**Synthesis of GDC-0908**

**Significance:** GDC-0908 is a phosphoinositide 3-kinase (PI3K) inhibitor that is of interest for the treatment of cancer. The convergent synthesis depicted features (1) a palladium-catalyzed direct C–H arylation to construct 4,5-dihydrobenzothio[2,3-d]oxepine \( L \), (2) a Boulton–Katritzky rearrangement of 1,2,4-oxadiazole \( F \) to the 1,2,4-triazole \( G \), and (3) a palladium-catalyzed Negishi reaction to forge the heterobiaryl linkage.

**Comment:** In order to deploy the Negishi coupling to forge heterobiaryl \( I \), chemoselective meta-lation of the \( C3–H \) in triazole \( G \) was accomplished using the hindered Knochel base \( \text{TMPZnCl} \cdot \text{LiCl} \) (see Review below). An excess of the base (3.3 equiv) was required because of competing metalla-tion of amide functionalities in \( G \) and \( H \).