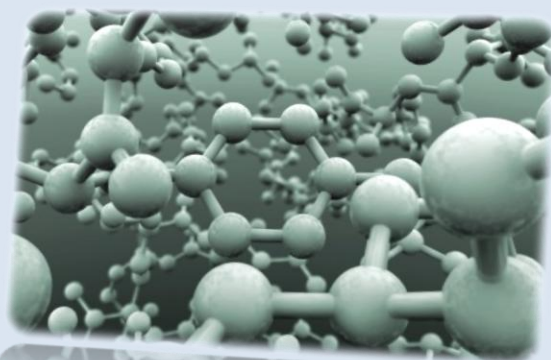


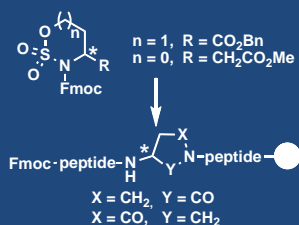
# A New Platform for Generating Libraries of Optimized Peptides



## BACKGROUND

Incorporation of structural mimics into biologically active peptides has been widely used to restrict conformational mobility, enhance selectivity and increase potency.

Technologies that permit the convenient and rapid introduction of mimics into peptides constitute powerful tools for studying structure-activity relationships (SARs) and designing biologically active compounds in the drug discovery process.



## INTELLECTUAL PROPERTY

US PROVISIONAL APPLICATION  
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## KEYWORDS

Peptide Mimics, Peptidic Analogs, Lactam, Optimization, Peptide Synthesis, Protein Synthesis, Peptidomimetic Synthesis

## Efficient amino lactam peptide library synthesis

### TECHNOLOGY

This solid-phase method allows the assembly of amino lactam peptides so that compound libraries can be generated. It uses simple building blocks that are easily prepared from homoserine and aspartic acid. Amino lactams can induce peptide turn conformations. This effective method for systematically scanning peptides is useful for the rapid identification of secondary structure necessary for the biological activity of peptides<sup>1</sup>.

### DEVELOPMENT STATUS

The effectiveness of the approach was validated using therapeutic peptide candidates bearing aliphatic, aromatic, branched and functionalized side-chains. The methodology is applicable to IRORI-Kan™ and Mimitope Lantern™ split and mix techniques for generating chemical libraries.

### ADVANTAGES

- OPTIMAL METHOD OF SYNTHESIS:
  - SYSTEMATIC SCANNING POSSIBLE
  - READILY AVAILABLE BUILDING BLOCKS PROVIDE STEREOCHEMICALLY PURE AMINO LACTAM PEPTIDES
  - FAST AND SIMPLE SUPPORTED FMOC-COMPATIBLE METHODOLOGY FOR EFFECTIVELY PRODUCING LACTAM PEPTIDES
- AMINO-LACTAM PEPTIDES ANALOGS:
  - OPTIMIZED SARs
  - IMPROVED BIOAVAILABILITY
  - ENHANCED SOLUBILITY

### APPLICATIONS

- GENERATE LACTAM PEPTIDE LIBRARIES FOR SAR STUDIES.
- IDENTIFY BIOLOGICALLY ACTIVE PEPTIDE AND PROTEIN CONFORMERS.
- PRODUCTION OF OPTIMIZED PEPTIDES AND PROTEINS FOR THERAPEUTIC USE.

<sup>1</sup> Jamieson AG et al., J Am Chem Soc, 2009; Boutard N et al. Chem Biol Drug Des. 2010; Ronga, L. et al. Biopolymers, Peptide Science 2010, in press.