

# PepSets™ for Drug Discovery

Combinatorial peptide libraries from Mimotopes can rapidly identify bioactive peptide fragments as suitable drug discovery candidates in protease or SAR screening studies.

## Exploit the Power of Numbers

**Peptide libraries (PepSets) are a powerful tool in drug discovery. The idea behind PepSets is to exploit the resolving power and breadth of application, brought by screening large numbers of peptides, to the search for the few, critical bioactive peptides.**

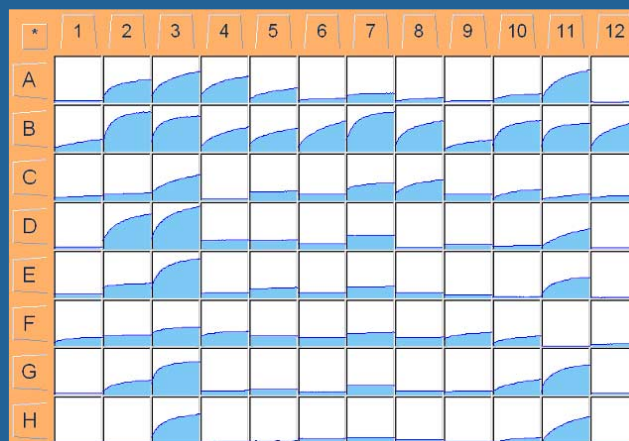
Initial screening can be done with peptides of sufficient purity for the purpose, rather than with highly purified peptides which are relatively expensive and slow to manufacture. In accord with this idea, Mimotopes' peptide libraries are made on a small scale, subsequently progressing to larger quantities, or purifying the peptides, when it is known which peptides are going to be useful in detailed studies. This approach represents a huge time and cost saving for the user.

## New Drug Discovery

**Peptide Libraries can be a rich source of candidates for a drug development program.** For example, a Structure-Activity Relationship (SAR) study on a bioactive peptide fragment can reveal the critical residue(s) for bioactivity, which can then be further "analoged" to obtain more potent leads and peptidomimetics.

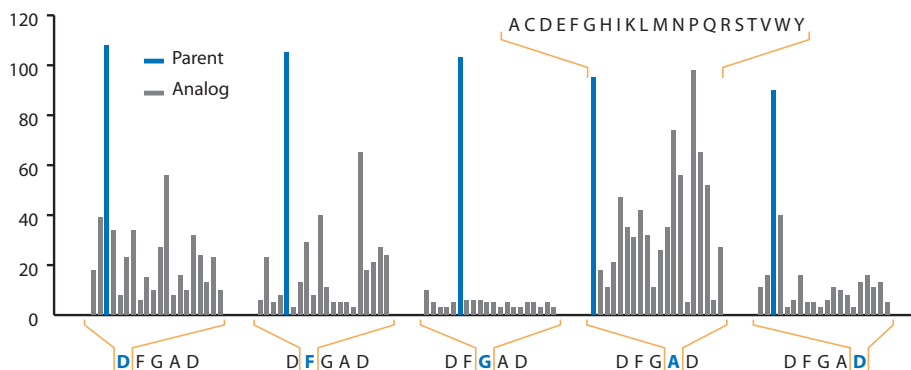
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**Figure 1: Application of a FRET PepSet for protease specificity studies**

A combinatorial library of FRET peptides was used to obtain kinetic data on protease specificity. This figure illustrates the increase in fluorescence with time, resulting from peptide cleavage, for 96 peptides from the library.



**Figure 2: Application of a PepSet to Analoging.**

Each amino acid of sperm whale myoglobin pentapeptide epitope <sup>122</sup>DFGAD<sup>126</sup> is replaced in turn with one of the 19 alternative amino acids to determine replaceability (Structure-Activity Relationships) in an antibody-binding assay.

## Combinatorial Tripeptide Libraries

**Mimotopes offers full combinatorial tripeptide libraries for initial lead finding.** Tripeptide libraries can be combinations of natural amino acids or can include novel non-natural amino acids.

## Fast Synthesis

**PepSets are fast to make, because the peptides are made using a unique parallel synthesis technology.** Typically, PepSets of 100 to 1,000 peptides can be supplied within 4 weeks. All peptides can be shipped at the same time, and thus can be screened simultaneously to obtain full data sets under identical conditions.

## Our Experience is Your Advantage

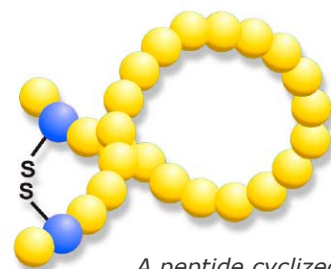
**For more than 15 years, Mimotopes has been helping researchers around the world with peptide-related projects.** We believe in professional customer service, including: the provision of advice based on our expertise; confidentiality of customer specific information; and excellence in everything we do.

## Ordering Information

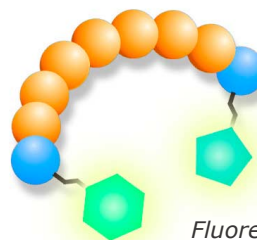
**Mimotopes offers an obligation-free design assistance service, which will include assessment of the feasibility of the peptides and a quotation for the specific set as designed for you.** Alternatively, you can design and specify the peptides, and Mimotopes, after confirming their feasibility, will manufacture them to your design. PepSets can be ordered directly from Mimotopes, or through Mimotopes sales offices in various countries, or through our network of distributors. Specific order conditions will be included in the quotation.

Contact Mimotopes for further information with the following applications or other projects you may have.

- Off the shelf combinatorial libraries
- Protease substrate specificity mapping
- Hormone analoging
- Custom designed screening libraries for discovering new bioactive peptides



*A peptide cyclized through a disulfide bond between two cysteine residues.*



*Fluorescence Resonance Energy Transfer (FRET) peptide libraries are ideal for protease specificity mapping.*

**Figure 3: Examples of available peptide library designs**

## Applications in Biological Research

Some of the most frequently used applications are listed below.

- **Immunology**  
The most common use of PepSets in immunology is for B and T-cell Epitope Mapping.
- **Pharmacology/Physiology**  
The most common use of PepSets in pharmacology/physiology is for locating or analoging of pharmacologically active peptides such as neurotransmitters, hormones or chemokines.
- **Biochemistry**  
PepSets are used in biochemical studies as enzyme substrates, inhibitors, or ligands.
- **Molecular Biology**  
Peptide libraries can be used to look for the sites of protein-protein or protein-nucleic acid interaction. Testing can be by direct capture of the protein or nucleic acid, by measurement of captured peptide, or by inhibition of another known binding interaction. Protein sequences can be screened for interaction sites by making panels of antipeptide antibodies covering the whole protein.
- **Immunodiagnostic Test and Vaccine Development**  
Preliminary screening of all possible sites for effectiveness of antipeptide antibodies in a disease model can lead directly to the best vaccine candidates and save years of expensive "trial and error" research based on predictive methods. Likewise, immunodiagnostic tests need to use peptide which is recognized most frequently and strongly by immune sera or cells.
- **Medical and Veterinary Clinical Immunology Studies**  
Understanding the basis of clinical disease related to the immune system, whether arising from protective immunity, immunopathology, transplant rejection or reaction to mutated cancer cells may require testing of large numbers of individuals over many proteins or epitopes. This may only be possible with peptide libraries, and to achieve the broad surveys needed, it is critical to use peptide reagents with the right characteristics. When epitopes become defined through the use of libraries, frequently the next step is to work with purified peptides. Mimotopes purified custom

peptide products can be supplied to a quantity and purity specification suitable for most projects and budgets.

- **New Drug Discovery**  
Peptide Libraries can be a rich source of candidates for a drug development program. For example, an SAR study on a bioactive peptide fragment can reveal the critical residue(s) for bioactivity, which can then be further "analoged" to obtain more potent leads and peptidomimetics.

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