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Communication

Glycopeptide ligation *via* direct aminolysis of selenoester

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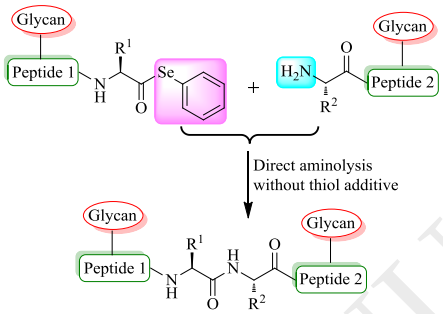
Graphical abstarct



In this work, the selenoester of unprotected glycopeptide was readily prepared, and the direct aminolysis of glycopeptide selenoester was successfully applied to synthesize MUC1 mucin sequence.

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ABSTRACT

Direct aminolysis of selenoester in aqueous media was investigated as a glycopeptide ligation strategy. This strategy allows the peptide and glycopeptide ligation to proceed smoothly (even with hindered amino acids) without the need of cysteine residue, N-terminal thiol auxiliary or coupling additive, and to afford the corresponding amide products in excellent yields. No epimerization was observed during ligation reations. In this work, the selenoester of unprotected glycopeptide was readily prepared, and the direct aminolysis of glycopeptide selenoester was successfully applied to synthesize MUC1 mucin sequence efficiently.

Native chemical ligation (NCL), initially developed by Kent and co-workers [1], is one of the most effective methods in chemical synthesis of proteins [2,3]. It involves the selective ligation of an unprotected peptide bearing C-terminal thioester and an unprotected peptide bearing N-terminal cysteine or surrogate. During the assembly of peptide fragments, the NCL strategy is restricted in requiring a cysteine residue or a thiol auxiliary at the ligation junction. Alternatively, researchers also developed the strategies of direct

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