We have used a prototypical peptide-activated class A GPCR, the ghrelin receptor GHS-R1a, reconstituted as a monomer into lipid discs and labeled with a fluorescent conformational reporter, to analyze how ligands, signaling proteins and dimerization affect the receptor conformational repertoire. Our data indicate that ligand efficacy and functional selectivity are directly related to different receptor conformations. Of importance, we also bring evidence indicating that distinct effector proteins - G proteins, arrestins, µ-AP2 - may affect the conformational landscape of the ghrelin receptor in different manners. In the same way, receptor heterodimerization profoundly affects the way agonists trigger GHS-R1a activation. Such a modulation of a GPCR conformational landscape by pharmacologically distinct ligands, dimerization, and effector proteins provides new insights into the structural bases that affect GPCR activation and subsequent biological responses. This is also likely to have major implications for the design of new drugs activating specific GHS-R1a-associated signaling pathways.