Synthesis of BMS-986158

**Significance:** BMS-986158 is an inhibitor of the bromodomain and extra-terminal (BET) family of adaptor proteins that are involved in the transcriptional regulation of key oncogenes. It has entered phase 1/2a clinical trials in patients with advanced cancers and hematologic indications including myelofibrosis.

**Comment:** Key steps in the small-scale discovery synthesis of the 5H-pyrido[3,2-b]indole core of BMS-986158 are (1) the copper-catalyzed oxidative coupling of the chloropyridine C with the boronic acid D (Chan–Lam coupling) and (2) the palladium-catalyzed C–H activation reaction E → F.