

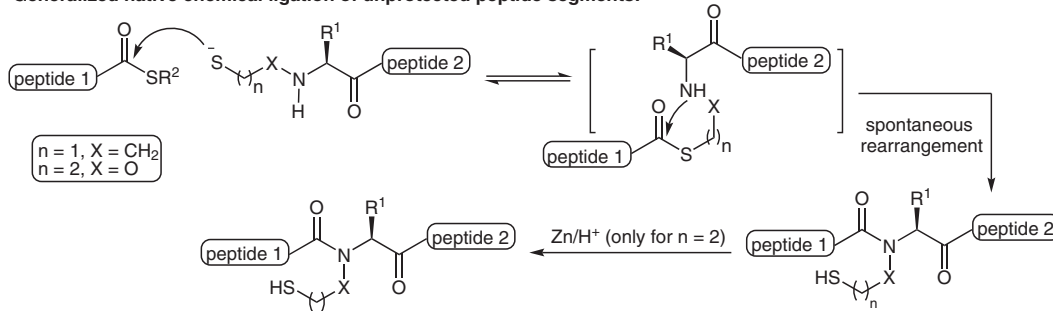
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Extending the Applicability of Native Chemical Ligation

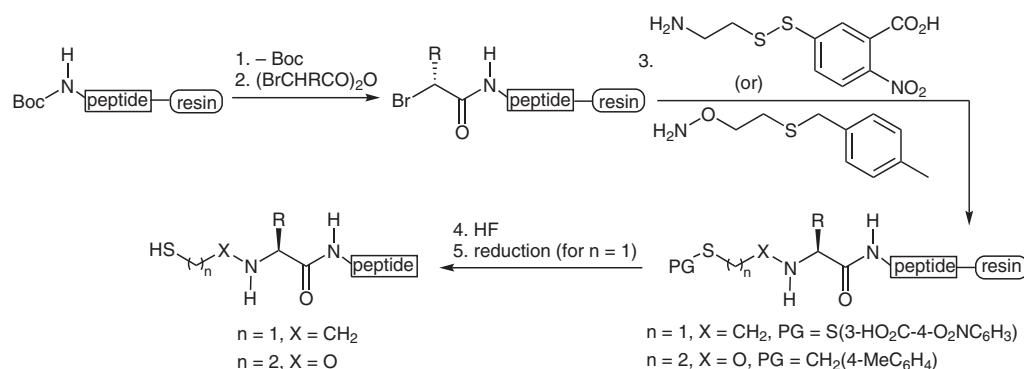
J. Am. Chem. Soc. **1996**, *118*, 5891–5896.

Extension of Native Chemical Ligation

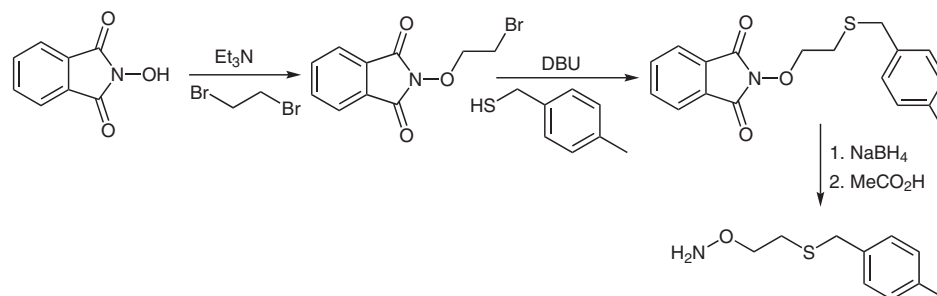
Generalized native chemical ligation of unprotected peptide segments:



Synthesis of N^α(substituted) peptide segments:



Synthesis of (aminoxy)ethanethiol derivative:



Significance: The authors have extended the applicability of native chemical ligation (NCL) of unprotected peptide segments by the use of X-Gly and Gly-X ligation sites. This increases the number of suitable sites for NCL by a factor of three, to include more than 50 of the 400 dipeptide sequences found in proteins.

Comment: In this NCL method, the [peptide₁]^αCOSR reacts with a second peptide having an N^α-[(oxy)ethanethiol] group to afford the thioester-linked product, which rearranges to form a ligation product linked by an N-substituted amide bond. In addition, the substitution on the amide bond can be removed by treatment with Zn in acidic medium.

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