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Structural studies of G protein-coupled receptors – implications for drug discovery

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G protein-coupled receptors (GPCRs) are key cell-surface proteins that transduce external environmental cues into biochemical signals across the cell membrane. They are the largest superfamily of cell-surface receptors encoded by the human genome and are also the largest class of FDA approved drug targets. The overarching goal of our lab is to understand the molecular basis of how GPCRs function and how this knowledge can be used to design new drug candidates. In particular, using lipidic cubic phase crystallography we have determined inactive state structures of the M4 and M5 muscarinic acetylcholine receptor (mAChR) subtypes, the A1 adenosine receptor (A1AR), and the neurokinin 1 receptor (NK1R). These GPCRs are important drug targets for neuropsychiatric diseases (mAChRs), cardiovascular disease (A1AR), and pain and inflammation (NK1R). In addition, using cryo-electron microscopy (cryo-EM) we have determined active state structures for several of these receptors. Collectively, the result of these structures has provided insight into how different classes of ligands bind to and modulate the structure and function of these receptors that we anticipate will aid future drug discovery efforts at these receptors.

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