

Synthesis, Biological Activity and Spectral Characterisation of Chalcon

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Abstract: Antioxidants, anti malaria, anti tubercular, antiviral, anti-Inflammatory and antiduretic agents. Some chalcone have been reported as inhibitors of lipoxygenase, beta-secretase(BACE1), cyclogenase, peroxisome. The synthesis of various classes of chalcone and their mode of pharmacological applications have been reviewed. The broad pharmacological applications of chalcones. The case of synthesis and the increased resistance of available chalcones are pharmacologically active compound, it is chemically known as derivatives of 1,3-diphenylprop-2-en-1-one. They have found applications as anticancer, anti-diabetic, anti-HIV, chemotherapeutic agent informed this review.

For the preparation of different chalcone products we used magnetic stirring machine to get the solidified product. prepared five different chalcone products namely benzylidene acetophenone, 2,hydroxy benzylidene acetophenone, 4,hydroxy benzylidene acetophenone, To determine the functional group, structure and molecular weight .of the chalcones..From FT-IR method the presence of functional groups are analysed, using H^1 -NMR spectroscopy number of H atoms are detected ,on the bases of H atoms present in the sample structure of the chalcone is determined and to know the molecular weight of the sample Mass spectroscopy is used.

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I. Introduction

CHALCONE-

Chalcones considered to be the precursor of flavonoids and isoflavonoids, and abundant in edible plants. They consist of open chain flavonoids in which the two aromatic rings are joined by a three chain, unsaturated carbonyl system. Studies revealed that the compounds with a chalcone-based structure have anti-inflammatory, anti-fungal, anti-bacterial, and anti-tumor activities.

These activities are largely attributed due to the unsaturated ketone moiety. Introduction of various substituents into the two aryl rings are also a subject of interest cause it leads to useful structural activity relationship.

The chemistry of chalcones has generated intensive scientific studies through out the world. Especially interest has been focused on the synthesis and biodynamic activities of chalcones. These compounds are also know as benzalacetophenone or benzylideneacetophenone. In chalcones, two aromatic rings are linked by an aliphatic three carbon chain. Chalcones bears a very good synthon so that variety of novel heterocycles with good pharmaceutical profile can be designed.

Chalcones are unsaturated ketone containing the reactive keto ethylenic group $CO-CH=CH$. These are coloured compounds because of the presence of chromophore $-CO-CH=CH-$, which depends in the presence of other auxochromes. Different methods are available for the preparation of chalcones. The most convenient method is the presence of alcoholic alkali.

Chalcones are used to synthesize several derivatives like cynopyridines, pyrazolines isoxazoles and pyrimides having different heterocyclic ring systems. Chalcones are the compounds where aromatic substituents are introduced into the terminal position of the system $C=C-C=O$. So chalcones are characterized by their position of $Ar(A)-CO-CH=CH-Ar(B)$ this type of structure in which two aromatic rings A and B are linked by an aliphatic three carbon chain.

CHALCONES AS DRUGS:

Chalcones are popular intermediates for synthesizing various heterocyclic compounds. The compounds with the backbone of chalcones have been reported to posses various biological activities such as antimalarial, antimicrobial, anti inflammatory, analgesic, antiulcerative, anticancer, immunomodulatory.

Chalcones are precursors in the biosynthesis of anthosyanins and flavones. Chalcones and substituted chalcones can be synthesized in laboratory by chain Schmidt condensation of acetophenone or substituted acetophenone with aldehyde the first condensation is reported by Kestanecki and gave the name CHALCONE.

IMPORTANCE OF CHALCONES:

Chalcones have been investigated since long due to versatile applications. Chalcones have been closed relation to flavonoids, and dihydroflavonoids. Krebecheck reported some dihydrochalcones possessing sweetening property which is 2000 times more than that of sucrose. Chalcones and their derivatives also find application as stabilizer, scintillator, photosensitive material, polymerization catalyst, fluorescence brightening agent, as well as organic brightening agents.

Chalcone contains keto-ethylenic groups, so the reactive towards several reagent e.g. phenyl hydrazine, ethyl acetophenone which producing pyrazolline and ethyl cyclo hexane, carboxylate derivatives respectively. They have been useful as intermediate in the synthesis of certain heterocyclic compounds such as flovones, benzyl coumarones etc. The chalcones have found to be useful in proving the structure of natural products like cynomaclurin plotin etc.

BIOLOGICAL ACTIVITIES OF CHALCONE

The presence of unsaturated carbonyl system chalcone makes it biological activities. Some substituents of chalcone and their derivatives including some of their heterocyclic analogues have been reported some interesting biological properties. The presence of unsaturated carbonyl in chalcones shows antibacterial activities against *S.aureus*, *E. coli*, *C.albicans*, *T.utillis*, *S.sake*, *W.anomala* and some other organisms. Some nitrofuryls chalcones have been synthesized and tested for their bacterial activity. Among all those derivatives, the most efficient is which inhibited *staphylococcus London* at 1ug/ml.

Several chalcones having general structure have been synthesized and tested for their antibacterial and antifungal activities. Some chalcone derivatives incorporated with indole moiety were synthesized and tested for their antibacterial, antifungal activities, substituted chalcone showed antimicrobial activity which was increased by bromination.

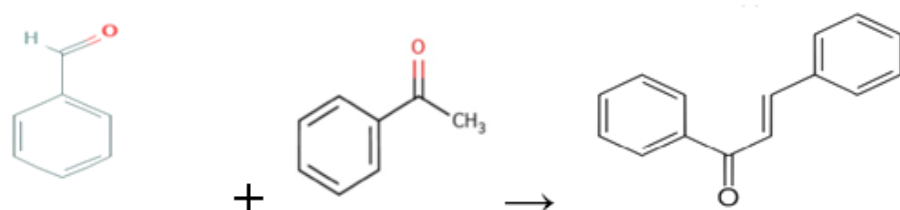
Furiga have synthesized 4-hydroxy 5-carboxy-chalcones, 4-hydroxy 5-carboxy chalcone and 4-methoxy 5-carboxy dihydrochalcones which posses antimicrobial activities.

Sample (1) : Benzylidene acetophenone

Procedure:

A solution acetophenone (3ml) stirred in ethanol (8ml) is added with benzaldehyde (2.857ml) in a conical flash (25ml) and then NaOH 30% (4ml) is added drop wise to it. Then the mixture is stirred in ice cold ware bath until it solidify ,then keep this in cold condition over night and after that solidified mass separated and dried in room temperature and weighed the compound.

Reaction:



Benzaldehyde

Acetophenone

Benzylidene acetophenone

Weight of the compound: 6.321gm

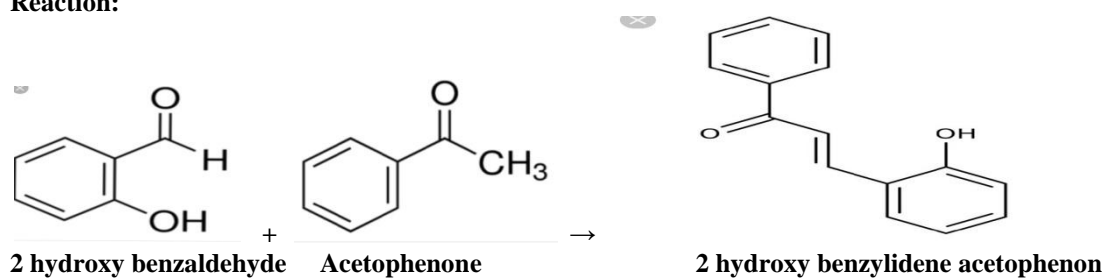
M.P : 58 c

Sample (2) : 2-hydroxy benzylidene acetophenone

Procedure:

A solution of acetophenone (3ml) stirred in ethanol (8ml) is added with salisyldehyde (3ml) in 25ml conical flask then NaOH 30% (4ml) was added drop wise to it. Then mixture stirred in ice cold water bath until it solidifies . Then solidified compound is kept in cold condition over night and after that solidified mass is separated ,dried in room temperature and weighed.

Reaction:



Weight of the compound: 2.248gm

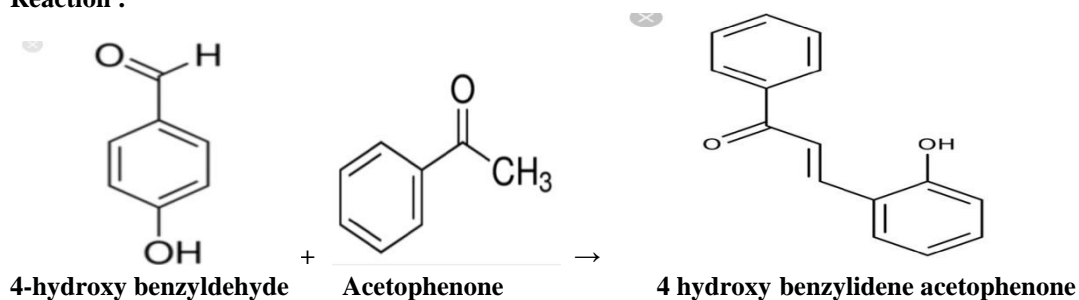
M.P : 120°c

Sample (3) : 4 –hydroxy benzylidene acetophenone

Procedure :

A solution of acetophenone (3ml) stirred in ethanol (8ml) added with 3gm of 4 hydroxy benzaldehyde in 25ml conical flask .Add NaOH 30% drop wise .The mixture is stirred in ice cold water bath and stirred until it get solidify . The solid compound is kept in cold condition for over night .After that the solid mass is dried in room temperature and weighed.

Reaction :

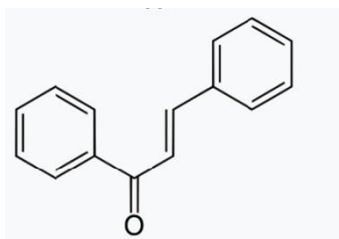


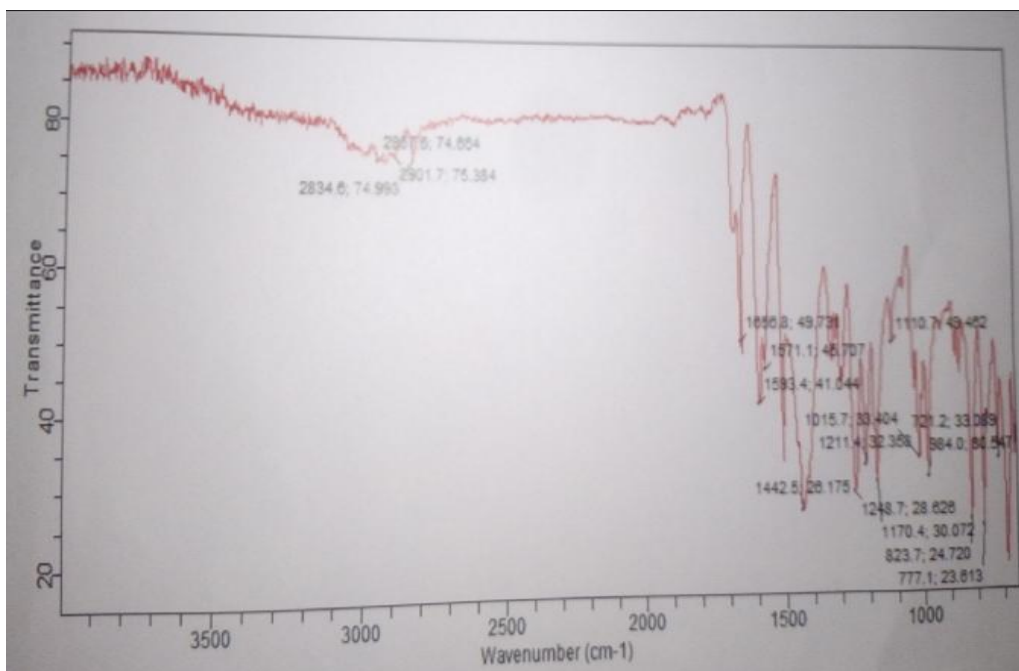
Weight of the compound :3.21gm

M.P : 52 c

FT – IR Spectroscopy:

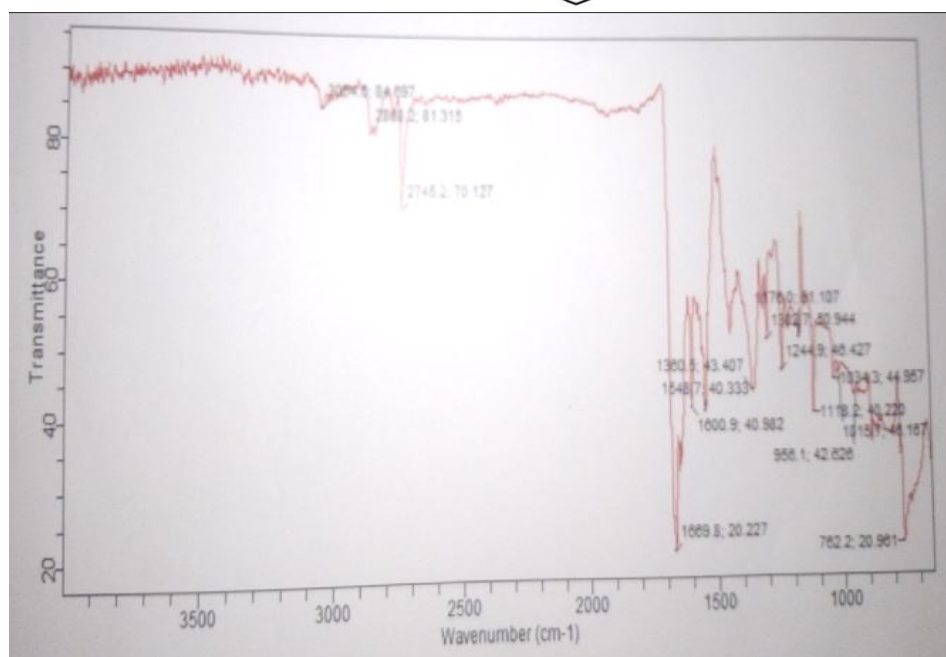
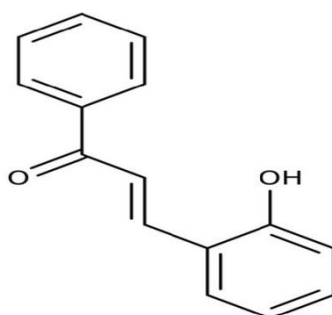
Sample 1) Benzylidene acetophenone





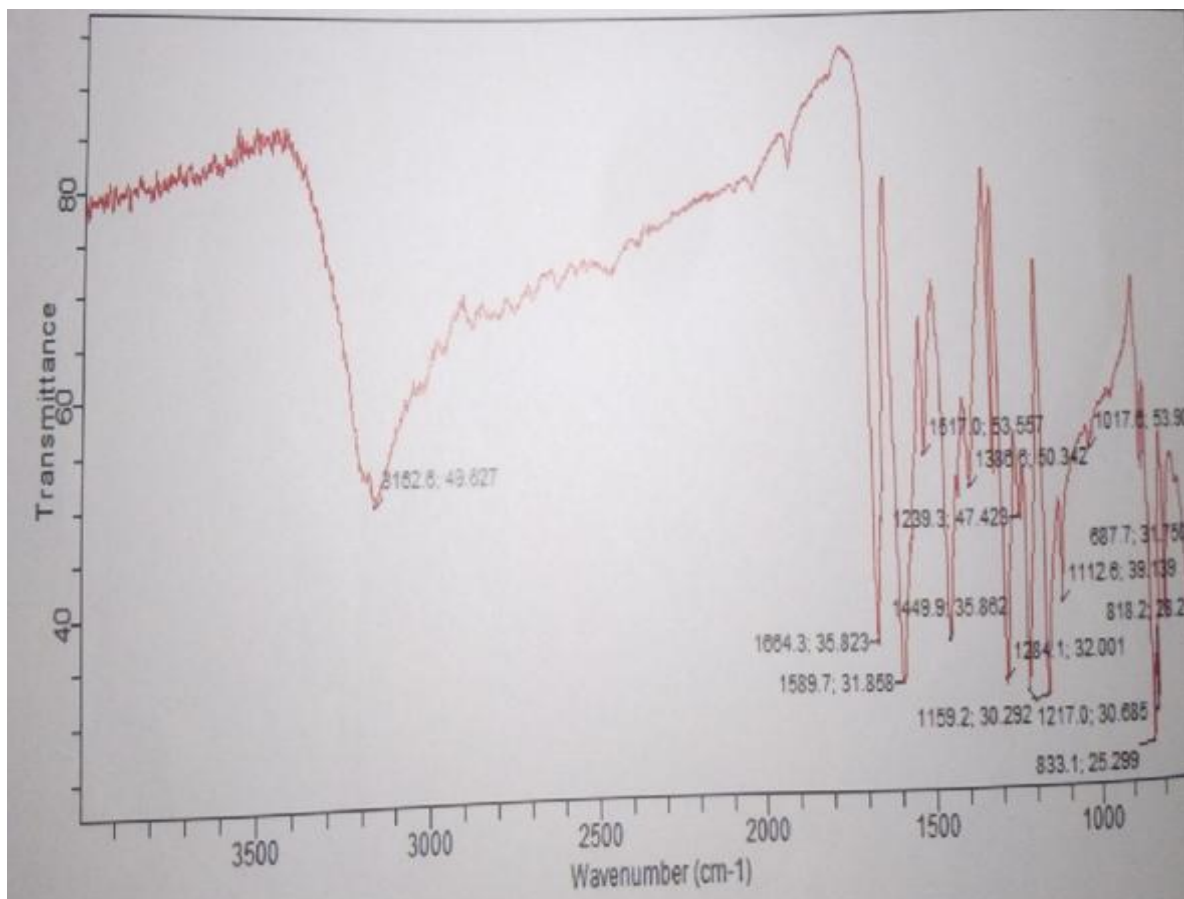
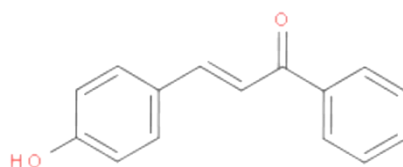
Sample 1: It shows absorption peaks such as =C-H bending at 823.7cm⁻¹, C=O absorption at 1656.8cm⁻¹, O-H absorption at 3000 cm⁻¹, C-H absorption at 1110.7cm⁻¹, C=C stretching at 157.1cm⁻¹.

Sample-2) 2 –hydroxy benzalidene acetophenone



Sample 2: It shows absorption peaks such as =C-H bending 762.2cm⁻¹, C=O absorption at 1669.8cm⁻¹, O-H absorption at 3054.6cm⁻¹, C-H absorption at 3000 cm⁻¹, C=C stretching at 1600.9cm⁻¹.

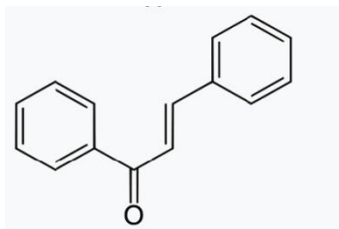
Sample -3) 4 hydroxy benzylidene acetophenone

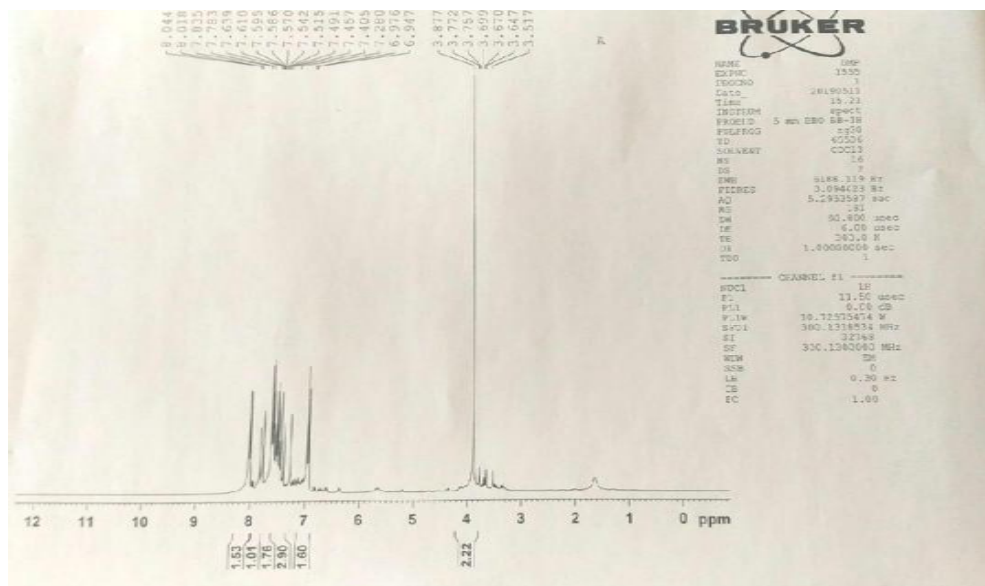


Sample 3: It shows absorption peaks such as =C-H bending at 833.1cm^{-1} , C=O absorption at 1664.3cm^{-1} , O-H absorption at 3162.6cm^{-1} , C-H absorption at 1239cm^{-1} , C=C stretching at 1589cm^{-1}

PROTON NMR SPECTROSCOPY

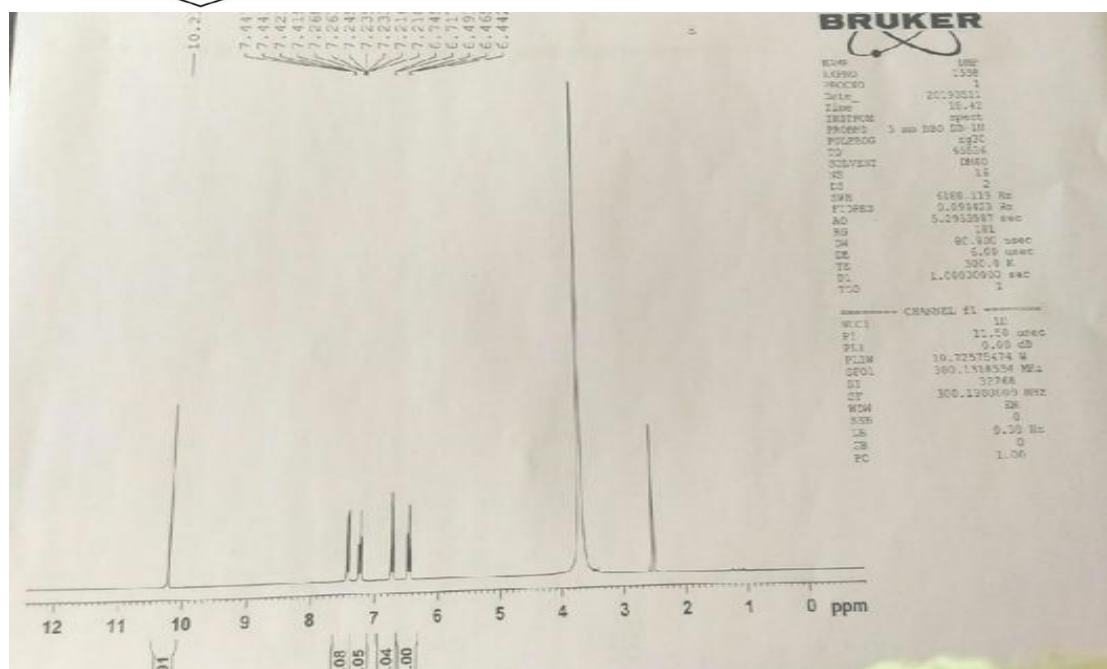
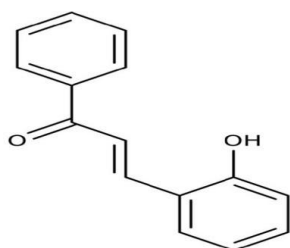
1) Benzylidene acetophenone





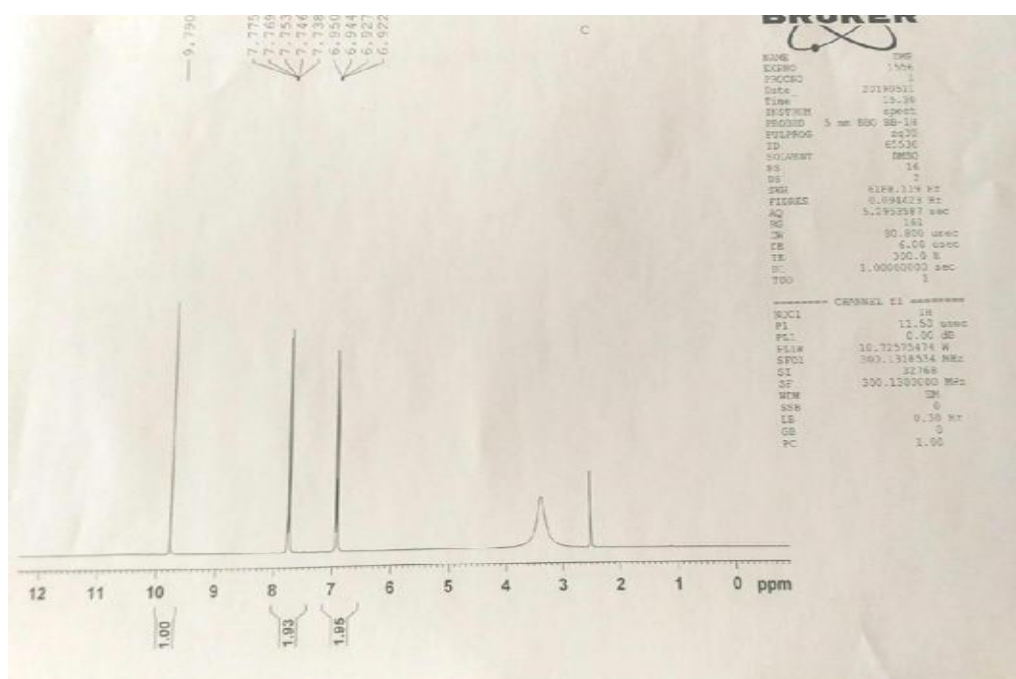
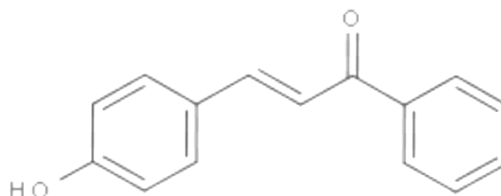
Ppm	Multiplicity	No. of hydrogen atoms
8.03-8.02	Doublet	1H
7.79-7.63	Doublet	1H
7.58-7.44	Multiplet	2H
7.45	Singlet	5H
7.281-6.97	Doublet	2H
3.77	Singlet	1H

2) 2 hydroxy benzylidene acetophenone



Ppm	Multiplicity	No.of hydrogen atoms
10.237	Singlet	1H
7.447-7.441	Doublet	1H
7.421-7.268	Triplet	2H
7.261-7.239	Doublet	2H
6.233-6.210	Triplet	1H
3.88-3.61	Singlet	5H

3) 4 hydroxy benzylidene acetophenone



Ppm	Multiplicity	No of Hydrogen atoms
9.790	Singlet	1H
7.775-7.753	Doublet	1H
7.738-6.950	Doublet	1H
3.877-3.221	Singlet	4H
2.55	Singlet	5H

Maximum absorption frequency of chalcone derivative compounds.

Group frequency(cm^{-1})	Sample 1	Sample 2	Sample 3
=C-H bending ($900-690\text{cm}^{-1}$)	823.7cm^{-1}	762.2cm^{-1}	833.1cm^{-1}
C=O Absorption ($1720-1708\text{cm}^{-1}$)	1656.8cm^{-1}	1669.8cm^{-1}	1664.3cm^{-1}
O-H Absorption ($3600-3200\text{cm}^{-1}$)	3100cm^{-1}	3054.6cm^{-1}	3162.6cm^{-1}
C-H Absorption ($1300-1000\text{cm}^{-1}$)	1110.7cm^{-1}	1244.9cm^{-1}	1239.3cm^{-1}
C=C Stretching ($1600-1475\text{cm}^{-1}$)	1571.1cm^{-1}	1600cm^{-1}	1589.7cm^{-1}

Sample 1: Benzylidene acetophenone
Sample 2: 2 Hydroxy benzylidene acetophenone
Sample 3: 4 Hydroxy benzylidene acetophenone

II. Conclusion

Chalcone are alpha, beta unsaturated ketones which consisting of two aromatic rings. The various substituted carbonyl compound react with other various substituted carbonyl compound to form a chalcone in the presence of sodium hydroxide at room temperature. This product is characterized by FT-IR, H^1 NMR and Mass spectroscopy. By these spectroscopic methods we determined the functional group, structure and molecular formula of chalcone products.

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