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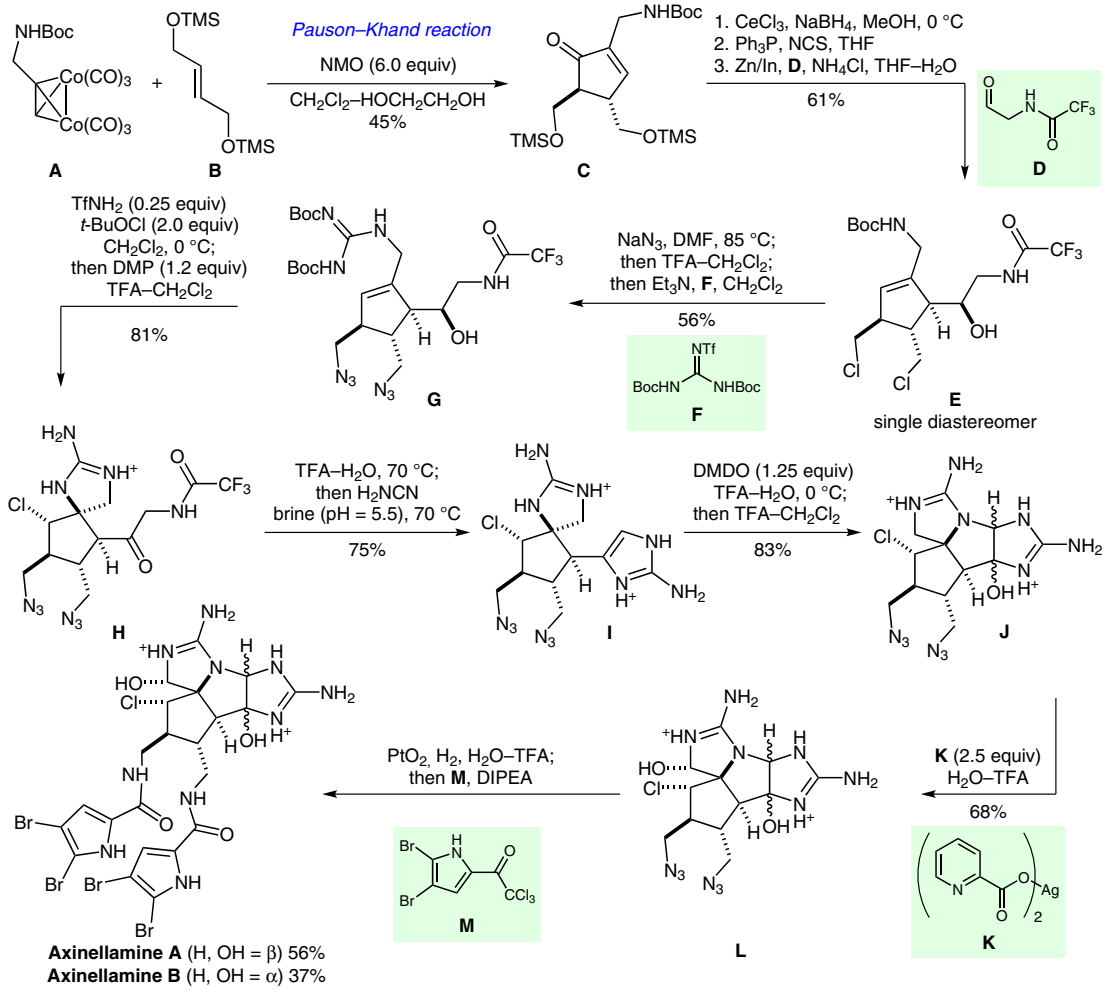
Axinellamines as Broad-Spectrum Antibacterial Agents: Scalable Synthesis and Biology
J. Am. Chem. Soc. **2014**, *136*, 15403–15413.

Synthesis and Biology of Axinellamines A and B



Significance: Pyrrole–imidazole alkaloids are a class of complex natural products with intriguing biological activities, isolated from marine sponges. The authors present a full account of their synthetic efforts to derive substantial quantities of racemic axinellamines A and B. In addition, valuable follow-up biological studies showing antibiotic activity against Gram-positive and -negative bacteria are presented.

Comment: The authors disclose details of recent work directed towards the efficient synthesis of axinellamines A and B (*J. Am. Chem. Soc.* **2011**, *133*, 13922). A Pauson–Khand reaction afforded cyclopentene **C**, which could be efficiently converted into diazide **G**. Oxidative cyclization, deprotection, and imidazole formation followed by a dihydroxylation–dehydration sequence led to **J**. Silver(II)-mediated oxidation, azide reduction, and amidation afforded the two targets.

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Category

Synthesis of Natural Products and Potential Drugs

Key words

axinellamines

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Pauson–Khand reaction

chlorospiro-cyclization