Record Nr. UNINA9910143402603321 Titolo The art of drug synthesis / / edited by Douglas S. Johnson, Jie Jack Li Hoboken, N.J., : Wiley-Interscience, c2007 Pubbl/distr/stampa **ISBN** 1-118-67846-X 1-280-91677-X 9786610916771 0-470-13497-6 0-470-13496-8 Edizione [1st ed.] Descrizione fisica 1 online resource (xv, 276 pages): illustrations Collana Wiley Series on Drug Synthesis Altri autori (Persone) JohnsonDouglas S <1968-> (Douglas Scott) LiJie Jack Disciplina 615.19 615/.19 Soggetti Drugs - Design Pharmaceutical chemistry Lingua di pubblicazione Inglese **Formato** Materiale a stampa Livello bibliografico Monografia Nota di bibliografia Includes bibliographical references and index. Nota di contenuto THE ART OF DRUG SYNTHESIS; CONTENTS; Foreword; Preface; Contributors: 1 THE ROLE OF MEDICINAL CHEMISTRY IN DRUG DISCOVERY: 1.1 Introduction: 1.2 Hurdles in the Drug Discovery Process; 1.3 The Tools of Medicinal Chemistry; 1.3.1 In Silico Modeling; 1.3.2 Structure-Based Drug Design (SBDD); 1.4 The Role of Synthetic Chemistry in Drug Discovery; References; 2 PROCESS RESEARCH: HOW MUCH? HOW SOON?; 2.1 Introduction; 2.2 Considerations for Successful Scale-up to Tox Batches and Phase I Material; 2.3 Considerations for Phase 2 Material and Beyond; 2.3.1 Reagent Selection; 2.3.2 Solvent Selection 2.3.3 Unit Operations; 2.3.4 Developing Simple, Effective, Efficient Work-ups and Isolations; 2.3.5 The Importance of Physical States; 2.3.6 Route Design and Process Optimization to Minimize COG; 2.4 Summary; References; I CANCER AND INFECTIOUS DISEASES; 3 AROMATASE INHIBITORS FOR BREAST CANCER: EXEMESTANE (AROMASIN®), ANASTROZOLE (ARIMIDEX®), AND LETROZOLE (FEMARA®); 3.1 Introduction; 3.2 Synthesis of Exemestane; 3.3

Synthesis of Anastrozole; 3.4 Synthesis of Letrozole; References 4 QUINOLONE ANTIBIOTICS: LEVOFLOXACIN (LEVAQUIN®). MOXIFLOXACIN (AVELOX®), GEMIFLOXACIN (FACTIVE®), AND GARENOXACIN (T-3811)4.1 Introduction; 4.1.1 Mechanism of Action; 4.1.2 Modes of Resistance; 4.1.3 Structure-Activity Relationship (SAR) and Structure-Toxicity Relationship (STR); 4.1.4 Pharmacokinetics; 4.1.5 Synthetic Approaches; 4.2 Levofloxacin; 4.3 Moxifloxacin; 4.4 Gemifloxacin; 4.5 Garenoxacin (T-3811): A Promising Clinical Candidate; References; 5 TRIAZOLE ANTIFUNGALS: ITRACONAZOLE (SPORANOX®), FLUCONAZOLE (DIFLUCAN®), VORICONAZOLE (VFEND®), AND FOSFLUCONAZOLE (PRODIF®) 5.1 Introduction; 5.2 Synthesis of Itraconazole; 5.3 Synthesis of Fluconazole; 5.4 Synthesis of Voriconazole; 5.5 Synthesis of Fosfluconazole; References; 6 NON-NUCLEOSIDE HIV REVERSE TRANSCRIPTASE INHIBITORS; 6.1 Introduction; 6.2 Synthesis of Nevirapine; 6.3 Synthesis of Efavirenz; 6.4 Synthesis of Delavirdine Mesylate: References: 7 NEURAMINIDASE INHIBITORS FOR INFLUENZA: OSELTAMIVIR PHOSPHATE (TAMIFLU®) AND ZANAMIVIR (RELENZA®): 7.1 Introduction; 7.1.1 Relenza; 7.1.2 Tamiflu; 7.2 Synthesis of Oseltamivir

II CARDIOVASCULAR AND METABOLIC DISEASES; 8 PEROXISOME PROLIFERATOR-ACTIVATED RECEPTOR (PPAR) AGONISTS FOR TYPE 2 DIABETES; 8.1 Introduction; 8.1.1 Insulin; 8.1.2 Sulfonylurea Drugs; 8.1.3 Meglitinides; 8.1.4 Biguanides; 8.1.5 Alpha-Glucosidase Inhibitors; 8.1.6 Thiazolidinediones; 8.2 Synthesis of Rosiglitazone; 8.3 Synthesis of Pioglitazone; 8.4 Synthesis of Muraglitazar; References; 9 ANGIOTENSIN AT(1) ANTAGONISTS FOR HYPERTENSION; 9.1 Introduction; 9.2 Losartan Potassium; 9.2.1 Introduction to Losartan Potassium; 9.2.2 Synthesis of Losartan Potassium; 9.3 Valsartan; 9.3.1 Introduction to Valsartan

Phosphate (Tamiflu®): 7.3 Synthesis of Zanamivir (Relenza®):

References

Sommario/riassunto

The Art of Drug Synthesis illustrates how chemistry, biology, pharmacokinetics, and a host of other disciplines come together to produce successful medicines. The authors have compiled a collection of 21 representative categories of drugs, from which they have selected as examples many of the best-selling drugs on the market today. An introduction to each drug is provided, as well as background to the biology, pharmacology, pharmacokinetics, and drug metabolism, followed by a detailed account of the drug synthesis. Edited by prominent scientists working in drug discovery for PfizerM