**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-dihydrobenzo[b]thieno[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 TMPZnCl•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**.