SYNFACTS

Highlights in Current
Synthetic Organic
Chemistry

REPRINT

Thieme

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Total Synthesis of the Akuammiline Alkaloid Picrinine
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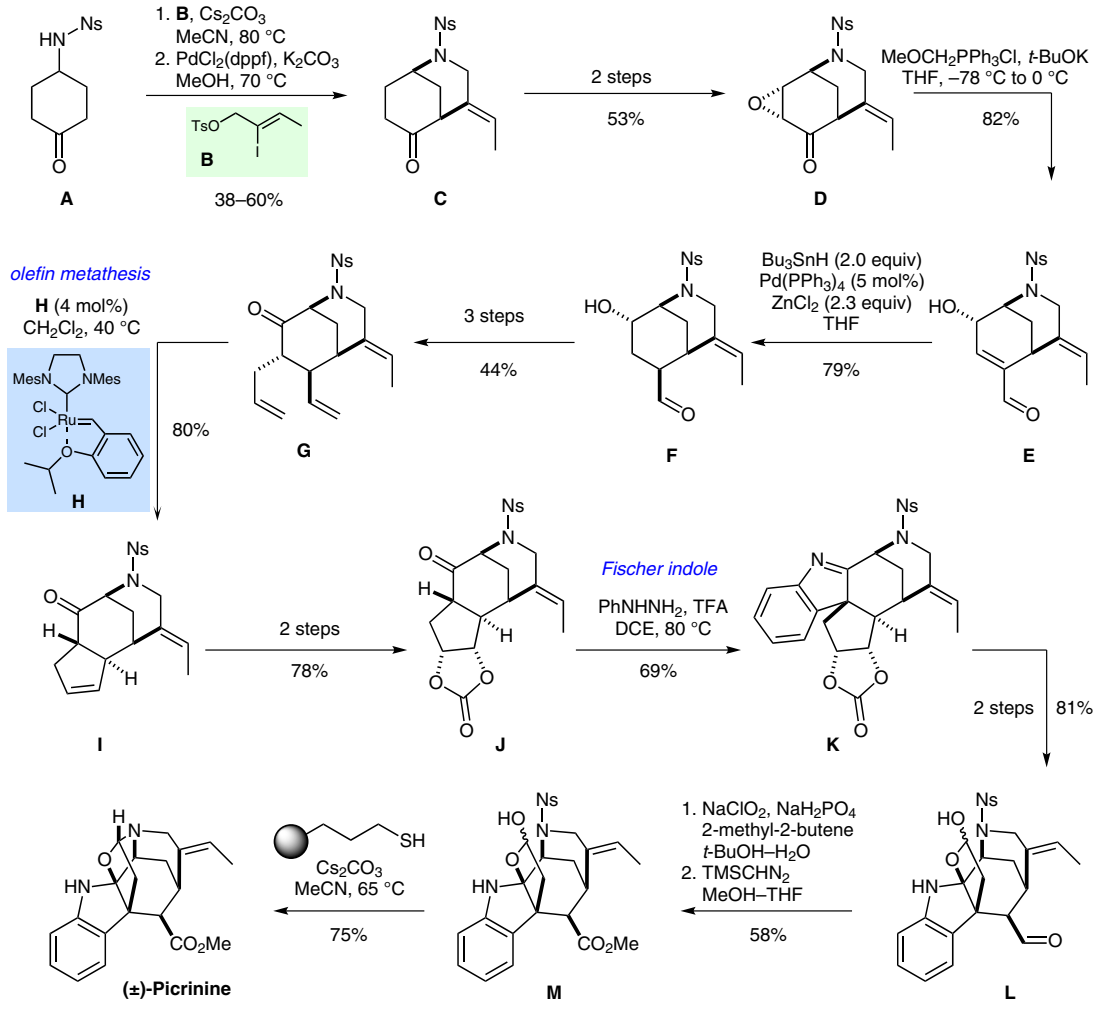
Total Synthesis of (±)-Picrinine



Significance: Akuammiline alkaloids exhibit interesting antibacterial, anticancer, and anti-inflammatory activities. Therefore, they are attractive targets for total synthesis. The authors report the first synthesis of (±)-picrinine. The complex natural product bears six stereocenters and two *N*,*O*-acetals. Its congested structure exhibits a highly functionalized cyclohexyl ring as part of a [3.3.1]-azabicyclic system which is fused to a furoindoline structure.

Comment: The authors converted known ketone **A** into metathesis precursor **G** in nine steps. Ring-closing metathesis of the triene using Hoveyda–Grubbs II catalyst proceeded smoothly. After dihydroxylation and protection as a carbonate, **J** underwent the key Fischer indolization to give **K**. Hydrolysis–oxidation yielded lactol **L**. Careful choice of conditions for the selective oxidation led to methyl ester **M**, which upon deprotection readily underwent *N*,*O*-acetalization to give (±)-picrinine.

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picrinine