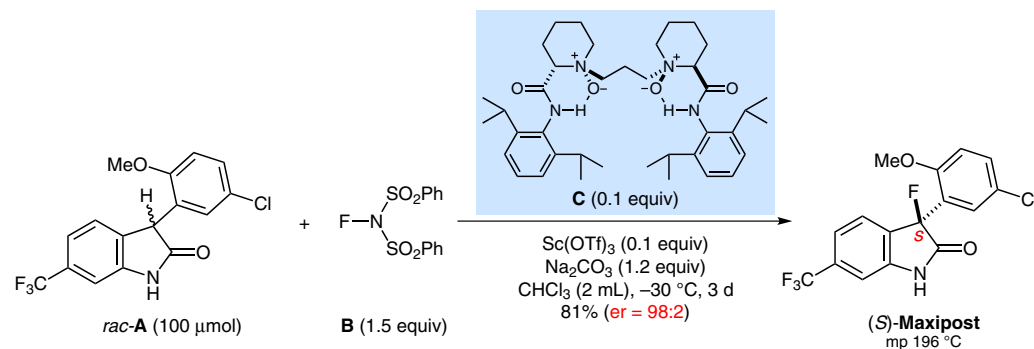


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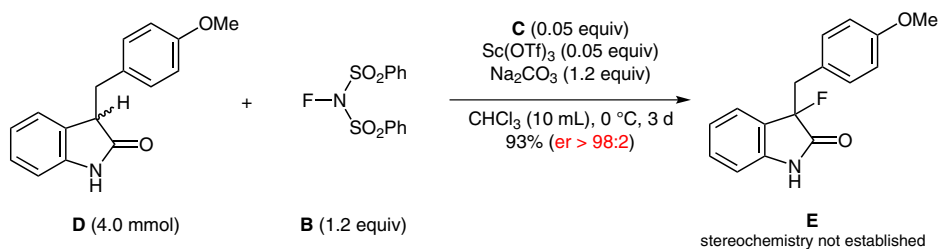
Highly Enantioselective Fluorination of Unprotected 3-Substituted Oxindoles: One-Step Synthesis of BMS 204352 (Maxipost)

J. Org. Chem. **2012**, *77*, 9148–9155.

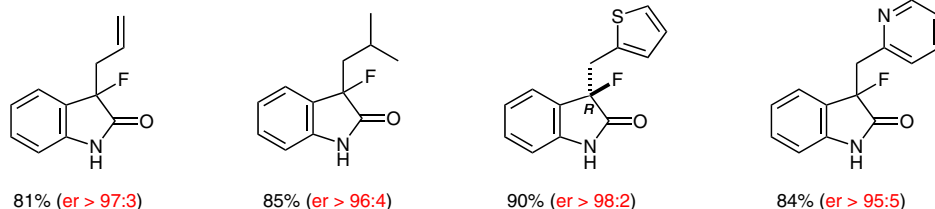
Synthesis of Maxipost



Asymmetric fluorination of oxindole D on a gram scale:



Further examples of the asymmetric fluorination of oxindoles:



Significance: Maxipost is a post-stroke neuro-protective 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*-fluorobenzenesulfonamide (**B**) in the presence of 10 mol% of a chiral complex derived from scandium triflate and the amine oxide ligand **C**.

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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.