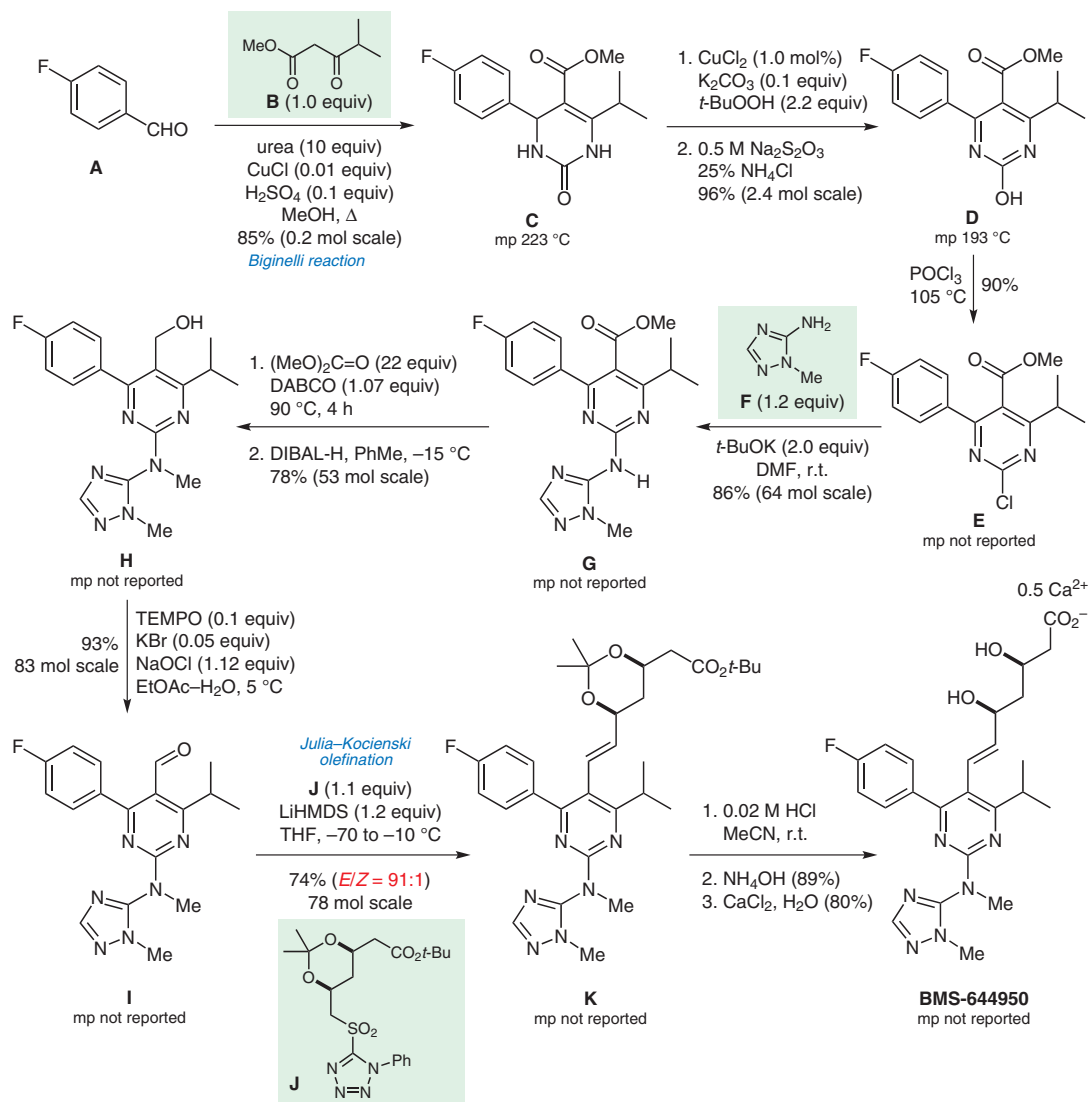


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Development of a Scaleable Process for the Synthesis of a Next-Generation Statin

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## Synthesis of BMS-644950



**Significance:** BMS-644950 is a development candidate for the treatment of hypercholesterolemia. The route depicted delivered >70 kg of the API in 35% overall yield. The synthesis is noteworthy for the large-scale TEMPO oxidation (**H** → **I**, 83 mol scale) and Julia-Kocienski olefination (**A** + **B** → **C**, 78 mol scale).

**Comment:** The Julia-Kocienski olefination was performed by adding LiHMDS to a mixture of the aldehyde **I** and the sulfone **J** in THF at -70 °C (Barbier conditions). In this way the *E*-alkene product was obtained with high stereoselectivity (*E/Z* up to 200:1). Note the use of dimethyl carbonate and DABCO for the N-alkylation of **G**.

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