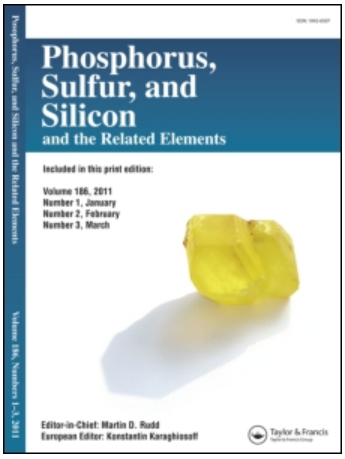

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Phosphinothricin as a Building Unit for Oligopeptides

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Phosphinothricin as a Building Unit for Oligopeptides

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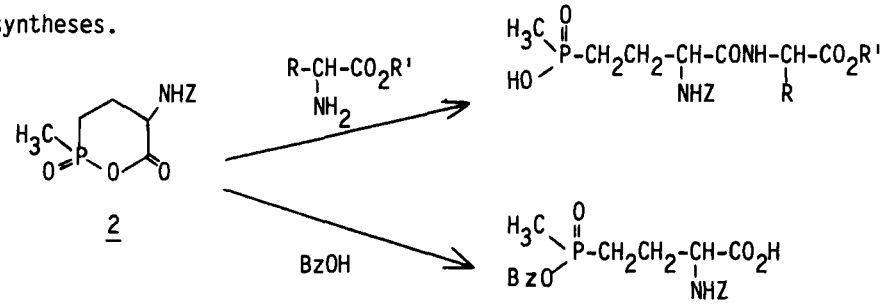
Peptides with N-terminal homoalanin-4-yl(methyl)phosphinic acid (phosphinothricin, 1) can be synthesized by means of the carbodiimide method. Advantageously the carbobenzyloxy (Z-)group is used as amino protecting group, while protection of the phosphinic acid is not necessary. The key-step of this procedure is the intermediate formation of the cyclic phosphinic acid-carboxylic acid - anhydride 2, which is attacked by N-nucleophiles, such as amino acid esters, selectively at the carbonyl function. On the other hand, reaction of 2 with alcohols yields phosphinothricin-P-esters with a free carboxylic group. These compounds are valuable starting materials for further peptide syntheses.



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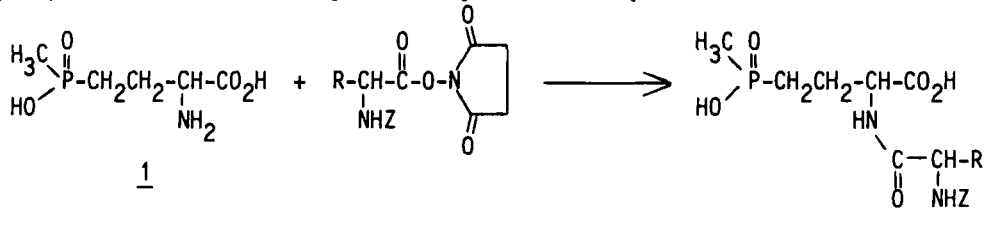
Dipeptides with C-terminal phosphinothricin are available by the active ester method (N-hydroxysuccinimide). Using this procedure, no protection of the phosphinic- and the carboxylic moiety is necessary.



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