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:

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

DMAP (7.0 equiv)
DMF–H2O (1:1, 5 mM)
r.t., 8–16 h