

Expedient on-resin modification of peptide C-terminus through benzotriazole linker

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To address the C-terminal functionalization of peptides on resins, a convenient and efficient method was developed and used to transform resin-bound 3,4-diaminobenzoic acid species to resin-bound benzotriazole (Bt) linkers that were displaced by nucleophiles during cleavage of the peptide-resin connection. By transforming resin-bound 3,4-diaminobenzoic acid species with isoamyl nitrite, the resulting resin-bound benzotriazole entity can be efficiently displaced by nucleophiles during cleavage of the peptide-resin connection in a short reaction time. The resin cleavage step allowed for the use of various nucleophiles, including, water, EtOH, amines, thiol, and G5 poly(amidoamino) dendrimers, with yields ranging from 66% to 82% within 5 h of reaction times. This method was successfully applied to prepare elastin sequence (VPGVG)₄ through on-resin ligation in 77% yield in one day and a head-to-tail cyclic peptide, sunflower trypsin inhibitor-1, in 42% yield.

- ※ 投稿格式(請依此範例版本)：紙張大小請以 A5 橫式、邊界上下左右 1.9cm、段落行距為”單行間距”、標題字型大小 12、作者及內文字型大小 10。
- ※ 本次會議之演講及口頭論文報告(含碩、博士生及博士後研究員)中英語皆可。
- ※ 口頭及壁報論文優選前三名，獎金及獎狀由大會頒發
- ※ 若口頭報告報名者太多，基於議程安排，大會保留篩選權。