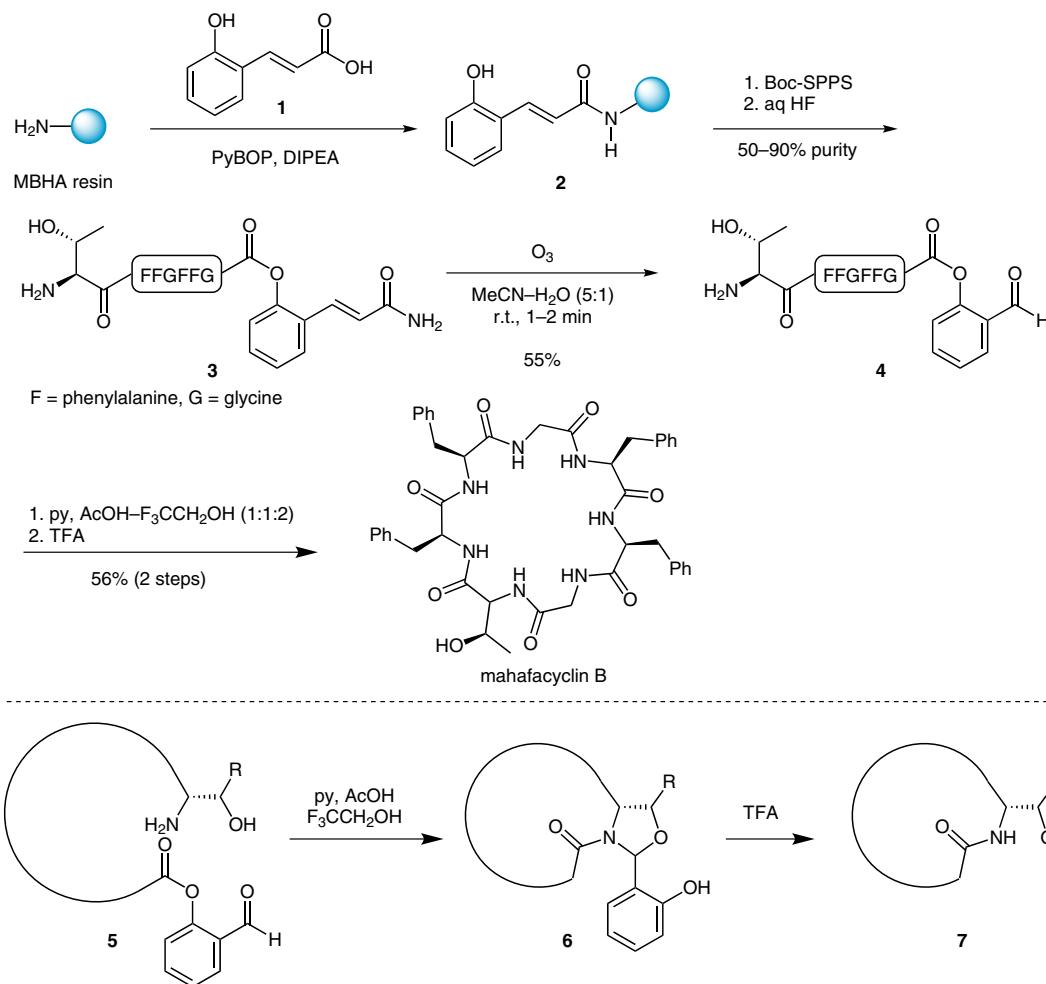


Cyclic Peptide Synthesis Using Peptidyl Salicylaldehyde Esters



Significance: A protocol for the solid-phase synthesis of cyclopeptides was described. Starting from MBHA resin and **1**, peptide **3** was prepared in 50–90% purity utilizing Boc-SPPS. Ozonolysis of **3** afforded a salicylaldehyde ester peptide **4** in 55% yield (other 15 examples: 42–89% yield). The reaction of **4** in the mixture of pyridine, acetic acid and 2,2,2-trifluoroethanol (1:1:2) followed by TFA treatment gave mahafacyclin B in 56% yield over two steps (other 7 examples: 29–65% yield).

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Category

Polymer-Supported Synthesis

Key words

- cyclic peptides
- solid-phase peptide synthesis
- cyclization
- salicylaldehyde esters