Thiol-Labile Amino Protecting Group that Suppresses the Epimerization in Peptide Synthesis

Significance: In peptide synthesis, epimerization is a long-standing problem, which is why various researchers have conducted investigations to suppress it. The authors have developed a thiol-labile amino protecting group that effectively suppresses the epimerization.

Comment: DNPBS-protected amino acids were easily prepared in good yields for all proteinogenic amino acids. In the peptide coupling reaction, the use of DNPBS-protected amino acids suppressed epimerization more effectively than the use of carbamate-protected amino acids. This method was also applied to solid-phase peptide synthesis.