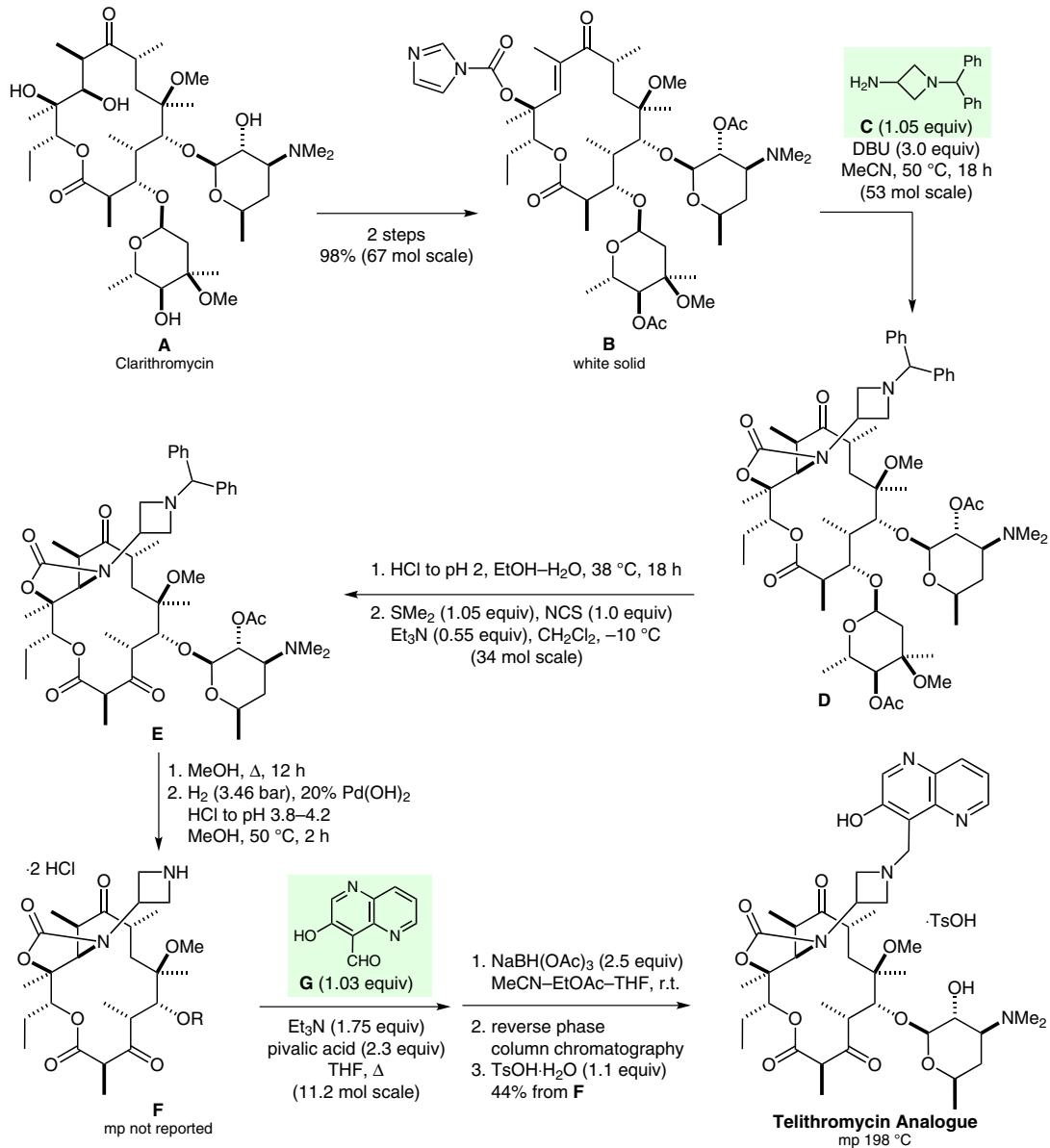


Synthesis of a Telithromycin Analogue



Significance: The target telithromycin analogue was under development as an oral antibiotic for the treatment of respiratory infections. The route depicted delivered kilogram quantities of API starting with clarithromycin (**A**).

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Comment: Note the use of a large-scale Corey–Kim oxidation for the synthesis of the ketolide **E**. An efficient large-scale synthesis of 3-hydroxy-1,5-naphthyridine-4-carbaldehyde (**G**) was developed using a Skraup reaction.