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


**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
- antibiotics
- Pauson–Khand reaction
- chlorospirocyclization