BOOK REVIEW


This comprehensive compilation of reviews on solid phase synthesis is meant to be the first of an annual or biannual series. Most of the eighteen solid-phase chemists who have contributed to this book, edited by Kevin Burgess, are known and knowledgeable experts in their field. The literature covered by the book encompasses a broad period from the early days until 1998 (some references in 1999). The book is consequently full of useful and up to date information; as such it would benefit anyone, expert or newcomer, wanting easy access to most of the current methods, breakthroughs and applications of solid phase-chemistry.

The volume is divided into eight chapters devoted to five important and timely aspects of solid-phase synthesis:

1. The use of specific reactions which include palladium C-C bond-forming reactions (chapters 2 and 4) and SNaryl coupling reactions (chapter 3).
2. The synthesis of specific targets such as guanidines (chapter 1), benzo-fused heterocycles (chapter 3), phenylacetylenes (chapter 4) and various natural products (chapter 8).
3. The use of polymers to assist solution-phase synthesis (chapter 5).
4. The monitoring of solid-phase organic reactions by FTIR (chapter 7), and;
5. The use of polymer surfaces rather than polymer beads in the parallel synthesis of individual compounds (chapter 6).

All eight chapters give a very broad and well documented coverage of solid-phase synthesis, although chapter 6 (dealing with a specific commercial product) looks a bit more like an advertisement for Chiron Technologies than a truly informative treatment.

Chapter 1 describes the way guanidines can be assembled from various suitable electrophiles and amines in solution. The chapter goes on to explain how those different approaches can be implemented on solid support by considering syntheses either from resin-bound electrophiles or electrophiles in solution. This part is rather well documented (51 references) and gives a broad view of guanidine chemistry.

Among the chapters dealing with the use of specific reactions, chapter 2 is particularly well written. It deals with the use of palladium to catalyze carbon-carbon bond formation: the Heck, Stille and Suzuki reactions are reviewed in a thorough way with 107 well chosen references.

Chapter 3 demonstrates the usefulness of sequential SNaryl and cyclization reactions to synthesize [6,5]-, [6,6]-, [6,7]- and [6,8]-benzo-fused heterocycles. The authors give numerous examples in their logically presented review and their reference section is also very rich (about 70 references).

In chapter 4, different strategies (stepwise and fragment additions and polymerization) and tactics (coupling and deprotection) are described for the preparation of nonbiological phenylacetylene oligomers on solid support. Then; examples of oligomers, dendrimers and hyperbranched polymers prepared by those methods are given. The limitations of the methods are also discussed. The authors have added a very useful experimental section and there are 44 references to support the review.

The following chapter 5 is a must for scientists willing to get valuable information on the various polymer-assisted solution-phase methods now offered to synthesize chemical libraries. This review is very complete (86 references) and its presentation is logical: a) Reactant and by-product sequestration; b) Polymer-supported reagents, substrates, and catalysts, polymers for quenching or workup; c) Solid-phase and solution-phase combinations, and d) Multi-step syntheses.

In chapter 6 (32 references) the authors explain clearly the advantages of using radiation grafted polymer surfaces during multiple parallel synthesis. Despite the fact that this part is definitely partisan (SynPhase crowns) the author give interesting examples (some well known) of that powerful technology to prepare libraries of benzodiazepines, purines, guanidines and polysaccharides. The use of specific linkers is also discussed as well as the individual radiofrequency tagging method.

Chapter 7 (14 references) explains how FTIR can be useful to optimize and monitor solid-phase organic synthesis, and to characterize and measure the loading of solid supports. All the techniques commonly used to carry out those measures are explained and discussed, and examples are given as to how kinetics can be studied and optimal solid supports selected.

Finally some selected solid-phase syntheses of natural products are described in chapter 8 (37 references). These include prostaglandins, epothilone, zearalenone, muscone, taxoids sarcodytyns, lavendustin, indolyl dike-topierrazines, balanols, and pseudoalkaloids from shikimic acid, giving a fair description of what can now be achieved by solid-phase synthesis.

Yves L. Dory, Sherbrooke, Canada

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