Synthesis of a CGRP Receptor Antagonist

Significance: The target molecule **M** is a calcitonin gene-related peptide (CGRP) receptor antagonist that is of interest for the treatment of migraine. It is one of four analogues of rimegepant that were prepared by a common strategy featuring the use of a Hayashi-Miyaura asymmetric conjugate addition (**A** $\rightarrow$ **B**) and Ellman-Davis protocol (**E** $\rightarrow$ **G**) to set two of the three stereogenic centers.

Comment: Attempts to construct the seven-membered ring from **I** by an intramolecular Heck reaction were thwarted by the rearrangement of the exocyclic alkene product to a trisubstituted alkene. This alkene isomerization was suppressed in part by addition of an ester group in **J**.

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