



(51) International Patent Classification:

A61K 31/4748 (2006.01) *A61P 1/16* (2006.01)
A61K 31/497 (2006.01) *A61P 1/00* (2006.01)
A61K 31/506 (2006.01)

(21) International Application Number:

PCT/IB2015/059450

(22) International Filing Date:

8 December 2015 (08.12.2015)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

62/093,586 18 December 2014 (18.12.2014) US

(71) Applicant (for all designated States except US): **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, 4056 Basel (CH).

(72) Inventors; and

(71) Applicants (for US only): **BADMAN, Michael** [GB/US]; Novartis Institutes for BioMedical Research, Inc., 220 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **KLICKSTEIN, Lloyd B.** [US/US]; Novartis Institutes for BioMedical Research, Inc., 220 Massachusetts Avenue, Cambridge, Massachusetts 02139 (US). **LAFITTE, Bryan** [US/US]; Novartis Institute for Functional Genomics, Inc., c/o Genomics Institute of the Novartis Research Foundation, 10675 John Jay Hopkins Drive, San Diego, California 92121 (US).

(74) Agent: **WU, Emily T.**; IP Services Mail Data, c/o Novartis Pharmaceuticals Corporation, One Health Plaza 101/2, East Hanover, New Jersey 07936 (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, 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, 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, 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))
- 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))

(54) Title: AZABICYCLOOCTANE DERIVATIVES AS FXR AGONISTS FOR USE IN THE TREATMENT OF LIVER AND GASTROINTESTINAL DISEASES

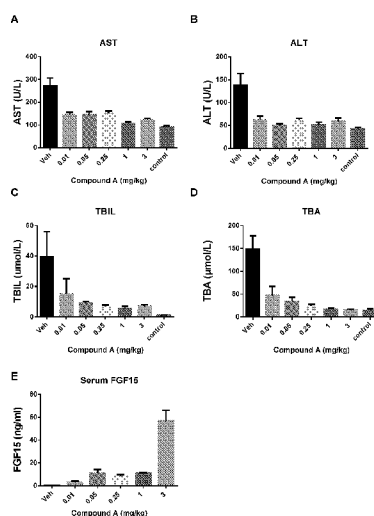


FIGURE 1

(57) Abstract: The invention provides methods for modulating the activity of farnesoid X receptors (FXRs) using compounds of Formula (I) or (II). In particular, the invention provides for the use of compounds of Formula (I) or (II), or a stereoisomer, enantiomer or pharmaceutically acceptable salt thereof, for treating or preventing liver and gastrointestinal diseases.