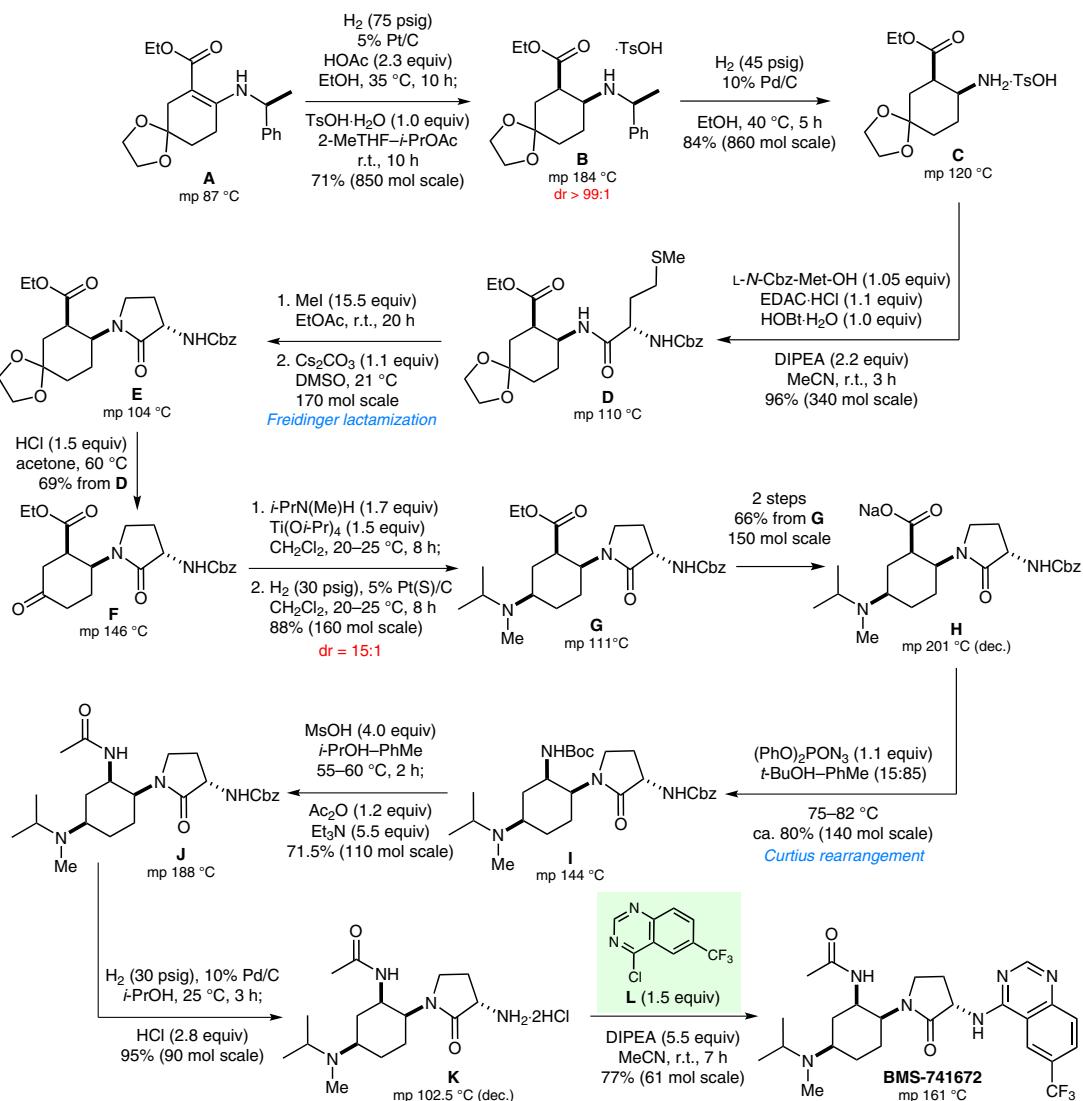


Synthesis of BMS-741672

Key words

BMS-741672
CCR2 antagonist
diastereoselective hydrogenation
reductive amination
Curtius rearrangement
Freidinger lactamization

Synfact of the month



Significance: BMS-741672 is a chemotactic chemokine receptor 2 (CCR2) antagonist that is of interest for the treatment of inflammatory, cardiovascular, and metabolic diseases. A salient feature of the synthesis depicted is the construction of the all-*cis* 1,2,4-triaminocyclohexane core. This route delivered 50 kg of the target in 12 steps and in 9% overall yield.

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Comment: A platinum-catalyzed reduction of β -enaminoester A using (S)- α -methylbenzylamine as a low-cost chiral template and reductive amination of the 3,4-*cis*-disubstituted cyclohexanone F with a secondary amine on a sulfided platinum catalyst established the stereochemistry in the trisubstituted cyclohexane G.