Category

Synthesis of Natural Products and Potential Drugs

Key words

medium-sized ring formation

ketohydroxylation

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A Synthesis of (+)-Saxitoxin

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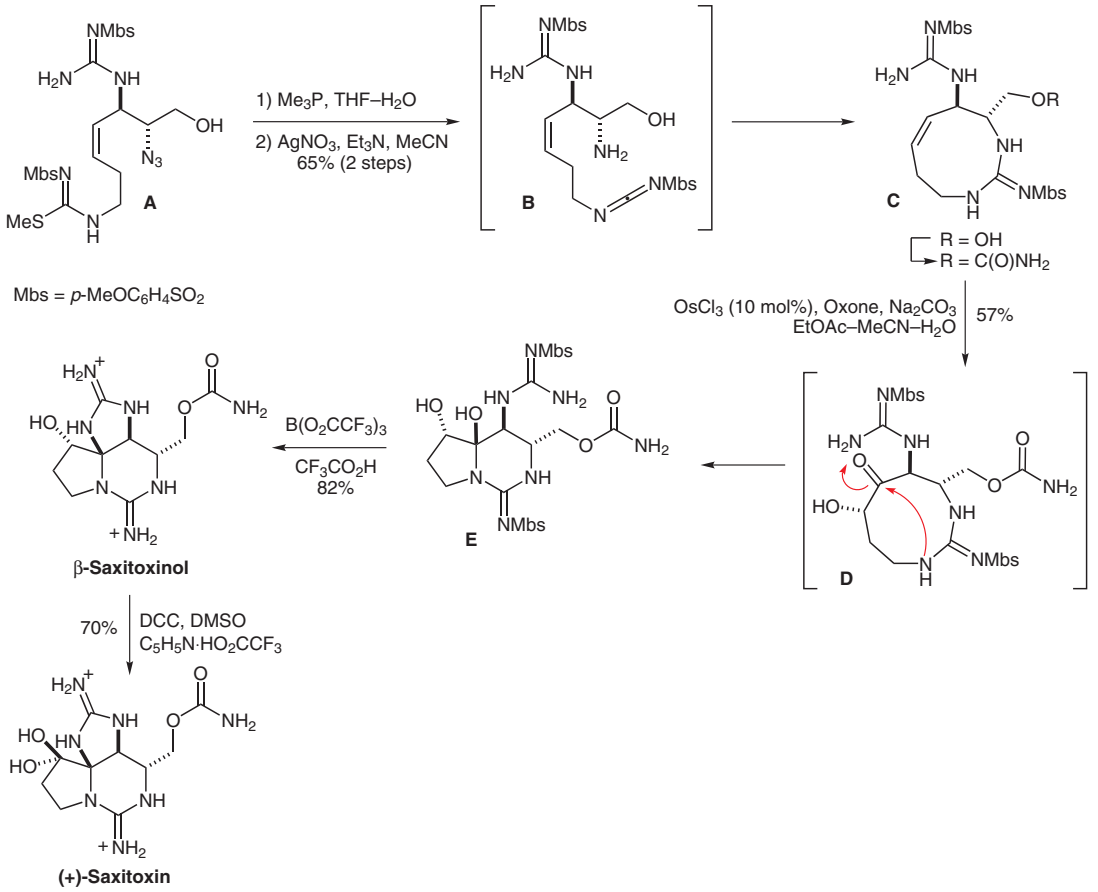
Synthesis of (+)-Saxitoxin



Significance: (+)-Saxitoxin is a paralytic agent from oceanic red tides. It blocks cationic influx through voltage-dependent Na^+ channels. Notable features of the synthesis include formation of a medium-sized guanidine ring from a carbodiimide and regio- and stereoselective oxidation of alkene **C** to hydroxyketone **D**.

Comment: Reduction of azide **A** and immediate treatment with $AgNO_3$ and Et_3N generated *N*-sulfonylcarbodiimide **B** which reacted with the C6 amine to form a nine-membered ring. Carbamate **C** was regioselectively oxidized to hydroxyketone **D** which underwent nucleophilic addition to generate bicyclic **E** as a single stereoisomer. When OsO_4 was used in the transformation of **C** to **D**, the regioisomeric α-hydroxyketone was obtained.

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