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SYNTHESIS OF CHALCONES USING AMBERLITE RESIN

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Research Paper - Chemistry

ABSTRACT

Development of facile routs to the chalcones is challenging task of current interest. A number of literature reports confirm the utilities of chalcones as key intermediates for the combinatorial assembly for the different heterocyclic scaffolds. In view of the above significance here it was therefore thought to synthesis bioactive chalcones by using Amberlite Resin.

Keywords: Chalcones, amberlite resin, -2-propene-1-one, pharmacophore.

Introduction

Chalcones having an á , â unsaturated carbonyl group are one of the important pharmacophore and versatile synthons for various chemical transformations.[1,2] Most of the chalcones are highly biologically active with a number of pharmacological and medicinal applications[2].Chalcones have been used as anti AIDS agents[3] , cytotoxic agents with antiangiogenic activity [4] antimalarials [5-7] ,anti-inflammatory [8,9] and anti-tumour agents [10-12]. Keeping in view the advantages of microwave heating and the usage of chalcones as natural biocides , in the present work we have carried out the synthesis of some substituted chalcones by Claisen-Schimdt condensation.[13]

Series of chalcones have been synthesize by conventional routs using ethanol as a solvent an aqueous or alcoholic base that is NaOH or KOH as a catalyst.[14,15] This method has advantage of simple work of with high product yield and easily available chemicals.

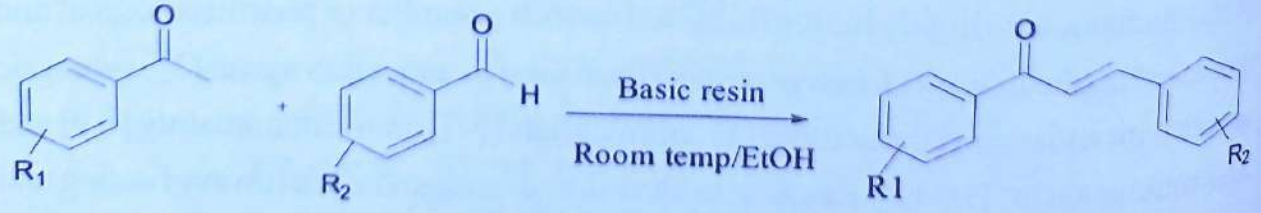
The most important goal of sustainable development are reducing the adverse consequences of the substance that we use and generate. The roll of chemistry is essential in insuring that our next generation of chemicals, materials and energy is more sustainable then the current generation. Worldwide demand for environmentally friendly chemical processes and products require the development of novel and cost effective approaches to pollution prevention. One of the most attractive concepts in chemistry for sustainability is the utilization of set of principles that reduces or eliminates the use or generation of hazardous substances in the design, manufacture and applications of chemical products.

Result and discussion

Chalcones exhibit a number of biological activities. They are well known intermediates for synthesizing various heterocyclic compounds. Among the methods reported for the synthesis of chalcones, Claisen-Schmidt condensation and aldol condensation are important.

Green chemistry is the need of the day and hence it was planned to synthesize chalcones in an ecofriendly way without using solvents and air sensitive, corrosive bases.

A simple and solvent free, ecofriendly method was used for the synthesis of chalcone using basic amberlite resin at room temperature. This method is an alternative method for the synthesis of chalcone with electron withdrawing groups in benzaldehyde in higher yield at ambient temperature involving lesser reaction time. The resin utilized in this work can be regenerated and reused. (Scheme I)



a b c (1-4)

Scheme I

Table 1: Physical data of substituted prop-2-en-1-ones (c 1-4).

Product	R2	R1	%Yield	M.P.
1c	4-methoxy	H	85	78^0C
2c	4-methoxy	p-OH	88	167^0C
3c	4-methyl	H	73	122^0C
4c	4-methyl	p-OH	78	186^0C

Experimental

Chemicals and solvents required were from Merck. The melting points were taken in open capillary and are uncorrected. Resin used here was prepared by reported methods.

Preparation of reagent :

The basic anion exchange resin was prepared from the commercially available Amberlite IRA 400 (Chloride form).It was taken in a RB flask. A solution of (2N) sodium hydroxide was added until the yellow color of the resin turned brown. It was stirred for about an hour. The hydroxylated resin beads were collected and dried in vacuum.

Optimization of reaction condition for synthesis of chalcone using the reagent:

To arrive at optimum stoichiometry, acetophenone(1mmol) and substituted benzaldehyde (1mmol) were allowed to react with 15,30,45 and 60 mmol of the resin. The yield of the chalcone obtained was maximum with 30 mmol. of the resin per 1mmol of acetophenone. Synthesis of chalcone using ion exchange resin.

General procedure for the synthesis of substituted prop-2-en-1-ones (c 1-4).

Equimolar amount of acetophenone and substituted aryl aldehyde was stirred in ethanol (10 vol) and then hydroxide ion resin (W/W) was added. The mixture was stirred at room temperature. Progress of reaction was monitored by TLC at an interval of every 15 minutes. On completion of reaction it was poured on to the crushed ice and acidified with HCl. The solid separated was filtered and recrystallized from ethanol. (Table 1)

Conclusion

We have reported simple method for the synthesis of substituted chalcones using Amberlite resin. The mild reaction conditions, clean reaction profiles, zero side product and cost efficiency render this approach as a usefulmethods. Further studies on the

application of this method for the synthesis of highly functionalized biologically active chalcones are underway.

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