The Discovery of I-BET726 (GSK1324726A), a Potent Tetrahydroquinoline ApoA1 Up-Regulator and Selective BET Bromodomain Inhibitor


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**Comment:** Key steps in the synthesis depicted are (1) the Pd-catalyzed asymmetric aza–Michael addition of 4-bromoaniline to (E)-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.

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