The BET family of bromodomain-containing proteins regulates expression of multiple genes including those involved in tumor cell growth and inflammation. GSK132476A is a BET bromodomain inhibitor that displays significant antiproliferative and anti-inflammatory effects.

**Comment:** Key steps in the synthesis depicted are (1) the Pd-catalyzed asymmetric aza–Michael addition of 4-bromoaniline to \((E)-\text{isopropyl but-2-enoyl-carbamate (A)}\) and (2) a diastereoselective cyclization of an immonium ion generated by reduction of \(C\) to generate the tetrahydroisoquinoline \(D\).