
Preface

Many compounds of biological and pharmacological interest are asymmetric and show optical activity. Approximately 40% of the drugs in use are known to be chiral and only about 25% are administered as pure enantiomers. It is well established that the pharmacological activity is mostly restricted to one of the enantiomers (eutomer). In several cases, unwanted side effects or even toxic effects may occur with the inactive enantiomer (distomer). Even if the side effects are not that drastic, the inactive enantiomer has to be metabolized, which represents an unnecessary burden for the organism. The administration of pure, pharmacologically active enantiomers is therefore of great importance. The ideal way to get to pure enantiomers would be by enantioselective synthesis. However, this approach is usually expensive and not often practicable. Usually, the racemates are obtained in a synthesis, and the separation of the enantiomers on a preparative scale is necessary. On the other hand, there is also a great demand for methods of enantiomer separation on an analytical scale for controlling synthesis, checking for racemization processes, controlling enantiomeric purity, and for pharmacokinetic studies. Conventional methods for enantiomer separation on a preparative scale are fractionated crystallization, the formation of diastereomeric pairs followed by repeated recrystallization, and enzymatic procedures. In recent years, chromatographic methods such as gas chromatography and, especially, liquid chromatography have attracted increasing interest for chiral separation, both on analytical and preparative scales. More recently, capillary electrophoresis and electrochromatography have also proven useful for chiral separation on an analytical scale.

Chiral Separations: Methods and Protocols focuses on chromatographic and electroseparation techniques for chiral separation on an analytical scale. It is not the aim of this book to give a comprehensive overview of all applications of chiral separation principles. Because there are several thousand publications on this topic, this would require a series of books. For comprehensive overviews the reader is referred to specialized review articles.

Chiral Separations: Methods and Protocols begins with an introduction to the different techniques, principles, and mechanisms of chiral separation, and includes a historical background (Chapter 1). Chapters 2–4 review some special techniques and include practical advice for users. The remainder of the book is devoted to articles describing typical procedures for enantiomer

separation by chromatographic and electromigration techniques applying different chiral separation principles. These procedures may be of general character, or are otherwise presented by means of applications to substance classes or special compounds. These chapters differ from conventional articles, because primary emphasis is set on giving reliable procedures for users. Special attention is given to important experimental data, and practical hints in the “Notes” section enable the reader to adapt these procedures to one’s separation problems.

Forty-three authors from twenty-four research laboratories all over the world have contributed to *Chiral Separations: Methods and Protocols*. We want to express our thanks to all of our authors and coauthors for making their expertise and knowledge available to those who are not already versed in this area.

This book should be helpful to biochemists, pharmaceutical chemists, clinical chemists, molecular biologists, and pharmacologists, both in research institutions and in industry.

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Chiral Separations

Methods and Protocols

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