Clemson University Research Foundation

# PEGylated Amino Acids for Easier Peptide Synthesis (2013-067)

*Pre-PEGylated Amino Acids Resulting in Peptides with Increased Solubility, Easier Production*

## Market Summary

These pre-PEGylated (Poly Ethylene Glycol) amino acids can be used directly in solid phase peptide synthesis (SPPS) with no need for orthogonal protection schemes, making the creation of PEGylated peptides easier for manufacturers. This approach is more powerful than existing PEGylation schemes – which generally involve large proteins and not polypeptides – resulting in a potential dramatic market expansion for peptide therapeutics. The biotechnology and pharmaceutical global markets have experienced consistent growth between 2011 and 2016 and represent multi-billion dollar segments. The needed orthogonal protecting groups can complicate the synthesis process by requiring harsher reagents to remove and a skilled chemist to design and execute the synthesis. Peptides generally have to be screened and identified and then PEGylated late in the process where the PEGylation can have adverse, unintended consequences such as reduced affinity. Clemson University researchers alleviated this concern by developing a way to incorporate pre-PEGylated amino acids directly into SPPS without the need for orthogonal protecting groups, enabling screening for the positive effects of PEGylation much earlier in the medicinal chemistry process.

## Application

Drug discovery; pharmaceutical and biotechnology; biomaterials; medicinal chemistry; manufacturing

## Stage of Development

Validated Prototype

## Advantages

- PEGylation can increase solubility and reduce cleavage of the peptides by proteases in the blood, alleviating severe problems for peptide drugs
- Pre-PEGylated amino acids can be directly incorporated into in solid phase peptide synthesis (SPPS), resulting in an easy process that requires minimal skill level
- Eliminates the issue of poor solubility and proteolytic resistance, improving shelf-life of peptides and amino acids

## Technical Summary

These pre-PEGylated amino acids can be used directly in solid phase peptide synthesis without requiring orthogonal protecting groups utilizing an easy process. Clemson University researchers have synthesized amino acids, specifically glutamine, lysine, and threonine, that are PEGylated with small, monodispersed PEGs. PEGylated amino acids increase peptide solubility and reduce the possibility of peptide cleavage, removing a major barrier of advancing the commercialization of peptide drugs. The researchers also discovered that PEG2-glutamine and PEG3-lysine in particular can impart almost unbelievable increases in solubility – even compared to PEG-glutamine and PEG-lysine.

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| App Type | Country | Serial No. | Patent No. | CURF Ref. Number | Inventors |
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## About the Inventor

Dr. Modi Wetzler is a Research Assistant Professor in the Department of Chemistry at Clemson University. He earned his Ph.D. from the University of California at Berkley and completed his postdoctoral studies at Stanford University. His research interests focus on new ways of PEGylating peptides, peptoid structure and folding, and novel actinide ligands. Dr. Wetzler utilizes peptoids (N-substituted polyglycines) as a synthetic platform for developing applications in medicinal chemistry, materials and nanotechnology.

## For More Information

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