
Preface

The G protein-coupled receptors (GPCRs) and associated peripheral G proteins underpin a multitude of physiological processes. The GPCRs represent one of the largest superfamilies in the human genome and are a significant target for bioactive and drug discovery programs. It is estimated that greater than 50% of all drugs, including those in development, currently target GPCRs. Many of the characterized GPCRs have known ligands; however, approximately 20% of GPCRs are described as orphan GPCRs, apparent GPCRs that share the generic high-level structure characteristic of GPCRs but whose endogenous ligand is not known. Therefore, it is expected that the field of GPCR drug discovery and development will greatly expand in the coming years with emphasis on new generations of drugs against GPCRs with unique therapeutic uses which may include drugs such as allosteric regulators, inverse agonists, and identification of orphan GPCR ligands.

As we learn more about the molecular signaling cascades following GPCR activation, we acquire a better appreciation of the complexity of cell signaling and as a result, also acquire a vast array of new molecular methods to investigate these and other processes. The general aim of this book is to provide researchers with a range of protocols that may be useful in their GPCR drug discovery programs. It is also the basis for the development of future assays in this field. Therefore, the range of topics covered and the appropriate methodological approaches in GPCR drug discovery are reflected in this book. It is interesting to note that future directions in drug discovery will require input and collaboration from a plethora of fields of research. As such, this book will likely be of interest to scientists involved in such fields as molecular biology, pharmacology, biochemistry, cellular signaling, and bio-nanotechnology.

With the fine contributions by my “GPCR” colleagues, it has been possible to collate a book that begins with a series of current review articles relevant to the area of high-throughput GPCR screening, with discussion on GPCR structure and GPCR signaling. Importantly, the following chapters are a compendium of detailed laboratory protocol papers, some of which have been used by researchers for many years, while others are recently developed methods and are generating much interest as the next generation of methodological approaches to GPCR research. I sincerely thank the authors who gratefully contributed to this book.

Wayne R. Leifert



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