

# Green synthesis of chalcone derivatives via Suzuki coupling

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## INTRODUCTION

An important tool used for the construction of C-C bond is the Suzuki reaction, which is based on the coupling between organoboron compounds and organic halides or triflates, catalyzed by palladium in the presence of base.<sup>1</sup> The reaction is usually conducted in volatile solvents such as tetrahydrofuran and dimethoxyethane in the presence of palladium complexes, which tend to be expensive and difficult to retrieve and recycle<sup>1</sup>. Recently, Suzuki reaction has been performed using ionic liquids and polyethylene glycol (PEG) as alternative solvents. The advantages in the use of PEG include low cost, feasible to recycle, non-toxic and thermal stability, which allows the use of microwave irradiation. In this work, we describe the microwave-assisted synthesis of substituted chalcones (Figure 1) via Suzuki reaction using PEG-400 as solvent.<sup>2</sup>

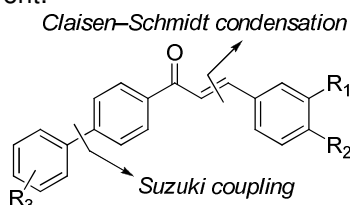
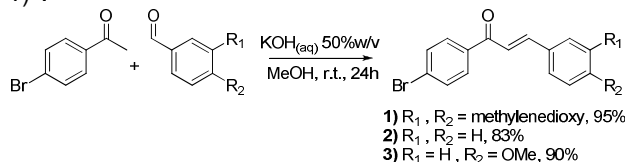


Figure 1. Derivative chalcones synthesized.

## RESULTS AND DISCUSSION

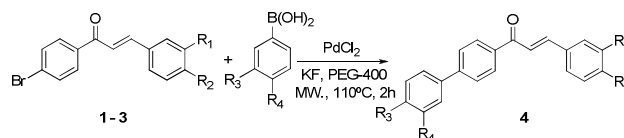
The chalcones were synthesized via the Claisen-Schmidt condensation between substituted benzaldehydes and 4-bromoacetophenone (Scheme 1)<sup>3</sup>.



Scheme 1. Synthesis of chalcones.

The Suzuki coupling between compounds **1-3** with different boronic acids was performed using PdCl<sub>2</sub> as a catalyst, potassium fluoride such as base and the solvent used in this reaction was PEG-400. The compounds were obtained with moderate to good yields (Table 1).

Table 1. Synthesis of 4-substituted chalcones.



Chalcone	R <sub>3</sub>	R <sub>4</sub>	4, Yield (%)
1	H	H	75
1	H	OMe	83
1	H	Me	62
1	NO <sub>2</sub>	H	70
1	F	OMe	91
1	Me	F	81
1	methylenedioxy		71
2	OMe	F	58
2	methylenedioxy		72
3	H	OMe	57

## CONCLUSION

Employing green conditions, as PEG as solvent and microwave heating, in the Suzuki coupling, we were able to prepare a series of new chalcone derivatives. Furthermore, the use of palladium chloride as catalyst and tolerance of a variety of functional groups is a good advantage for the general usefulness of this methodology.

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