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Divergent Synthesis of Natural Derivatives of (+)-Saxitoxin Including 11-Saxitoxinethanoic Acid
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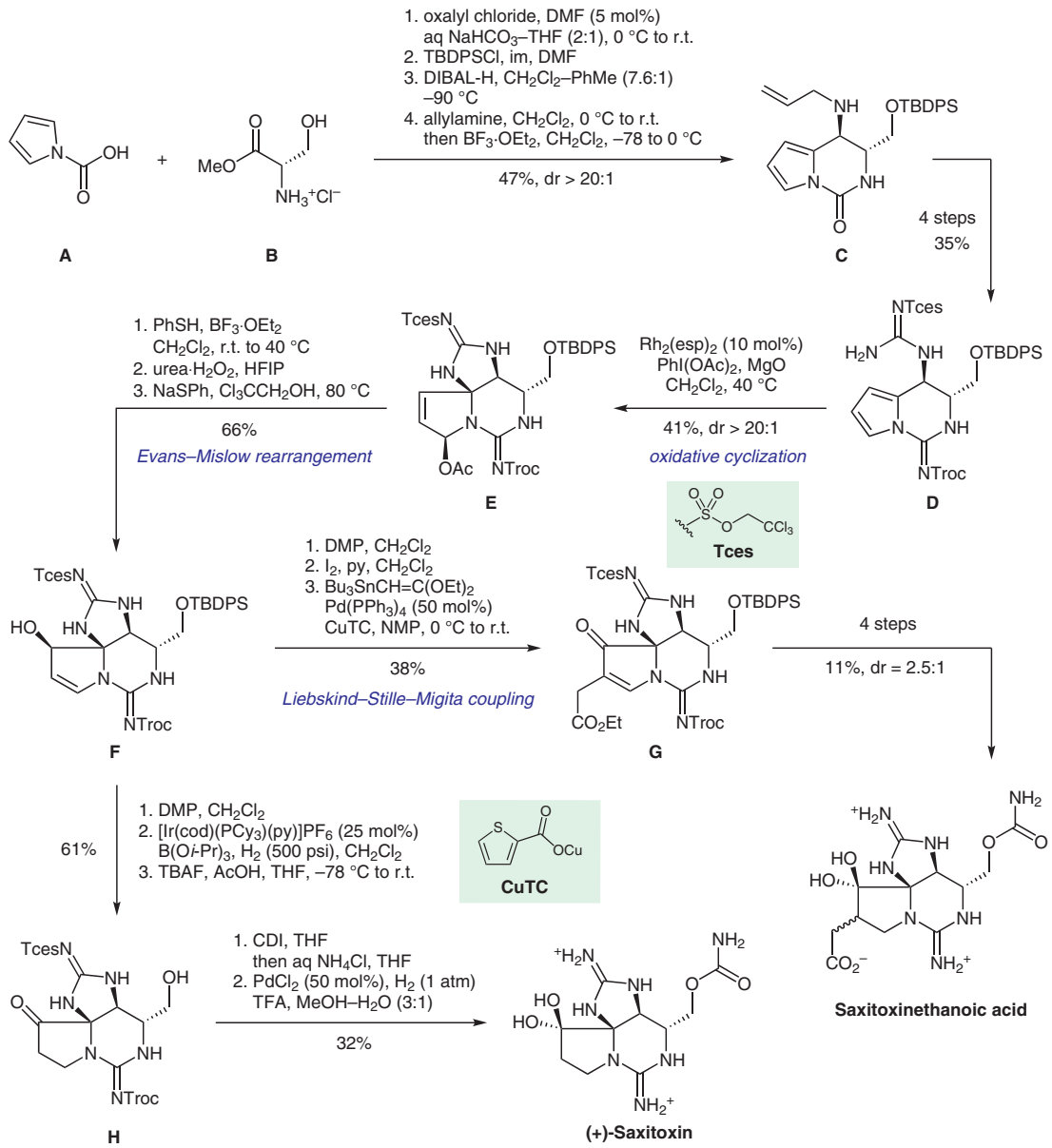
Total Synthesis of Saxitoxin and 11-Saxitoxinethanoic Acid



Significance: The authors report the total syntheses of (+)-saxitoxin and several structural analogues including saxitoxinethanoic acid. These bisguanidinium natural products have been of high interest due to their extraordinary activity against voltage-gated sodium ion channels.

Comment: Electrophilic aromatic substitution and rhodium-catalyzed oxidative cyclization yield tricyclic system **E** from simple starting materials. Clever application of an Evans–Mislow rearrangement gives access to advanced intermediate **F** that is used to complete the synthesis of both target structures.

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Category
Synthesis of Natural Products and Potential Drugs

Key words
(+)-saxitoxin
saxitoxinethanoic acid
Evans–Mislow rearrangement
Liebeskind–Stille–Migita coupling

Synfact of the Month

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