

(19) World Intellectual Property
Organization
International Bureau

(43) International Publication Date
12 February 2015 (12.02.2015)



(10) International Publication Number
WO 2015/019365 A8

(51) International Patent Classification:

C07D 403/12 (2006.01) *C07D 409/12* (2006.01)
C07D 403/14 (2006.01) *C07D 409/14* (2006.01)
C07D 405/14 (2006.01) *C07D 417/14* (2006.01)
C07D 413/14 (2006.01) *C07D 471/08* (2006.01)
C07D 491/08 (2006.01) *C07D 491/056* (2006.01)
C07D 401/12 (2006.01) *C07D 491/107* (2006.01)
C07D 407/12 (2006.01) *C07D 495/08* (2006.01)
C07D 407/14 (2006.01)

(21) International Application Number:

PCT/IN2014/000515

(22) International Filing Date:

6 August 2014 (06.08.2014)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

2611/MUM/2013 7 August 2013 (07.08.2013) IN

(71) Applicant: **CADILA HEALTHCARE LIMITED**
[IN/IN]; Zydus Tower, Satellite Cross Roads, Ahmedabad,
Gujarat 380015 (IN).

(72) Inventors: **DESAI, Ranjit, C.**; Cadila Healthcare Limited,
Zydus Tower, Satellite Cross Roads, Ahmedabad 380015,
Gujarat (IN). **DESAI, Jigar**; Cadila Healthcare Limited,
Zydus Tower, Satellite Cross Roads, Ahmedabad 380015,
Gujarat (IN). **PATEL, Pankaj**; Cadila Healthcare Limited,
Zydus Tower, Satellite Cross Roads, Ahmedabad 380015,
Gujarat (IN).

(74) Agent: **SUBRAMANIAM, Hariharan**; Subramaniam &
Associates, Central Square, Suite - 328, Plaza III, 20 Man-

oharlal, Khurana Marg, Bara Hindu Rao (off Rani Jhansi
Road) Delhi 110006 (IN).

(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, IR, 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, SA,
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:

— as to applicant's entitlement to apply for and be granted a
patent (Rule 4.17(ii))

Published:

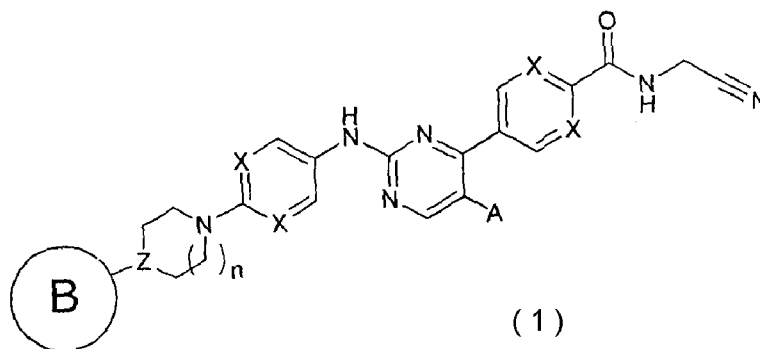
— with international search report (Art. 21(3))

(48) Date of publication of this corrected version:

18 February 2016

[Continued on next page]

(54) Title: N-CYANOMETHYLAMIDES AS INHIBITORS OF JANUS KINASE



(57) Abstract: The present invention relates to compounds of general formula (1) that are inhibitors of Janus Kinase (JAK), a family of tyrosine kinases that are involved in inflammatory conditions, autoimmune diseases, proliferative diseases, allergy, transplant rejection, diseases involving impairment of cartilage turnover, congenital cartilage malformations, and/or diseases associated with hypersecretion of IL6 or interferons. In particular, the compound of the invention inhibits JAK1 and/or JAK2 and/or JAK3 sub families. The present invention also provides methods for the production of the compounds of the invention, pharmaceutical compositions comprising the compounds of the invention, their tautomeric forms, and their pharmaceutically acceptable salts.



(15) Information about Correction:
see Notice of 18 February 2016