Synthesis of Cyclic Peptides at High Concentrations without Forming Multimers

Auburn University is seeking a licensee or development partner for an efficient method for creating cyclic peptides

Inventors:

Dr. Monika Raj
Assistant Professor
Chemistry and Biochemistry
Auburn University

Victor Adebomi
Graduate Student
Chemistry and Biochemistry
Auburn University

Contact:

Troy Brady, PhD, CLP
Auburn University
Innovation Advancement
& Commercialization
334-844-4977
lifesci@auburn.edu
iac.auburn.edu
Reference: Cyclic peptide method

Additional Available Technologies:

Life Sciences
Physical Sciences

Overview: Cyclic peptides are currently growing more popular in the pharmaceutical industry due to their stability, potency, and ability to interrupt protein-protein interactions. Despite the rise in interest, creating cyclic peptides can be challenging. One of the most notable challenges is preventing the formation of dimer and oligomer byproducts. With this new method, formation of these byproducts is no longer an issue. This method opens the door for new opportunities in both drug discovery and research.

Advantages:

- **Single product -** peptides circularize without forming dimers or multimers
- **Site selective, single reaction -** bonds at one site in just one reaction
- **High peptide concentrations -** up to 100X more concentrated than other methods

Description: Over 40 cyclic peptides are currently being used in pharmaceuticals, and more are being developed as drugs. Synthesis is often inefficient, however, due to dilute reaction conditions and formation of dimers or oligomers. This new method eliminates many of these difficulties, preventing the formation of dimers and oligomers by driving the reaction toward the desired product. All that is required is that the peptide have a C-terminal aldehyde. The method works with substrates bearing aromatic and aliphatic amino acids at the N-terminus including Trp, Tyr, and β-branched Val. In addition, reactive side chains such as Gln, Asn, Asp, His, Tyr, Cys, or Lys do not require protection. Other features include: compatibility with a variety of peptide sizes (demonstrated on up to 23 member/8 amino acid peptides; longer is possible), mild reaction conditions, introduction of a stabilizing 4-imidazolidinone moiety, and an exceptional conversion rate even with high concentrations. This method could be the basis for a peptide cyclization kit and/or drug discovery or synthesis process.

Status:

- U.S. Patent application (20220119445) has been filed
- Demonstrated on a variety of peptide aldehydes
- Available for exclusive or non-exclusive licensing

FEATURES	Auburn Cyclization Method	Other Cyclization Methods
Cost effective	Yes	Some
pH friendly	Yes	Yes
One step reaction	Yes	Yes
Site selective	Yes	Yes
Compatible with multiple peptide sizes	Yes	Yes
Does not form dimers/multimers	Yes	Some
Results in rigid circular structure	Yes	No
High peptide concentrations	Yes	No
Scalable	Yes	No

Early Stage → *Lab Scale* → *Kit Development* → *Market Ready*