

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

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