H atoms may be replaced by OR^a or a phenyl ring optionally substituted with 1 to 5 groups independently selected from Hal, OR^a, and C₁-C₆-alkyl optionally substituted with 1 to 5 groups independently selected from OH, and Hal; or M denotes a cycloalkylene having 3 to 7 carbon atoms; or M denotes a thiazolidinyl group; R^a is H or C₁-C₆-alkyl wherein 1 to 5 H atom may be independently replaced by OH or Hal; Ar denotes a 6 membered-aromatic carbocyclic ring optionally fused with another carbocyclic saturated, unsaturated or aromatic ring having 5 to 8 carbon atoms; Het denotes a 5- or 6-membered saturated, unsaturated or aromatic heterocyclic ring having 1 to 3 heteroatoms independently selected from N, N+O-, O, S, SO, and SO₂, and optionally fused with another saturated, unsaturated or aromatic ring having 5 to 8 atoms and optionally containing 1 to 3 heteroatoms selected from N, O, and S; Hal denotes Cl, Br, I or F; preferably Cl or F.