

Synthesis of (-)-Asperchalasine A

Category

Synthesis of Natural Products and Potential Drugs

Key words

(-)-asperchalasine A

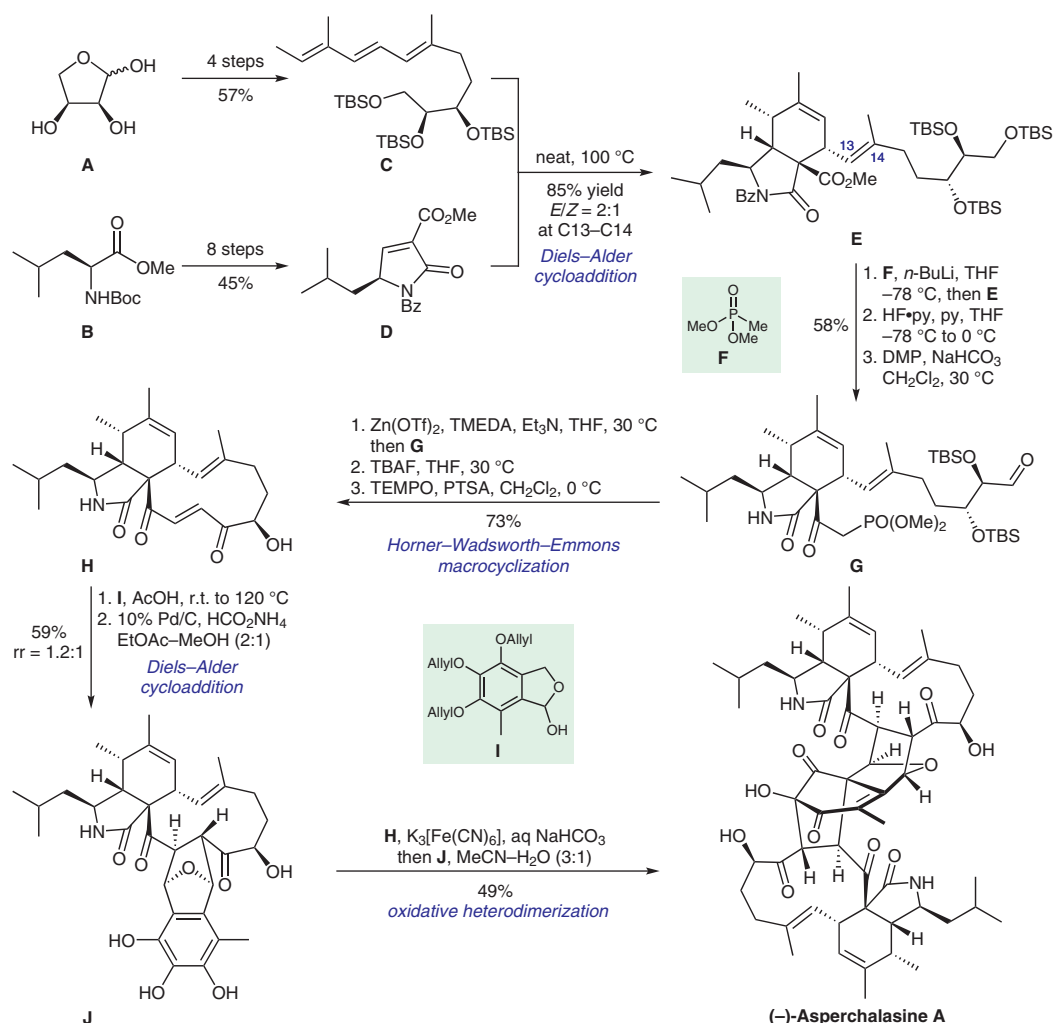
cytochalasan alkaloids

Horner-Wadsworth-Emmons reaction

Diels-Alder reaction

oxidative heterodimerization

Synfact
of the month



Significance: The unique cytochalasan dimer asperchalasine A, which possesses a decacyclic ring system and twenty chiral centers, was among cytochalasan alkaloids recently isolated from the culture broth of *Aspergillus flavipes*. Deng and co-workers took on the synthetic challenges associated with the preparation of the structurally intriguing asperchalasines A in the laboratory and report its first total synthesis. Furthermore, asperchalasines D, E, and H were accessed from shared intermediates.

SYNFACTS Contributors: Erick M. Carreira, Niels Sievertsen
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Comment: The enantioselective synthesis began with the preparation of triene segment **C** and dienophile **D** from L-arabinose and Boc-L-leucine, respectively. Diels-Alder cycloaddition crafted intermediate **E**, which was elaborated further to give 17-*epi*-aspochalasin B (**H**). Diels-Alder reaction of this tricycle with epicoccine-derived **I** provided hexacycle **J**, which was subsequently converted into the target structure by formal [5+2] cycloaddition with an additional equivalent of **H**.