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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 December 2013 (05.12.2013)

WIPO | PCT

(10) International Publication Number
WO 2013/178811 A1

(51) International Patent Classification:
A61K 47/34 (2006.01) *A61K 9/00* (2006.01)
A61K 31/519 (2006.01)

(21) International Application Number:
PCT/EP2013/061319

(22) International Filing Date:
31 May 2013 (31.05.2013)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
12170366.4 31 May 2012 (31.05.2012) EP

(71) Applicant: **LABORATORIOS FARMACÉUTICOS ROVI, S.A.** [ES/ES]; C/ Julián Camarillo, 35, E-28037 Madrid (ES).

(72) Inventors: **GUTIERRO ADURIZ, Ibon**; C/ Julián Camarillo, 35, E-28037 Madrid (ES). **FRANCO RODRÍGUEZ, Guillermo**; C/ Julián Camarillo, 35, E-28037 Madrid (ES).

(74) Agent: **ELZABURU MARQUEZ, Alberto de**; c/Miguel Angel 21, E-28010 Madrid (ES).

(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, 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, KM, ML, MR, NE, SN, TD, TG).

Published:

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

WO 2013/178811 A1

(54) Title: PALIPERIDONE IMPLANT FORMULATION

(57) Abstract: An injectable intramuscular depot composition suitable for forming an in situ solid implant in a body, comprising a drug which is paliperidone and/or its pharmaceutical acceptable salts in any combination thereof, a biocompatible copolymer based on lactic and glycolic acid having a monomer ratio of lactic to glycolic acid in the range from 50:50 and a DMSO solvent, wherein the composition releases the drug with an immediate onset of action and continuously for at least 8 weeks and wherein the composition has a pharmacokinetic profile *in vivo* suitable for the formulation to be administered each 8 weeks or even longer periods.