



(51) International Patent Classification:

C07D 413/10 (2006.01) **A61K 31/506** (2006.01)
C07D 413/14 (2006.01) **A61K 31/5365** (2006.01)
C07D 417/12 (2006.01) **A61K 31/5377** (2006.01)
C07D 417/14 (2006.01) **A61K 31/541** (2006.01)
C07D 471/04 (2006.01) **A61P 9/00** (2006.01)
C07D 487/04 (2006.01) **A61P 9/10** (2006.01)
C07D 498/04 (2006.01) **A61P 29/00** (2006.01)
A61K 31/437 (2006.01) **A61P 37/08** (2006.01)
A61K 31/4439 (2006.01) **A61P 11/00** (2006.01)
A61K 31/444 (2006.01) **A61P 13/12** (2006.01)
A61K 31/497 (2006.01) **A61P 35/00** (2006.01)
A61K 31/4985 (2006.01)

(21) International Application Number:

PCT/US2011/047356

(22) International Filing Date:

11 August 2011 (11.08.2011)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

61/373,925 16 August 2010 (16.08.2010) US
 61/492,176 1 June 2011 (01.06.2011) US

(71) Applicant (for all designated States except US):
BOEHRINGER INGELHEIM INTERNATIONAL GMBH [DE/DE]; Binger Strasse 173, 55216 Ingelheim am Rhein (DE).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BARTOLOZZI, Alessandra** [IT/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US). **BOSANAC, Todd** [US/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. 368, Ridgefield, Connecticut 06877-0368 (US). **CHEN, Zhidong** [CN/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridge-

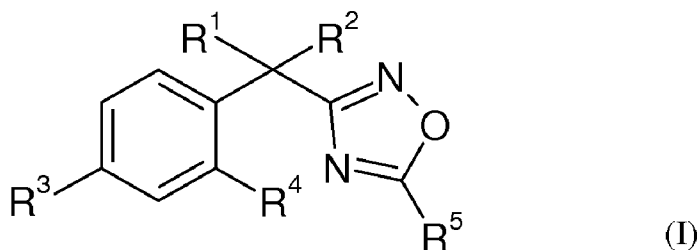
bury Road, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US). **DE LOMBAERT, Stephane** [BE/US]; 11 7th Avenue, Branford, Connecticut 06405 (US). **HUBER, John** [US/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US). **LO, Ho Yin** [CN/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US). **LOKE, Pui Leng** [GB/GB]; 114 Milton Park, Abington Oxfordshire OX14 4SA (GB). **LIU, Weimin** [US/CN]; The Ascott Beijing, Apt 2114, 108B Jian Guo Road, Beijing, Chaoyang 100022 (CN). **MORWICK, Tina Marie** [US/US]; 5317 Ripplingbrook Way, Carmel, Indiana 46033 (US). **OLAGUE, Alan** [US/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US). **RIETHER, Doris** [AT/DE]; Boehringer Ingelheim GmbH, Corporate Patents, Binger Strasse 173, 55216 Ingelheim am Rhein (DE). **TYE, Heather** [GB/GB]; 114 Milton Park, Abington Oxfordshire OX14 4SD (GB). **WU, Lifan** [CN/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US). **ZINDELL, Renee** [US/US]; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, Connecticut 06877-0368 (US).

(74) Agents: **MORRIS, Michael P.** et al.; Boehringer Ingelheim Pharmaceuticals, Inc., 900 Ridgebury Road, P.O. Box 368, Ridgefield, CT 06877-0368 (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, 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,

[Continued on next page]

(54) Title: OXADIAZOLE INHIBITORS OF LEUKOTRIENE PRODUCTION



(57) Abstract: The present invention relates to compound of formula (I): or pharmaceutically acceptable salts thereof, wherein R¹-R⁵ are as defined herein. The invention also relates to pharmaceutical compositions comprising these compounds, methods of using these compounds in the treatment of various diseases and disorders, processes for preparing these compounds and intermediates useful in these processes.



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.

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).

(84) Designated States (*unless otherwise indicated, for every
kind of regional protection available*): ARIPO (BW, GH,
GM, KE, LR, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG,
ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM), European (AL, AT, BE, BG, CH, CY, CZ, DE, DK,

Published:

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