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Semisynthetic Artemisinin, the Chemical Path to Industrial Production

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Category

Synthesis of Natural Products and Potential Drugs

Key words

artemisinin

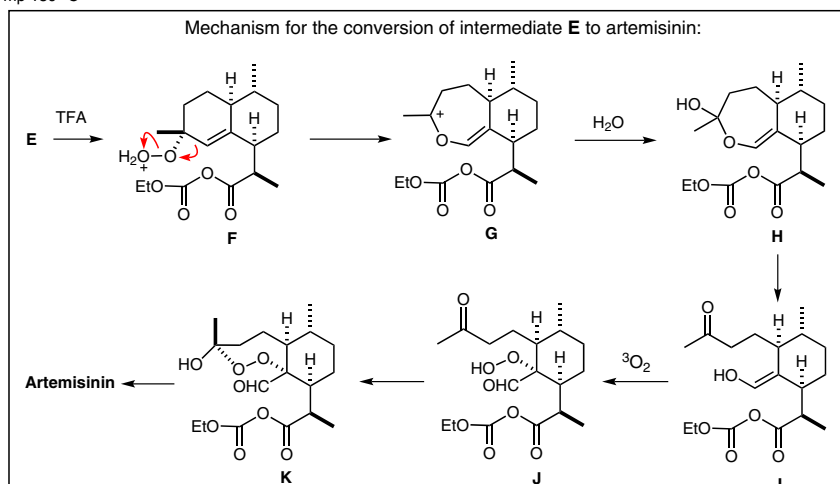
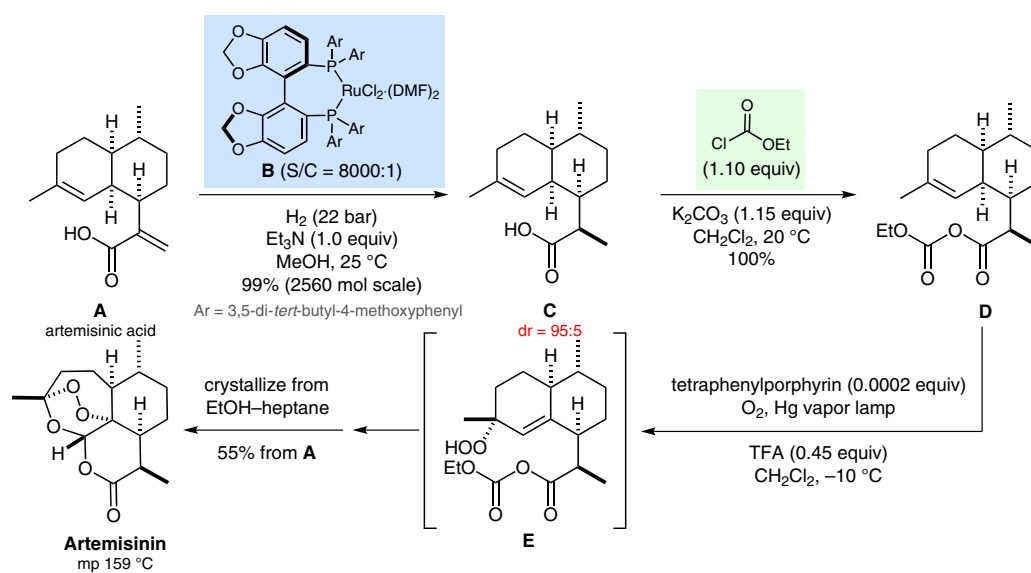
artemisinic acid

diastereoselective hydrogenation

photooxidation

SYNFACT
of the month

Industrial Production of Artemisinin



Significance: Artemisinin is an antimalarial agent extracted from the leaves of *Artemisia annua*. The quest for a more reliable and economic supply of the drug resulted in the large-scale synthesis depicted, which is based on two key steps: (1) the diastereoselective hydrogenation of artemisinic acid (**A**) and (2) the photooxidation of dihydroartemisinic acid derivative **D**.

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Comment: A major breakthrough was the discovery of a highly efficient biosynthetic route to artemisinic acid (**A**) in engineered *Saccharomyces cerevisiae* (C. J. Paddon et al. *Nature* **2013**, 496, 528). This commercial synthesis delivers 365 kg batches of artemisinin starting from 600 kg of **A** (55% overall) and is expected to produce 60 tons per annum.