

# Drug Discovery In Cancer Epigenetics

**Drug Discovery in Cancer Epigenetics**-Gerda Egger 2015-11-19 Drug Discovery in Cancer Epigenetics is a practical resource for scientists involved in the discovery, testing, and development of epigenetic cancer drugs. Epigenetic modifications can have significant implications for translational science as biomarkers for diagnosis, prognosis or therapy prediction. Most importantly, epigenetic modifications are reversible and epigenetic players are found mutated in different cancers; therefore, they provide attractive therapeutic targets. There has been great interest in developing and testing epigenetic drugs, which inhibit DNA methyltransferases, histone modifying enzymes or chromatin reader proteins. The first few drugs are already FDA approved and have made their way into clinical settings. This book provides a comprehensive summary of the epigenetic drugs currently available and aims to increase awareness in this area to foster more rapid translation of epigenetic drugs into the clinic. Highlights the potential of epigenetic alterations in cancer for drug development Covers the tools and methods for epigenetic drug discovery, preclinical and clinical testing, and clinical implications of epigenetic therapy Provides important information regarding putative epigenetic targets, epigenetic technologies, networks and consortia for epigenetic drug discovery and routes for translation

**Epigenetics for Drug Discovery**-Nessa Carey 2015-11-20 This book will provide an invaluable guide to epigenetics, one of the fastest moving fields in drug discovery, for medicinal chemists working in academia and in the pharmaceutical industry.

**Epigenetic Approaches in Drug Discovery, Development and Treatment**-Shibashish Giri 2020-08-07 Establishment of a normal phenotype involves dynamic epigenetic regulation of gene expression that when affected contributes to human diseases. On a molecular level, epigenetic regulation is marked by specific covalent modifications (acetylation, methylation, phosphorylation, sumoylation, PARylation and ubiquitylation) of DNA and its associated histones. Studies also suggest the influence of such epigenetic modifications on non-coding RNA expression implicated in normal and diseased phenotypes. Epigenetic control of genetic expression is a reversible process essential for normal development and function of an organism. Alteration of epigenetic regulation leads to various disease forms such as cancer, diabetes, inflammation and neuropsychiatric disorders. Assessing these alterations provides a deeper insight into the changes induced in the genome, which is often informative for identifying disease subtypes or developing suitable treatments. Therefore, epigenetics proves to be a key area of clinical investigation in diagnosis, prognosis, and treatment of complex diseases. Genetic mutations, environmental stress, pathogens and drugs of abuse are some of the predominant factors that induce and impact changes on chromatin, which directly dictate a diseased phenotype. It is essential to consider the interaction between genetic and epigenetic factors to understand the molecular mechanisms of complex human diseases for safer and efficient drug development. Furthermore, genetic variation in absorption, distribution, metabolism, and excretion (ADME) genes is insufficient to account for interindividual variability of drug response. Therefore, current efforts aim to identify epigenetic components of ADME gene regulation, which include phase-I and phase-II enzymes, uptake transporters, efflux transporters and nuclear receptors involved in regulation of ADME genes. Monitoring circulatory epigenetic biomarkers in liquid biopsies (blood, saliva, urine, cerebrospinal fluid) of disease-associated and drug-associated epigenetic alterations may prove useful for decision support for routine clinical treatment and drug discovery. Hence, recent drug discovery efforts on targeting the epigenome, has emerged an area of interest with several new drugs being developed, tested and some already approved by the US Food and Drug Administration (FDA). These new insights into the complexities of epigenetic regulation are key contributors to our basic understanding of this process in human health and disease, which will provide scope for innovative drug therapies. It is of urgency to aid the present understanding of epigenomics driven diseased outcomes, with the expectation that further studies will identify early markers of disease and targets for therapeutics.

**Pharmacoeigenetics**- 2019-06-04 Pharmacoeigenetics, Volume Eleven provides a comprehensive volume on the role of epigenetics and epigenomics in drug discovery and development, providing a detailed, but accessible, view of the field, from basic principles, to applications in disease therapeutics. Leading international researchers from across academia, clinical settings and the pharmaceutical industry discuss the influence of epigenetics and epigenomics in human pathology, epigenetic biomarkers for disease prediction, diagnosis, and treatment, current epigenetic drugs, and the application of epigenetic procedures in drug development. Throughout the book, chapter authors offer a balanced and objective discussion of the future of pharmacoeigenetics and its crucial contribution to the growth of precision and personalized medicine. Fully examines the influence of epigenetics and epigenomics in human pathology, epigenetic biomarkers for disease prediction, diagnosis, treatment, current epigenetic drugs and the application of epigenetic procedures in drug development Features chapter contributions from leading international researchers in academia, clinical settings and the pharmaceutical industry Instructs researchers, students and clinicians on how to better interpret and employ pharmacoeigenetics in drug development, efficiency and safety Provides a balanced and objective discussion of the future of pharmacoeigenetics and its crucial role in precision medicine

**Epigenetic Drug Discovery**-Wolfgang Sippl 2019-03-25 This broad view of epigenetic approaches in drug discovery combines methods and strategies with individual targets, including new and largely unexplored ones such as sirtuins and methyl-lysine reader proteins. Presented in three parts - Introduction to Epigenetics, General Aspects and Methodologies, and Epigenetic Target Classes - it covers everything any drug researcher would need in order to know about targeting epigenetic mechanisms of disease. Epigenetic Drug Discovery is an important resource for medicinal chemists, pharmaceutical researchers, biochemists, molecular biologists, and molecular geneticists.

**Epigenetic Cancer Therapy**-Steven Gray 2015-07-01 Epigenetic Cancer Therapy unites issues central to a translational audience actively seeking to understand the topic. It is ideal for cancer specialists, including oncologists and clinicians, but also provides valuable information for researchers, academics, students, governments, and decision-makers in the healthcare sector. The text covers the basic background of the epigenome, aberrant epigenetics, and its potential as a target for cancer therapy, and includes individual chapters on the state of epigenome knowledge in specific cancers (including lung, breast, prostate, liver). The book encompasses both large-scale intergovernmental initiatives as well as recent findings across cancer stem cells, rational drug design, clinical trials, and chemopreventative strategies. As a whole, the work articulates and raises the profile of epigenetics as a therapeutic option in the future management of cancer. Concisely summarizes the therapeutic implications of recent, large-scale epigenome studies, including the cancer epigenome atlas Discusses targeted isoform specific versus pan-specific inhibitors, a rational drug design approach to epigenetics relevant to pharmacoeigenetic clinical applications Covers new findings in the interplay between cancer stem cells (CSCs) and drug resistance, demonstrating that epigenetic machinery is a candidate target for the eradication of these CSCs

**Breast Cancer Metastasis and Drug Resistance**-Aamir Ahmad 2019-08-27 Resistance to therapies, both targeted and systemic, and metastases to distant organs are the underlying causes of breast cancer-associated mortality. The second edition of Breast Cancer Metastasis and Drug Resistance brings together some of the leading experts to comprehensively understand breast cancer: the factors that make it lethal, and current research and clinical progress. This volume covers the following core topics: basic understanding of breast cancer (statistics, epidemiology, racial disparity and heterogeneity), metastasis and drug resistance (bone metastasis, trastuzumab resistance, tamoxifen resistance and novel therapeutic targets, including non-coding RNAs, inflammatory cytokines, cancer stem cells, ubiquitin ligases, tumor microenvironment and signaling pathways such as TRAIL, JAK-STAT and mTOR) and recent developments in the field (epigenetic regulation, microRNAs-mediated regulation, novel therapies and the clinically relevant 3D models). Experts also discuss the advances in laboratory research along with their translational and clinical implications with an overarching goal to improve the diagnosis and prognosis, particularly that of breast cancer patients with advanced disease.

**Fragment-based Drug Discovery**-Daniel A. Erlanson 2016-02-23 From its origins as a niche technique more than 15 years ago, fragment-based approaches have become a major tool for drug and ligand discovery, often yielding results where other methods have failed. Written by the pioneers in the field, this book provides a comprehensive overview of current methods and applications of fragment-based discovery, as well as an outlook on where the field is headed. The first part discusses basic considerations of when to use fragment-based methods, how to select targets, and how to build libraries in the chemical fragment space. The second part describes established, novel and emerging methods for fragment screening, including empirical as well as computational approaches. Special cases of fragment-based screening, e. g. for complex target systems and for covalent inhibitors are also discussed. The third part presents several case studies from recent and on-going drug discovery projects for a variety of target classes, from kinases and phosphatases to targeting protein-protein interaction and epigenetic targets.

**DNA and Histone Methylation as Cancer Targets**-Atsushi Kaneda 2017-09-04 This book will focus on DNA and histone methylation in epigenetics and describe how it is involved in the molecular mechanisms responsible for the development of cancer. Chapters will summarize the current knowledge of the molecular basis of DNA and histone methylation and explain how it is involved in cancer, describe the features of DNA and histone methylation associated with particular types of cancer, diagnostic/therapeutic applications, and future directions of DNA and histone methylation as cancer targets.

**Prognostic Epigenetics**- 2019-11-01 This volume provides comprehensive information on how mapping an individual's epigenome can be medically relevant and holds the potential to improve preventive medicine and precision therapeutics at an early-stage (prior to disease onset). In order to advance clinical adoption of the recently developed epigenetic approaches, it is necessary for translational scientists, clinicians, and students to gain a better understanding about epigenetic mechanisms that are associated with a particular disorder; and to be able to effectively identify biomarkers that can be applied in drug development and for better diagnosis and prognosis of diseases. Prognostic Epigenetics is the most-inclusive volume to-date specifically dedicated to epigenetic markers that have been developed for prognosis of diseases, recent advances in this field, the clinical implementation of this research, and the future outlook. Compiles all known information on prognostic epigenetics and its role in preventive medicine and drug discovery Covers the basic functionality of epigenetic mechanisms involved in early disease prognosis and diagnosis, and provides tools for the identification and development of these biomarkers for a wide range of diseases Enables clinicians, researchers, and pharmacologists to improve preventive medicine and precision therapeutics throughout a person's lifetime Features chapter contributions from leading international researchers

**Epigenetics of Aging and Longevity**-Alexey Moskalev 2017-11-17 Epigenetics of Aging and Longevity provides an in-depth analysis of the epigenetic nature of aging and the role of epigenetic factors in mediating the link between early-life experiences and life-course health and aging. Chapters from leading international contributors explore the effect of adverse conditions in early-life that may result in disrupted epigenetic pathways, as well as the potential to correct these disrupted pathways via targeted therapeutic interventions. Intergenerational epigenetic inheritance, epigenetic

drug discovery, and the role of epigenetic mechanisms in regulating specific age-associated illnesses—including cancer and cardiovascular, metabolic, and neurodegenerative diseases—are explored in detail. This book will help researchers in genomic medicine, epigenetics, and biogerontology better understand the epigenetic determinants of aging and longevity, and ultimately aid in developing therapeutics to extend the human life-span and treat age-related disease. Offers a comprehensive overview of the epigenetic nature of aging, as well as the impact of epigenetic factors on longevity and regulating age-related disease Provides readers with clinical and epidemiological evidence for the role of epigenetic mechanisms in mediating the link between early-life experiences, life-course health and aging trajectory Applies current knowledge of epigenetic regulatory pathways towards developing therapeutic interventions for age-related diseases and extending the human lifespan

**Epigenetic Therapy of Cancer**-Michael Lübbert 2013-12-12 The growing knowledge about disturbances of epigenetic gene regulation in hematopoietic stem cell disorders is now being translated into treatment approaches that target the epigenetic defects pharmacologically. This book first presents the latest evidence regarding the epigenetic regulation of hematopoietic stem cell differentiation and hemoglobin production. The significance of DNA methylation abnormalities in hematopoietic disorders and of epigenetic disturbances in lung cancer and other solid tumors is then discussed. A major part of the book, however, relates specifically to the translation of basic research and drug development to clinical applications, and in this context both present and future clinical strategies are considered. Individual chapters are devoted to the use of DNA hypomethylating agents and chromatin-modifying agents, and the treatment of hematologic malignancies and solid tumors by means of epigenetic agents is discussed in detail.

**Epigenetic Mechanisms in Cancer**-Sabita Saldanha 2017-11-16 Epigenetic Mechanisms in Cancer provides a comprehensive analysis of epigenetic signatures that govern disease development, progression and metastasis. Epigenetic signatures dictating tumor etiologies present an opportunity for biomarker identification which has broad potential for improving diagnosis, prognosis, prediction, and risk assessment. This volume offers a unique evaluation of signature differences in childhood, sex-specific and race-specific cancers, and in doing so broadly illuminates the scope of epigenetic biomarkers in clinical environments. Chapters detail the major epigenetic process in humans consisting of DNA methylation, histone modifications and microRNAs (miRNAs) involved in the initiation, progression and metastasis of tumors. Also delineated are recent technologies such as next generation sequencing that are used to identify epigenetic profiles (primarily methylation analysis) in samples (normal, benign and cancerous) and which are highly important to the analysis of epigenetic outcomes. Offers broad coverage that is applicable to audiences in various area of cancer research - population studies, diagnostics, prognosis, prediction, therapy, risk, etc. Provides critical review analysis of the topics that will clarify and delineate the potential roles of epigenetic signatures in cancer management Covers basic, as well as, clinical areas of epigenetic mechanisms in tumorigenesis Features contributions by leading experts in the field Provides comprehensive coverage of current epigenetic signatures involved in the etiology of various cancers and miRNAs

**Epigenetic Pharmacology and Therapeutics**-Zacharoula Konsoula 2014-10-01 Epigenetic Pharmacology and Therapeutics highlights the interface between epigenetics and pharmacology by examining the role of epigenetics in the regulation of ADME genes and metabolism and delineating the importance of epigenetic enzymes in nuclear receptors signaling. This book discusses in detail the current trends in epigenetic therapy in cancer, epigenetic modifications and neurological disorders and epigenetic mechanisms in inflammation. A valuable guide for researchers and students interested in epigenetic pharmacology, this book provides new insight into the emerging role of epigenetic alterations as predictors of drug responses and potential tools to further drug therapy. Outlines the molecular mechanism of action of epigenetic drugs and their mechanism of resistance Highlights RNA interference and epigenetics in drug discovery Reviews the current status of epigenetic drugs in clinical trials Covers epigenetic biomarkers as new tools in current epigenetic and future drug therapy

**The Epigenetics Revolution**-Nessa Carey 2012-03-06 Epigenetics can potentially revolutionize our understanding of the structure and behavior of biological life on Earth. It explains why mapping an organism's genetic code is not enough to determine how it develops or acts and shows how nurture combines with nature to engineer biological diversity. Surveying the twenty-year history of the field while also highlighting its latest findings and innovations, this volume provides a readily understandable introduction to the foundations of epigenetics. Nessa Carey, a leading epigenetics researcher, connects the field's arguments to such diverse phenomena as how ants and queen bees control their colonies; why tortoiseshell cats are always female; why some plants need cold weather before they can flower; and how our bodies age and develop disease. Reaching beyond biology, epigenetics now informs work on drug addiction, the long-term effects of famine, and the physical and psychological consequences of childhood trauma. Carey concludes with a discussion of the future directions for this research and its ability to improve human health and well-being.

**Epigenetic Therapy with Histone Deacetylase Inhibitors: Implications for Cancer Treatment**-Christiane Pienna Soares 2021-06-02

**Chemical Epigenetics**-Antonello Mai 2020-03-31 This book presents an authoritative review of the most significant findings about all the epigenetic targets (writers, readers, and erasers) and their implication in physiology and pathology. The book also covers the design, synthesis and biological validation of epigenetic chemical modulators, which can be useful as novel chemotherapeutic agents. Particular attention is given to the chemical mechanisms of action of these molecules and to the drug discovery prose which allows their identification. This book will appeal to students who want to know the extensive progresses made by epigenetics (targets and modulators) in the last years from the beginning, and to specialized scientists who need an instrument to quickly search and check historical and/or updated notices about epigenetics.

**Clinical Precision Medicine**-Judy S. Crabtree 2019-11-15 Clinical Precision Medicine: A Primer offers clinicians, researchers and students a practical, up-to-date resource on precision medicine, its evolving technologies, and pathways towards clinical implementation. Early chapters address the fundamentals of molecular biology and gene regulation as they relate to precision medicine, as well as the foundations of heredity and epigenetics. Oncology, an early adopter of precision approaches, is considered with its relationship to genetic variation in drug metabolism, along with tumor immunology and the impact of DNA variation in clinical care. Contributions by Stephanie Kramer, a Clinical Genetic Counselor, also provide current information on prenatal diagnostics and adult genetics that highlight the critical role of genetic counselors in the era of precision medicine. Includes applied discussions of chromosomes and chromosomal abnormalities, molecular genetics, epigenetic regulation, heredity, clinical genetics, pharmacogenomics and immunogenomics Features chapter contributions from leaders in the field Consolidates fundamental concepts and current practices of precision medicine in one convenient resource

**Cancer Epigenetics**-Antonio Giordano 2011-10-07 Cancer Epigenetics: Biomolecular Therapeutics in Human Cancer is the only resource to focus on biomolecular approaches to cancer therapy. Its presentation of the latest research in cancer biology reflects the interdisciplinary nature of the field and aims to facilitate collaboration between the basic, translational, and clinical sciences.

**Epi-Informatics**-Jose Medina-Franco 2016-02-24 Epi-Informatics: Discovery and Development of Small Molecule Epigenetic Drugs and Probes features multidisciplinary strategies with strong computational approaches that have led to the successful discovery and/or optimization of compounds that act as modulators of epigenetic targets. This book is intended for all those using or wanting to learn more about computational methodologies in epigenetic drug discovery, including molecular modelers, informaticians, pharmaceutical scientists, and medicinal chemists. With a better understanding of different molecular modeling and cheminformatic approaches, readers can incorporate these techniques into their own drug discovery projects that may involve chemical synthesis and medium- or high-throughput screening. In addition, this book highlights the significance of epigenetic targets to the public health for molecular modelers and chemoinformaticians. The goal of this reference is to stimulate ongoing multidisciplinary research and to further improve current computational methodologies and workflows in order to accelerate the discovery and development of epi-drugs and epi-probes. Focuses on the discovery of epi-drugs as candidates to be used in therapy including combined therapies Describes new computational methodologies and screening assays utilizing recent and emerging novel structural data Highlights the discovery, development and optimization of epi-probes, which are molecular probes that elucidate epigenetic mechanisms Includes important topics such as computational-guided optimization of epi-hits, virtual screening to identify novel compounds for epigenetic targets, development and mining of epigenetic molecular databases, SAR modeling of screening data and much more

**Epigenetics in Human Disease**-Trygve O. Tollefsbol 2012 Epigenetics is one of the fastest growing fields of sciences, illuminating studies of human diseases by looking beyond genetic make-up and acknowledging that outside factors play a role in gene expression. The goal of this volume is to highlight those diseases or conditions for which we have advanced knowledge of epigenetic factors such as cancer, autoimmune disorders and aging as well as those that are yielding exciting breakthroughs in epigenetics such as diabetes, neurobiological disorders and cardiovascular disease. Where applicable, attempts are made to not only detail the role of epigenetics in the etiology, progression, diagnosis and prognosis of these diseases, but also novel epigenetic approaches to the treatment of these diseases. Chapters are also presented on human imprinting disorders, respiratory diseases, infectious diseases and gynecological and reproductive diseases. Since epigenetics plays a major role in the aging process, advances in the epigenetics of aging are highly relevant to many age-related human diseases. Therefore, this volume closes with chapters on aging epigenetics and breakthroughs that have been made to delay the aging process through epigenetic approaches. With its translational focus, this book will serve as valuable reference for both basic scientists and clinicians alike. Comprehensive coverage of fundamental and emergent science and clinical usage Side-by-side coverage of the basis of epigenetic diseases and their treatments Evaluation of recent epigenetic clinical breakthroughs

**Stem Cell Epigenetics**- 2020-08-14 Stem Cell Epigenetics, Volume 16, examines how epigenetics are involved in stem cell differentiation, how a stem cell rapidly transitions into a molecularly distinct cell type, and how this process may be reversed or managed via epigenetic reprogramming. Topics discussed include chromatin in pluripotency, epigenetic regulation of reprogramming, stem cells and DNA methylation, histone modifications in stem cells and differentiation, higher-order chromatin conformation in pluripotent cells, epigenetics and disease modeling, organoids from pluripotent cells, transcriptional regulation in stem cells and differentiation, non-coding RNAs in pluripotency and early differentiation, and diseases caused by epigenetic alterations in stem cells. Additionally, the potential implementation of stem cell epigenetics in drug discovery, regenerative medicine, and disease treatment is discussed in detail, helping researchers and physicians bring this exciting and fast evolving field to the clinic. Provides genetic researchers, students and physicians with evidence indicating the epigenetic mechanisms involved in stem cell differentiation Highlights the specific characteristics of the epigenetic modifications and misregulations that may result in disease pathogenesis Examines the potential application of stem cell epigenetics towards developing therapeutic interventions for disease and advancing regenerative medicine Features chapter contributions by leading international experts

**Handbook of Epigenetics**-Trygve Tollefsbol 2017-07-10 Handbook of Epigenetics: The New Molecular and Medical Genetics, Second Edition, provides a comprehensive analysis of epigenetics, from

basic biology, to clinical application. Epigenetics is considered by many to be the new genetics in that many biological phenomena are controlled, not through gene mutations, but rather through reversible and heritable epigenetic processes. These epigenetic processes range from DNA methylation to prions. The biological processes impacted by epigenetics are vast and encompass effects in lower organisms and humans that include tissue and organ regeneration, X-chromosome inactivation, stem cell differentiation, genomic imprinting, and aging. The first edition of this important work received excellent reviews; the second edition continues its comprehensive coverage adding more current research and new topics based on customer and reader reviews, including new discoveries, approved therapeutics, and clinical trials. From molecular mechanisms and epigenetic technology, to discoveries in human disease and clinical epigenetics, the nature and applications of the science is presented for those with interests ranging from the fundamental basis of epigenetics, to therapeutic interventions for epigenetic-based disorders. Timely and comprehensive collection of fully up-to-date reviews on epigenetics that are organized into one volume and written by leading figures in the field Covers the latest advances in many different areas of epigenetics, ranging from basic aspects, to technologies, to clinical medicine Written at a verbal and technical level that can be understood by scientists and college students Updated to include new epigenetic discoveries, newly approved therapeutics, and clinical trials

**Gynecological Cancers**-Antonio Giordano 2016-07-12 Facilitating the collaboration between the basic, translational, and clinical sciences, this book provides an overview of the genetic and epigenetic mechanisms underlying the formation and progression of gynecological cancers. *Gynecological Cancers: Genetic and Epigenetic Targets and Drug Development* gathers all of the molecular and cellular aspects of gynecological cancer together within one volume, providing detailed and up-to-date information on the etiology, diagnosis, and treatment of gynecological cancers. *Gynecological Cancers: Genetic and Epigenetic Targets and Drug Development* also discusses the racial and ethnic disparities in the treatment of gynecological cancers through cost effective modalities like single visit screening and diagnosis, well women clinics, and mobile clinics. Written and edited by leaders in the field, this volume within the Current Clinical Oncology series is an indispensable resource for today's practicing oncologist.

**Cell Press Reviews: Cancer Therapeutics**-Cell Press 2013-12-10 Cell Press Reviews: Cancer Therapeutics informs, inspires, and connects cancer researchers at all stages in their careers with timely, comprehensive reviews written by leaders in the field and curated by Cell Press editors. The publication offers a broad view of some of the most compelling topics in cancer therapeutics including: Genetic approaches for personal oncology Targeting epigenetic dysregulation and protein interaction networks Vaccines and antibodies in cancer immunotherapy Tumor heterogeneity and chemotherapy resistance Tumor associated macrophages in anticancer treatment Contributions come from leading voices in the field, including: - Daniel A. Haber, Director of Massachusetts General Hospital Cancer Center and Professor at Harvard Medical School - Tony Kouzarides, Professor at the University of Cambridge, Deputy Director of the Wellcome Trust/Cancer Research UK Gurdon Institute, and a founder of the cancer drug discovery company Chroma Therapeutics - Charles L. Sawyers, Chair of the Human Oncology and Pathogenesis Program at Memorial Sloan Kettering Cancer Center, President of the American Association for Cancer Research, member of the presidentially appointed National Cancer Advisory Board, and recipient of the 2013 Breakthrough Prize in Life Sciences Cell Press Reviews: Cancer Therapeutics is part of the Cell Press Reviews series, which features reviews published in Cell Press primary research and Trends reviews journals. Provides timely, comprehensive articles on a wide range of topics in cancer therapeutics Offers insight from experts on genetic, molecular, and cellular aspects of cancer therapy Features reviews on basic science advances translated into drug discovery and therapeutic approaches Includes articles originally published in Cell, Cancer Cell, Trends in Genetics, Trends in Molecular Medicine, and Trends in Pharmacological Sciences

**Epigenetic Targets in Drug Discovery**-Wolfgang Sippl 2009-08-03 Fueled by the expertise of a team of international specialist authors, this first reference on the booming topic covers everything a drug researcher needs to know about targeting epigenetic mechanisms of disease. The first part of the book surveys current methodologies for finding and validating drug candidates that act via epigenetic mechanisms. The second part systematically surveys known and suspected drug targets within the epigenetic machinery, including the discovery and development of vorinostat, the first marketed epigenetic drug.

**Transgenerational Epigenetics**- 2019-05-18 *Transgenerational Epigenetics, Second Edition*, offers the only up-to-date, comprehensive analysis of the inheritance of epigenetic phenomena between generations with an emphasis on human disease relevance, drug discovery, and next steps in clinical translation. International experts discuss mechanisms of epigenetic inheritance, its expression in animal and plant models, and how human ailments, such as metabolic disorders and cardiovascular disease are influenced by transgenerational epigenetic inheritance. Where evidence is sufficient, epigenetic clinical interventions are proposed that may help prevent or reduce the severity of disease before offspring are born. This edition has been thoroughly revised in each disease area, featuring newly researched actors in epigenetic regulation, including long noncoding RNA in addition to histone modifications and DNA methylation. Therapeutic pathways in treating cancer and extending human longevity are also considered, as are current debates and future directions for research. Presents a fully-updated and expanded release addressing transgenerational epigenetics, epigenetic mechanisms of gene regulation, and the role of epigenetics in human longevity and cancer Examines the field from "bench-to-bedside", discussing basic science, disease management, current debates, and next steps in epigenetic research and drug discovery Features chapter contributions from international experts

**Clinical and Statistical Considerations in Personalized Medicine**-Claudio Carini 2014-03-27 *The Future of Clinical Research and Health Care: From Empirical to Precision Medicine Clinical and Statistical Considerations in Personalized Medicine* explores recent advances related to biomarkers and their translation into clinical development. Leading clinicians, biostatisticians, regulators, commercial professionals, and researchers address the opportunities and challenges in successfully applying biomarkers in drug discovery and preclinical and clinical development. *Robust Biomarkers for Drug Development and Disease Treatment* The first four chapters discuss biomarker development from a clinical perspective. Coverage ranges from an introduction to biomarkers to advances in RNAi screens, epigenetics, and rare diseases as targets for personalized medicine approaches. Subsequent chapters examine the statistical considerations in applying a personalized medicine approach, including multiplicity in pharmacogenomics. The last chapter assesses the regulatory issues involved in using biomarkers. *Improve Patient Care and Reduce Costs and Side Effects Despite* the vast amount of literature on biomarkers, there is no comprehensive book that integrates the clinical and statistical components. This book is one of the first to incorporate both the clinical and statistical aspects of biomarkers in the personalized medicine paradigm. Covering a wide spectrum of personalized medicine-related topics, it presents state-of-the-art techniques for advancing the application of biomarkers in drug discovery and development.

**Artificial Intelligence in Oncology Drug Discovery and Development**-John Cassidy 2020-09-09 There exists a profound conflict at the heart of oncology drug development. The efficiency of the drug development process is falling, leading to higher costs per approved drug, at the same time personalised medicine is limiting the target market of each new medicine. Even as the global economic burden of cancer increases, the current paradigm in drug development is unsustainable. In this book, we discuss the development of techniques in machine learning for improving the efficiency of oncology drug development and delivering cost-effective precision treatment. We consider how to structure data for drug repurposing and target identification, how to improve clinical trials and how patients may view artificial intelligence.

**Epigenetics and Disease**-Susan M. Gasser 2010-10-17 Epigenetics has emerged recently as an important area of molecular biological studies. Epigenetic modifications lead to potentially heritable but reversible alterations in the expression of genes that determine cell fate. Epigenetic misregulation is thus often linked to degenerative diseases, cancer and neuronal disorders. Recent biomedical interest in this regulatory system stems from the fact that epigenetic, in contrast to genetic, alterations are in principle amenable to pharmacological intervention. A few epigenetically active drugs, for example histone deacetylase inhibitors (HDACi) and DNA methyltransferase (DNMT) inhibitors, have been approved by FDA for treatment of cancers such as CTCL, MDS, and AML. This volume explores the scientific background for clinical applications of epigenetically active drugs. Included are descriptions of epigenetic controls over gene expression, the post-transcriptional silencing of genes by RNA interference (RNAi) and microRNAs, as well as new findings from stem cell research which are relevant to pharmacological applications.

**Epigenetics Territory and Cancer**-Parvin Mehdipour 2015-03-18 This book explores epigenetic strategies, bridging fundamental cancer epigenetics, different paradigms in tumor genetics and translational understanding for both the clinic and improved lifestyles. The work provides target-based insights for treating different types of cancer and presents research on evolutionary epigenetics, introducing 'Medical Epi- Anthropology' and 'Cancer Epi-Anthropology'. Translating multi-disciplinary research into therapeutic design is at the core of this book. Readers may explore how cancer management involves unmasking the involved networks and the interactive status of different genes to achieve the appropriate methylome based therapy. Early chapters explore fundamental aspects and brain tumours, whilst later chapters investigate breast cancer and various other cancers, and the final chapter presents an evolutionary insight in cancer epigenetics, considering that the epigene is beyond DNA methylation, RNA interference and histone modification in cancer development. This book will be of interest to researchers in different medical and scientific fields, including clinical management (diagnosis, prognosis, prediction, prevention, and guidelines), genetic education, nutrition and nutrigenomics, industrial chemistry, and drug innovation. Because of the unique bridging between science and medicine this book will also be useful as an educational and translational research package.

**Histone Mutations and Cancer**-Dong Fang 2020-11-07 This book focuses on histone mutations, especially those mutations closely related to cancer. Genetic mutations and epigenetic alterations contribute to the development of a variety of cancers: recent genetic studies have identified e.g. H3K27M and H3G34R/V mutation in over 75% of DIPG cases, H3.3K36M mutation in more than 90% of chondroblastoma cases, and H3G34W/L mutation in over 90% of giant cell tumors of bone. Given the high incidence and tumorigenesis effects of histone H3 mutations, they are also referred to as oncohistones. This book highlights the advances made in the area over the past 10 years, and offers a state-of-the-art summary of epigenetic alternation, gene expression, protein structure, drug discovery, immunotherapy, and mouse modeling of histone H3 mutations in various tumors. Chiefly intended to provide researchers and graduate students with an overall picture of these mutations, it will also be of interest to researchers in basic oncology, clinical oncology, and epigenetics, as well as academics and clinical oncology practitioners.

**Gene Expression and Regulation in Mammalian Cells**-Fumiaki Uchiumi 2018-02-28 Sixty years after the "central dogma," great achievements have been developed in molecular biology. We have also learned the important functions of noncoding RNAs and epigenetic regulations. More importantly, whole genome sequencing and transcriptome analyses enabled us to diagnose specific diseases. This book is not only intended for students and researchers working in laboratory but also physicians and pharmacists. This volume consists of 14 chapters, divided into 4 parts. Each chapter is written by experts investigating biological stresses, epigenetic regulation, and functions of transcription factors in human diseases. All articles presented in this volume by excellent investigators provide new insights into the studies in transcriptional control in mammalian cells and will inspire us to develop or establish novel therapeutics against human diseases.

**Polyamine Drug Discovery**-Patrick M. Woster 2011-11 Polyamines are ubiquitous molecules that are involved in a number of important cellular processes. Aberrations in their function or metabolism play a role in diseases such as cancer and parasitic infection. A number of validated drug targets have been identified, including enzymes in the polyamine biosynthetic and catabolic pathways and the S-adenosylmethionine synthetic and salvage pathways. Polyamine Drug Discovery is the first comprehensive volume to cover all aspects of the design and development of potential therapeutics targeting polyamine metabolism. The book details research progress from 1975 to the present date and discusses the design and use of polyamine metabolism inhibitors as therapeutic agents. Various polyamine-containing drugs are described that can be used in chemotherapy, and as treatments for infections including trypanosomiasis, leishmaniasis and malaria. Finally, the roles of polyamine analogues in chemoprevention, polyamine-containing vectors for gene delivery, and the design of polyamine-based epigenetic modulators are detailed. Each chapter addresses a different aspect of polyamine drug discovery and all are written by medicinal and biological chemists with particular expertise in developing agents that modulate polyamine metabolism or function. The book will increase the visibility of polyamine drug discovery among pharmaceutical researchers and provide a valuable reference for everyone working in the field.

**Histone Modifications in Therapy**-Pedro Castelo-Branco 2020-08-21 Histone Modifications in Therapy provides an in-depth analysis of the role of histone mechanisms in major diseases and the promise of targeting histone modifications for disease prevention and treatment. Here, researchers, clinicians and students will discover a thorough, evidence-based discussion of the biology of histones, the diseases engaged by aberrant histone modifications, and pathways with therapeutic potential. Expert chapter addresses the role of histone modifications across a variety of disorders, including cancer, neuropsychiatric, neurodegenerative, cardiac, metabolic, infectious, bacterial, autoimmune and inflammatory disorders, among others. In relation to these disease types, histone modifications are discussed, both as mechanisms of prevention and possible treatment. A concluding chapter brings together future perspectives for targeting histone modifications in therapy and next steps in research. Examines the use of histone modifications in disease prevention and therapy Explores the role of histone modifications in cancer, neuropsychiatric, neurodegenerative, cardiac, metabolic, infectious, bacterial, and inflammatory disease, among others Features chapters from a broad range of international authors and disease specialists

**Lung Cancer**-Alba Fabiola Costa Torres 2018-10-31 Among the deadliest type of cancers, lung cancer faces several challenges in diagnosis and treatment: late diagnosis and misdiagnosis, inadequate tumor sampling, and resistance development to current therapies, among others. Together with advances in the understanding of molecular features, factors, and mechanisms involved in initiation and tumor progression, important improvements have occurred in diagnostics and therapeutics in the shape of advances in molecular genotyping, procedures for sampling, new potential, and less invasive sources of samples for the diagnosis and development of new targeted therapies. The aim of this book is to provide an exciting read on strategies in the diagnosis and therapy of lung cancer.

**Transgenerational Epigenetics**-Trygve Tollefsbol 2014-05-02 Transgenerational Epigenetics provides a comprehensive analysis of the inheritance of epigenetic phenomena between generations. Recent research points to the existence of biological phenomena that are controlled not through gene mutations, but rather through reversible and heritable epigenetic processes. Epidemiological studies have suggested that environmental factors may be heritable. In fact, environmental factors often play a role in transgenerational epigenetics, which may have selective or adverse effects on the offspring. This epigenetic information can be transferred through a number of mechanisms including DNA methylation, histone modifications or RNA and the effects can persist for multiple generations. This book examines the evolution of epigenetic inheritance, its expression in animal and plant models, and how human diseases, such as metabolic disorders and cardiovascular diseases, appear to be affected by transgenerational epigenetic inheritance. It discusses clinical interventions in transgenerational epigenetic inheritance that may be on the horizon to help prevent diseases before the offspring are born, or to reduce the severity of diseases at the very earliest stages of development in utero, and current controversies in this area of study, as well as future directions for research. Focused discussion of metabolic disorders, cardiovascular diseases and longevity, which appear most affected by reversible and heritable epigenetic processes Encompasses both foundational and clinical aspects including discussions of preventative in utero therapies Covers history, future outlook, disease management and current controversies

**Personalized Epigenetics**-Trygve Tollefsbol 2015-04-28 Personalized Epigenetics discusses the core translatability of epigenetics to health management of individuals who have unique variations in their epigenetic signatures that can guide both disorder and disease prevention and therapy. The book details inter-individual variability in the major epigenetic process in humans consisting of DNA methylation, histone modifications, and noncoding RNA, and the diagnostic, prognostic, and therapeutic potential of the field, it also reviews the impact of the environment on epigenetic variations among individuals and the role of pharmacology and drug development in personalized epigenetics. Most importantly, the text covers personalized epigenetics from a disease-oriented perspective, presenting chapters that provide advances in widespread disorders or diseases, including diabetes, cancer, autoimmune disorders, obesity, cardiovascular diseases, neurological disorders, and pain management. Discusses the core translatability of epigenetics to health management of individuals who have unique variations in their epigenetic signatures Details inter-individual variability in the major epigenetic process in humans consisting of DNA methylation, histone modifications, and noncoding RNA, and the consequent diagnostic, prognostic and therapeutic potential of the field Reviews the impact of the environment on epigenetic variations among individuals and the roles of pharmacology and drug development Devotes several chapters to the advances made in widespread disorders or diseases, including diabetes, cancer, autoimmune disorders, obesity, cardiovascular diseases, neurological disorders, and pain management

**Cancer Pharmacology**-Ashkan Emadi, MD, PhD 2019-12-03 Cancer Pharmacology: An Illustrated Manual of Anticancer Drugs provides a one-stop guide to the essential basic and clinical science of all the effective, life-prolonging drug therapies in oncology. From traditional cytotoxic agents to targeted genomic, epigenomic, hormonal, and immunotherapeutic agents, this book covers the staggering advances in cancer pharmacology that are propelling new standards of care for common and uncommon malignancies. Beautifully illustrated throughout, each chapter contains visually engaging figures detailing the tumor microenvironment, chemical structures of agents, pharmacodynamics, pharmacokinetics, pharmacogenomic, and molecular properties of the various agents, and their mechanisms of action. As the first illustrated book of its kind, this highly visual text uses a uniform approach to each cancer drug class and agent presented in the book, and covers alkylating agents, antimetabolites, antimetabolites, epigenetic modulators, hormonal agents, targeted therapies, monoclonal antibodies, immunotherapeutic agents, and much more. Flow diagrams, clinical tables, and bulleted text further explain important information pertaining to each cancer drug class including their indications, mechanisms of action, potential adverse reactions, dosing and dose adjustments, and safety monitoring. Organized in an easy-to-digest format and replete with detailed images, clinical pearls, and end of chapter Q&As, this evidence-based reference presents all major classes, agents, targets, and approaches to cancer pharmacotherapy. Whether you are a trainee, a clinical scientist, or a clinician in practice, the book is an ideal reference. It presents challenging information in an instructional way, illustrates key concepts for ease of retention, and poses tough questions so readers can problem solve potential scenarios and test their pharmacologic acumen. Written by leading experts in oncopharmacology, this first-of-its kind manual is a "must have" for anyone involved in the basic, translational, or clinical aspects of oncology and hematology including clinicians, pharmacists, nurses, and trainees. KEY FEATURES: In Includes visual depictions of chemical structures, pharmacokinetics, pharmacodynamics, and pharmacogenomics associated with each class of agents Describes how chemotherapy, targeted therapy, immunotherapy, and hormonal therapy work and why they are expected to work adjuvantly, neoadjuvantly, and in combination with other modalities Over 100 highly stylized images and numerous comprehensive tables Covers challenges related to drug development, drug approval, and regulatory issues in relation to anticancer treatments All chapters conclude with clinical pearls and detailed clinical Q&As with descriptive rationales Purchase includes access to the ebook for use on most mobile devices or computers

**Epigenetics in Biology and Medicine**-Manel Esteller 2008-09-17 Anomalous epigenetic patterns touch many areas of study including biomedical, scientific, and industrial. With perspectives from international experts, this resource offers an all-inclusive overview of epigenetics, which bridge DNA information and function by regulating gene expression without modifying the DNA sequence itself. Epigenetics, in its



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