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Nota di contenuto	Nuclear Receptors as Drug Targets; Contents; List of Contributors; Preface; A Personal Foreword; 1 Nuclear Receptors as Drug Targets: A Historical Perspective of Modern Drug Discovery; 1.1 Introduction; 1.2 Short Historical Overview on Nuclear Receptors in Pharmacological Research and Drug Discovery; 1.2.1 Glucocorticoid Receptor Research; 1.2.2 Estrogen Receptor Research; 1.2.3 Progesterone Receptor Research; 1.2.4 Other Receptor Research; 1.3 Recent Progress in Nuclear Receptor Drug Discovery; 1.3.1 SERMs; 1.3.2 Selective GR Modulators 1.3.3 Other Modulator Efforts: PR, MR, AR, PPAR, FXR and LXR1.4 Modern Methods and Technologies in Nuclear Receptor Drug Discovery; 1.4.1 Cofactor Interaction Screening; 1.4.2 Microarray Technology and Gene Expression Profiling; 1.4.3 Novel Computational Methods; 1.4.4 Structural Biology; 1.5 Summary and Future Developments; References; 2 Targeting the Nuclear Receptor-Cofactor Interaction; 2.1 Introduction; 2.2 Evaluation of the Nuclear Receptor-Cofactor Interaction as a Drug Target; 2.2.1 Evaluation of the ER-Coactivator

Interaction; 2.2.2 Evaluation of the AR-Coactivator Interaction
2.3 Inhibitors of the Nuclear Receptor-Cofactor Interaction2.3.1 Phage Display Peptides; 2.3.2 Nonnatural Cyclic Peptides; 2.3.3 Small Molecules; 2.4 Perspectives; References; 3 Untangling the Estrogen Receptor Web: Tools to Selectively Study Estrogen-Binding Receptors; 3.1 Physiological Roles of Estrogen and the Challenges in Drug Discovery; 3.2 Possibility of Multiple Targets; 3.3 ER; 3.3.1 Discovery and Characterization; 3.3.2 Expression Patterns and Response to Known Drugs; 3.3.3 Physiological Roles of ER; 3.4 ER; 3.4.1 Discovery and Characterization
3.4.2 Expression Patterns and Response to Known Drugs3.4.3 Possible Physiological Roles of ER; 3.5 ERR; 3.5.1 Discovery and Characterization; 3.5.2 Expression Patterns and Response to Known Drugs; 3.5.3 Possible Physiological Roles of ERRs; 3.6 GPR30; 3.6.1 Discovery and Characterization; 3.6.2 Expression Patterns and Response to Known Drugs; 3.6.3 Possible Physiological Roles of GPR30; 3.6.4 Controversies Over GPR30; 3.7 Membrane ERs; 3.8 Integrated Estrogen Signaling; 3.9 Conclusions; References; 4 Subtype-Selective Estrogens; 4.1 Introduction; 4.1.1 Biology of the Estrogen Receptors
4.1.2 Interaction of ER and ER with Ligands4.2 Subtype-Selective ER Ligands; 4.2.1 ER Agonists; 4.2.1.1 Six-Membered Heterocycles; 4.2.1.2 Five-Membered Heterocycles; 4.2.1.3 Bicyclononene Ring Systems; 4.2.1.4 Steroidal ER Agonists; 4.2.2 ER Agonists; 4.2.2.1 Diaryl-Ethylenes, Imidazoles, Isoxazoles and Thiophenes; 4.2.2.2 Bicyclic 6+6 Ring Systems; 4.2.2.3 Bicyclic [6+5]-Ring Systems; 4.2.2.4 Tricycles; 4.2.2.5 Tetracyclics; 4.2.2.6 Steroidal ER-Selective Estrogens; 4.2.2.7 Miscellaneous ER Ligands; 4.2.3 ER-Selective Antagonists; 4.2.3.1 Triphenylethylenes; 4.2.3.2 Benzothiophenes
4.2.3.3 Indoles

Sommario/riassunto

Edited by two experts working at the pioneering pharmaceutical company and major global player in hormone-derived drugs, this handbook and reference systematically treats the drug development aspects of all human nuclear receptors, including recently characterized receptors such as PPAR, FXR and LXR. Authors from leading pharmaceutical companies around the world present examples and real-life data from their own work.