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(54) Title: MODULATORS OF G-PROTEIN COUPLED RECEPTORS

(57) Abstract: This disclosure features chemical entities (e.g., a compound or a pharmaceutically acceptable salt) that modulate (e.g., agonize or partially agonize or antagonize) glucagonlike peptide-1 receptor ("GLP-1R") and/or the gastric inhibitory polypeptide receptor ("GIPR"). The chemical entities are useful, e.g., for treating a disease, disorder, or condition in which modulation (e.g., agonism, partial agonism or antagonism) of GLP-1R and/or GIPR activities is beneficial for the treatment or prevention of the underlying pathology and/or symptoms and/or progression of the disease, disorder, or condition. In some embodiments, modulation results in enhancement of (e.g., increases) existing levels (e.g., normal or below normal levels) of GLP-1R and/or GIPR activity (e.g., signaling). In some embodiments, the chemical entities described herein further modulate (e.g., attenuate, uncouple) β -arrestin signaling relative to what is observed with the native ligand. This disclosure also features compositions as well as other methods of using and making the said chemical entities.