Novel Orally Available Treatment for Metabolic Syndrome & T2D

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Technology description

We have designed and developed multi-target compounds capable of simultaneously treating more than one aspect of Metabolic Syndrome (MetS). It is known that peroxisome proliferator-activated receptor type ǂ| (PPARǂ|), a member of the PPAR nuclear receptor family, plays a key role in adipogenesis, regulation of lipid metabolism and glucose homeostasis as well as anti-inflammatory processes and is therefore used for the treatment of Type 2 Diabetes (T2D). It is also known that soluble epoxide hydrolase (sEH) is an enzyme of the arachidonic acid cascade, promoting the hydrolysis of cytochrome P450 derived epoxyeicosatrienoic acids (EETs) to their less bioactive corresponding diols. Inhibition of sEH results in increased EET levels that act as endothelial-derived relaxation factors and have multiple protective effects. We have combined within a single molecule, both a PPARǂ| agonist and an sEH inhibitor which is orally available and has synergistic actions as a unique and novel therapy for MetS and T2D.

Advantages

- Identified, designed and developed merged pharmacophore for sEH/PPAR dual modulators as a unique therapeutic approach
- Novel dual modulators are orally available
- Favorable absorption, distribution, metabolism and excretion properties
- Avoid multidrug regimens and associated unpredictable drug-drug interactions
- Modulation of PPARǂ| regulates lipid metabolism, glucose homeostasis, anti-inflammatory processes

- Modulating sEH/ has multiple cardio and kidney protective properties
- Lead candidate decreases blood glucose and insulin resistance, improves heart function, prevents development of hypertension and end organ damage in spontaneously hypertensive obese rats (SHROB)

Institution

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