



(51) International Patent Classification:

C07D 333/64 (2006.01) C07D 413/04 (2006.01)
C07D 333/66 (2006.01) C07D 413/12 (2006.01)
C07D 409/04 (2006.01) C07D 417/12 (2006.01)
C07D 409/10 (2006.01) A61K 31/381 (2006.01)
C07D 409/12 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/US2014/015938

(22) International Filing Date:

12 February 2014 (12.02.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/766,439 19 February 2013 (19.02.2013) US

(71) Applicant (for all designated States except US): **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(72) Inventors; and

(71) Applicants (for US only): **BURKS, Heather Elizabeth** [US/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **DECHANTSREITER, Michael A.** [DE/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **HE, Guo** [US/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **NUNEZ, Jill** [US/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **PEUKERT, Stefan** [DE/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **SPRINGER, Clayton** [US/US]; Novartis Institutes of Biomedical Research Inc., 400 Technology Square, Cambridge, Massachusetts 02139

(US). **SUN, Yingchuan** [CN/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **THOMSEN, Noel Marie-France** [US/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **TRIA, George Scott** [US/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **YU, Bing** [CA/US]; Novartis Institutes of Biomedical Research Inc., 250 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US).

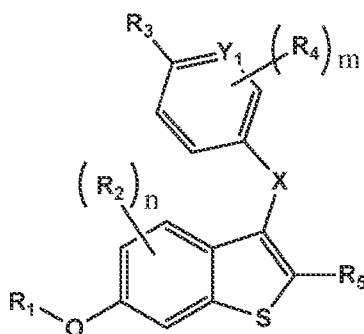
(74) Common Representative: **NOVARTIS AG**; Lichtstrasse 35, CH-4056 Basel (CH).

(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, 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).

[Continued on next page]

(54) Title: BENZOTHIOPHENE DERIVATIVES AND COMPOSITIONS THEREOF AS SELECTIVE ESTROGEN RECEPTOR DEGRADERS



I

(57) Abstract: The present invention relates to compounds of formula I: in which n, m, X, Y₁, R₁, R₂, R₃, R₄ and R₅ are defined in the Summary of the Invention; capable of being both potent antagonists and degraders of estrogen receptors. The invention further provides a process for the preparation of compounds of the invention, pharmaceutical preparations comprising such compounds and methods of using such compounds and compositions in the management of diseases or disorders associated with aberrant estrogen receptor activity.



Declarations under Rule 4.17:

Published:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))* — *with international search report (Art. 21(3))*