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Axinellamines as Broad-Spectrum Antibacterial Agents: Scalable Synthesis and Biology
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**Category**

**Synthesis of Natural Products and Potential Drugs**

**Key words**

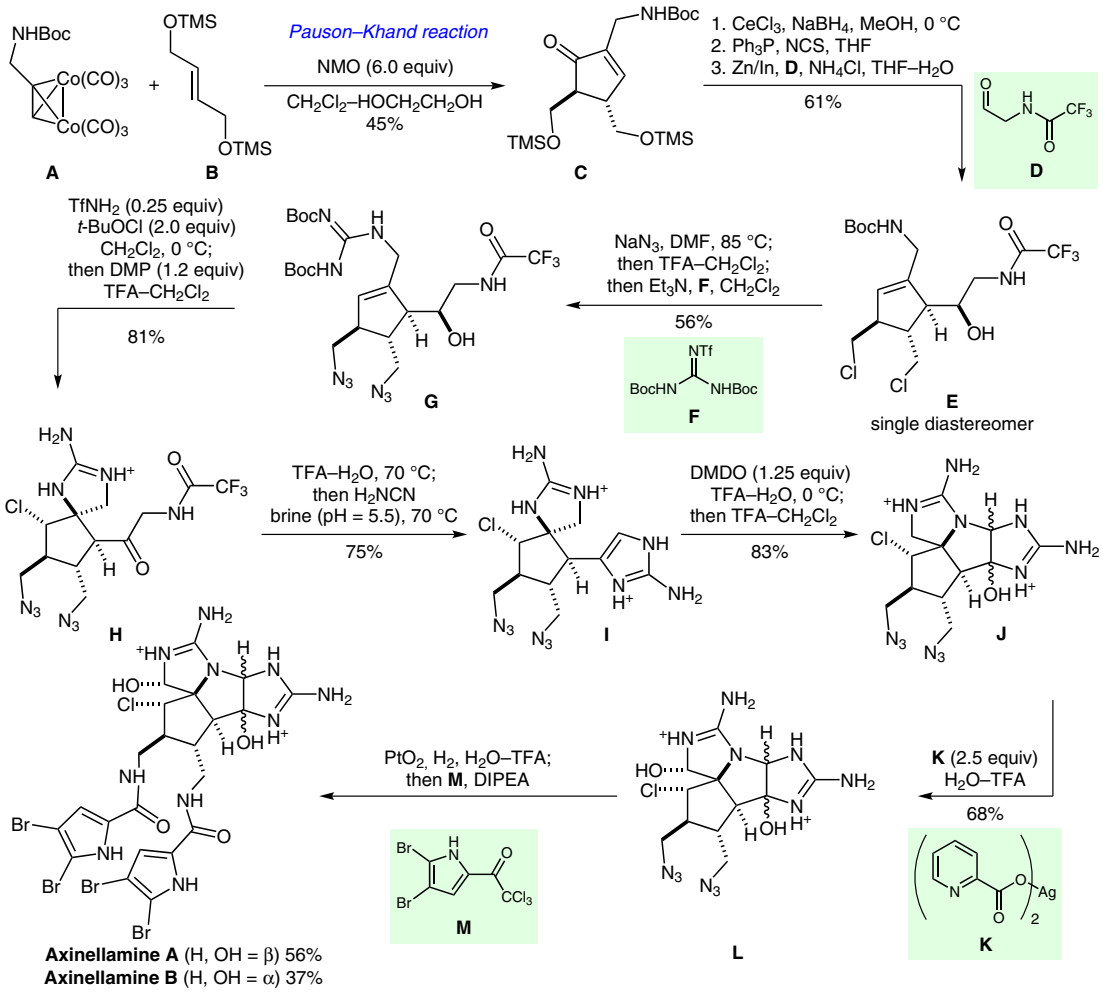
**axinellamines**

**antibiotics**

**Pauson–Khand reaction**

**chlorospiro-cyclization**

# 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.

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**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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