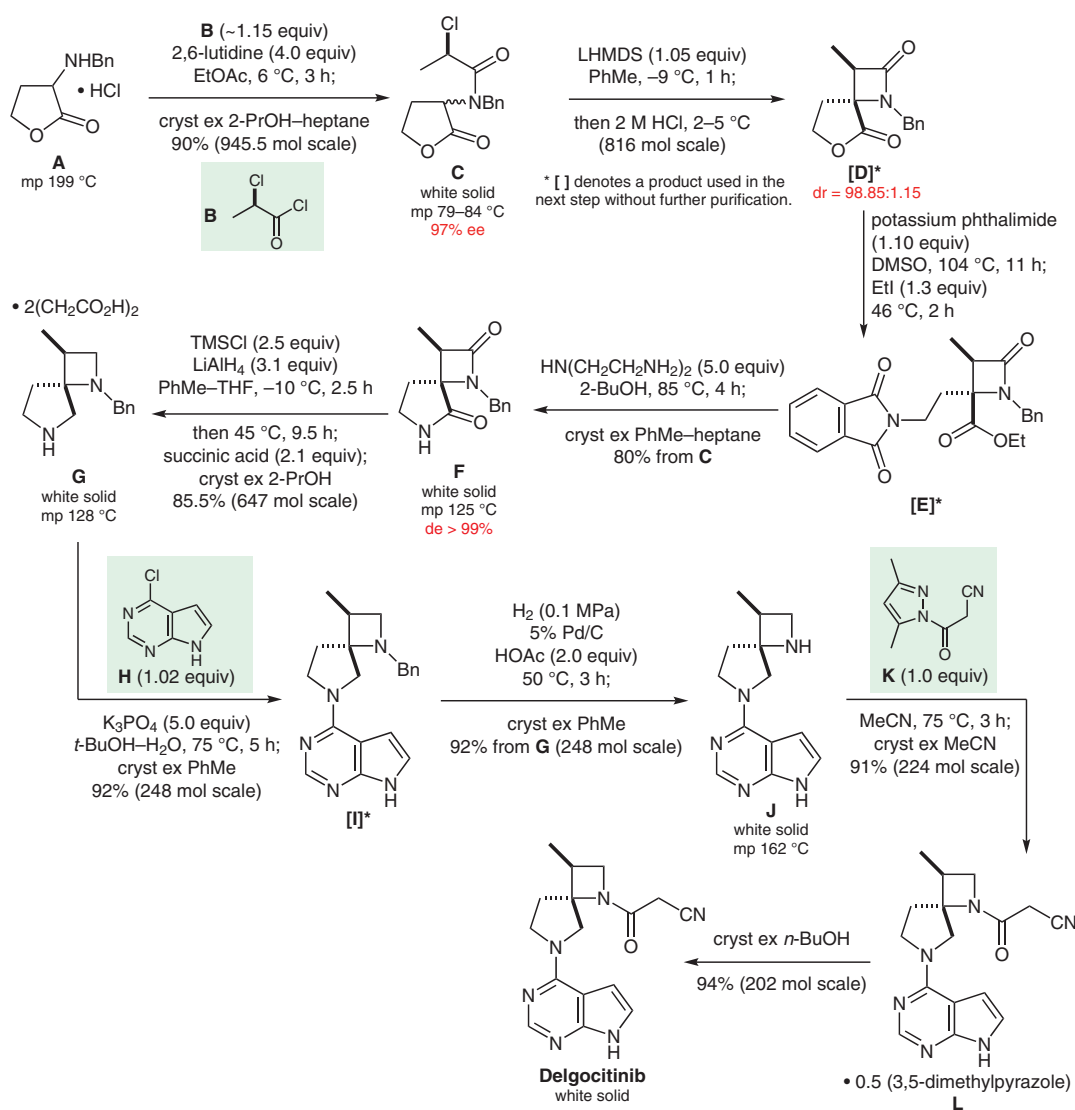


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Stereocontrolled Synthesis of Delgocitinib, a JAK Inhibitor for the Treatment of Atopic Dermatitis

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Synthesis of Delgocitinib



Significance: Delgocitinib is a Janus kinase inhibitor that has been approved in Japan for the treatment of atopic dermatitis. The FDA has granted Fast Track Designation to delgocitinib cream for the treatment of adult moderate-to-severe chronic hand eczema.

Comment: The route to delgocitinib depicted delivered the API in 39% overall yield in nine steps without recourse to cryogenic conditions or chromatographic purification. This route has been implemented on a 60 kg scale.

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Synthesis of Natural Products and Potential Drugs

Key words

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Janus kinase inhibitor

diastereoselective enolate alkylation

spirocyclization

β-lactam ring formation

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