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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
3 July 2014 (03.07.2014)

WIPO | PCT

(10) International Publication Number
WO 2014/104412 A1

(51) **International Patent Classification**:
A61K 9/00 (2006.01) *A61K 31/55* (2006.01)
A61K 9/16 (2006.01) *A61K 9/14* (2006.01)

(21) **International Application Number**:
PCT/JP2013/085355

(22) **International Filing Date**:
27 December 2013 (27.12.2013)

(25) **Filing Language**: English

(26) **Publication Language**: English

(30) **Priority Data**:
61/747,005 28 December 2012 (28.12.2012) US

(71) **Applicant**: **OTSUKA PHARMACEUTICAL CO., LTD.** [JP/JP]; 9, Kanda-Tsukasamachi 2-chome, Chiyoda-ku, Tokyo, 1018535 (JP).

(72) **Inventors**: **KANEKO, Daiki**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **MATSUDA, Takakuni**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **MIYATA, Kenichi**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **SUZUKI, Kai**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **FUJIKI, Hiroyuki**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **KINOSHITA, Shizuo**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **OHMOTO, Koji**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP). **AIHARA, Miki**; c/o OTSUKA PHARMACEUTICAL CO., LTD., 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP).

(74) **Agent**: **SAEGUSA & PARTNERS**; Kitahama TNK Building, 1-7-1, Doshomachi, Chuo-ku, Osaka-shi, Osaka, 5410045 (JP).

(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, 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, 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, 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 2014/104412 A1

(54) **Title**: INJECTABLE DEPOT FORMULATION COMPRISING OPTICALLY ACTIVE TOLVAPTAN AND PROCESS OF PRODUCING THE SAME

(57) **Abstract**: This invention provides an injectable formulation to be administered intramuscularly or subcutaneously that is used for the prevention or treatment of polycystic kidney disease, and that can maintain a therapeutically effective blood concentration of tolvaptan for a long period of time; and a process for producing the same. More specifically, this invention relates to an injectable depot formulation comprising (1) a particle containing optically active tolvaptan as an active ingredient and (2) a pharmaceutically acceptable carrier for injection, and a process for producing the same.