Preparation of Dehydroamino Acids/Peptides and their Application

Selected examples:

1. [Chemical Structure Image]
   - PhthN\(\text{N}\)CO\(\text{Me}\) quant. (Z:E = 9.2:1) 90% yield
   - PhthN\(\text{N}\)CO\(\text{Me}\) 93% yield
   - PhthN\(\text{N}\)CO\(\text{Me}\) 73% yield

2. [Chemical Structure Image]
   - 88% yield
   - 59% yield
   - 63% yield

Comment: The dehydroamino acids are prepared through N-chlorination of peptide bonds, with catalysis by 1-azabicyclo[2.2.2]octane (ABCO), and subsequent DABCO-induced β-elimination of an N-chloroamide. Various dehydroamino acids were obtained in moderate to excellent yields. The strategy permits the construction of a wide variety of dehydroamino acid residues in peptides and facilitates late-stage installation of such motifs into existing oligopeptides.

Significance: Late-stage modification of structurally complex peptides bears enormous potential for drug discovery. The authors have developed a method for the formation and modification of dehydroamino acids.