

J. TURCONI,* F. GRIOLET, R. GUEVEL, G. ODDON, R. VILLA, A. GEATTI, M. HVALA, K. ROSSEN, R. GÖLLER, A. BURGARD* (SANOFI, SISTERON, MARCY L'ETOILE AND NEUVILLE SUR SAÔNE, FRANCE; SANOFI-AVENTIS, GARESSIO, ITALY; SANOFI-AVENTIS, FRANKFURT AM MAIN, GERMANY)

Semisynthetic Artemisinin, the Chemical Path to Industrial Production

Org. Process Res. Dev. **2014**, *18*, 417–422.

Industrial Production of Artemisinin

Category

Synthesis of Natural Products and Potential Drugs

Key words

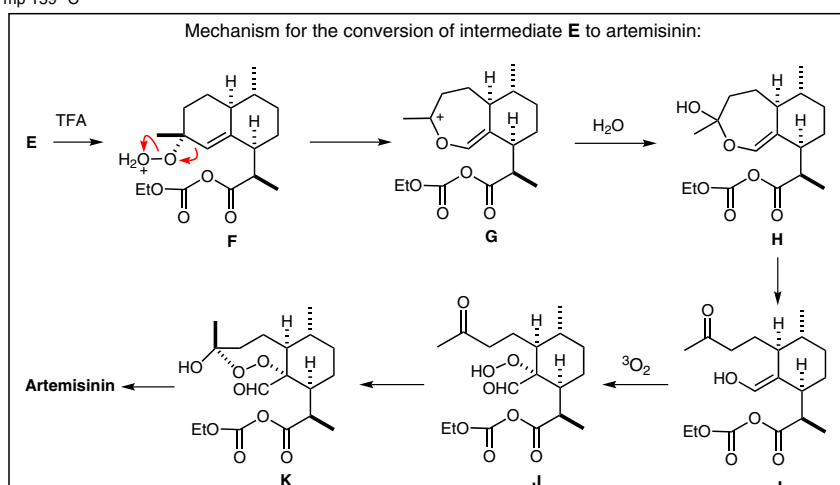
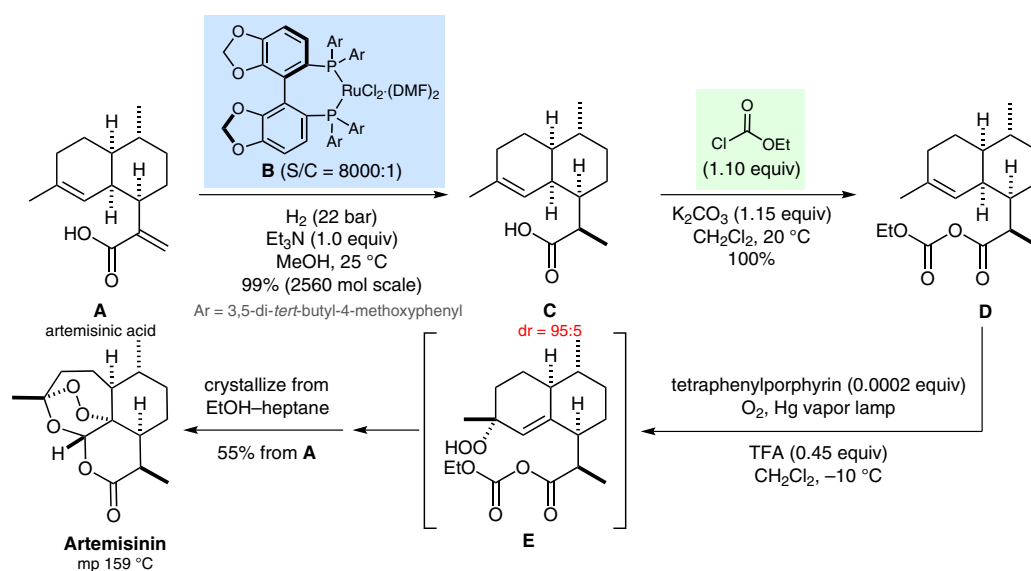
artemisinin

artemisinic acid

diastereoselective hydrogenation

photooxidation

SYNFACT
of the month



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**.

SYNFACTS Contributors: Philip Kocienski
Synfacts 2014, 10(6), 0555 Published online: 16.05.2014
DOI: 10.1055/s-0033-1341264; Reg-No.: K02214SF

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.