



Peptidomimetics in Organic and Medicinal Chemistry

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 hit-to-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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