



(51) International Patent Classification:

C07D 213/75 (2006.01) **C07D 409/04** (2006.01)
C07D 217/22 (2006.01) **C07D 409/06** (2006.01)
C07D 401/04 (2006.01) **C07D 409/14** (2006.01)
C07D 401/06 (2006.01) **C07D 413/04** (2006.01)
C07D 401/14 (2006.01) **C07D 413/14** (2006.01)
C07D 405/14 (2006.01) **C07D 417/04** (2006.01)
C07D 407/06 (2006.01) **C07D 417/06** (2006.01)
C07D 407/12 (2006.01)

(21) International Application Number:

PCT/EP2013/053689

(22) International Filing Date:

25 February 2013 (25.02.2013)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

12157199.6 27 February 2012 (27.02.2012) EP

(71) **Applicant:** BOEHRINGER INGELHEIM INTERNATIONAL GMBH [DE/DE]; Binger Strasse 173, 55216 Ingelheim Am Rhein (DE).

(72) **Inventors:** REISER, Ulrich; BOEHRINGER INGELHEIM GMBH, Corporate Patents, Binger Strasse 173, 55216 Ingelheim Am Rhein (DE). BADER, Gerd; BOEHRINGER INGELHEIM GMBH, Corporate Patents, Binger Strasse 173, 55216 Ingelheim Am Rhein (DE). SPEVAK, Walter; BOEHRINGER INGELHEIM GMBH, Corporate Patents, Binger Strasse 173, 55216 Ingelheim Am Rhein (DE). STEFFEN, Andreas; BOEHRINGER INGELHEIM GMBH, Corporate Patents, Binger Strasse 173, 55216 Ingelheim Am Rhein (DE). PARKES,

Alastair L.; EVOTEC Evotec OAI AG, Evotec Neurosciences GmbH, Manfred Eigen Campus, Essener Bogen 7, 22419 Hamburg (DE).

(74) **Agents:** SIMON, Elke et al.; Boehringer Ingelheim GmbH, Corporate Patents, Binger Strasse 173, 55216 Ingelheim Am Rhein (DE).

(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, 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, 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.

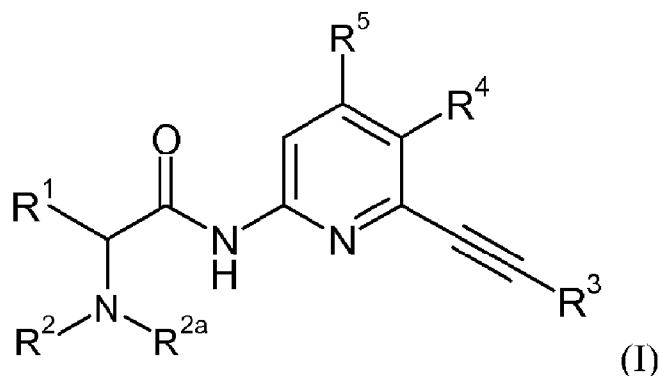
(84) **Designated States** (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LR, LS, MW, MZ, NA, RW, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, RU, TJ, TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, 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, 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: 6 - ALKYNYL PYRIDINES AS SMAC MIMETICS



(57) **Abstract:** This invention relates to 6-alkynyl-pyridines of general formula (I) their use as SMAC mimetics, pharmaceutical compositions containing them, and their use as medicaments for the treatment and/or prevention of diseases characterized by excessive or abnormal cell proliferation and associated conditions such as cancer. The groups R¹ to R⁵ have the meanings given in the claims and in the specification.



Published:

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