



(51) International Patent Classification:

C07D 403/14 (2006.01) A61P 25/00 (2006.01)
A61K 31/4192 (2006.01)

(21) International Application Number:

PCT/IB2014/066509

(22) International Filing Date:

2 December 2014 (02.12.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

PCT/IB2013/060595

3 December 2013 (03.12.2013)

IB

(71) Applicant: ACTELION PHARMACEUTICALS LTD
[CH/CH]; Gewerbestrasse 16, CH-4123 Allschwil (CH).

(72) Inventors: **BOSS, Christoph**; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH). **BROTSCHI, Christine**; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH). **GUDE, Markus**; Grabenring 9, CH-4123 Allschwil (CH). **HEIDMANN, Bibia**; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH). **SIFFERLEN, Thierry**; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH). **VON RAUMER, Markus**; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH). **WILLIAMS, Jodi T.**; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (CH).

(74) Agent: VELKER, Jörg; c/o Actelion Pharmaceuticals Ltd, Gewerbestrasse 16, CH-4123 Allschwil (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, 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, IR, IS, JP, KE, KG, KN, KP, KR, KZ, LA, LC, LK, LR, LS, 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, SA, 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, ST, 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, KM, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

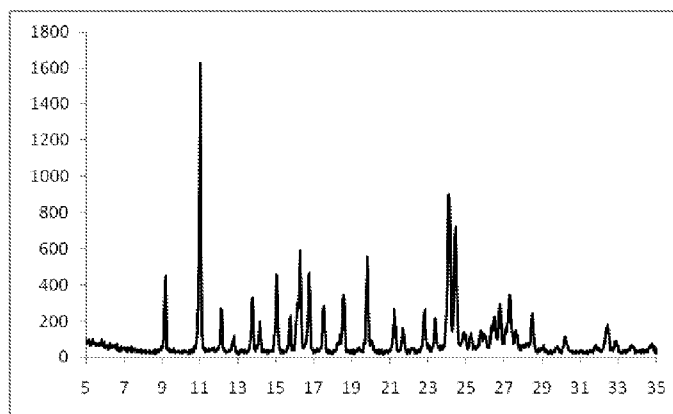
— as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))

Published:

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

(54) Title: CRYSTALLINE SALT FORM OF (S)-(2-(6-CHLORO-7-METHYL-1 H-BENZO[D]IMIDAZOL-2-YL)-2-METHYL-PYRROLIDIN-1 -YL)(5-METHOXY-2-(2H-1,2,3-TRIAZOL-2-YL)PHENYL)METHANONE AS OREXIN RECEPTOR ANTAGONIST

Figure 2



(57) Abstract: The invention relates to a crystalline form of (S)-(2-(6-chloro-7-methyl-1 H-benzo[d]imidazol- 2-yl)-2-methyl-pyrrolidin-1-yl)(5-methoxy-2-(2H-1,2,3-triazol-2-yl)phenyl)methanone hydrochloride, processes for the preparation thereof, pharmaceutical compositions containing said crystalline form, and its use as medicament, especially as orexin receptor antagonist.