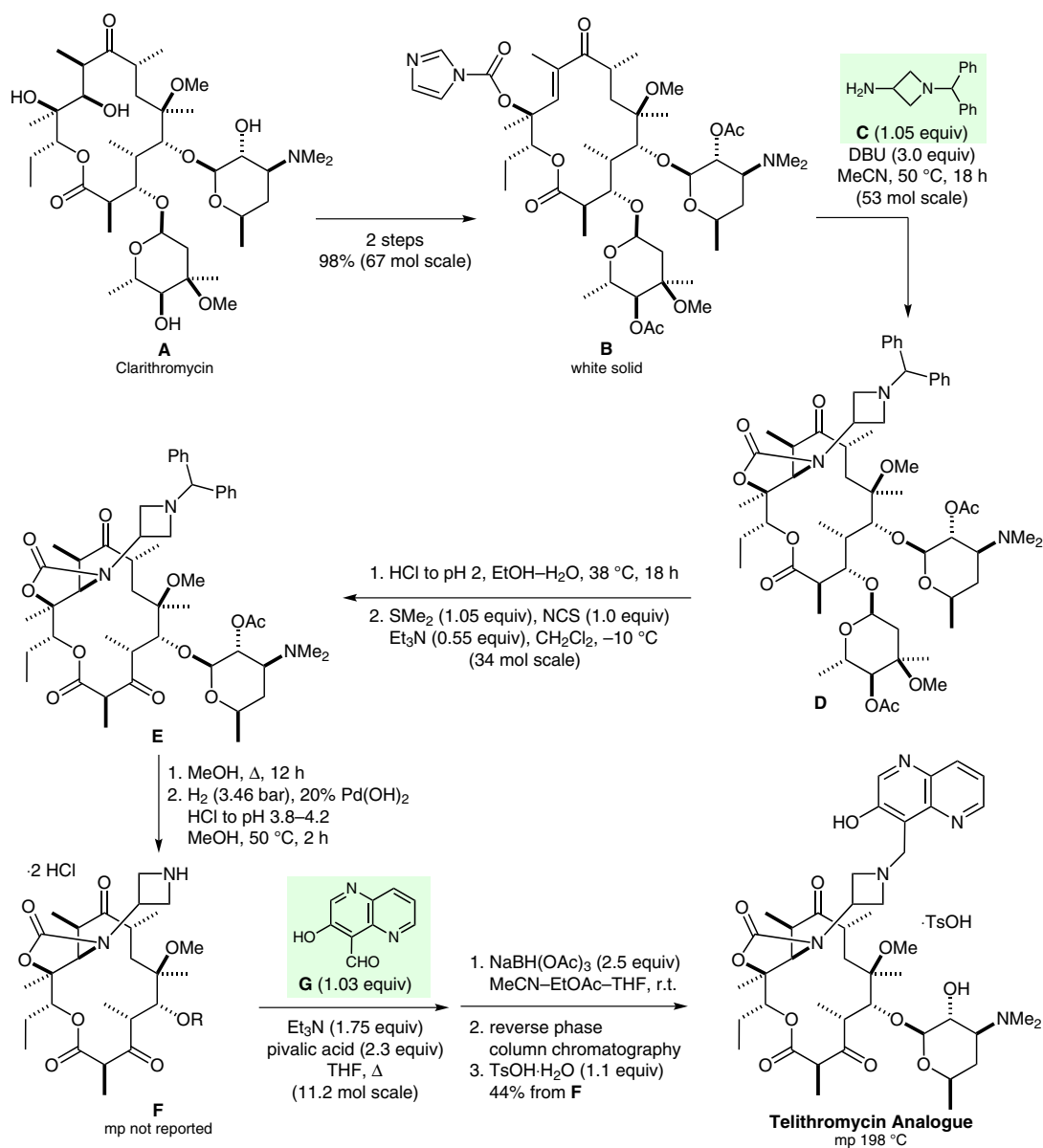


Synthesis of a Telithromycin Analogue



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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Synfacts 2012, 8(8), 0815 Published online: 19.07.2012
DOI: 10.1055/s-0032-1316597; Reg-No.: K04412SF

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.