Synthesis of benzophenones from geminal biaryl ethenes using *m*chloroperbenzoic acid

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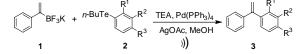
Introduction

Symmetrical and unsymmetrical benzophenones functionalized with electron-donating or -withdrawing groups are found in a large number of plants belonging to the Guttiferae family.¹ In the past few decades, numerous natural products bearing a benzophenone architecture, such as cariphenone A and B, balanol, Clusiaphenone, and pestalone, have been reported. Molecules built on these scaffolds are known to exhibit a wide range of biological and pharmacological activities, acting as antioxidants, analgesics, protein kinase inhibitors, and antiviral agents. Recently, several naturally occurring prenylated and isoprenylated benzophenones have been identified as potent cytotoxic and antimicrobial agents.

Results and Discussions

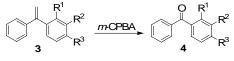
Herein, we have identified a general approach for the synthesis of benzophenones by the oxidations of 1,1-diarylethenes using *m*-CPBA under mild reaction conditions. The main advantage of this approach is that the oxidation occurs efficiently under mild conditions, requires no organometallic reagents or catalysts. In order to prepare different 1,1-diarylethenes, we employed the Suzuki-Miyaura reaction of easily accessible aryl tellurides² **2a-j** with potassium 1-phenyl-1-trifluroborate ethene salt **1** (Scheme 1).

Scheme 1. – Suzuki-Miyaura reaction of 1 and the *n*-butylaryl tellurides 2a-j, yielding 3a-j.



After the synthesis of precursors, our emphasis was directed to the cleavage of the terminal C=C bonds of the geminal biaryl ethenes **3** by *m*-CPBA.

Scheme 2 – Oxidative cleavage of terminal C=C bonds of 1,1-diarylethenes **3a-j** by *m*-CPBA, yielding **4a-j**.



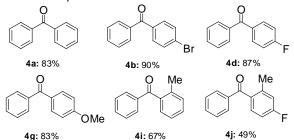
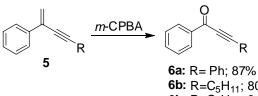


Figure 1. The structures of some selected examples prepared in scheme 2.

As part of our continuing interest in exploring the utility of *m*-CPBA in forming ketonic compounds, we prepared the functionalized 1,3-enynes³ **5a-d** and attempted the oxidation reaction with *m*-CPBA under the optimized reaction conditions.

Scheme 3. – Oxidative cleavage of the terminal C=C bond of 1,3-enynes 5a-d by *m*-CPBA, yielding 6a-d.



6b: R=C₅H₁₁; 80% **6b:** R=C₅H₁₁; 84% **6b:** R=Cyclohexene; 79%

Conclusion

In summary, we described a simple, fast, and catalyst-free approach to the synthesis of benzophenone and ynone systems by the oxidative cleavage of geminal biaryl ethenes and 1,3-enynes using *m*-CPBA under soft reaction conditions.

Acknowledgments

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