Synthesis of (S)-Sitagliptin

**Significance:** Sitagliptin is a dipeptidyl dipeptidase-4 inhibitor that is prescribed for the treatment of type II diabetes. The small-scale synthesis depicted features a two-step construction of the allylic amine E involving an ene reaction using the sulfurdimide B followed by a palladium-catalyzed asymmetric [2,3]-sigmatropic rearrangement of ylid C.

**Comment:** The ylid C does not undergo a [2,3]-sigmatropic rearrangement at 4 °C in the absence of the palladium catalyst. A further five 4-arylbut-1-ene substrates with F, CF3 and OMe substituents gave the allylicamination products in 79–94% yield and 81–94% ee.

**Key words**
sitagliptin
dipeptidyl dipeptidase-4 inhibitor
asymmetric allylic amination
palladium
ene reaction
[2,3]-sigmatropic rearrangement