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3.2.2 Concentration-dependent Antagonist Blockade of Nuclear Transport3.2.3 Measurement of Receptor Cell Surface Expression: Antagonist Binding of Receptors at Cell Surface; 3.3 Development of Quantitative Methodology Suitable for High Throughput Analysis; 3.3.1 Nuclear Translocation of Orphan GPCRs; 3.4 Discussion of the MOCA Method; 3.5 Conclusion; References; 4 Importance of GPCR Dimerization for Function: The Case of the Class C GPCRs; 4.1 Introduction; 4.2 Class C GPCRs are Multidomain Proteins; 4.2.1 The VFT; 4.2.2 The CRD; 4.2.3 The HD; 4.2.4 C-Tail

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4.8 Asymmetric Functioning of the HD Dimer

Sommario/riassunto

G protein-coupled receptors (GPCRs) are one of the most important target classes in pharmacology and are the target of many blockbuster drugs. Yet only with the recent elucidation of the rhodopsin structure have these receptors become amenable to a rational drug design. Based on recent examples from academia and the pharmaceutical industry, this book demonstrates how to apply the whole range of bioinformatics, chemoinformatics and molecular modeling tools to the rational design of novel drugs targeting GPCRs. Essential reading for medicinal chemists and drug designers working with this