ONE POT SYNTHESIS OF SOME CHALCONE DERIVATIVES FROM 2-ACETYL PYRIDINE USING STIRRING TECHNIQUES

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***Abstract*:** Chalcones constitute an important class of natural products belonging to flavonoid family and consist of a 1,3-diphenyl-2-propene-1-one framework containing two aromatic rings are linked by α, β-unsaturated carbonyl system. Lots of methods are available for the synthesis of chalcones, but this communication deals with an efficient and environmentally benign one pot synthesis of a series of chalcones by condensing 2-acetylpyridine with different aromatic aldehydes in presence of aqueous sodium hydroxide solution using simple stirring techniques at room temperature. The synthesized chalcones were characterized by means of their IR and ^{1}H NMR spectral analysis.

***Keywords*: Chalcones, 2-acetyl pyridine, stirring techniques, spectral analysis.**

I. INTRODUCTION

Chalcones constitute an important class of natural products belonging to flavonoid family and consist of a 1, 3-diphenyl-2-propene-1-one framework containing two aromatic rings are linked by α, β-unsaturated carbonyl group namely keto-ethylenic (-CO-CH=CH-) group which has relatively low redox potentials and have a greater probability of undergoing electron transfer reactions due to a conjugated double bonds and an entirely delocalized π-electron system on both aromatic rings, which is found to be responsible for their diverse biological properties and that's why they have attracted considerable attention in medicinal chemistry[1]. Chalcones either natural or synthetic are known to possess various biological activities such as antioxidant[2-5], antimalarial[6], antileishmanial[7], anti-inflammatory[8], antitumor[9] and antibacterial activity[10]. Besides the biological activity of chalcones, it is also known for its synthetic utility to prepare various heterocyclic compounds[11]. Lots of methods are available for the synthesis of chalcones, but in this communication, we report an efficient and environmentally benign one pot synthesis of a series of chalcones by addition of 2-acetylpyridine with different derivatives of aromatic aldehydes in presence of sodium hydroxide in aqueous solution using simple stirring method at room temperature. The structures of the synthesized chalcones were assigned by means of their IR and ^{1}H NMR spectral analysis.

II. EXPERIMENTAL

All the melting points were determined on a capillary melting point apparatus and are uncorrected. ^{1}H NMR spectra were recorded in DMSO on Bruker Avance II (400 MHz) spectrometer with TMS as an internal standard and IR spectra were recorded in KBr on Shimadzu FT-IR-8400 spectrophotometer.

General procedure for the synthesis of chalcones (RMP1-5)

In a 50ml of Iodine flask, a mixture of 2-acetyl pyridine (0.05M) and aryl aldehyde (0.05M) was stirred at room temperature on electro-magnetic stirrer with aqueous NaOH (0.025M) as a catalyst and water (20ml). The mixture was kept overnight at room temperature and then it was poured into water containing crushed ice followed by acidification with dilute HCl. The chalcone derivative precipitates out as solid which was filtered and crystallized from ethanol. The melting point of crystallized compound was recorded and calculates its % yield and % atom economy. The synthesis of chalcone derivatives from 2-acetyl pyridine (RMP1-5) is shown below in Figure 1.

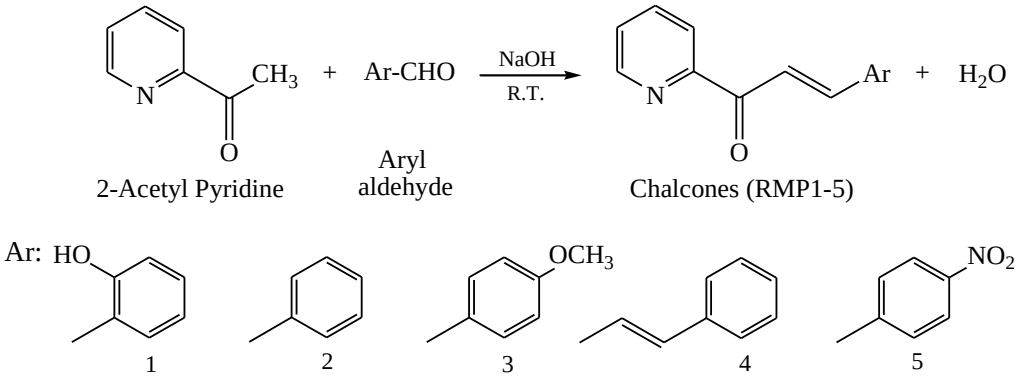

Figure 1: Synthesis of chalcone derivatives (RMP1-5) from 2-acetyl pyridine

Table 1: Physical data of chalcone compounds (RMP1-5)

Entry	Compounds Name	Mol. Formula	M.P. (^{0}C)	% Yield	% Atom Economy
RMP1	1-(Pyridin-2-yl)-3-(2-hydroxyphenyl)prop-2-en-1-one	$C_{14}H_{11}NO_2$	94-96	76.55	92.60
RMP2	1-(Pyridin-2-yl)-3-phenylprop-2-en-1-one	$C_{14}H_{11}NO$	124-126	66.44	92.07
RMP3	1-(Pyridin-2-yl)-3-(4-methoxyphenyl)prop-2-en-1-one	$C_{15}H_{13}NO_2$	88-90	60.86	93.00
RMP4	1-(Pyridin-2-yl)-5-phenylpenta-2,4-dien-1-one	$C_{16}H_{13}NO$	66-68	71.00	92.89
RMP5	1-(Pyridin-2-yl)-3-(4-nitrophenyl)prop-2-en-1-one	$C_{14}H_{10}N_2O_3$	105-107	80.72	93.38

III. RESULTS AND DISCUSSION

The characteristic physical data of synthesized chalcone derivatives (RMP1-5) is tabulated above in Table-1. Along with that, they were characterized by IR and ^{1}H NMR spectroscopic methods for their structural elucidation. From the spectral data of these compounds, it was observed that, they showed expected signals correspond to various groups present in the compounds. The IR and ^{1}H NMR spectral values for the selected chalcones are depicted below:

RMP1: IR (KBr, cm^{-1}): 3054 (-OH Phenolic stretch), 2917-2850 (C-H Aromatic stretch), 1689 (C=O stretch), 1583-1569 (C=C stretch), 1512 (C=N stretch) and 1279 (C-O stretch). ^{1}H NMR (DMSO, ppm): 5.0 (s, 1H, -OH), 6.50 (d, 1H, -CO-CH=), 7.81 (d, 1H, =CH-Ar) and 6.68- 8.98 (m, 8H, Ar-H).

RMP3: IR (KBr, cm^{-1}): 3080-2940 (C-H Aromatic stretch), 1732 (C=O stretch), 1651 (C=C stretch), 1584 (C=N stretch) and 1174 (-OCH_3 stretch). ^{1}H NMR (DMSO, ppm): 3.73 (s, 3H, -OCH_3), 6.67 (d, 1H, -CO-CH=), 7.54 (d, 1H, =CH-Ar) and 6.72-9.0 (m, 8H, Ar-H).

RMP5: IR (KBr, cm^{-1}): 3100-3052 (C-H Aromatic stretch), 1685 (C=O stretch), 1583 (C=C stretch), 1558 (C=N stretch) and 1448 (-N=O stretch). ^{1}H NMR (DMSO, ppm): 6.96 (d, 1H, -CO-CH=), 7.68 (d, 1H, =CH-Ar) and 7.56- 9.03 (m, 8H, Ar-H).

IV. CONCLUSION

In the current work, some chalcones have been successfully derived from 2-acetyl pyridine in satisfactory yields by greener method without any hazardous byproducts. The main advantage of this synthesis is that, it can be carried out at room temperature without any heating by simple stirring techniques. Besides this, these synthesized chalcones can be used as intermediates for the synthesis of novel heterocycles which may find their applications in industries engaged in drug manufacturing and agrochemicals.

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