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Authors: Gaoxiaozheng Liu, Chao Wu, Bifeng Chen, Ru He, Chao Chen

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Communication

Concise synthesis of xanthones by the tandem etherification−Acylation of diaryliodonium salts with salicylates

Gaoxiaozheng Liu[a,b], Chao Wu[a,b], Bifeng Chen[a], Ru He[a], Chao Chen[a,b]*

[a] *MOE Key Laboratory of Bioorganic Phosphorus Chemistry & Chemical Biology, Department of Chemistry, Tsinghua University, Beijing 100084, China*
[b] *The Graduate School at Shenzhen, Tsinghua University, Beijing 100084, China*

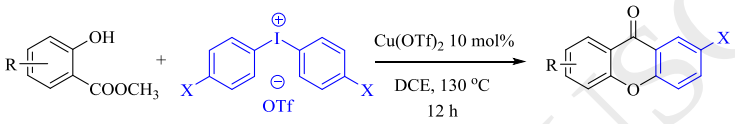
* Corresponding author.
E-mail address: chenchao01@mails.tsinghua.edu.cn

Graphical Abstract

Concise synthesis of xanthones by the tandem etherification−Acylation of diaryliodonium salts with salicylates

Gaoxiaozheng Liu[a,b], Chao Wu[a,b], Bifeng Chen[a], Ru He[a], Chao Chen[a,b]*

[a] *MOE Key Laboratory of Bioorganic Phosphorus Chemistry & Chemical Biology, Department of Chemistry, Tsinghua University, Beijing 100084, China*
[b] *The Graduate School at Shenzhen, Tsinghua University, Beijing 100084, China*



An efficient synthetic method for multi-substituted xanthones was developed. The reaction of diaryliodonium salts and salicylates was employed for the preparation of the xanthones. This method proceeded through an intermolecular etherification-acylation to give target heterocycle in good yields (up to 91%). Multi-substituted xanthones were gained by shifting the substituent of salicylates or diaryliodonium salts.

Abstract

An efficient synthetic method for multi-substituted xanthones was developed. The reaction of diaryliodonium salts and salicylates was employed for the preparation of the xanthones. This method proceeded through an intermolecular etherification-acylation to give target heterocycles in good yields (up to 91%). Multi-substituted xanthones were gained by shifting the substituent of salicylates or diaryliodonium salts

Keywords:
Xanthone
Diaryliodonium salts
Etherification
Acylaion
Multiple substituent

The xanthone backbone constitutes the central core of a range of naturally occurring products, most as secondary metabolites from fungi, lichens, bacteria and plants [1]. Over thousands of xanthones have been isolated and characterized [2]. Many xanthone-containing plants, have been employed as traditional medicines since ancient time to treat various diseases [3]. This class of compounds has attracted interests due to their special pharmaceutical properties. The xanthone scaffold has been regarded as "privileged structure", since members of this structural class can interact with many types of drug targets [4]. Several reactions have been known for the preparation of xanthones, but most of them embark from intramolecular cyclization of the intermediacy of diaryl ethers or benzophenones under harsh reaction conditions (Scheme 1) [5]. In this context, a big challenge to synthesize xanthone derivatives is caused by the free modification of xanthones with different substituents, which is often desired by medicinal and material chemists. Consequently, a general, mild and efficient synthesis of xanthones, especially in the intermolecular mode (which enables a big freedom to tune the subsituents), is in high demand. Larock and his coworkers developed an intermolecular method to prepare xanthones by the coupling of arynes and substituted salicylates [6]. This is an elegant method to prepare substituted xanthones, but an intrinsic drawback is the poor regio-selectivity when monosubstituted arynes are used. Herein, we would like to report a general method to synthesize multi-substituted xanthones **1** by the intermolecular cyclization of salicylates **2** and