Beta-Arrestin Biased GPCR Agonists for Inflammation and Metabolic Disease

Tech ID: 20932 / UC Case 2009-028-0

BACKGROUND

It has been shown recently that in addition to their classical role in desensitizing G protein coupled receptors (GPCR's), beta-arrestins can act as signaling molecules independently and certain ligands (biased ligands) can selectively activate one pathway but not the other . Different biological responses have been observed with such beta-arrestin biased agonists, compared with traditional GPCR therapeutics designed to activate G-proteins. However, the lack of well characterized ligands for the beta-arrestin pathway demonstrates there is a need for effective screening methods to obtain selective therapeutics that could avoid the side effects of mediating G-protein signaling.

TECHNOLOGY DESCRIPTION

UC San Diego researchers have developed screening methods for beta-arrestin2 biased agonists that bind GPR120 and methods for treating inflammation via activation of a beta-arrestin2 dependent signaling pathway . Data obtained using a model compound to activate the GPCR suggests a novel role for this GPCR in modulating inflammatory responses through the beta-arrestin pathways. Model compounds investigated include DHA and EPA which do not activate the beta-arrestin1 pathway

APPLICATIONS

Treatment of disorders involving inflammation including diabetes, obesity, arthritis, IBD, and neurodegeneration

STATE OF DEVELOPMENT

Demonstration of anti-inflammatory response in *in-vitro* cellular assays.

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	8,987,332	03/24/2015	2009-028

RELATED MATERIALS

- Gpr120-selective agonist improves insulin resistance and chronic inflammation in obese mice. Olefsky et al.; Nat Med. 2014 Aug;20(8):942-7. PMID: 24997608 - 07/06/2014
- Omega 3 fatty acids and GPR120. Olefsky et al.; Cell Metab. 2012 May 2;15(5):564-5. (Review) - 05/02/2012
- Targeting GPR120 and other fatty acid-sensing GPCRs ameliorates insulin resistance and inflammatory diseases. Olefsky et al.; Trends Pharmacol Sci. 2011 Sep;32(9):543-50 (Review) . - 06/12/2011
- GPR120 is an omega-3 fatty acid receptor mediating potent anti-inflammatory and insulin-sensitizing effects. Olefsky et al.; Cell. 2010 Sep 3;142(5):687-98. - 09/03/2010

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Treating Type 2 Diabetes by Targeting CAP Protein in the Macrophage

CONTACT

University of California, San Diego
Office of Innovation and Commercialization
innovation@ucsd.edu
tel: 858.534.5815.

INVENTORS

- Olefsky, Jerrold M.

OTHER INFORMATION

KEYWORDS

biomedical/inflammation (diabetes obesity neurodegeneration), research tools (screening assays)

CATEGORIZED AS

- **Medical**
  - Disease: Autoimmune and Inflammation
  - Disease: Metabolic/Endocrinology
  - Screening
- **Research Tools**
  - Screening Assays

RELATED CASES

2009-028-0

University of California, San Diego
Office of Innovation and Commercialization
9500 Gilman Drive, MC 0910, ,
La Jolla,CA 92093-0910

Tel: 858.534.5815
innovation@ucsd.edu
https://innovation.ucsd.edu
Fax: 858.534.7345