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A Simple and Modular Strategy for Small Molecule Synthesis: Iterative Suzuki–Miyaura Coupling of B-Protected Haloboronic Acid Building Blocks

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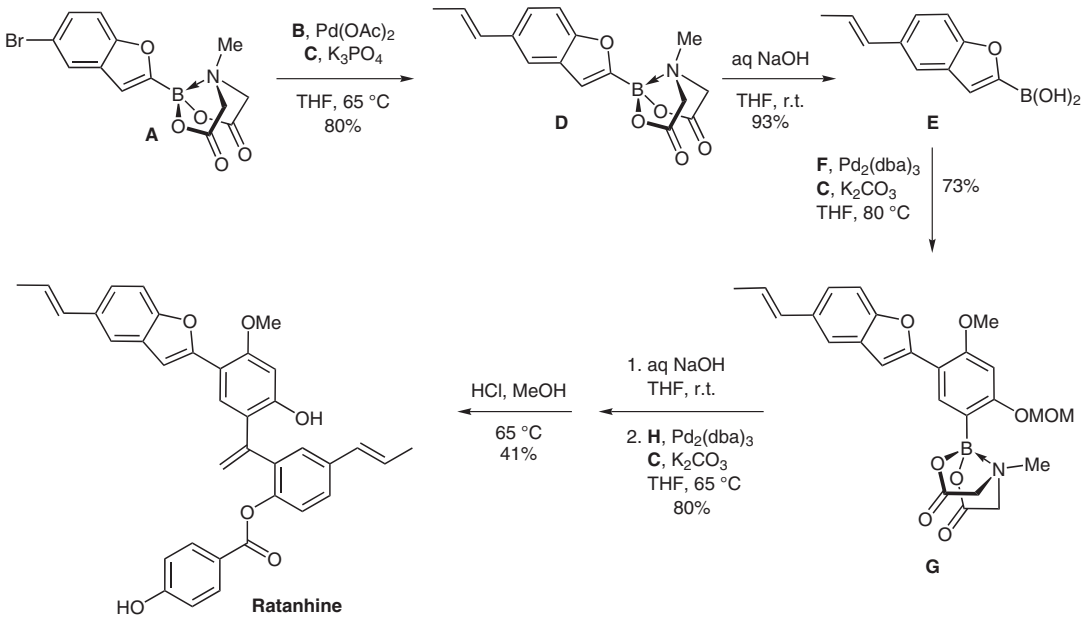
Category

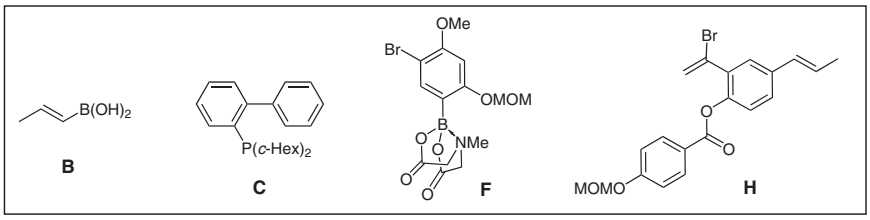
Synthesis of Natural Products and Potential Drugs

Key words

Suzuki–Miyaura coupling

Synthesis of Ratanhine





Significance: Haloboronic acids protected with trivalent *N*-methyliminodiacetic acid ligands (e.g. **A**) undergo iterative Suzuki–Miyaura couplings because the protecting group induces boron pyramidalization that inhibits cross-coupling. Six examples are given along with an application to the synthesis of ratanhine.

Comment: The coupling reaction of boronic acid **B** with protected boronic ester **A** proceeded in very high yield and selectivity with the boronic acid reacting preferentially over the boronic ester. Boronic ester **D** was easily deprotected under mild conditions to give boronic acid **E** ready for the next coupling step.

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