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Key words

aziridination

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cyclopiazonic acid

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Enantioselective Synthesis of the Cyclopiazonic Acid Family Using Sulfur Ylides

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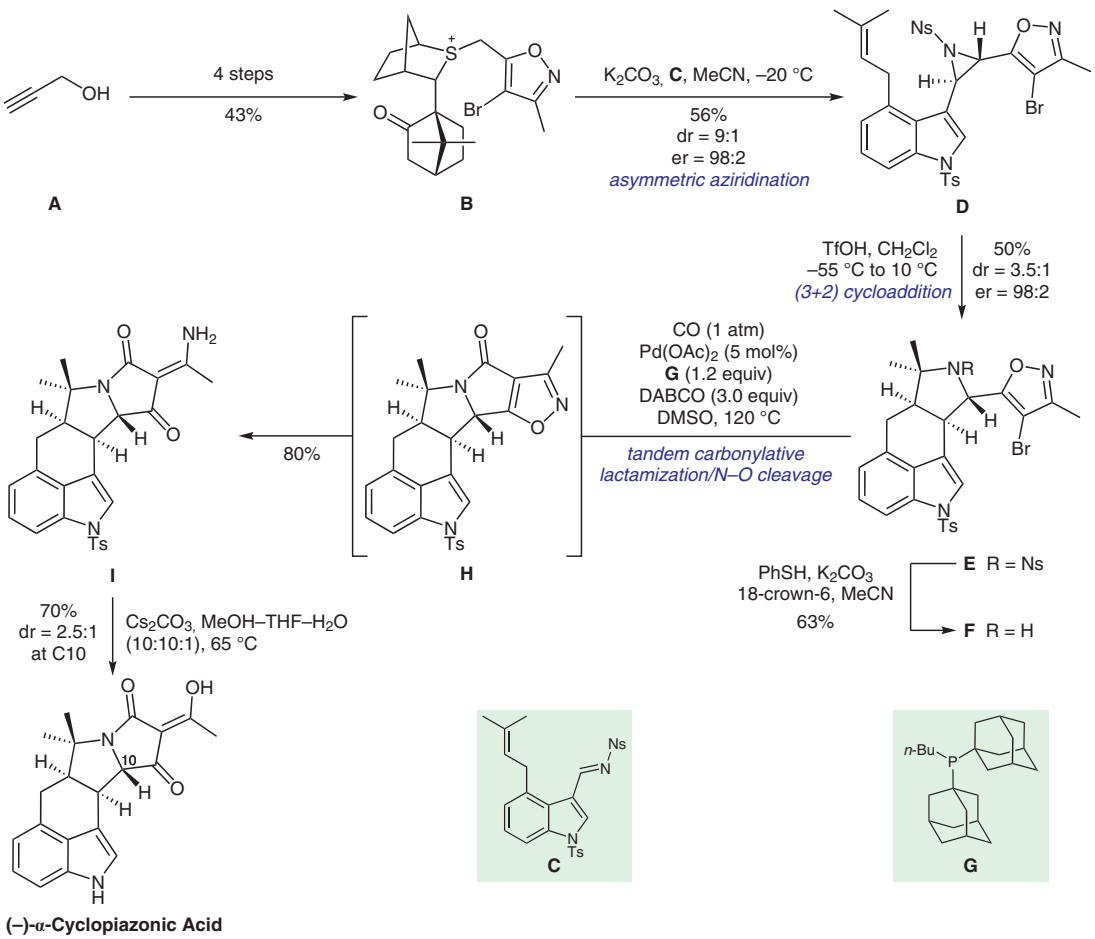
Enantioselective Total Synthesis of (–)-α-Cyclopiazonic Acid



Significance: The prenylated pentacyclic indole alkaloid (–)-α-cyclopiazonic acid is produced by a variety of *Penicillium* species. A potent modulator of calcium reuptake on muscle, (–)-α-cyclopiazonic acid exerts prohibitive effects on Ca^{2+}-dependent ATPase. Aggarwal and co-workers met the synthetic challenge posed by the chemical preparation of this 3-acetyltetramic acid in an enantioselective and concise fashion based on aziridination of an imine with an enantioenriched sulfur ylide.

Comment: Readily accessible imine **C** and sulfur ylide **B** were combined to gain access to key aziridine **E** with good facial selectivity. This intermediate underwent subsequent acid-catalyzed (3+2) cycloaddition and deprotection. The resulting bromoisoxazole **F** was utilized in tandem carbonylative lactamization/N–O cleavage, ultimately furnishing advanced intermediate **I**, which could be converted into (–)-α-cyclopiazonic acid in one additional step.

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