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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
10 November 2011 (10.11.2011)

PCT

(10) International Publication Number
WO 2011/138393 A1

(51) International Patent Classification:
A61K 31/00 (2006.01) *A61K 31/661* (2006.01)
A61K 31/137 (2006.01) *A61P 37/06* (2006.01)

(21) International Application Number:
PCT/EP2011/057203

(22) International Filing Date:
5 May 2011 (05.05.2011)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
10162079.7 6 May 2010 (06.05.2010) EP

(71) Applicant *(for all designated States except US)*: **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **GERGELY, Peter** [HU/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). **WALLSTROEM, Erik** [SE/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH).

(74) Agent: **VON SPRECHER, Georg**; Novartis Pharma AG, Patent Department, CH-4002 Basel (CH).

(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, 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, PE, PG, PH, PL, PT, RO, RS, RU, 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.

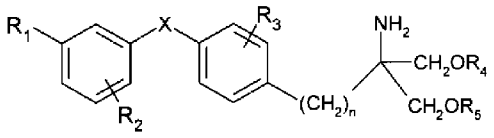
(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, 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:

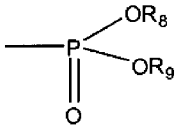
— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*

[Continued on next page]

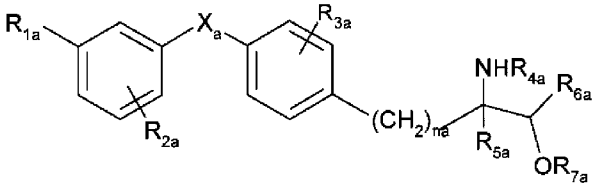
(54) Title: TREATMENT OF AUTOIMMUNE DISEASES



(I)



(a)



(II)

(57) Abstract: Disclosed is the use of a compound of formula I wherein X is O, S, SO or SO_2; R_1 is halogen, trihalomethyl, -OH, C_{1-7}alkyl, C_{1-4}alkoxy, trifluoromethoxy, phenoxy, cyclohexylmethyloxy, pyridylmethoxy, cinnamyloxy, naphthylmethoxy, phenoxymethyl, $-CH_2$-OH, $-CH_2-CH_2$-OH, C_{1-4}alkylthio, C_{1-4}alkylsulfinyl, C_{1-4}alkylsulfonyl, benzylthio, acetyl, nitro or cyano, or phenyl, phenylC_{1-4}alkyl or phenyl-C_{1-4}alkoxy each phenyl group thereof being optionally substituted by halogen, CF_3, C_{1-4}alkyl or C_{1-4}alkoxy; R_2 is H, halogen, trihalomethyl, C_{1-4}alkoxy, C_{1-7}alkyl, phenethyl or benzyloxy; R_3 H, halogen, CF_3, OH, C_{1-7}alkyl, C_{1-4}alkoxy, benzyloxy, phenyl or C_{1-4}alkoxymethyl; each of R_4 and R_5, independently is H or a residue of formula (a) wherein each of R_8 and R_9, independently, is H or C_{1-4}alkyl optionally substituted by halogen; and n is an integer from 1 to 4; or a pharmaceutically acceptable salt, hydrate, solvate, isomer or prodrug thereof; or a compound of formula II wherein R_{1a} is halogen, trihalomethyl, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio, C_{1-4}alkylsulifinyl, C_{1-4}alkylsulfonyl, aralkyl, optionally substituted phenoxy or aralkyloxy; R_{2a} is H, halogen, trihalomethyl, C_{1-4}halkyl, C_{1-4}alkoxy, aralkyl or aralkyloxy; R_{3a} is H, halogen, CF_3, C_{1-4}alkyl, C_{1-4}alkoxy, C_{1-4}alkylthio or benzyloxy; R_{4a} is H, C_{1-4}alkyl, phenyl, optionally substituted benzyl or benzoyl, or lower aliphatic C_{1-5}acyl; R_{5a} is H, monohalomethyl, C_{1-4}alkyl, C_{1-4}alkoxy-methyl, C_{1-4}alkyl-thiomethyl, hydroxyethyl, hydroxypropyl, phenyl, aralkyl, C_{2-4}alkenyl or -alkynyl; R_{6a} is H or C_{1-4}alkyl; R_{7a} is H, C_{1-4}alkyl or a residue of formula (a) as defined above, X_a is O, S, SO or SO_2; and n_a is an integer of 1 to 4; or a pharmaceutically acceptable salt, hydrate, solvate, isomer or prodrug thereof; in the manufacture of a medicament for the treatment or prophylaxis of scLE and related autoimmune cutaneous conditions.

WO 2011/138393 A1

Published:

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