**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 \( \text{SN}_2 \text{Ar} \) 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 transcarboxamoylation byproducts (1–2%) were easily removed by crystallization.