



(51) International Patent Classification:

C07D 233/46 (2006.01) C07D 519/00 (2006.01)
C07D 239/14 (2006.01) A61K 31/5377 (2006.01)
C07D 487/04 (2006.01) A61P 35/00 (2006.01)

(21) International Application Number:

PCT/IB2013/055099

(22) International Filing Date:

21 June 2013 (21.06.2013)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

1255917 22 June 2012 (22.06.2012) FR

(71) Applicant: SANOFI [FR/FR]; 54 rue La Boétie, F-75008 Paris (FR).

(72) Inventors: **EL-AHMAD, Youssef**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR). **FILOCHE-ROMME, Bruno**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR). **LETALLEC, Jean-Philippe**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR). **MARCINIAK, Gilbert**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR). **RONAN, Baptiste**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR). **VIVET, Bertrand**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR).

(74) Agent: **BOURGOIN-MULLER, Alessandra**; c/o Sanofi, Patent Department, 54 rue La Boétie, F-75008 Paris (FR).

(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, 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, 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).

Declarations under Rule 4.17:

— of inventorship (Rule 4.17(iv))

Published:

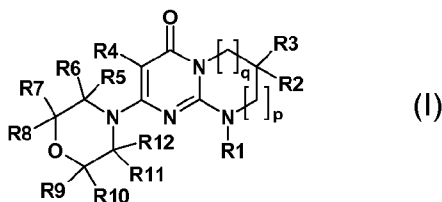
— with international search report (Art. 21(3))

— 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))

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

6 March 2014

(54) Title: NOVEL 2,3-DIHYDRO-1H-IMIDAZO{1,2-a}PYRIMIDIN-5-ONE and this 1,2,3,4-TETRAHYDROPYRIMIDO{1,2-a}PYRIMIDIN-6-ONE DERIVATIVES COMPRISING A SUBSTITUTED MORPHOLINE, PREPARATION THEREOF AND PHARMACEUTICAL USE THEREOF



(I)

formula 1a



formula 1b



(57) Abstract: The invention relates to the novel products of formula (I) with p, q = 0, 1 or 2; R1 = phenyl, pyridyl; $-(CH_2)_m$ -Ra; alkylene; cycloalkyl; heterocycloalkyl; alkyl; $-SO_2$ -Rb; $-CO$ -Re; m = 1 or 2; Ra = aryl, heteroaryl, $-CO$ -cycloalkyl, $-CO$ -heterocycloalkyl, $-CO$ -Rb, $-C(Rb)=N-ORc$, $-CO$ 2 Rd, $-CONR_xR_y$; Rb = alkyl, aryl, heteroaryl; Rc = H, alkyl; Rd = alkyl, cycloalkyl; Re = alkyl, cycloalkyl, aryl, heteroaryl; NR_xR_y with Rx, Ry = H, alkyl, cycloalkyl, alkoxy, phenyl, or form with N a ring with optionally O, N; R2, R3 = H, alkyl, CF₃, or form with C a ring with optionally O, S and N; R4 = H, F, Cl, CH₃ or CN; the morpholine is substituted with Me, and optionally substituted with F, OH; or is (Formula 1a) and the isomer of configuration R,R (Formula 1b) these products being in all the isomer forms and the salts, as medicaments, in particular as anticancer medicaments.