Miniaturized HTS assay identifies selective modulators of GPR119 to treat type 2 diabetes

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A novel high throughput screening (HTS) assay compatible with an ion channel biosensor component was used successfully to identify selective and active small molecule modulators of G protein-coupled receptor 119 (GPR119), a promising target for the treatment of type 2 diabetes and related metabolic disorders. The development of this cell-based HTS assay and its miniaturization are described in an article published in *ASSAY and Drug Development Technologies*.

Patricia McDonald, Ainhoa Nieto, Virneliz Fernandez-Vega and colleagues from The Scripps Research Institute, Jupiter, FL coauthored the article entitled "Identification of Novel, Structurally Diverse, Small Molecule Modulators of GPR119."

The researchers describe the use of a HEK293 cell line to co-express the receptor of interest and the ion channel, which functions as a biosensor for cAMP. The group presented data indicating having met their goal, with more than 500,000 small molecules screened and 200 modulators of hGPR119 identified for further follow-up. They were also able to miniaturize the assay and implement it in a 1536-well plate format.

"Prof. McDonald and her team have developed an efficient and robust assay platform to identify new hits for the treatment of type 2 diabetes. The congruence of GPCR screening and development of new drugs for diabetes and other metabolic diseases is of high interest to our readers," says *ASSAY and Drug Development Technologies* Editor-in-Chief Bruce Melancon, Ph.D., Director of the Chemical Synthesis and Drug Discovery facility at the University of Notre Dame.

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More information: Ainhoa Nieto et al, Identification of Novel, Structurally Diverse, Small Molecule Modulators of GPR119, *ASSAY and Drug Development Technologies* (2018). DOI: 10.1089/adt.2018.849