

PREFACE

The observation that mutant (and sometimes wild type) receptors, ion channels, and enzymes exist in states of constitutive activation has provided insight into the etiology of disease and the mechanism of protein function in ligand recognition, effector coupling, ion conductance, and catalysis. The observation that constitutive activity is a surprisingly common event has supported the view that biologically active molecules exist in both inactive and active states. Moreover, the observation that many drugs already at market are actually inverse agonists (agents that inhibit constitutive activity) makes understanding constitutive activity important for therapeutic drug design.

This volume provides descriptions of methods used to assess the mechanism of protein function and pharmacological tools and methodological approaches to the analysis of constitutive activity. The authors explain how these methods are able to provide important biological insights.

Authors were selected on the basis of research contributions in the area about which they have written and on the basis of their ability to describe their methodological contribution in a clear and reproducible way. They have been encouraged to make use of graphics, to do comparisons with other methods, and to provide tricks and approaches not revealed in prior publications that make it possible to adapt methods to other systems.

The editor expresses appreciation to the contributors for providing their contributions in a timely fashion, to the senior editors for guidance, and to the staff at Academic Press for helpful input.

JUNE, 2010

P. MICHAEL CONN