Stereoselective Macrocyclization of Peptides in CyClick Chemistry

Significance: The pharmaceutical industry’s interest in peptide therapeutics is increasing owing to their low toxicities, high specificities towards targeted proteins, and so on. The authors describe a stereoselective macrocyclization of peptides through click chemistry.

Comment: This DMAP-mediated intermolecular cyclization gives the desired cyclic peptides in moderate to high yields without the formation of linear oligopeptides through intramolecular reactions.

Selected examples:

![Chemical structures](image)

71% yield
45% yield
75% yield
76% yield
59% yield
84% yield