



(51) International Patent Classification:

C07D 401/04 (2006.01) *A61K 31/519* (2006.01)
C07D 495/04 (2006.01) *A61P 29/00* (2006.01)
C07C 211/35 (2006.01)

(21) International Application Number:

PCT/EP2012/066104

(22) International Filing Date:

17 August 2012 (17.08.2012)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/526,861 24 August 2011 (24.08.2011) US

(71) Applicant (for all designated States except US):

BOEHRINGER INGELHEIM INTERNATIONAL GMBH [DE/DE]; Binger Str. 173, 55216 Ingelheim Am Rhein (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **POUZET, Pascale,**

A., J. [FR/DE]; Boehringer Ingelheim GmbH, Corporate Patents, Binger Str. 173, 55216 Ingelheim Am Rhein (DE). **NICKOLAUS, Peter** [DE/DE]; Boehringer Ingelheim GmbH, Corporate Patents, Binger Str. 173, 55216 Ingelheim Am Rhein (DE). **WERTHMANN, Ulrike** [DE/DE]; Boehringer Ingelheim GmbH, Corporate Patents, Binger Str. 173, 55216 Ingelheim Am Rhein (DE). **FRUTOS, Rogelio, P.** [US/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US). **YANG, Bing-Shiou** [—/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road,

Ridgefield, Connecticut 06877 (US). **KIM, Soojin** [US/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US). **MULDER, Jason, Alan** [US/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US). **PATEL, Nitin-chandra** [IN/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US). **SENANAYAKE, Chris, H.** [US/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US). **TAMPONE, Thomas, G.** [US/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US). **WEI, Xudong** [CN/US]; VP, IP, Legal, Boehringer Ingelheim USA Corp., 900 Ridgebury Road, Ridgefield, Connecticut 06877 (US).

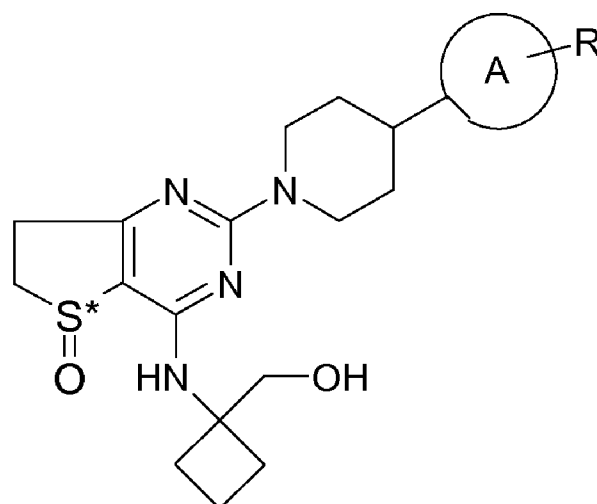
(74) Agent: **HAMMANN, Heinz**; Boehringer Ingelheim GmbH, Corporate Patents, Binger Str. 173, 55216 Ingelheim Am Rhein (DE).

(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, 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.

[Continued on next page]

(54) Title: NOVEL PIPERIDINO-DIHYDROTHIENOPYRIMIDINE SULFOXIDES AND THEIR USE FOR TREATING COPD AND ASTHMA

**I**

(57) Abstract: The invention relates to novel piperidino-dihydrothienopyrimidine sulfoxides of formula I, wherein Ring A is a 6-membered aromatic ring which may optionally comprise one or two nitrogen atoms and wherein R is Cl and wherein R may be located either in the para-, meta- or ortho-position of Ring A, wherein S* is a sulphur atom that represents a chiral center, and all pharmaceutically acceptable salts, enantiomers and racemates, hydrates and solvates thereof and the use of these compounds for the treatment of inflammatory or allergic diseases of the respiratory tract such as COPD or asthma.



(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))*

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))*