



(51) International Patent Classification:

C07D 239/28 (2006.01) C07D 401/04 (2006.01)
C07D 239/30 (2006.01)

(21) International Application Number:

PCT/US2011/053808

(22) International Filing Date:

29 September 2011 (29.09.2011)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/388,721 1 October 2010 (01.10.2010) US
61/494,915 9 June 2011 (09.06.2011) US

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

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CALIENNI, John Vincent** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936 (US). **DE LA CRUZ, Marilyn** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936 (US). **FLUBACHER, Dietmar** [DE/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). **GONG, Baoqing** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936 (US). **KAPA, Prasad Koteswara** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, NJ 07936 (US). **KARPINSKI, Piotr H.** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936 (US). **LIU, Hui** [US/US]; 2 Blue Ridge Avenue, Green Brook, New

Jersey 08812 (US). **MICHEL, Pascal** [CH/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). **MOSE, Rasmus** [DK/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). **TESTA, Maria Caterina** [CH/CH]; Novartis Pharma AG, Postfach, CH-4002 Basel (CH). **WAYKOLE, Liladhar Murlidhar** [US/US]; Novartis Pharmaceuticals Corporation, One Health Plaza, East Hanover, New Jersey 07936 (US).

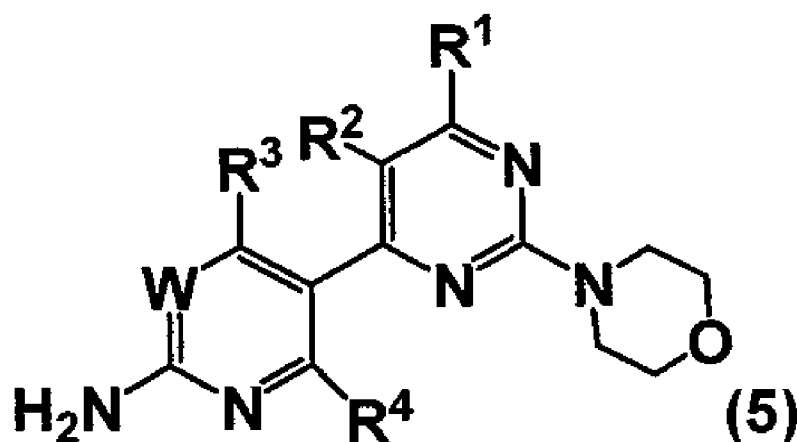
(74) Agent: **RUECK, Sandra S.**; Novartis, Patent Department, One Health Plaza, East Hanover, New Jersey 07936-1080 (US).

(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, 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, 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, RS, SE, SI, SK, SM, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: MANUFACTURING PROCESS FOR PYRIMIDINE DERIVATIVES



(57) Abstract: The invention relates to processes for manufacturing a compound of formula (5) or a stereoisomer, tautomer or a salt thereof, wherein the substituents are as defined in the specification. The invention further relates to new manufacturing processes for specific solid forms of Compound A and its salts, to such solid forms and to use of said solid forms for the therapeutic treatment of warm-blooded animals.



Declarations under Rule 4.17:

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

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments (Rule 48.2(h))*

Published:

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

(88) Date of publication of the international search report:

7 June 2012