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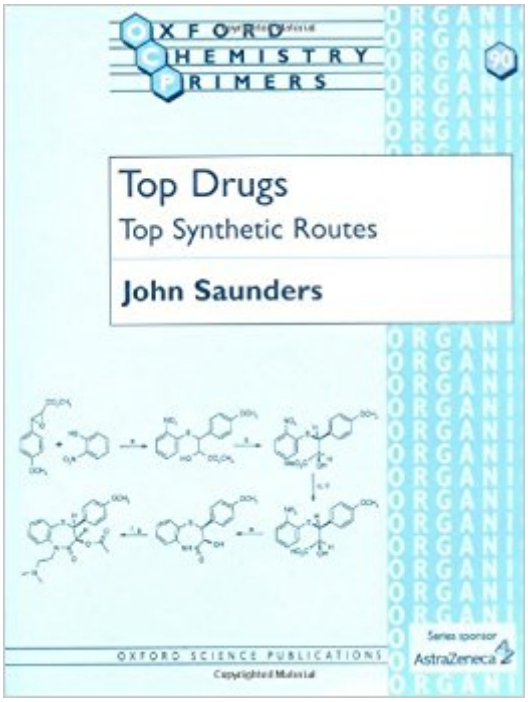
Top Drugs: Top Synthetic Routes (Oxford Chemistry Primers)



Synopsis

Today’s top selling drugs have been uncovered from two major sources: natural products and laboratory synthesis. Those synthesised directly by medicinal chemists usually have been the result of a protracted discovery programme using a natural product (e.g. a hormone or an enzyme substrate) or a screening lead as a starting point. Many of the major categories of human disease cardiovascular, gastrointestinal, central nervous system, inflammatory and infectious diseases are included. After a short introduction to the discovery and mechanism of action of each drug, the syntheses of the best selling drugs are reviewed. Where the information exists in the literature, the original research method to each drug is compared with more recent approaches which aim either at improving the route or at validating newer methodologies or reagents in the context of drug synthesis. Since, for many drugs, the marketed product was originally prepared as a racemic mixture, perhaps the most important comparison is between that route and alternatives which involve some element of asymmetric synthesis.

Book Information

Series: Oxford Chemistry Primers (Book 90)

Paperback: 96 pages

Publisher: Oxford University Press; 1 edition (July 12, 2012)

Language: English

ISBN-10: 0198501005

ISBN-13: 978-0198501008

Product Dimensions: 9.3 x 0.3 x 7 inches

Shipping Weight: 6.4 ounces (View shipping rates and policies)

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