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A General and Scalable Synthesis of Aeruginosin Marine Natural Products Based on Two Strategic C(sp^3)–H Activation Reactions

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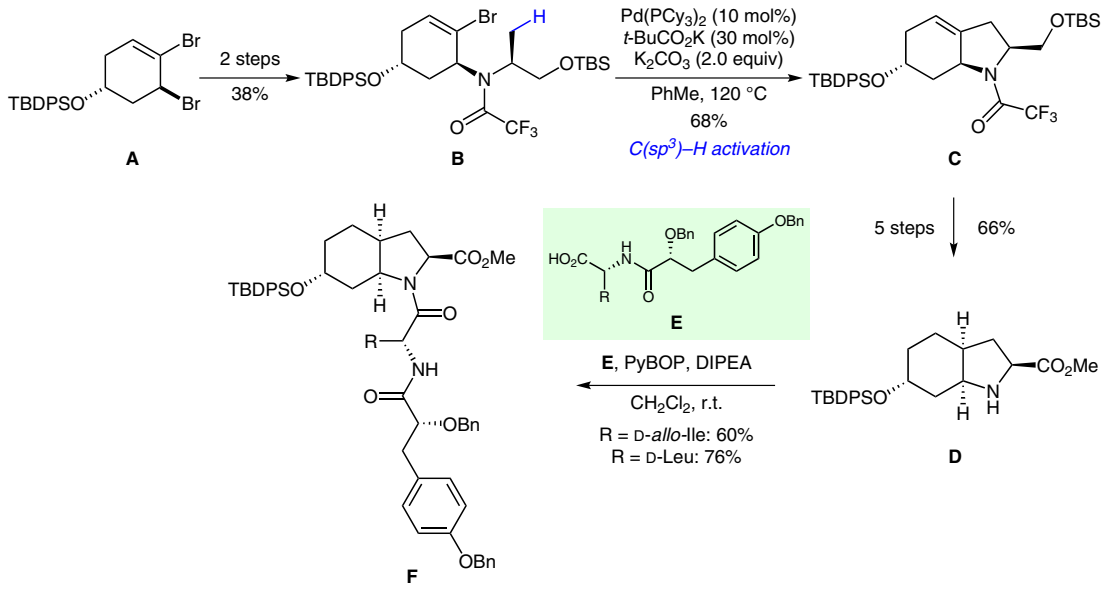
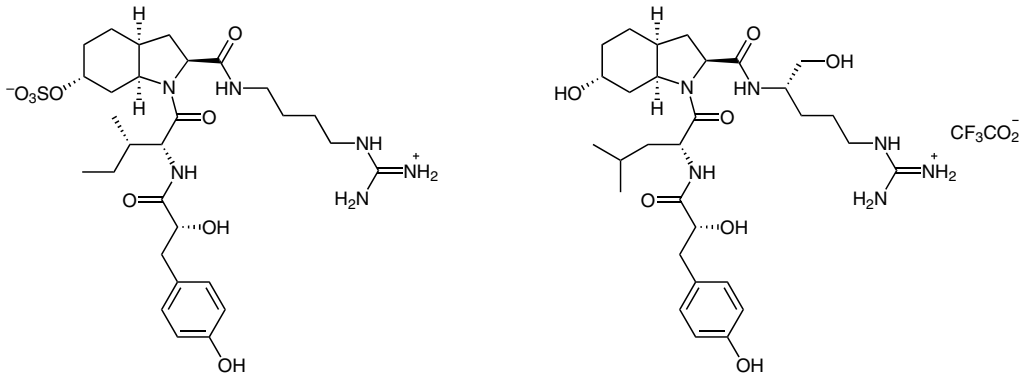
Synthesis of Aeruginosin Natural Products



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



Aeruginosin 98B **Aeruginosin 298A**

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 Baudoin and co-workers, a novel approach for the synthesis of the core structure using an uncommon C(sp^3)–H bond activation is presented, culminating in the efficient total synthesis of aeruginosins 98B and 298A.

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.

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Category

Synthesis of Natural Products and Potential Drugs

Key words

aeruginosin 98B

aeruginosin 298A

marine natural products

serine protease inhibitor

C(sp^3)–H bond activation