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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
5 October 2006 (05.10.2006)

PCT

(10) International Publication Number
WO 2006/103690 A1

(51) International Patent Classification:
C07D 207/38 (2006.01) *A61P 3/10* (2006.01)
A61K 31/4015 (2006.01)

(21) International Application Number:
PCT/IN2005/000164

(22) International Filing Date: 18 May 2005 (18.05.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
410/MUM/2005 1 April 2005 (01.04.2005) IN

(71) Applicant *(for all designated States except US)*: **USV LIMITED** [IN/IN]; B.S.D. Marg, Station Road, Mumbai 400 088, Maharashtra (IN).

(72) Inventors: **TARUR, Venkatasubramanian, Radhakrishnan**; A-301, Vaishali Towers, B.R. Road, Mulund West, Mumbai 400 080, Maharashtra (IN). **KADAM, Suresh, Mahadev**; NL-4, Sector 11, Building No. 19, Room No. 12, Shantidoot Co-operative Housing Society, Nerul, Navi Mumbai 400 706, Maharashtra (IN). **NAIK, Sanjay, Janardhan**; D/204, Powai-Vihar Building No. 2 CHS, Powai-Vihar Complex, Powai, Mumbai 400 076, Maharashtra (IN). **GAVHANE, Sachin, Baban**; A/P-Adhalgaon, Tal-Shrigonda, Ahmednagar 413 701, Maharashtra (IN).

(74) Agent: **NAIR, Gopakumar, G.**; Gopakumar Nair Associates, Nair Baug, Akurli Road, Kandivli (East), Mumbai 400 101, Maharashtra (IN).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, 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))*
— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:
— *with international search report*

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) **Title:** A NOVEL PROCESS FOR PREPARATION OF SUBSTANTIALLY PURE GLIMEPIRIDE

(57) **Abstract:** The present invention discloses a novel process for purification of trans-4-methyl cyclohexylamine HC1 and 4-[2-(3-Ethyl-4-methyl-2-carbonyl pyrrolidine amido) ethyl] benzene sulfonamide used in the synthesis of 3-Ethyl-2,5-dihydro-4-methyl-N-[2-[4-[[[[(trans-4-methyl cyclohexyl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]-2-oxo-1H-pyrrole-1-carboxamide(I), popularly known as Glimepiride. The present invention also discloses a novel purification of Glimepiride Form I (I), having the undesired cis isomer below 0.15%. Glimepiride (I) is useful in the treatment of diabetes mellitus.

WO 2006/103690 A1