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Synthesis of Small Molecules on Solid Phase

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Introduction

Medicinal and agricultural chemists in industry are recognising the potential and advantages of synthesis of 'small molecules' on solid phase.

The present issue of CHIMIA contains abstracts and reviews on the synthesis of 'drug like' molecules on solid support presented by pioneers from academia: *Robert W. Armstrong*, *Jonathan A. Ellman*, *Mark J. Kurth*, and *Robert Ramage*. The lectures were held at the Institute of Organic Chemistry of the University of Basel under the auspices of the Section of Medicinal Chemistry of the NSCG, the Chemical Society of Basel and the pharmaceutical companies of Basel.

Recent progress in methods of biological screening, automation, informatics and analytical chemistry combined with available technologies of (multiple) parallel synthesis have paved the way for new opportunities in lead finding and improvement.

Consequently the interdisciplinary activities of medicinal and agricultural chemists have been widened and new challenging tasks have to be taken on: design novel modular target structures adapted to bear suitable recognition elements, to master the analysis of a large amount of information and to gain expertise in solid-phase chemistry.

Pertinent questions are: what sort of 'small molecules' can be prepared on solid support; how many reaction steps are allowed while still obtaining individual compounds in acceptable yields and purity; what repertoire of reactions can be successfully utilised, what are the limitations of available linker systems and what are the effects of polymer surfaces on reaction kinetics, how do reaction conditions on solid phase compare with those of solution chemistry?

Of course at present only very preliminary answers can be given to these questions! However, the results obtained so far will certainly encourage many medicinal and agricultural chemists to become involved and contribute to the shaping of this very promising research area.

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