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Sommario/riassunto	<p>The interest in opioids such as morphine, the prototypical opioid ligand, has been maintained through the years. The identification of endogenous opioids and their receptors (mu, delta, kappa, and nociceptin), molecular cloning, and the elucidation of the crystal structures of opioid receptors represent key milestones in opioid research. The opioid system modulates numerous pharmacological responses, with therapeutic (i.e., analgesia) and detrimental side effects (i.e., addiction). The medical use and misuse of opioids have dramatically increased, leading to the 21st century opioid crisis. This book presents recent developments in opioid drug discovery, specifically in the medicinal chemistry and pharmacology of new ligands targeting the opioid receptors as effective and safe therapeutics for human diseases. Furthermore, it draws a special attention to advancing concepts and strategies in opioid drug discovery to mitigate opioid liabilities. The diversity among the discussed topics is a testimony to the complexity of the opioid system, which results from the expression, regulation, and functional role of ligands and receptors. The array of multidisciplinary research areas illustrates the rapidly developing basic research and translational activities in opioid drug discovery. This book will serve as a useful reference while also stimulating continued research in the chemistry and pharmacology of opioids and their receptors, with the prospect of developing improved</p>

therapies for human diseases, but also improving health and quality of life in general.

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