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

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
23 December 2010 (23.12.2010)

PCT

(10) International Publication Number
WO 2010/146407 A1

(51) International Patent Classification:
A61K 9/14 (2006.01) *A61P 9/12* (2006.01)
A61K 9/51 (2006.01) *A61P 15/10* (2006.01)
A61K 31/505 (2006.01)

(21) International Application Number:
PCT/HU2010/000071

(22) International Filing Date:
18 June 2010 (18.06.2010)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
P0900377 19 June 2009 (19.06.2009) HU
P1000214 19 April 2010 (19.04.2010) HU

(71) Applicant *(for all designated States except US)*: **NANOFORM HUNGARY LTD.** [HU/HU]; Gyártelep hrsz 1485/14, H-8184 Balatonfüzfő (HU).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **FILIPCSEI, Genovéva** [HU/HU]; Bajnok utca 15. 1/9, H-1063 Budapest (HU). **ÖTVÖS, Zsolt** [HU/HU]; Raisio utca 4. 1/2, H-6640 Csongrád (HU). **PONGRÁCZ, Katalin** [HU/HU]; Ady Endre út 23-25/C, H-1221 Budapest (HU). **DARVAS, Ferenc** [HU/HU]; Lisznyai utca 15, H-1016 Budapest (HU).

(74) Common Representative: **NANOFORM HUNGARY LTD.**; Gyártelep hrsz 1485/14, H-8184 Balatonfüzfő (HU).

(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, 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**: NANOSTRUCTURED SILDENAFIL BASE, ITS PHARMACEUTICALLY ACCEPTABLE SALTS AND CO-CRYSTALS, COMPOSITIONS OF THEM, PROCESS FOR THE PREPARATION THEREOF AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

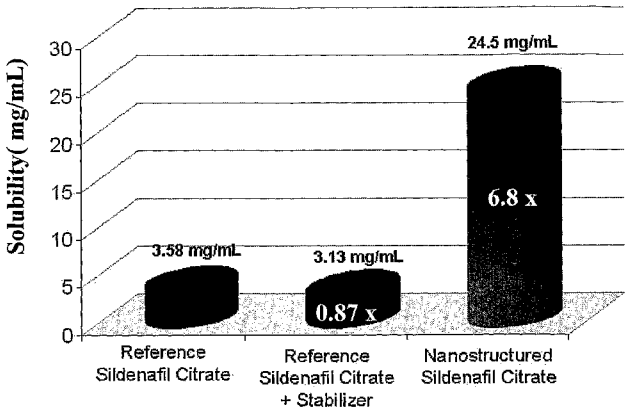


Figure 3

(57) **Abstract**: The present invention is directed to nanostructured (nanoparticulated) Sildenafil base, its pharmaceutically acceptable salts and co-crystals, compositions containing them, process for the preparation thereof and pharmaceutical compositions containing them. The nanoparticles of Sildenafil base, its pharmaceutically acceptable salts and co-crystals, compositions containing them according to the invention have an average particle size of less than about 500 nm. Sildenafil citrate is inhibiting cGMP specific phosphodiesterase type 5 (PDEV), an enzyme that regulates blood flow in the penis. The compositions of the invention are useful in the treatment of male or female sexual dysfunction and pulmonary arterial hypertension (PAH).

WO 2010/146407 A1

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

— *of inventorship (Rule 4.17(iv))*

Published:

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