**Synthesis of Prostaglandin D₂ Receptor Antagonist**

**Significance:** An efficient kilogram-scale synthesis of the target prostaglandin D₂ receptor antagonist features a Friedel–Crafts cyclization of an iminopyrrole to generate the azaindole core in **D**. Key steps are (1) a very efficient asymmetric hydrogenation to install the single stereogenic center (G → H) and (2) a mild sulfenylation using the shelf-stable N-arylsulfonylimidazole **I**.

**Comment:** The high er of the hydrogenation was surprisingly insensitive to solvent, but it was sensitive to the E/Z ratio. Thus, batches of **G** that contained 9% of the Z-isomer afforded **H** in only 81% ee, whereas batches of **G** containing 1% of the Z-isomer gave **H** in 96% ee. The E/Z ratio of the Horner–Wadsworth–Emmons reaction (14:1) could be upgraded to 1000:1 by crystallizing the phosphate salt of **G**.

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