Z. D. ARON, L. E. OVERMAN* (UNIVERSITY OF CALIFORNIA, IRVINE, USA)
Total Synthesis and Properties of the Crambescidin Core Zwitterionic Acid and Crambescidin 359
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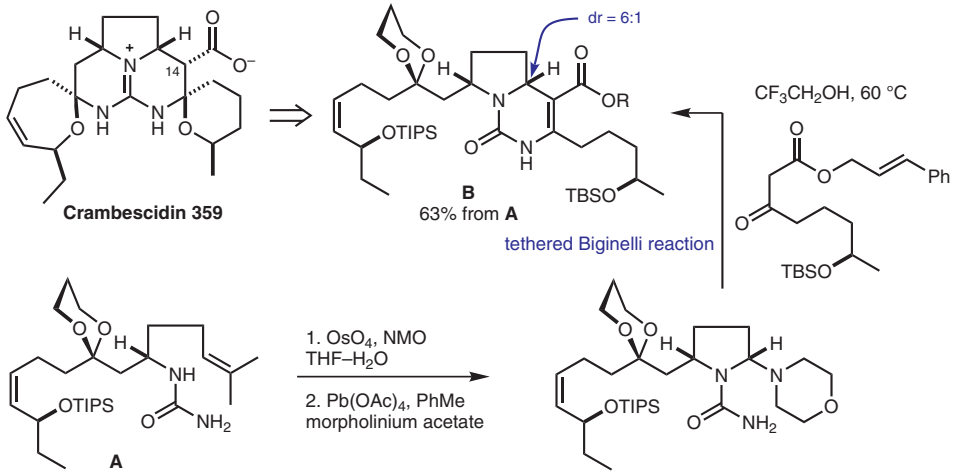
Total Synthesis of Crambescidins 359 and 431



Significance: The crambescidins are sponge metabolites with nanomolar cytotoxicity against several tumour cell lines and they display antifungal activity (*Candida albicans*), antiviral activity (herpes simplex) and anti-HIV activity. Aron and Overman synthesized Crambescidins 359 and 431 and a small library of unnatural compounds with variations in the side chain at C14. They provide the first detailed description of the physical and chemical properties of this class of guanidinium alkaloids.

Comment: The key step in the synthesis is the use of a tethered Biginelli reaction to generate the pyrrolopyrimidine **B**. Another noteworthy feature is the use of a cinnamyl ester as a lipophilic and UV-active protecting group for the C14 carboxyl group. This hindered group decarboxylated under basic conditions and hence its alkylation required extensive investigation. The best conditions entailed treatment of the cesium salt with an iodoalkane in the presence of silver(I) nitrate.

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