Synthesis of Maxipost

**Significance:** Maxipost is a post-stroke neuroprotective agent that acts by opening large conductance Ca\(^{2+}\)-activated (maxi-K) potassium channels. Previous syntheses of maxipost by asymmetric fluorination of oxindoles required protection of the oxindole nitrogen as the N-Boc derivative. The route depicted features the direct asymmetric catalytic fluorination of the oxindole \(A\) using \(N\)-fluorobenzenesulfinimide (B) in the presence of 10 mol% of a chiral complex derived from scandium triflate and the amine oxide ligand \(C\).

**Comment:** Attempts to perform the maxipost synthesis on a 3.5 mmol scale resulted in decreased yield and enantioselectivity (53% yield, 86% ee) due to the low solubility of the substrate. By contrast, the asymmetric fluorination of oxindole \(D\) on a 4.0 mmol scale gave \(E\) in 93% yield and 97% ee. The small selection of the 29 examples described, showed that yields and enantioselectivities are generally high.

**Category**

Synthesis of Natural Products and Potential Drugs

**Key words**

maxipost
asymmetric fluorination
scandium triflate
\(N\)-fluorobenzene-sulfonimide

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**Further examples of the asymmetric fluorination of oxindoles:**

- % (er > 97.3)
- 85% (er > 96.4)
- 90% (er > 98.2)
- 84% (er > 95.5)