D. DAILLER, G. DANOUN, O. BAUDOUIN* (UNIVERSITÉ CLAUDE BERNARD LYON 1, FRANCE)
 A General and Scalable Synthesis of Aeruginosin Marine Natural Products Based on Two Strategic C(sp³)-H Activation Reactions
Angew. Chem. Int. Ed. **2015**, *54*, 4919–4922.

Synthesis of Aeruginosin Natural Products

Natural products synthesized using this strategy in five steps from F:

Significance: The marine natural products of the aeruginosin family have been shown to possess high in vitro inhibition of serine proteases. In this communication by Baudouin and co-workers, a novel approach for the synthesis of the core structure using an uncommon C(sp³)-H bond activation is presented, culminating in the efficient total synthesis of aeruginosins 98B and 298A.

SYNFACTS Contributors: Erick M. Carreira, Alberto G. Kravina
 Synfacts 2015, 11(5), 0457 Published online: 17.04.2015
 DOI: 10.1055/s-0034-1380622; Reg-No.: C01815SF

Comment: The synthesis of **B**, used for the pivotal C-H bond activation step, was accomplished from dibromocyclohexene **A** in two steps. Previously reported conditions (*Angew. Chem. Int. Ed.* **2012**, *51*, 10399) gave the cyclization product **C** in 68% yield. Its elaboration into key precursor **D** allowed for the installation of the peptide as well as the guanidine side chain of both natural products.

Category

Synthesis of Natural Products and Potential Drugs

Key words

aeruginosin 98B

aeruginosin 298A

marine natural products

serine protease inhibitor

C(sp³)-H bond activation

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