

Novel Compounds Targeting LRH-1 for Treatment of Inflammatory Bowel Disease, Type II Diabetes, Triple Negative Breast Cancer & Pancreatic Cancer

Tech ID: 25503 / UC Case 2016-042-0

INVENTION NOVELTY

This technology contains a method for modulating the activity of the nuclear receptor LRH-1 with identified small molecule compounds that may be developed to treat inflammatory bowel disease, Type II diabetes, triple negative breast cancer and pancreatic cancer.

VALUE PROPOSITION

LRH-1 is a ligand-activated nuclear receptor that regulates cell proliferation, cell differentiation, and metabolic homeostasis across several organs such as the intestine, pancreas, ovaries, and liver. Given LRH-1's central role in cellular function, it has emerged as a therapeutic target for several diseases including cancers, inflammatory bowel disease, diabetes, cardiovascular disease, and arteriosclerosis. Challenges associated with developing high-affinity ligands for this target has hampered basic biological study and therapeutic interventions. Investigators at UCSF have overcome these challenges in identifying small molecule compounds that bind to and modulate LRH-1 activity.

This novel invention provides the following advantages:

- ▶ Effective modulation of LRH-1 activity with soluble, stable novel small molecule compounds
- ▶ Research tool for studying a wide range of human diseases that are associated with LRH-1 activity
- ▶ Platform for developing novel therapies for modulating LRH-1 function

TECHNOLOGY DESCRIPTION

Researchers at UCSF used a disulfide-trapping screen and computational modeling to identify lead compounds that reversibly bind to the lipid binding pocket of LRH-1. These compounds may be used as a tool to study LRH-1 function and as a treatment for diseases associated with aberrant LRH-1 activity. For example, treatment of human liver cells in vitro with one lead agonist compound resulted in increased levels of target genes downstream of LRH-1.

LOOKING FOR PARTNERS

To develop and commercialize the technology as therapy for diseases associated with nuclear receptor activity.

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INVENTORS

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OTHER INFORMATION

KEYWORDS

LRH-1, Synthetic Ligands,
 Inflammatory bowel disease,
 Type II diabetes, Triple
 negative breast cancer,
 Pancreatic cancer

CATEGORIZED AS

- ▶ **Medical**
 - ▶ Disease: Autoimmune and Inflammation
 - ▶ Disease: Cancer
 - ▶ Disease: Metabolic/Endocrinology
 - ▶ Research Tools
 - ▶ Therapeutics

RELATED CASES

2016-042-0

STAGE OF DEVELOPMENT

Pre-clinical

RELATED MATERIALS

- ▶ [de Jesus Cortez, F., Suzawa, M., Irvy, S., Bruning, J. M., Sablin, E., Jacobson, M. P., ... & England, P. M. \(2016\). Disulfide-Trapping Identifies a New, Effective Chemical Probe for Activating the Nuclear Receptor Human LRH-1 \(NR5A2\). PloS one, 11\(7\), e0159316.](#)

DATA AVAILABILITY

In vitro data available

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	10,980,755	04/20/2021	2016-042

OTHER INFORMATION

[PCT Publication No. WO2017/044889](#)

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- ▶ [NOVEL, POTENT ANTIANDROGEN ANALOG TO TREAT PROSTATE CANCER](#)

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