

Title (en)  
FXR AGONISTS AND METHODS FOR MAKING AND USING

Title (de)  
FXR-AGONISTEN UND VERFAHREN ZUR HERSTELLUNG UND VERWENDUNG

Title (fr)  
AGONISTES FXR ET LEURS PROCÉDÉS DE FABRICATION ET D'UTILISATION

Publication  
**EP 3116878 A4 20180214 (EN)**

Application  
**EP 15761517 A 20150313**

Priority

- US 201461952754 P 20140313
- US 201462061463 P 20141008
- US 2015020582 W 20150313

Abstract (en)

[origin: WO2015138986A1] Novel FXR agonists are disclosed, embodiments of a method of making the same, and of a composition comprising them are disclosed herein. Also disclosed are embodiments of a method of treating or preventing a metabolic disorder in a subject, comprising administering to a subject (e.g., via the gastrointestinal tract) a therapeutically effective amount of one or more of the disclosed compounds, thereby activating FXR receptors in the intestines, and treating or preventing a metabolic disorder in the subject. Additionally disclosed are embodiments of a method of treating or preventing inflammation in an intestinal region of a subject, comprising administering to the subject (e.g., via the gastrointestinal tract) a therapeutically effective amount of one or more of the disclosed compounds, thereby activating FXR receptors in the intestines, and thereby treating or preventing inflammation in the intestinal region of the subject.

IPC 8 full level

**A61K 31/404** (2006.01); **A61K 31/41** (2006.01); **A61K 31/4164** (2006.01); **A61K 31/4184** (2006.01); **A61K 31/4192** (2006.01); **A61K 31/4196** (2006.01); **A61K 31/42** (2006.01); **A61K 31/4453** (2006.01); **A61K 31/551** (2006.01); **A61P 3/00** (2006.01); **A61P 35/00** (2006.01); **C07D 209/04** (2006.01); **C07D 233/64** (2006.01); **C07D 235/18** (2006.01); **C07D 249/08** (2006.01); **C07D 257/04** (2006.01); **C07D 261/08** (2006.01); **C07D 403/06** (2006.01); **C07D 413/14** (2006.01); **C07D 487/04** (2006.01)

CPC (source: EP KR)

**A61K 31/404** (2013.01 - EP KR); **A61K 31/41** (2013.01 - EP KR); **A61K 31/4184** (2013.01 - EP KR); **A61K 31/42** (2013.01 - EP); **A61K 31/422** (2013.01 - EP KR); **A61K 31/55** (2013.01 - EP KR); **A61P 1/04** (2017.12 - EP); **A61P 3/00** (2017.12 - EP); **A61P 3/04** (2017.12 - EP); **A61P 3/06** (2017.12 - EP); **A61P 3/08** (2017.12 - EP); **A61P 5/50** (2017.12 - EP); **A61P 29/00** (2017.12 - EP); **A61P 35/00** (2017.12 - EP); **C07D 209/10** (2013.01 - EP KR); **C07D 235/18** (2013.01 - EP KR); **C07D 249/06** (2013.01 - EP); **C07D 249/08** (2013.01 - EP); **C07D 257/04** (2013.01 - EP KR); **C07D 261/08** (2013.01 - EP); **C07D 403/06** (2013.01 - EP); **C07D 413/04** (2013.01 - EP); **C07D 413/12** (2013.01 - EP KR); **C07D 413/14** (2013.01 - EP); **C07D 487/04** (2013.01 - EP KR); **A61K 2300/00** (2013.01 - KR)

Citation (search report)

- [A] WO 2011150286 A2 20111201 - SATIOGEN PHARMACEUTICALS INC [US], et al
- [I] MATTHEW LANTZ CRAWLEY: "Farnesoid X receptor modulators: a patent review", EXPERT OPINION ON THERAPEUTIC PATENTS., vol. 20, no. 8, 23 June 2010 (2010-06-23), GB, pages 1047 - 1057, XP055401832, ISSN: 1354-3776, DOI: 10.1517/13543776.2010.496777
- [I] HANS G F RICHTER ET AL: "Optimization of a novel class of benzimidazole-based farnesoid X receptor (FXR) agonists to improve physicochemical and ADME properties", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, AMSTERDAM, NL, vol. 21, no. 4, 23 December 2010 (2010-12-23), pages 1134 - 1140, XP028138656, ISSN: 0960-894X, [retrieved on 20101231], DOI: 10.1016/J.BMCL.2010.12.123
- [A] DOWNES MICHAEL ET AL: "A chemical, genetic, and structural analysis of the nuclear bile acid receptor FXR", MOLECULAR CELL, CELL PRESS, CAMBRIDGE, MA, US, vol. 11, no. 4, 1 April 2003 (2003-04-01), pages 1079 - 1092, XP002502948, ISSN: 1097-2765, DOI: 10.1016/S1097-2765(03)00104-7
- [A] MAJA STOJANCEVIC MPHARM ET AL: "The impact of farnesoid X receptor activation on intestinal permeability in inflammatory bowel disease", CAN J GASTROENTEROL VOL, 1 September 2012 (2012-09-01), XP055138889, Retrieved from the Internet <URL:http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3441172/pdf/cjg26631.pdf> [retrieved on 20140908]
- See references of WO 2015138986A1

Designated contracting state (EPC)  
AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO RS SE SI SK SM TR

DOCDB simple family (publication)  
**WO 2015138986 A1 20150917**; AU 2015229072 A1 20160929; CA 2942403 A1 20150917; EP 3116878 A1 20170118; EP 3116878 A4 20180214; JP 2017510572 A 20170413; KR 20160132111 A 20161116

DOCDB simple family (application)  
**US 2015020582 W 20150313**; AU 2015229072 A 20150313; CA 2942403 A 20150313; EP 15761517 A 20150313; JP 2016556962 A 20150313; KR 20167028569 A 20150313