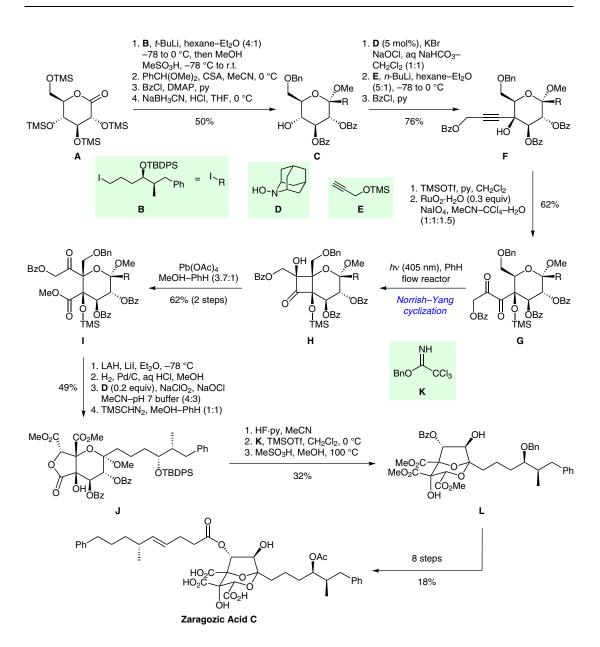
T. KAWAMATA, M. NAGATOMO, M. INOUE* (THE UNIVERSITY OF TOKYO, JAPAN) Total Synthesis of Zaragozic Acid C: Implementation of Photochemical C(sp³)–H Acylation *J. Am. Chem. Soc.* **2017**, *139*, 1814–1817.

Total Synthesis of Zaragozic Acid C



Significance: Zaragozic acid C is an inhibitor of mammalian squalene synthase. The family of zaragozic acids has recently regained attention due to further biological activities, e.g. as antitumor agents or as inhibitors of Ras farnesyl protein transferase and dengue virus replication.

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Comment: Gluconolactone-derived **A** was concisely elaborated into diketone **G**. An acylative sequence of a Norrish–Yang cyclization and oxidative cleavage yielded **I**. Acid-catalyzed rearrangement revealed the characteristic highly oxygenated bicyclic core that was further transformed into zaragozic acid C.

Category

Synthesis of Natural Products and Potential Drugs

Key words

squalene synthase inhibitor

Norrish-Yang cyclization

squalestatins

zaragozic acid C



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