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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 and/or hydrate and/or prodrug of the compound) that modulate (e.g., agonize or partially agonize or antagonize) glucagon-like peptide-1 receptor ("GLP-1R") and/or the gastric inhibitory polypeptide receptor ("GIPR"). The chemical entities are useful, e.g., for treating a subject (e.g., a human) having 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, the modulation results in an enhancement of (e.g., an increase in) 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) -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.



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