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Title Key: Efficient Synthesis of Chalcones by Aldol …

Efficient Synthesis of Chalcones by Aldol Condensation

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Abstract

A series of chalcones were prepared by aldol condensation of methyl ketones with several aromatic aldehydes in presence of aqueous solution of potassium hydroxide. The reaction is clean with shorter reaction time, mild reaction condition and eco-friendly

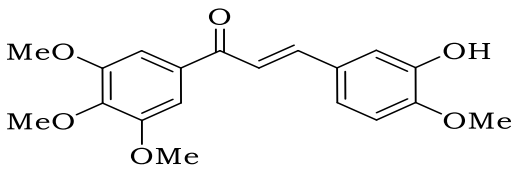
Keywords: Chalcones, anticancer agents, 4-hydroxyacetophenone, etc

1. Introduction

Chalcones, considered as the precursor of flavonoids and isoflavonoids, are abundant in edible plants. They are also found to be useful in the synthesis of number of heterocyclic compounds. The application of such heterocyclic compounds is due to the presence of some special functional group in their molecules. Increasing interest has been directed in the synthesis of chalcones on account of their synthetic importance and varied biological activities. The synthesis of chalcone compounds incorporating with hetero cycles became great importance in medicinal chemistry. The hetero atoms in there structure such as (S, N, O) explain variety applications in the biological engineering and in other field of their specific structures.

Recent studies revealed that these chalcones had shown a wide variety of anticancer,[1-7] anti-inflammatory,[8-10] antiinvasive,[11] antituberculosis,[12] and antifungal1[3] activities. Chalcones have shown promising anticancer therapeutic efficacy for the management of human cancers. Recently, different chalcone analogues have been synthesized and they have been screened for *in vitro* cytotoxicity against a number of cancer cell lines.

The substituted chalcones have shown potential anticancer activity. Ducki and co-workers have synthesized and reported trimethoxy substituted chalcones[14] **(1)** and **(2)**, that possess potential anticancer activity and bind strongly to tubulin at a site shared with, or close to, the colchicine binding site.[15-17]



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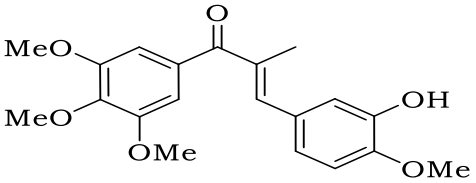

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Figure 1. Structures of potential anticancer chalcones

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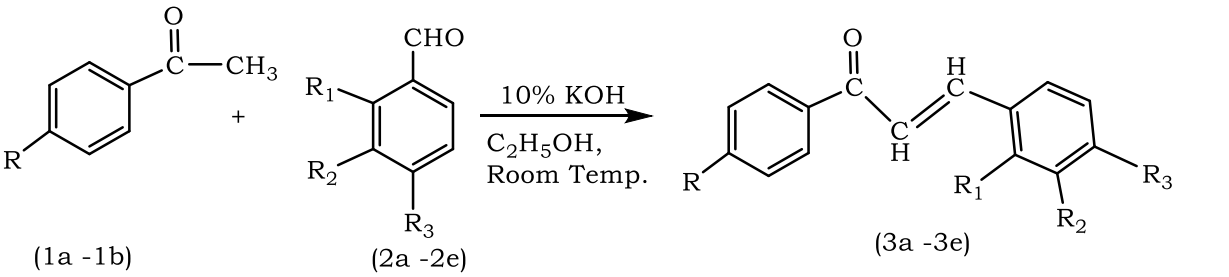

(1a -1b)

1a. R -OH

1b. R -H

(2a -2e)

2a. R_1 -Cl, R_2 -H, R_3-H

2b. R_1 -H, R_2 -H, R_3-Cl

2c. R_1 -H, R_2 -NO_2, R_3-H

2d. R_1 -H, R_2 -OCH_3, R_3-H

2e. R_1 -H, R_2 -H, R_3-$N(CH_3)_2$

(3a -3e)

3a. R-OH, R_1 -Cl, R_2 -H, R_3-H

3b. R-OH, R_1 -H, R_2 -H, R_3-Cl

3c. R-OH, R_1 -H, R_2 -NO_2, R_3-H

3d. R-H, R_1 -H, R_2 -OCH_3, R_3-H

3e. R-H, R_1 -H, R_2 -H, R_3-$N(CH_3)_2$

2. Experimental

General Procedure:

i) For preparation of chalcones: (3a-3c)

Heterocyclic aldehyde (0.01 mol) and 4-hydroxyacetophenone (0.01 mol) were dissolved in ethanol (25 mL). Potassium hydroxide solution, 10% (25 mL) was added slowly and the mixture stirred for 4 hrs then it was poured into 400 mL of water with constant stirring and left overnight in Refrigerator. The precipitate obtained was filtered, washed and recrystallized from ethanol.

ii) For preparation of chalcones: (3d-3e)

Heterocyclic aldehyde (0.01 mol) and acetophenone (0.01 mol) were dissolved in ethanol (25 mL). Potassium hydroxide solution, 10% (25 mL) was added slowly and the mixture stirred for 4 hrs then it was poured into 400 mL of water with constant stirring and left overnight in Refrigerator. The precipitate obtained was filtered, washed and recrystallized from ethanol.

3. Conclusion

We have described an efficient method for the synthesis of the chalcones from acetophenone /4-hydroxy acetophenone with aromatic aldehydes by aldol condensation. The methods so far reported for the synthesis of chalcones made use of drastic condition, expensive reagents, low yields, and tedious workup procedures. However the present protocol has mild condition, short reaction times, study of

wide range of electronically divergent substrate, easy work up, low toxicity, inexpensive, and ready availability of the chemicals, that make the procedure an attractive alternative & the existing method for the synthesis of chalcones

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Table -1

No	*Reactant*	*Reactant*	Product	IR spectra	Yield (%)	Melting point (^{0} C)
1.	1a	2a	3a	IR (KBr) 3261 cm^{-1}(-OH) 1691 cm^{-1} (C=0) 1591 cm^{-1} (C=C)	89	180
2.	1a	2b	3b	IR (KBr) 2982 cm-1(-OH) 1682 cm^{-1} (C=0) 1591 cm^{-1} (C=C)	84	190
3.	1a	2c	3c	IR (KBr) 3142 cm^{-1} (-OH) 1651 cm^{-1} (C=0) 1606 cm^{-1} (C=C)	79	182
4.	1b	2d	3d	IR (KBr) 2925.81 cm^{-1} (C-H), 1637.45 cm^{-1} (C=O), 15569.95 cm^{-1} (C=C), 1487.01 cm^{-1} (C=C, Ar), 1018.34 cm^{-1} (CO, ether)	83	58
5.	1b	2e	3e	IR (KBr) 3091.68 cm^{-1} (C-H), 2916.17 cm^{-1} (C-H), 1656.74 cm^{-1} (C=O), 1596.95, 1548.73 cm^{-1} (C=C).	87	113

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