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Application of the Palladium-Catalyzed N-Allylation to the Modification of Amino Acids and Peptides


**Synthesis of N-Allyl Amino Acids and Peptides**

**Significance:** N-Alkyl amino acids and peptides are key building blocks for many peptide drugs. In particular, N-allyl amino acids and peptides form key motifs for the synthesis of cyclic peptides. In 1999, Kazmaier and Zumpe developed a palladium-catalyzed N-allylation of N-tosyl and N-trifluoroacetyl amino acids and peptides.

**Comment:** Palladium-catalyzed N-allylation of esters of N-tosyl and N-trifluoroacetyl amino acids and peptides with allyl ethyl carbonate proceeded smoothly to deliver desired products in good yields. The protocol is very simple in practice and proceeds under neutral conditions.

**Selected examples:**

![Chemical structures and yields](image)

97% yield

97% yield

97% yield

98% yield

99% yield

99% yield

99% yield

99% yield

93% yield

70% yield

40% yield

81% yield

85% yield

70% yield