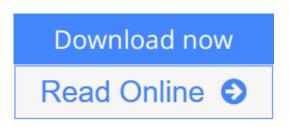


Peptidomimetics in Organic and Medicinal Chemistry

By Antonio Guarna, Andrea Trabocchi



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A peptidomimetic is a small protein-like chain designed to mimic a peptide with adjusted molecular properties such as enhanced stability or biological activity. It is a very powerful approach for the generation of small-molecule-based drugs as enzyme inhibitors or receptor ligands.

Peptidomimetics in Organic and Medicinal Chemistry outlines the concepts and synthetic strategies underlying the building of bioactive compounds of a peptidomimetic nature. Topics covered include the chemistry of unnatural amino acids, peptide- and scaffold-based peptidomimetics, amino acid-side chain isosteres, backbone isosteres, dipeptide isosteres, beta-turn peptidomimetics, proline-mimetics as turn inducers, cyclic scaffolds, amino acid surrogates, and scaffolds for combinatorial chemistry of peptidomimetics. Case studies in the hitto-lead process, such as the development of integrin ligands and thrombin inhibitors, illustrate the successful application of peptidomimetics in drug discovery.

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Editorial Review

From the Back Cover

Biomedical research is constantly oriented towards the development of new therapeutics based on peptides and proteins. This broad and topical text describes the art to design and synthesize peptidomimetic compounds for drug discovery. In this approach, peptides and proteins are considered as hits for the discovery of other classes of compounds, named peptidomimetics, which are molecular scaffolds of different size, structure and functions, retaining the biological properties of the native peptides or proteins.

Peptidomimetics in Organic and Medicinal Chemistry is unique in the landscape of peptidomimetic science, by covering both fundamental and systematic descriptions of peptidomimetic synthesis and features, as well as their application in drug discovery. This book provides a comprehensive view of peptidomimetics and their classifi cation based on common structural features, and presents in depth discussions of the most successful synthetic approaches underlying the building of bioactive compounds of 'peptidomimetic nature', based on the structure of natural bioactive peptides. In addition, selected case studies in relevant biomedical areas illustrate the key role of peptidomimetics in drug development.

Extensively referenced and illustrated, *Peptidomimetics in Organic and Medicinal Chemistry* has been conceived for novice and expert researchers who currently are working in the field of organic and medicinal chemistry addressing drug discovery. It is also of interest for all the scientists in the field of chemical biology, systems biology and biotechnology.

About the Author

Andrea Trabocchi Department of Chemistry "Ugo Schiff", University of Florence, Italy

Antonio Guarna

Department of Chemistry "Ugo Schiff", University of Florence, Italy

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