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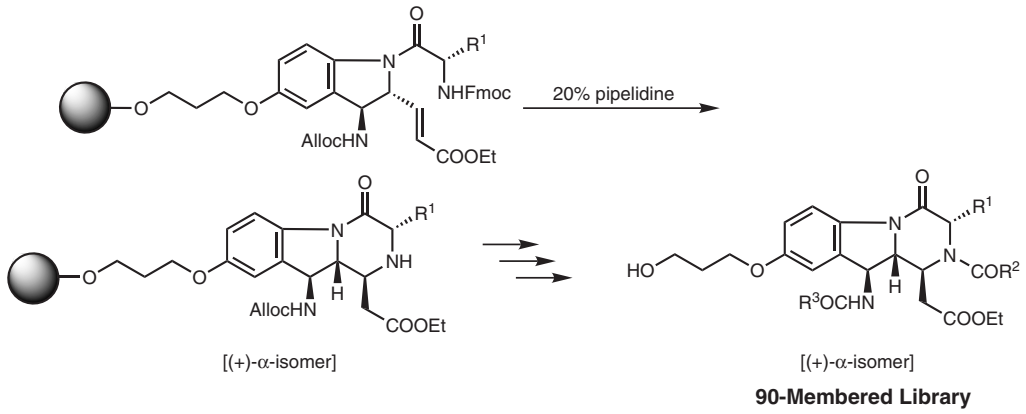
Stereocontrolled Solid-Phase Synthesis of a 90-Membered Library of Indoline-Alkaloid-like Polycycles from an Enantioenriched Aminoindoline Scaffold

Angew. Chem. Int. Ed. **2005**, *44*, 1366-1368.

Stereocontrolled SPOS of an Indoline-Alkaloid-like Library



Significance: A 90-membered library of indoline-alkaloid-like polycyclic compounds was synthesized through stereoselective hetero-Michael reactions with nitrogen nucleophiles as the key step. The seven synthetic steps on the solid-support were carried out under very mild conditions to give the library members in high yields (80–85%).

Comment: Solid-phase synthesis is an effective tool to prepare libraries of drug candidates in a high-throughput manner. The present method can easily introduce the four diversity sites into an indoline-alkaloid-like tricyclic backbone by employing mild conditions, which are appropriate to explore and generate a molecule library.

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Synfacts 2005, 0, 0077-0077
DOI: 10.1055/s-2005-865381; **Reg-No.:** Y00105SF

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Category

Polymer-Supported Synthesis

Key Words

combinatorial chemistry
cyclization
indoline alkaloids
protecting groups
solid-phase

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