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SYNTHESIS OF SOME CHALCONE DERIVATIVES, IN VITRO AND IN SILICO TOXICITY EVALUATION

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ABSTRACT
Chalcone can be synthesized using some methods, but conventional Claisen-Schmidt condensation is still the best method. The objevtives of this study were to synthesize some chalcone derivatives using conventional Claisen-Schmidt condensation by reacting 2-hydroxyacetophenone and some substituted benzaldehydes using NaOH 40%, followed by evaluating their cytotoxicity in vitro against HeLa cancer cells line using MTT method and analyzing molecular docking on p53 and MDM2 interaction. Cytotoxicity test exhibited that 2,5-dimethoxy-2′-hydroxychalcone and 4-chloro-2'-hydroxychalcone gave very low IC_{50}, but both did not show potential apoptosis activity, while in docking analysis 4-chloro-2'-hydroxychalcone showing the best results.
Keywords: 2-Hydroxychalcone Derivatives, Claisen-Schmidt Condensation, HeLa Cell, Apoptosis, MDM2 Protein.

INTRODUCTION

Chalcones belong to one of secondary metabolites produced by plants showing various pharmacological activities, such as antimicrobial and antifungal[1], anti-tumor and anti-angiogenic[2], antiinflamation, cytotoxic and antioxidant[3], anticancer[4], antileishmanial[5], antibacterial[6], antimalarial[7], and antidiabetic.[1-8] Chalcone can be synthesized using some organic reactions, such as Claisen-Schmidt, Suzuki, Wittig, Friedel-Craft acylation of cinnamoyl chloride and phenyl cinammic acid *photo*-Fries rearrangement. Various catalysts and reagents have been used in chalcone synthesis, for example $SOCl_2$, natural phosphat, lithium nitrate, *amino grafted zeolite*, ZnO, Na_2CO_3, PEG-400, silica sulfate, $ZrCl_4$, and ionic liquid.[9] To date, conventional Claisen-Schmidt condensation is still the best method to synthesize chalcone. This method is carried out using alkali solution as catalyst, microwave or ultrasound irradiation. Almost 75% of chalcone synthesis is performed using alkali solution.[10] Synthesis using microwave irradiation takes a shorter time which can be more effective, faster, and energy efficient in addition[11]. Nevertheless, some researcher found that in this methode difficult to control the reaction, so that many by-products are formed. As a consequence, further separation is necessary.[12] Chalcones synthesis was observed under ultrasound irradiation for a notable enhancing effect on the time of reaction and yield.[13]
In this study, some chalcone derivatives (compound **1**-**8**) were succesfully synthesized using classical Claisen-Schmidt condensation by reacting 2-hydroxyacetophenone and some substituted benzaldehydes using NaOH 40% (Fig.-1 and Table-1).

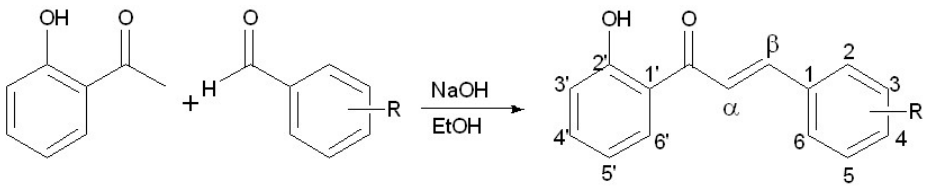

Fig.-1: Synthesis Reaction for Chalcone Derivatives Using Claisen Schmidt Condensation

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