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The Interdisciplinary Task of Medicinal Chemists

EDITORIAL

Medicinal Chemistry is traditionally concerned with the modification of biologically active molecules to improve the pharmacodynamic properties, with the elaboration of biochemical rationales to explain drug action and with the application of such rationales in the search of innovative drugs.

Recently, medicinal chemists have been exposed to the challenge of designing new classes of modular molecules for use in lead finding. These molecules are typically assembled in large numbers from building blocks by automated parallel synthesis. As a result of this new synthesis approach the bottleneck in preclinical drug research has moved downstream towards selection of leads and drug candidates. The selection process involves *in vivo* evaluation of the drug candidates and many promising substances are discarded at this stage because of inadequate pharmacokinetic properties or formation of unwanted degradation products. A legitimate question is whether such adverse *in vivo* properties can be anticipated.

Thus, in addition to imagination and skill in organic synthesis, a sound background knowledge on biotransformation pathways and drug pharmacokinetics can give valuable insights for the drug design process.

The present issue of CHIMIA reports studies and reviews which may assist in a deeper understanding of what happens to compounds *in vivo*. The results described should stimulate medicinal chemists to include more stringent selection criteria in their drug design deliberations and not restrict their plans to the preparation of derivatives with the desired *in vitro* activity and selectivity.

The ultimate purpose of this interdisciplinary effort is to reduce the drop-out rate for compounds which show early promise in preclinical drug screening and to increase the chances of identifying reliable leads and drug candidates which will survive the development process.

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