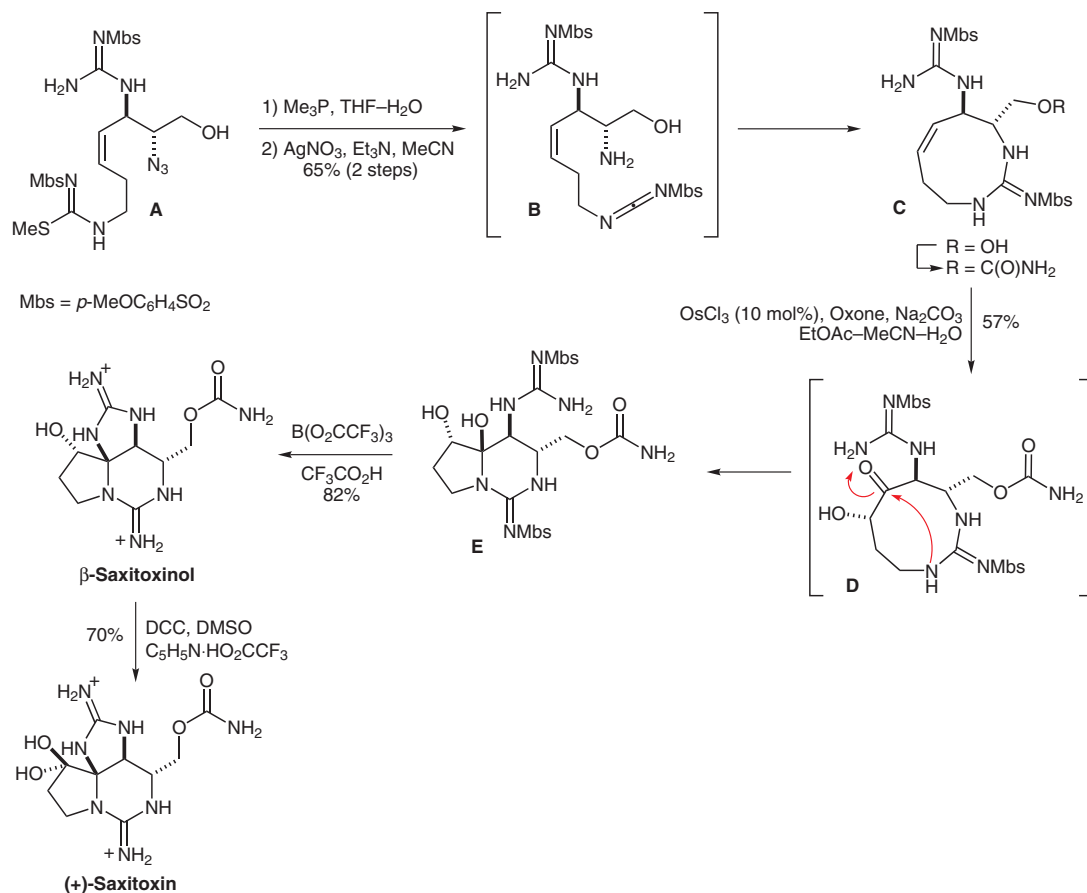


J. J. FLEMING, J. DU BOIS\* (STANFORD UNIVERSITY, USA)

A Synthesis of (+)-Saxitoxin

*J. Am. Chem. Soc.* **2006**, *128*, 3926-3927.

## Synthesis of (+)-Saxitoxin



**Significance:** (+)-Saxitoxin is a paralytic agent from oceanic red tides. It blocks cationic influx through voltage-dependent Na<sup>+</sup> 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<sub>3</sub> and Et<sub>3</sub>N 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<sub>4</sub> was used in the transformation of **C** to **D**, the regioisomeric α-hydroxyketone was obtained.

**SYNFACTS Contributors:** Philip Kocienski, Fiona Black  
Synfacts 2006, 9, 0870-0870 Published online: 23.08.2006  
**DOI:** 10.1055/s-2006-942053; **Reg-No.:** K10106SF