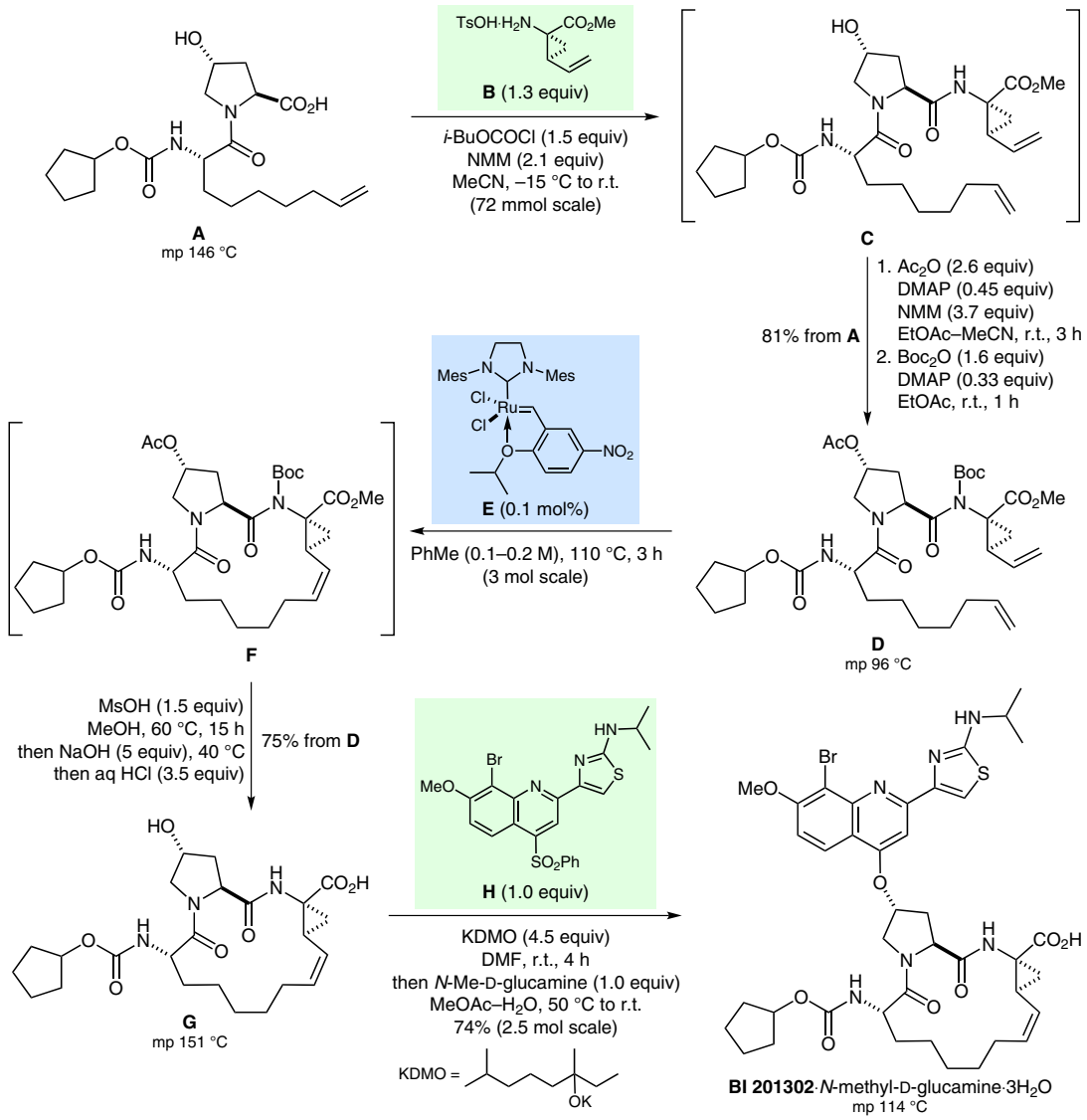
X. WEI* ET AL. (BOEHRINGER-INGELHEIM PHARMACEUTICALS, RIDGEWAY, USA)
A Highly Convergent and Efficient Synthesis of a Macrocyclic Hepatitis C Virus Protease Inhibitor BI 201302
Org. Lett. **2013**, *15*, 1016–1019.

Synthesis of BI 201302



Significance: The synthesis of the HCV protease inhibitor BI 201302 features an efficient ruthenium-catalyzed ring-closing metathesis reaction (0.1–0.2 M) requiring only 0.1 mol% of the Grela catalyst **E** to generate the 15-membered macrocycle **F**. This enhanced efficiency was achieved by installing a Boc substituent on the nitrogen of fragment **D**.

Comment: The S_NAr reaction using a phenylsulfonyl leaving group in quinoline derivative **H** was more efficient than the reaction with the corresponding chloride (92% vs 40% yield). Potassium 3,7-dimethyl-3-octanoxide (KDMO) was used as a base instead of *t*-BuOK because transcarbamoylation byproducts (1–2%) were easily removed by crystallization.

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