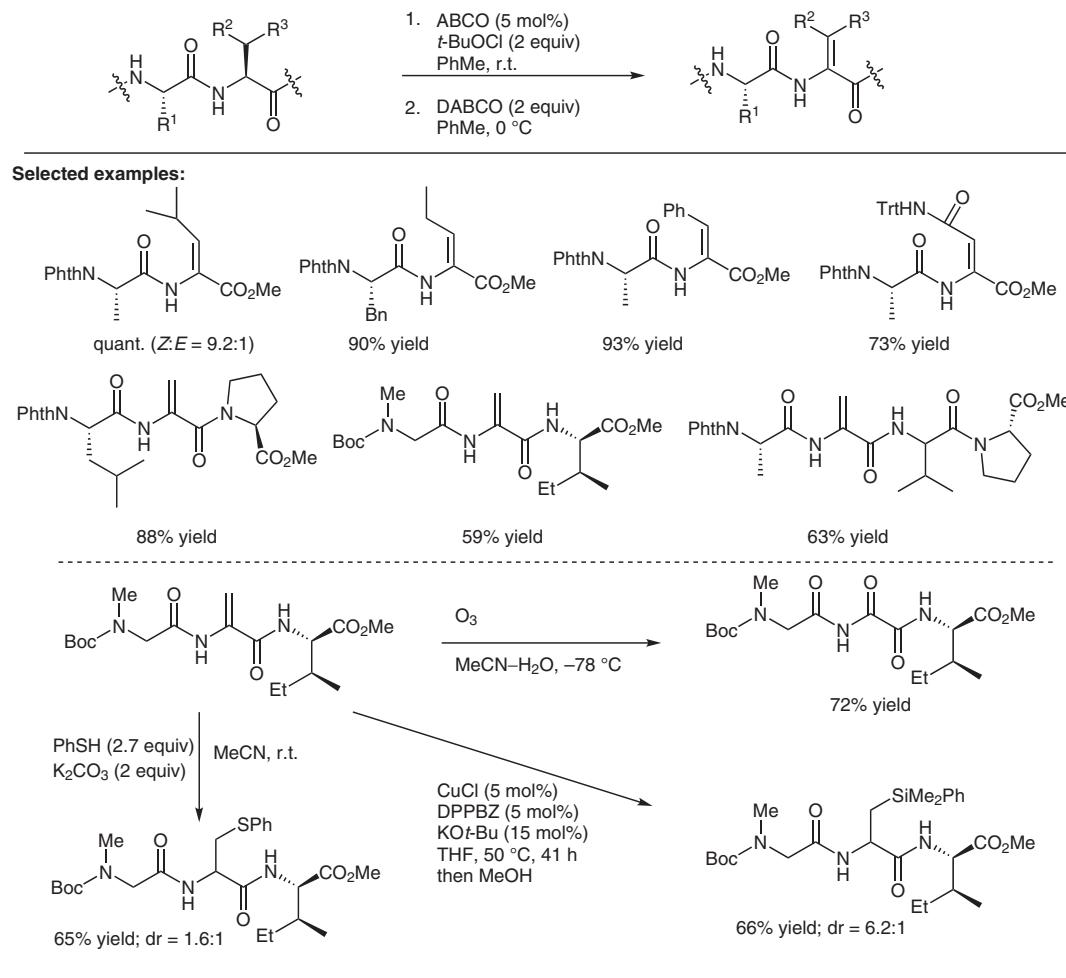


Preparation of Dehydroamino Acids/Peptides and their Application

Category
Peptide Chemistry
Key words
dehydroamino acids
chlorination
azabicyclooctane
organocatalysis
late-stage modification



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

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 b-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.