

SYNTHESIS AND CHARACTERIZATION OF SOME NOVEL SUBSTITUTED CHALCONE DERIVATIVES

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ABSTRACT: Chalcone is an aromatic ketone that forms the central core for the variety of important biological compounds, which are collectively known as chalcones. The name chalcones was given by Kostanecki and Tambor. The chalcones, two aromatic rings are linked by an aliphatic three carbon chain which bears a very good synthon so that variety of novel heterocyclics with good pharmaceutical profile can be designed. Chalcones have been considered as a magic moiety possessing myriad spectrum of medicinal activities. Diversity of biological response profile has attracted considerable interest of several researchers across the globe to explore this skeleton for its assorted therapeutic significance. By using different synthetic methods new chalcone derivatives were synthesized and characterized by physicochemical analysis. Chalcone is a lead nucleus for future developments to get effective compounds.

Key words: Chalcone, Naphthalene, Benzaldehyde, Anisaldehyde, Veratraldehyde, Ethanol.

INTRODUCTION

The chemistry of chalcones has generated intensive scientific studies throughout the world. Especially interest has been focused on the synthesis and biodynamic activities of chalcones. Chalcone is an aromatic ketone that forms the central core for the variety of important biological compounds, which are collectively known as chalcones (Hasse Kromann, Simon Feldbaek *et al.*, 2004).

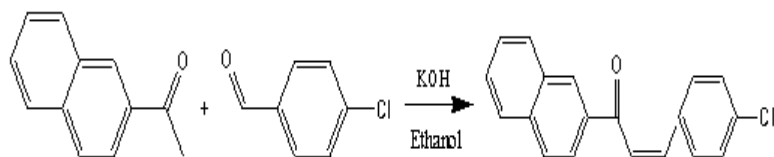
The name chalcones was given by Kostanecki and Tambor. The chalcones, two aromatic rings are linked by an aliphatic three carbon chain which bears a very good synthon so that variety of novel heterocyclics with good pharmaceutical profile can be designed. These are α , β unsaturated ketone containing reactive keto ethylenic group $-\text{CO}-\text{CH}=\text{CH}-$ and are coloured compounds because of the presence of the chromophore. $-\text{CO}-\text{CH}=\text{CH}-$, which depends on the presence of the other auxochromes (Jing-Ru Weng *et al.*, 2005).

Chalcones and their derivatives find application as artificial sweeteners, scintillator, polymerization catalyst, and fluorescent whitening agent, and organic brightening agent, stabilizer against heat, visible light, ultra-violet light and aging. The chalcones have been found useful in elucidating structure of natural products like hemlock tannin, cyanomaculin, plorethin, eriodictyol and homo eriodictyol, naringenin (Kale and A.V *et al.*, 1985). Certain chalcones derivatives are reported to inhibit the polymerization of tubulin to form microtubules and are therefore anti mitotic agents which can be used as anti gout agents. Chalcone derivatives are also known to inhibit the destructive of myelin sheath in the central nervous system to multiple sclerosis patients and thus useful in controlling the progressive nature of the disease (Maayan Shmuel *et al.*, 2005).

EXPERIMENTAL SECTION

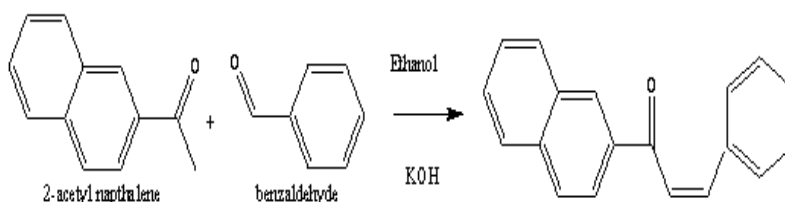
Synthesis of 3-(4-chloro-phenyl)-1-(naphthalen-2-yl) prop-one

To a solution of 2-acetyl naphthalene (0.01) is taken in a beaker and 4-chloro benzaldehyde (0.01 mol), ethanol, 40% potassium hydroxide was added. The reaction mixture was stirred continuously at room temperature up to 35 min until salt precipitate was formed. The solid obtained was recrystallized from glacial acetic acid and dried (Biopharm Bull *et al.*, 1997).



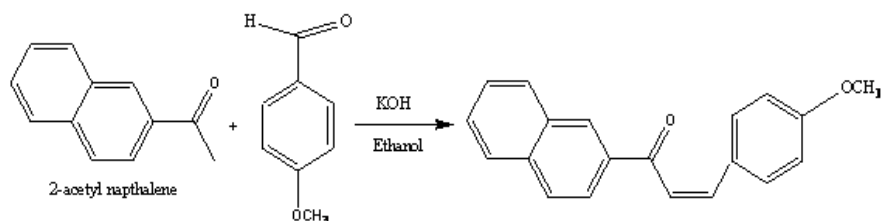
Synthesis of 3-(phenyl)-1-(naphthalene-2-yl) prop-1-en-1-one

To a solution of 2-acetyl naphthalene (0.01) is taken in a beaker and benzaldehyde (0.01 mol), ethanol, 40% potassium hydroxide was added. The reaction mixture was stirred continuously at room temperature up to 42 min until salt precipitate was formed. The solid obtained was recrystallized from glacial acetic acid and dried (Tommy et al., 1998).



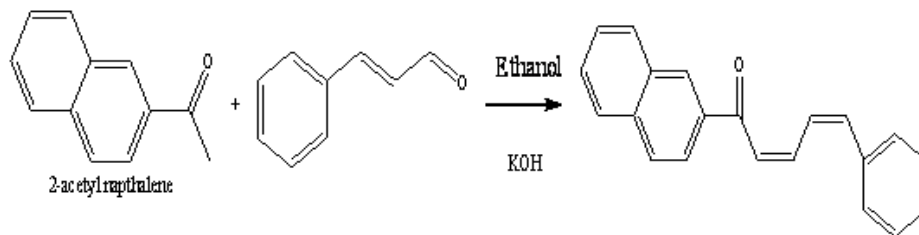
Synthesis of 3-(4-methoxy-phenyl)-1-(naphthalene-2-yl) prop-1-en-1-one

To a solution of 2-acetyl naphthalene (0.01) is taken in a beaker and anisaldehyde (0.01 mol), ethanol, 40% potassium hydroxide was added. The reaction mixture was stirred continuously at room temperature up to 35 min until salt precipitate was formed. The solid obtained was recrystallized from ethanol and dried (Elliott.Jr. et al., 1987).



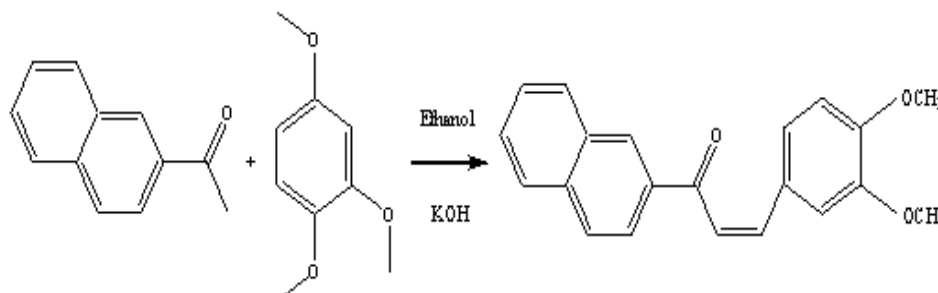
Synthesis of 3-(phenyl)-1-(naphthalene-2-yl) pent-3, 5-diene-1-one

To a solution of 2-acetyl naphthalene (0.01) is taken in a beaker and cinnamaldehyde (0.01 mol), ethanol, 40% potassium hydroxide was added. The reaction mixture was stirred continuously at room temperature up to 57 min until salt precipitate was formed. The solid obtained was recrystallized from glacial acetic acid and dried (Bloney W.M. et al., 1990).



Preparation of 3-(3,4-dimethoxy phenyl) 1-(naphthalene-2-yl) prop-1-en-1-one

To a solution of 2-acetyl naphthalene (0.01) is taken in a beaker and veratraldehyde (0.01 mol), ethanol, 40% potassium hydroxide was added. The reaction mixture was stirred continuously at room temperature up to 60 min until salt precipitate was formed. The solid obtained was recrystallized from glacial acetic acid and dried (H.A.Ghulikah et al., 2003).



RESULTS AND DISCUSSION

The present study explains the synthesis and characterization of some novel chalcone derivatives at present studies find the structural-activity relationship (SAR) and to optimize the structure. The synthesized chalcone derivative characterized by IR spectral analysis. The purity of the synthesized chalcone derivative was checked by (TLC) thin layer chromatography and the solvent system was found to be [CHCl_3 : CH_3OH : C_6H_6 (6:3:1)], the R_f value was recorded.

IR spectral data of 3-(4-chloro-phenyl)-1-(naphthalen-2-yl) prop-1-en-1-one

The IR spectrum of the compound I was recorded on FTIR spectrometer by KBr method. It shows band set 2973cm^{-1} , 1661cm^{-1} , 850cm^{-1} correspondence to aromatic C-H (stretch), prop none ($\text{C}=\text{O}$), aromatic (C-Cl), respectively.

IR spectral data of 3-(phenyl)-1-(naphthalene-2-yl) prop-1-en-1-one

The IR spectrum of the compound II was recorded on FTIR spectrometer by KBr method. It shows band set 2973cm^{-1} , 1662cm^{-1} correspondence to aromatic C-H (stretch), prop none ($\text{C}=\text{O}$), respectively.

IR spectral data of 3-(4-methoxy-phenyl)-1-(naphthalene-2-yl) prop-1-en-1-one

The IR spectrum of the compound III was recorded on FTIR spectrometer by KBr method.

It shows band set 2973cm^{-1} , 1661cm^{-1} , 1560cm^{-1} correspondence to aromatic C-H (stretch), prop none ($\text{C}=\text{O}$), aromatic(C-O-C), respectively.

IR spectral data of 3-(phenyl)-1-(naphthalene-2-yl) pent-3, 5-di-ene-1-one

The IR spectrum of the compound IV was recorded on FTIR spectrometer by KBr method. It shows band set 2973cm^{-1} , correspondence to aromatic C-H (stretch), prop none ($\text{C}=\text{O}$), respectively.

IR spectral data of 3-(3, 4-dimethoxy-phenyl)-1-(naphthalene-2-yl) prop-1-en-1-one

The IR spectrum of the compound V was recorded on FTIR spectrometer by KBr method. It shows band set 2973cm^{-1} , 1559cm^{-1} correspondence to aromatic C-H (stretch), prop none ($\text{C}=\text{O}$), aromatic (C-O-C), respectively.

Physicochemical analysis of synthesized derivatives

Table-1

S.no	3-(4-chloro-phenyl)-1-(naphthalen-2-yl) prop-1-en-1-one	
1.	Mol. Formula	$\text{C}_{19}\text{H}_{13}\text{OCl}$
2.	Melting Point	156°C
3.	Mol. Weight	228
3.	% Yield	65%
4.	Solvent system used	CHCl_3 : CH_3OH : C_6H_6 (6:3:1)

Table-2

S.no	3-(phenyl)-1-(naphthalene-2yl) prop-none	
1.	Mol. Formula	C ₁₉ H ₁₄ O
2.	Melting Point	80°C
3.	Mol. Weight	258
3.	% Yield	52.7 %
4.	Solvent system used	CHCl ₃ : CH ₃ OH: C ₆ H ₆ (6:3:1)

Table-3

S.no	3-(4-methoxy-phenyl)-1-(naphthalene-2-yl) prop-none	
1.	Mol. Formula	C ₂₀ H ₁₆ O ₂
2.	Melting Point	92°C
3.	Mol. Weight	288
3.	% Yield	27.2%
4.	Solvent system used	CHCl ₃ : CH ₃ OH: C ₆ H ₆ (6:3:1)

Table-4

S.no	3-(phenyl)-1-(naphthalene-2yl) pent-3, 5- diene-1-one	
1.	Mol. Formula	C ₂₁ H ₁₇ O
2.	Melting Point	86°C
3.	Mol. Weight	284
3.	% Yield	29%
4.	Solvent system used	CHCl ₃ : CH ₃ OH: C ₆ H ₆ (6:3:1)

Table-5

S.no	3-(3-4-dimethoxyl phenyl) 1-(naphthalene-2yl) prop none	
1.	Mol. Formula	C ₂₁ H ₁₉ O ₃
2.	Melting Point	62°C
3.	Mol. Weight	318
3.	% Yield	59.7%
4.	Solvent system used	CHCl ₃ : CH ₃ OH: C ₆ H ₆ (6:3:1)

CONCLUSION

By this study concluded that to find the structure-activity relationship (SAR) and to optimize the structure of the synthesized new chalcone derivative. The compound was characterized by IR, spectral data, the purity of the compound was checked by TLC and it produces good yield. The compound was confirmed by physicochemical and spectral data analysis.

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REFERENCES

- Al-issa S.A and H.A.Ghulikah (2003)., Asian journal of chemistry 15(2), 583.
- Chun-NanLin, Lo-TiTsao and Jing-Ru Weng et al (2005)., Eur Jour.Med.Chem.40(5),103.
- Climent, M.J. and Iborra, S., et al (2004), Journal of Catalysis 221(2), 471.
- Ektabansal, V.K. Srivastava and Ashok Kumar, Eur (2001)., J.Med.Chem., 3(6),81.
- Hasse Kromann, Simon Feldbaek Nielsen(2004)., Bio-Organic and Medicinal Chemistry, 12(2), 3047.
- Iwata, susumu, Nishino, Takeshi and Inone, Hideo: Biopharm Bull (1997), 20(12), 1266.
- Herencia, Felipe:Ubeda, Amolia and Guille, Isobal,et al(1999).,FEBS Lett.453(12),129
- Nielsen, simonfeldbk and Lijefforms, Tommy et al (1998), J.med.Chem, 41(24), 4819.
- Phrutivorapongagkul, Ampap and Kirtikaro, K., et al (2003)., Chemicals and Pharmaceutical Bulletin 51(6),746.
- Reddy,D.B. and seenaiah, B.,et al (1989).,J Indian Chem.Soc.,6(6), 893.
- Schwartz, Anthony & Middle ton, Elliott (1984)., Immunopharmacology, 6(12), 248.
- Savliwala, Mohammadi and Middleton, Elliott.Jr. et al (1987)., Biochem.Pharmacol 36(12), 2048.
- Simmonds, M.S.J. and Bloney, W.M.,et al (1990)., J.Chem.Ecoli.16 (2). 365.
- Soliman Khatib, Ohad nerya and maayan Shmuel et al (2005)., Bioorganic and Medicinal Chemistry 13(2),433.
- Shivhare,A., Kale and A.V., et al (1985)., Indian J. Pharm.sci., 47,115.