Peptide Stapling by the Means of Selective Cysteine Alkynylation Using Hypervalent Iodine Reagents

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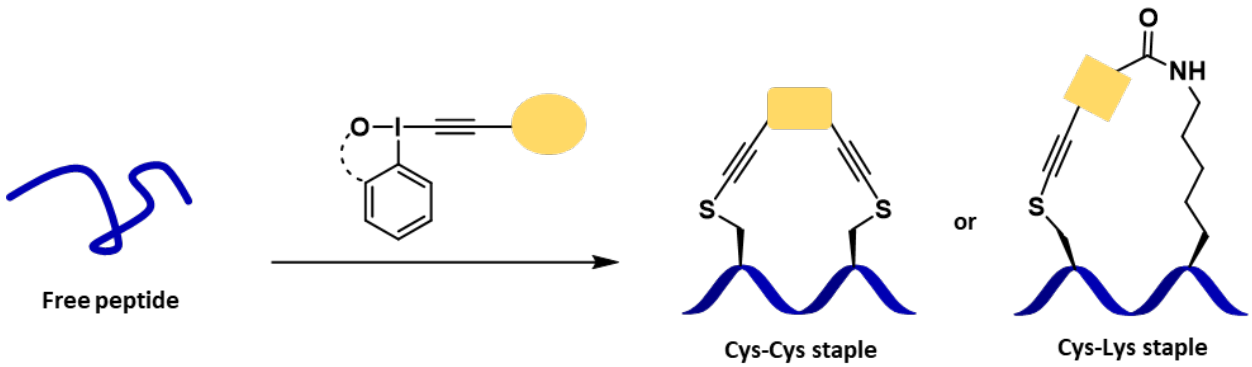
A stapled peptide is formed via a covalent linkage of two amino acid side chains. Such cross-linking can be used to stabilise short α-helices. This secondary structure is commonly mediating protein-protein interactions (PPIs). Thus, stapled peptides bearing helicity have a potential to inhibit PPIs, making them a desirable target. [1]
Selective cysteine alkynylation using hypervalent iodine reagents has been previously developed in the group. [2,3,4] This method has been further extended to cysteine-cysteine and cysteine-lysine stapling by the introduction of novel reagents. Herein, we will present the recent progress and discoveries towards our metal free peptide stapling method that utelises natural amino acid residues.



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