

## Preface

This special issue of the *European Journal of Medicinal Chemistry* aims to present a representative sample of research activities currently undertaken in the Medicinal Chemistry field. The manuscripts published here are based on lectures and oral communications presented at the XVIIth International Symposium on Medicinal Chemistry (ISMC) held in Barcelona from September 1st to 5th, 2002. The ISMC constitutes a prestigious series of scientific events organised biennially under the auspices of the European Federation for Medicinal Chemistry. The latest ISMC gathered together more than one thousand scientists from fifty countries belonging to both industry and academia in approximately the same proportion.

Modern Medicinal Chemistry has two significant characteristics: first, it is a multidisciplinary science with a clear background of organic chemistry but strong links with other disciplines such as genetics, molecular biology, pharmacology, as well as physical and computational chemistry. A second interesting feature of modern Medicinal Chemistry is its almost perfect symbiosis between basic and applied science. Other disciplines suffer from a lack of practical application of their significant scientific findings or, on the contrary, from a lack of new scientific ideas able to introduce sound innovation in their products. This is not the case for Medicinal Chemistry; a real continuum exists between basic findings, such as new knowledge about the physiological role or the structure of proteins, and the discovery and development of new drugs able to successfully treat significant health problems.

New strategies and technologies are allowing progress of R&D on new drugs. With the growing demand of new drug-like molecules available for lead discovery and optimisation efforts, molecular libraries and software for their exploitation, parallel and microwave-based synthetic technologies, and high-throughput systems are contributing to increased productivity. Other strategies

such as early ADMET screening are becoming crucial for optimising the drug discovery pipeline.

The artificial confrontation between combinatorial chemistry and rational drug design is being overcome. On one hand, combinatorial chemistry is a powerful strategy that has incorporated rational planning of the molecular diversity exploration. On the other hand, the acceleration of the structural determination of protein structures in both unbound or ligand-bounded forms, as well as the improvement of the methods for the prediction of such structures, has facilitated the consolidation of the protein structure-based lead discovery and optimisation.

Nevertheless, Medicinal Chemistry research is not free of challenges in the near future. A dramatic reality is the current lack of effective treatments for about three quarters of the 30,000 known diseases. Another issue is the continually growing time scale, 10–12 years, and cost, about 800 million Euros, required for discovering and developing a new drug. Finally, medicinal R&D is currently called to adapt its strategies and to take advantage of the genomics revolution, as a way of facing the crucial problem of the differential response of individuals to drugs. For example's sake, approximately 30% of patients treated with statins, 35% of patients treated with  $\beta$ -blockers, and 50% of patients taking tricyclic antidepressants show no response to therapy. Furthermore, approximately 2% of statin-treated patients acquire a musculoskeletal disorder. The integration of health and genomic information of large samples of subjects and the subsequent data mining is a promising way to generate useful knowledge for drug discovery and development.

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