



- (51) **International Patent Classification:**
A61P 35/00 (2006.01) C07D 487/04 (2006.01)
- (21) **International Application Number:**
PCT/IB2020/053550
- (22) **International Filing Date:**
15 April 2020 (15.04.2020)
- (25) **Filing Language:** English
- (26) **Publication Language:** English
- (30) **Priority Data:**
62/836,340 19 April 2019 (19.04.2019) US
62/981,620 26 February 2020 (26.02.2020) US
- (71) **Applicant: PFIZER INC.** [US/US]; 235 East 42nd Street, New York, New York 10017 (US).
- (72) **Inventors: BHATTACHARYA, Samit Kumar;** c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US). **BEHENNA, Douglas Carl;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, California 92121 (US). **CAMERON, Kimberly O.;** c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US). **CHEN, Ping;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, California 92121 (US). **CURTO, John M.;** c/o Pfizer Inc., 445 Eastern Point Road, Bldg. 98, Groton, Connecticut 06340 (US). **FREEMAN-COOK, Kevin Daniel;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, California 92121 (US). **JALAIE, Mehran;** c/o Pfizer Inc.,

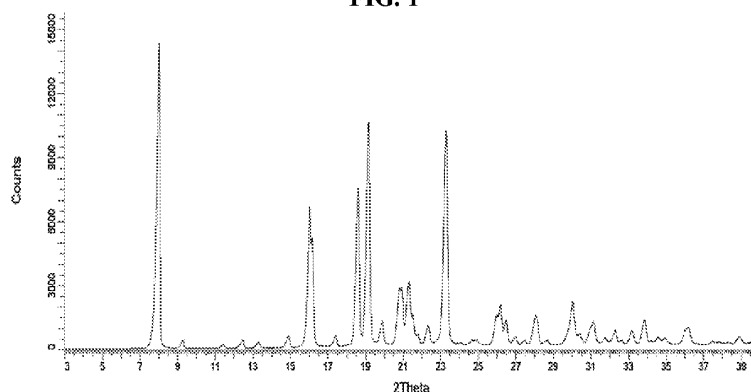
10777 Science Center Drive, San Diego, California 92121 (US). **KANIA, Robert Steven;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, 92121 (US). **LIAN, Yajing;** c/o Pfizer Inc., 445 Eastern Point Road, Bldg. 98, Groton, Connecticut 06340 (US). **NAIR, Sajiv Krishnan;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, California 92121 (US). **PALMER, Cynthia Louise;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, California 92121 (US). **PETTERSSON, Martin Youngjin;** c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US). **RUI, Eugene Yuanjin;** c/o Pfizer Inc., 10777 Science Center Drive, San Diego, California 92121 (US). **SAMMONS, Matthew;** c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US). **YANG, Qingyi;** 114 Maple Street, Lexington, Massachusetts 02420 (US). **ZHANG, Liying;** c/o Pfizer Inc., 610 Main Street, Cambridge, Massachusetts 02139 (US).

(74) **Agent: ZIELINSKI, Bryan C.;** Pfizer Inc., 235 East 42nd Street, MS 235/9/S20, New York, New York 10017 (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, BN, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DJ, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IR, IS, JO, JP, KE, KG, KH, KN, KP, KR, KW, KZ, LA, LC, LK, LR, LS, 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,

(54) **Title:** ANTI-PROLIFERATIVE AGENTS FOR TREATING PAH

FIG. 1



(57) **Abstract:** This invention relates to compounds of general Formula I in which A, R¹, R², R³ and R⁴ are as defined herein, and the pharmaceutically acceptable salts thereof; to pharmaceutical compositions comprising such compounds and salts; to methods of using such compounds, salts and compositions for treating pulmonary hypertension and related diseases, like pulmonary arterial hypertension; to methods of using such compounds, salts and compositions for treating abnormal cell growth, such as cancer; and to processes to make such compounds, salts and compositions.

[Continued on next page]

SC, SD, SE, SG, SK, SL, ST, SV, SY, TH, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, WS, 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, ST, 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:

- *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))*
- *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))*

Published:

- *with international search report (Art. 21(3))*
- *in black and white; the international application as filed contained color or greyscale and is available for download from PATENTSCOPE*