Synthesis of Levamisole

**Significance:** Levamisole (Ergamisol®) is an antihelminthic that is currently used to treat worm infestations in livestock. The synthesis of levamisole depicted features an asymmetric Strecker reaction of \(N\)-benzhydryl aldimine \(A\) with trimethylsilyl cyanide catalyzed by oxazoline \((R,R)-B\) (5 mol%) as the key step. The chiral \(\alpha\)-aminonitrile intermediate \(C\) was generated in 90% yield and 90% ee.

**Comment:** A study of the scope of the asymmetric Strecker reaction (18 examples) revealed that both alkyl and aryl \(N\)-benzhydryl aldimines participate in the reaction to give the corresponding \(\alpha\)-aminonitriles in good yield and generally >80% ee with some exceptions being shown in the box above. For a previous synthesis of levamisole based on asymmetric diamination of styrenes, see: C. Röben et al. *Angew. Chem. Int. Ed.* 2011, 50, 9478.