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Evolving biologically active peptides into drug-like molecules using stereoelectronic and structural constraints.

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Peptides play critical roles in human physiology. Conformational flexibility limits, however, characterization of peptides, which bind to biologically relevant membrane proteins. Mining protein receptors, we have pioneered an approach to identify allosteric modulators as leads for peptide-based drugs. Novel solution- and solid-phase organic chemistry for producing peptide mimics has been employed to study the secondary structure responsible for the biological activity of these modulators. For example, methodology will be presented for making peptide analogs possessing amino-lactam, aza-amino acid and azabicycloalkanone residues. Results from screening such analogs as receptor ligands will be discussed to illustrate efforts to identify biologically active conformers of the parent peptide and to construct mimics with improved physiological properties.

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