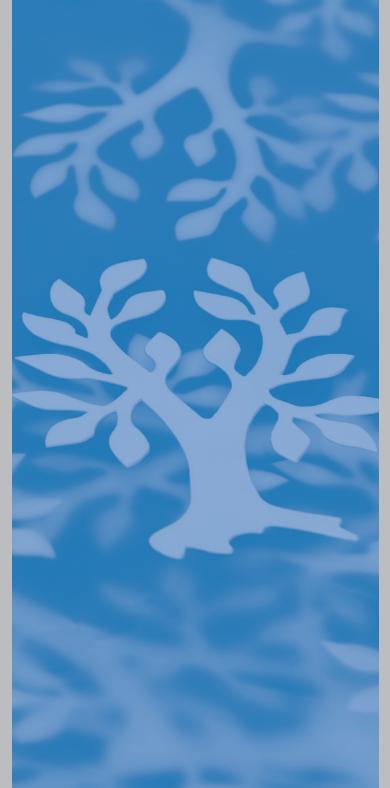
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SYNFACTS Highlights in Current Synthetic Organic Chemistry

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C-H Activation Enables a Concise Total Synthesis of Quinine and Analogues with Enhanced Antimalarial Activity *Angew. Chem. Int. Ed.* **2018**, DOI: 10.1002/anie.201804551.

Total Synthesis of (-)-Quinine

Significance: Quinine is a cinchona alkaloid with potent antimalarial activity, which has drawn the attention of the synthetic community for decades. Employing a C–H activation strategy, Maulide and co-workers report an enantioselective total synthesis of quinine in ten steps and 5% overall yield.

Comment: A picolinamide directing group enabled introduction of an aryl group on the quinuclidine scaffold to afford **B**. Oxidative degradation of the anisole unit gave the corresponding carboxylic acid, which was further transformed into olefin **D**. An aldol reaction of **E** with aldehyde **F** followed by a two-step reduction of the ketone ultimately gave (–)-quinine.

SYNFACTS Contributors: Erick M. Carreira, Matthieu J. R. Richter Synfacts 2018, 14(08), 0781 Published online: 18.07.2018 **DOI:** 10.1055/s-0037-1609881; **Reg-No.:** C03518SF

Category

Synthesis of Natural Products and Potential Drugs

Key words

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cinchona alkaloids
palladium catalysis
C-H activation

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