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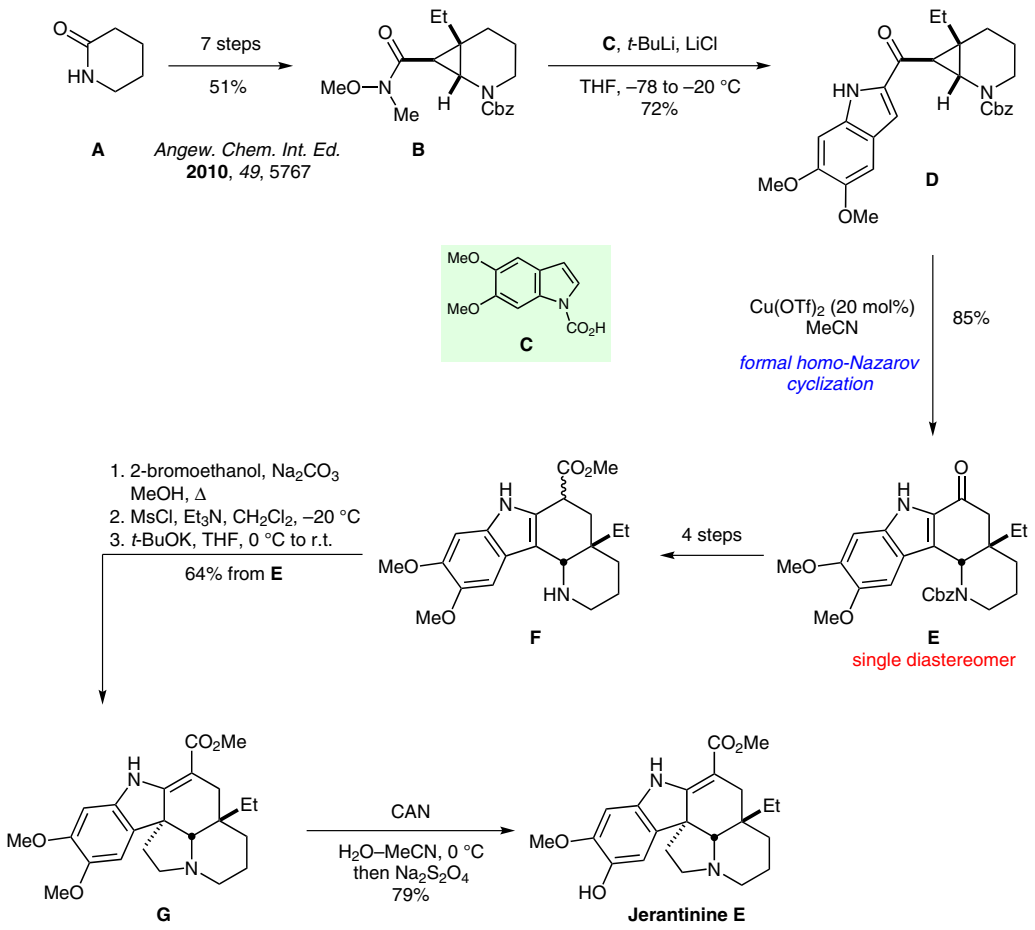
Total Synthesis and Biological Evaluation of Jerantinine E
Angew. Chem. Int. Ed. **2013**, *52*, 13373–13376.

Synthesis of Jerantinine E



Significance: Jerantinine E was isolated in 2008 from *Tabernaemontana corymbosa.* This *Aspidosperma* alkaloid shows potent cytotoxic activity against human KB cells. The authors report the first synthesis of racemic jerantinine E. Separation of (+)- and (–)-jerantinine E allowed for further biological evaluation. Additionally, investigations into the mode of action revealed potent inhibition of tubulin polymerization.

Comment: The synthesis commenced with an organo-lithium addition to Weinreb amide **B**. Treatment of the resulting ketone **D** with copper (II) triflate resulted in a formal homo-Nazarov cyclization, which gave tetracyclic intermediate **E** as a single diastereomer. A double-alkylation sequence of **F** followed by selective demethylation of **G** afforded jerantinine E in 17 steps from **A** and 16% overall yield.

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Synfacts 2014, 10(1), 0009 Published online: 13.12.2013
DOI: 10.1055/s-0033-1340354; **Reg-No.:** C07313SF

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Category
Synthesis of Natural Products and Potential Drugs

Key words
jerantinine E
aspidosperma alkaloids
formal homo-Nazarov cyclization

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