



Synthesis of some 1,2,3-triazole-chalcones as potential anticancer agents

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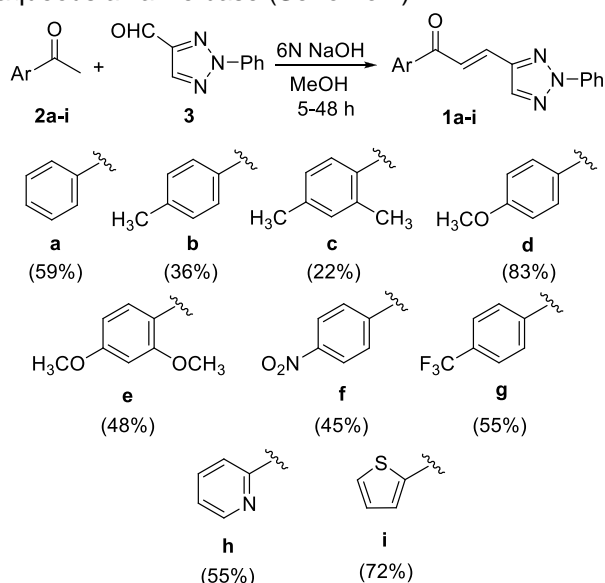
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INTRODUCTION

Chalcones constitute an important class of natural products belonging to the flavonoids family that display several biological activities.¹ In this context, chalcones received significant attention for their anti-tumor properties which are often associated to inhibition of polymerization of tubulins in the site of colchicine binding.¹ Triazoles constitute an important class of heterocycles because of their varied biological activities and being regarded as an interesting unit with significant anticancer profile in many of the human cell lines.²⁻⁴ The recent discovery that some 1,2,3-triazole chalcones possess high cytotoxicities against some cancer cell lines^{5,6} encouraged us to the access of structurally simple chalcones possessing the 1,2,3-triazole core as potential anticancer agents.

RESULTS AND DISCUSSION

The 1,2,3-triazole chalcones **1a-i** were prepared in moderate yields by the Claisen-Schmidt condensation⁷ of the appropriated acetophenones **2a-i** with the known⁸ aldehyde **3** in presence of aqueous alkaline base (**Scheme 1**).



Scheme 1. Synthesis of the chalcones **1a-i**.

All yields of chalcones **1a-i** were obtained after recrystallization in methyl alcohol or in ethyl alcohol. The 1,2,3-triazole chalcones **1a**, **1b** and **1d** are already known in the literature and the yields of **1a** and **1b** were somewhat lower than described.^{9,10} These results suggest that the presence of either donor or withdrawing substituents in the acetophenones have no correlation with the yields of the novel chalcones **1c** and **1e-i**.

CONCLUSION

The Claisen-Schmidt reaction of acetophenones with the aldehyde **3** led to several 1,2,3-triazole-chalcones **1a-i** with potential anticancer activity. The biological evaluation of these compounds against several cancer cell lines is under progress.

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