# A Review on Chalcones Synthesis and their Biological Activity

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# ABSTRACT

Chalcones are a valuable molecule of medicinal importance due to presence of reactive ketoethylenic group – CO–CH=CH–, belonging to the flavonoid family. These reactive  $\alpha$ , $\beta$ -unsatutated keto function in chalcones are responsible for their biological activity. Chalcone can be synthesized by several methods using aldehydes and ketones as starting material. This review is focused about different methods of synthesis and versatile biological activity of chalcones including antimicrobial, anticancer, antioxidant, antimalarial, antituberculosis etc.

# Keywords: Chalcones, synthesis, biological activity

### INTRODUCTION

Chalcone is an  $\alpha,\beta$ - unsaturated ketone and central core for a variety of important biological compounds, which are known as chalcones. Benzylideneacetophenone is an important member of the chalcone series. Chemically these are 1,3-diphenyl-2-propene-1-one, in which two aromatic rings are linked by a three carbon keto-ethylinic system. Chalcones are also present in nature and can be obtained from plant species like Angelica, Glycyrrhiza, Humulus and Scutellaria, which are widely used as traditional folk remedies. Chalcones are an important intermediates for the biosynthesis of flavonoids. Besides the biological activity of chalcones like antiinflammatory, antimitotic, anti-leishmanial, anti-invasive, anti-tuberculosis, anti-fungal, anti-malarial, antitumor, and anti-oxidant it is also recognized for its synthetic utility to prepare pharmacologically-interesting heterocyclic systems like pyrazolines, which have also been largely studied owing to their pharmacological activities, includes anti-tumor anti-inflammatory, anti-parasitary, anti-depressive, anticonvulsant, antimicrobial, antinociceptives and nitric oxide synthase inhibitors.

### Chalcone as an antimicrobial agent

A novel 1-(4-butoxy-2-hydroxy phenyl)-3-(2,5 dimethoxyphenyl) prop-2-en-1-one VIII was synthesized as antimicrobial agent. (Barot et al., 2013)



Chalcones V (1-9) were synthesized by Claisen-Schmidt condensation of methyl ketones with several aromatic aldehydes in presence of aqueous solution of sodium hydroxide using microwave irradiations having antibacterial activities. (Bhuiyan et al., 2011)



MWI = Microwave irradiation

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Antimicrobial chalcones IV (1-10) were synthesized by condensing benzaldehyde derivatives with acetophenone derivatives in dilute ethanolic sodium hydroxide solution at room temperature according to Claisen –Schmidt condensation. (Choudhary et al., 2011)



		1	v (1-10)		
Compd.	R <sub>1</sub>	R <sub>2</sub>	Compd.	R <sub>1</sub>	R <sub>2</sub>
1.	OCH₃	Br	6.	ОН	Cl
2.	OCH <sub>3</sub>	Ι	7.	ОН	Br
3.	OCH <sub>3</sub>	OCH <sub>3</sub>	8.	Н	Br
4.	$OC_2H_5$	OCH₃	9.	Н	Ι
5.	OH	OCH <sub>3</sub>	10.	Н	OC <sub>2</sub> H <sub>5</sub>

Chalcones VII (1-6) having antimicrobial activity, were synthesized by condensing either 1-acetylnaphthalene or substituted 1-acetylnaphthalenes with 1-naphthaldehyde or 4-dimethylamino-1 naphthaldehyde in ethanolic NaOH solutions. (Davood and Maseud, 2013)



Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>
1.	Н	Н	Н
2.	Н	Н	N(CH <sub>3</sub> ) <sub>2</sub>
3.	ОН	Н	N(CH <sub>3</sub> ) <sub>2</sub>
4.	ОН	CI	N(CH <sub>3</sub> ) <sub>2</sub>
5.	ОН	CH <sub>3</sub>	N(CH <sub>3</sub> ) <sub>2</sub>
6.	Н	CI	N(CH <sub>3</sub> ) <sub>2</sub>

Chalcones I (1-6) were synthesized by condensing 2-acetyl pyridine with aldehyde derivatives in dilute ethanolic potassium hydroxide solution at room temperature according to Claisen-Schmidt condensation. (Prasad et al., 2008)



Chalcones IX were synthesized by Claisen-Schimdt condensation of aromatic aldehydes with o-hydroxy acetophenone. Chalcone on reaction with catalytic amount of I<sub>2</sub> in DMSO gave Flavones having antimicrobial activity. (Rathore et al., 2015)



 $R = H, OH, CI, NO_2$ 

6.

7.

8.

9.

CH₃

 $CH_3$ 

 $CH_3$ 

Chalcones VI (1-9) were synthesized by using different substituted hydroxyl acetophenone and quinoline carbaldehyde by Claisen-Schmidt condensatiom to give general 1-[substituted aryl]-3-[substituted hetero aryl]-2-propene-1-ones having antibacterial activity. (Sirsat et al., 2012)



A series of chalcones III (1-11) having antimicrobial activity was prepared by Claisen-Schmidt condensation of acetophenones with aromatic aldehydes in the presence of aqueous solution of potassium hydroxide and ethanol at room temperature. (Tiwari et al., 2010)

Br

OCH<sub>3</sub>

OCH<sub>3</sub>

OCH<sub>3</sub>



Н

Т

Br

Н

24

Br

L

Br

Br

	1				
Compd.	R <sub>1</sub>	R <sub>2</sub>		R <sub>1</sub>	R <sub>2</sub>
1.	4-FC <sub>6</sub> H <sub>4</sub>	3-OHC <sub>6</sub> H <sub>4</sub>	7.	$4-NO_2C_6H_4$	$3-NO_2C_6H_4$
2.	4-FC <sub>6</sub> H <sub>4</sub>	3-NOSC <sub>6</sub> H <sub>4</sub>	8.	$4-NO_2C_6H_4$	3-CIC <sub>6</sub> H <sub>4</sub>
3.	4-FC <sub>6</sub> H <sub>4</sub>	3-CIC <sub>6</sub> H <sub>4</sub>	9.	$4-NO_2C_6H_4$	4-FC <sub>6</sub> H <sub>4</sub>
4.	4-FC <sub>6</sub> H <sub>4</sub>	$4-FC_6H_4$	10.	$4-NO_2C_6H_4$	4-CH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>
5.	4-FC <sub>6</sub> H <sub>4</sub>	$4-CH_3C_6H_4$	11.	$4-NO_2C_6H_4$	3,4-OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>
6.	4-FC <sub>6</sub> H <sub>4</sub>	3,4-OCH <sub>3</sub> C <sub>6</sub> H <sub>4</sub>			

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A series of chalcones II (1-9) was synthesized by reacting 1-(4-isobutylphenyl) ethanone with different substituted aldehyde by Clasien-Schimidt condensation having antibacterial and antifungal activity. (Turkar et al., 2010)



Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>
1.	Н	OCH₃	OCH <sub>3</sub>	OCH₃
2.	OCH <sub>3</sub>	Н	OCH₃	OCH₃
3.	OCH <sub>3</sub>	Н	OCH₃	Н
4.	OCH <sub>3</sub>	Н	Н	OCH₃
5.	Н	Н	OCH₃	Н
6.	Н	Н	Cl	Н
7.	Н	F	OCH <sub>3</sub>	Н
8.	ОН	Н	OCH <sub>3</sub>	Н
9.	ОН	Н	Н	OCH <sub>3</sub>

Venkatesh and his co-workers have reported the synthesis of novel series of 5-[1,3-bis (4- substituted phenyl) prop-2-en-1-ylidene]-2-thioxodihydropyrimidine-4,6(1H, 5H)-diones X, XI, XII. The target compounds were synthesized by the Knoevenagel condensation of different chalcones with thiobarbituric acid using acetic acid as a catalyst in ethanol. These compounds have been found to exhibit antimicrobial activity. (Venkatesh et al., 2016)



3,5-bis-(4-hydroxy-3- methoxybenzylidene-N-phenylpiperidine-2,6-diones XIII (1-10) were synthesized by the subsequent condensation of N-phenyl glutarimides with 4-hydroxy-3-methoxy-benzaldehyde in presence of neutral alumina by an efficient microwave supported solvent free synthesis. The compound exhibited antifungal activity. (Dhivare and Rajput, 2016)

25







	К	XIII (1-10) R	
Compd.	R	Compd.	R
1.	Н	6.	4-F
2.	4-Cl	7.	4-NO <sub>2</sub>
3.	4-Br	8.	C <sub>6</sub> H <sub>5</sub>
4.	4-CH <sub>3</sub>	9.	3-Cl, 4-F
5.	4-OCH₃	10.	2,4,5-Cl

Some new fluorine-substituted chalcones XIV, XV, XVI (1-13) were synthesized and evaluated for their antitubercular activity against Mycobacterium tuberculosis H37Rv and antimicrobial activity against five pathogenic bacteria and three fungi. (Burmaoglu et al., 2017)



Chalcones XVII (1-5) were synthesized via reaction between 1-(2,6-dichloro-4-trifluoromethyl-phenyl)-pyrolidine-2, 5-dione, 1-(2, 6-dichloro-4-trifluoromethyl-phenyl)-piperidine-2, 6 dione and substituted aromatic aldehydes in presence acetic acid. The synthesized compounds were evaluated for their antimicrobial activities. (Rajput and Sayyed, 2017)



#### Chalcone as an anticancer agent

A series of 2',5'-dialkoxyl chalcones XVIII (1-10) was prepared by Claisen–Schmidt condensation of appropriate acetophenones with suitable aromatic aldehyde. These synthesized compounds were found to act as anti-tumor and cancer chemopreventive agents. (Cheng et al., 2008)



Compound	R	R <sub>1</sub>	Compound	R	R <sub>1</sub>
1.	Н	Н	6.	Н	ses
2.	Н	CH <sub>2</sub> CH <sub>3</sub>	7.	Н	r.s.
3.	CH <sub>2</sub> CH <sub>3</sub>	CH <sub>2</sub> CH <sub>3</sub>	8.	Н	CH <sub>3</sub>
4.	Н	s <sup>25</sup> ~~	9.	CH <sub>2</sub> CH <sub>3</sub>	CH <sub>3</sub>
5.	Н	sr _	10.	sr <sup>s</sup>	CH <sub>3</sub>

Cesar Echeverria and his co-workers have studied relationships between the structural characteristic of synthetic chalcones XIX (1-4) and their antitumoral activity. (Echeverria et al., 2009)



Compound	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>
1.	Н	Н	Н	Н
2.	OCH₃	OCH₃	Н	Н
3.	OCH <sub>3</sub>	Н	Н	OCH <sub>3</sub>
4.	OCH₃	Н	OCH₃	Н

A new series of quinolinyl chalcone derivatives XX was synthesized by the reaction of quinolinyl and chloroquinolinyl acetophenones with substituted aromatic aldehydes as anticancer and anti-inflammatory agent. (Kotra et al., 2010)



R = H, Cl; Ar = C6H5, p-C6H4NO2, p-C6H4Cl, p- C6H4OH, p- C6H4OCH3, p- C6H4CH3, p- C6H4N(CH3)2, p- C6H4N(C2H5)2, furyl, thiophene

Suvitha Syam and his co-workers have synthesized chalcones XXI, XXII, XXII, XXIV, XXV, XXVI, XXVI having anticancer activity. (Suvitha et al., 2012)



O-allyl chalcones XXVIII (1-8) were synthesized by Claisen Schmidt condensation reaction of O-allylvanillin with appropriate substituted acetophenones having anticancer activity. (Ngameni et al., 2013)

Ш

$\begin{array}{c} & & & \\ & & & \\ & & & \\$									
Compound	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	Compound	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>		
1.	Н	Н	Н	5.	Н	Н	CH <sub>3</sub>		
2.	OCH₃	Н	Н	6.	Н	CH₃	Н		
3.	CH₃	Н	CH₃	7.	CH₃	Н	Н		
4.	Н	OCH <sub>3</sub>	Н	8.	Н	Н	OCH₃		

Ketabforoosh and his co-workers have synthesized series of chalcones XXIX and flavanones XXX as anti-cancer agents. (Ketabforoosh et al., 2014)



 $R_1 = H, OCH_3, Cl, Br$ 

A novel quinazolinone-chalcone derivative XXXI was synthesized by the reaction of benzaldehyde and acetophenone in presence of barium hydroxide and evaluated for their anticancer potential. (Wani et al., 2015)



A new series of 3-aryl thiophene-2-aryl and hetero aryl chalcones XXXII were synthesized and evaluated for their invitro antiproliferative activity against human colon cancer cell lines. (Venkatarami reddy et al., 2016)



Compd.	R	R <sub>1</sub>	R <sub>2</sub>	Compd.	R	R <sub>1</sub>	R <sub>2</sub>
1.	CH3	Н	OCH <sub>3</sub>	7.	H <sub>3</sub> C-CH <sub>3</sub> CH <sub>3</sub> CH <sub>3</sub>	Η	OCH <sub>3</sub>
2.	H <sub>3</sub> CO CH <sub>3</sub>	Н	OCH₃	8.	ОСН3	Н	OCH₃
3.	H <sub>3</sub> CO-CH <sub>3</sub>	Н	OCH <sub>3</sub>	9.	CH3	Н	OCH <sub>3</sub>
4.	Br	Н	OCH <sub>3</sub>	10.	CH <sub>3</sub>	Н	OCH₃
5.	CI-CH3	Н	OCH₃	11.	CH <sub>3</sub>	Н	OCH₃
6.	CH <sub>3</sub>	Н	OCH₃	12.	S H <sub>3</sub> C	Н	OCH₃

Tuong-Ha Do and his co-workers have prepared some heterocyclic chalcones XXXIII having cytotoxic activity. (Do et al., 2016)



### Chalcone as antituberculosis agent

Umaa K. and his co-workers have studied anti-mycobacterial potential of number of chalcone derivatives XXXIV (1-24). (Umaa et al., 2013)



XXXIV (1-24)

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Compound	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	R <sub>6</sub>	R <sub>7</sub>	R <sub>8</sub>	
1.	ОН	Н	Cl	Н	Н	Н	Н	Н	
2.	OH	Н	Н	Cl	Н	Н	Н	Н	
3.	OH	Н	Cl	Н	Н	Н	Н	OCH₃	
4.	OH	Н	Н	Cl	Н	Н	Н	OCH₃	
5.	OH	Н	Н	Н	Н	Br	Н	Н	
6.	OH	Br	Н	Н	Н	Н	Н	Н	
7.	OH	Н	Н	Н	Н	Н	Н	Br	
8.	OH	Н	Н	Br	Н	Н	Н	Н	
9.	OH	Н	Br	Н	Н	Н	Н	OCH <sub>3</sub>	
10.	OH	Н	Br	Н	Н	Н	Н	OCH <sub>3</sub>	
11.	OH	Н	Н	Br	Н	Н	Н	Н	
12.	OH	Н	Br	Н	Н	NH <sub>2</sub>	Н	Н	
13.	ОН	Н	Н	Br	Н	$NH_2$	Н	Н	
14.	OH	Н	Н	Н	Н	Н	I	Н	
15.	Н	$NH_2$	Н	Н	Н	I	Н	Н	
16.	OH	Н	Н	I	Н	Н	Н	Н	
17.	OH	Н	OCH₃	Н	Н	Н	I	Н	
18.	ОН	Н	Н	Н	Н	Н	I	Н	
19.	OH	Н		Н	Н	Н	Н	Н	
20.	OH	Н	Н	Н	Н	Н	Н	I	
21.	OH	I	Н	Н	Н	Н	Н	Н	
22.	ОН	Н	Н	Ι	Н	Н	Н	OCH <sub>3</sub>	
23.	OH	Ι	Н	Н	Н	Н	Н	OCH <sub>3</sub>	
24.	OH	Н	Н	СООН	Н	Н	Ι	Н	

Anand Kumar Pandeya and his co-workers have synthesized a series of novel pyrido[1,2-a]imidazo-chalcones XXXV (1-3) and evaluated their anti-tubercular activity against Mycobacterium tuberculosis. (Pandeya et al., 2016)



Compd.	R	R <sub>1</sub>	R <sub>2</sub>
1.	Н	Cyclohexyl	H, Br, Cl, 4-OCH₃
2.	Н	Tertiary butyl	3,4-dimethoxy, 3,4,5- trimethoxy
3.	CH <sub>3</sub>	Cyclohexyl	4-NO <sub>2</sub> , 4- CH <sub>3</sub>

Heteroaryl chalcones XXXVI (1-33) were synthesized by Claisen-Schmidt condensation and evaluated their antituberculosis activity. (Gomes et al., 2017)



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Compd.	R	<b>R</b> <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	Compd.	R	<b>R</b> <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>
1.	Н	Н	Br	5-nitrofuran	18.	Н	Н	N-Butyl	5-nitrothiophene
2.	Н	Н	Morpholine	5-nitrofuran	19.	Н	Н	Cyclohexyl	5-nitrothiophene
3.	Н	Н	Piperidine	5-nitrofuran	20.	Н	Н	Morpholine	5-nitrothiophene
4.	Н	Н	Imidazole	5-nitrofuran	21.	H	Н	SCH₃	5-nitrothiophene
5.	Н	Н	t- butyl	5-nitrofuran	22.	Н	Н	CH₃	5-nitrothiophene
6.	Н	Н	Cyclohexyl	5-nitrofuran	23.	Н	Н	Imidazole	chlorothiophene
7.	Н	Н	Piperazine	5-nitrofuran	24.	H	Н	Piperidine	3-nitrophenyl
8.	Н	Н	Phenyl	5-nitrofuran	25.	Н	Н	Phenyl	p-dimethyl
									aminophenyl
9.	CH₃	Н	Н	5-nitrofuran	26.	Н	Н	Phenyl	p-methoxyphenyl
10.	Н	Н	N-Butyl	5-nitrofuran	27.	Н	Н	CH₃	furan
11.	Н	Н	I	5-nitrofuran	28.	Н	Н	I	p-methoxyphenyl
12.	Н	$CH_3$	Н	5-nitrofuran	29.	Н	Н	Piperidine	furan
13.	Н	Н	Pyrollidine	5-nitrofuran	30.	Н	Н	Br	p-methoxyphenyl
14.	Н	Br	Н	5-nitrofuran	31.	Н	н	t- butyl	pyrrol
15.	Н	Н	CH <sub>3</sub>	5-nitrofuran	32.	CH₃	Н	Piperidine	p-nitrophenyl
16.	Н	Н	Imidazole	5-	33.	Н	Н	t- butyl	furan
				nitrothiophene					
17.	Н	Н	t- butyl	5-					
				nitrothiophene					

# Chalcone as Anti-inflammatory agent

Fluorinated chalcone derivatives XXXVII with potent anti-inflammatory activity were synthesized by Claisen-Schmidt condensation method followed by reaction with SOCl<sub>2</sub>/ETOH. (Hussain et al., 2012)



Yau-Hung Chen and his co-workers have synthesized novel chalcones XXXVIII, XXXIX with potent antiinflammatory activities. (Chen et al., 2013)



#### Chalcone as Antioxidant agent

Kankate and his coworkers have synthesized chalcones (XL-XLV) having antioxidant activity. (Kankate et al.,2010)

31



A series of novel heterocyclic chalcones XLVI (1-6) were synthesized by condensing 2-acetyl-5-chlorothiophene with benzaldehyde derivatives in methanol at room temperature using a catalytic amount of sodium hydroxide and reported as antioxidant agent. (Chidan et al., 2013)



Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	Compd.	R <sub>1</sub>	R <sub>2</sub>	R₃
1.	I	Н	Н	4.	OCH₃	Н	Н
2.	Н	I	Н	5.	Н	OCH₃	Н
3.	Н	Н	I	6.	Н	Н	OCH₃

Flavonoids XLVII (1-5) of chalcones were synthesized by reaction of 2,4-dihydroxy acetophenone with different substituted aromatic aldehyde to form 2,4-dihydroxy chalcones having antioxidant activity. (Murti et al., 2013)



Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>
1.	Н	ОН	Н	Н
2.	Н	OCH₃	Н	Н
3.	Н	Cl	Н	Н
4.	Н	Н	NO <sub>2</sub>	Н
5.	OCH <sub>3</sub>	ОН	Н	OCH <sub>3</sub>

Jian-Zhang Wu and his co-workers have synthesized a series of chalcone derivatives XLVIII having antioxidant activity. (Jian-Zhang et al., 2014)



 $R_1 = H$ , OCH<sub>3</sub>;  $R_2 = CH_3$ , CH<sub>3</sub>CH<sub>2</sub>, H<sub>2</sub>C=CH, Ph, 4-ClPh, 2-FPh, Benzyl

Jih-Jung Chen and his co-workers have isolated a new chalcone, glycyglabrone from the roots of Glycyrrhiza glabra, together with three known compounds, licoagrochalcone, licochalcone and kanzonol. The isolated chalcones XLIX, L, LI were evaluated for their antioxidant activity. (Chen et al., 2017)



R= H, OH

# **Chalcone as Antimalarial agent**

Chalcone derivatives LII (1-27) were synthesized using Claisen-Schmidt condensation and evaluate their antimalarial activity against sexual blood stages of Plasmodium falciparum. (Yadav et al., 2012)



Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R₅
1.	N-	Н	Н	OCH₃	Н	15.		OCH₃	Н	Н	OCH₃
2.	H <sub>3</sub> C-N_N-	Н	Н	OCH₃	Н	16.		OCH₃	Н	Н	OCH₃
3.	N-	OCH <sub>3</sub>	Н	OCH₃	Н	17.	N-	Н	OCH₃	OCH₃	Н
4.	<u></u> N—	OCH <sub>3</sub>	Н	OCH₃	Н	18.	H <sub>3</sub> C-N_N-	Н	OCH <sub>3</sub>	OCH₃	Н
5.	0N	OCH <sub>3</sub>	Н	OCH <sub>3</sub>	Н	19.	0N	Н	OCH <sub>3</sub>	OCH <sub>3</sub>	Н
6.	N-	OCH <sub>3</sub>	Н	OCH <sub>3</sub>	Н	20.	N-	Н	OCH₃	OCH₃	Н
7.	N-N-	OCH <sub>3</sub>	Н	OCH <sub>3</sub>	Н	21.	N-N-	Н	OCH₃	OCH₃	Н
8.		OCH <sub>3</sub>	Η	OCH <sub>3</sub>	Н	22.		Н	OCH <sub>3</sub>	OCH <sub>3</sub>	Н
9.		OCH₃	Н	OCH₃	Н	23.	× × ×	H	OCH₃	OCH₃	Н
10.		OCH₃	Н	OCH₃	Н	24.		Н	OCH <sub>3</sub>	OCH₃	Н
11.	N—	OCH <sub>3</sub>	Н	Н	OCH₃	25.	H <sub>3</sub> C-N_N-	Н	OCH₃	OCH₃	OCH₃
12.	N—	OCH₃	Н	Н	OCH₃	26.		Н	OCH₃	OCH₃	OCH₃
13.	H <sub>3</sub> C-N_N-	OCH <sub>3</sub>	Н	Н	OCH <sub>3</sub>	27.		Н	OCH <sub>3</sub>	OCH <sub>3</sub>	OCH <sub>3</sub>
14.	oN—	OCH₃	Н	Н	OCH₃						

Chalcones LIII, LIV, LV, LVI were synthesized by Claisen-Schmidt condensation and reported them as potent antimalarial. (Sulistyowaty et al., 2014)



Chalcones LVII (1-17) were synthesized by Claisen-Schmidt reactions. These compounds have been reported to exhibit antimalarial activity. (Suwito et al., 2014)



Compd.	R	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	R <sub>4</sub>	R <sub>5</sub>	Compd.	R	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	$R_4$	R <sub>5</sub>
1.	$NH_2$	OCH <sub>3</sub>	Н	Н	Н	Н	10.	Н	Н	Н	OCH <sub>3</sub>	Н	Н
2.	NH <sub>2</sub>	Н	OCH <sub>3</sub>	Н	Н	Н	11.	Н	OCH <sub>3</sub>	OCH <sub>3</sub>	Н	Н	Н
3.	$NH_2$	Н	Н	OCH₃	Н	Н	12.	Н	OCH₃	Н	OCH₃	Н	Н
4.	$NH_2$	OCH <sub>3</sub>	OCH <sub>3</sub>	Н	Н	Н	13.	Н	OCH₃	Н	Н	OCH₃	Н
5.	$NH_2$	OCH <sub>3</sub>	Н	OCH₃	Н	Н	14.	Br	Н	Н	OCH <sub>3</sub>	Н	Н
6.	$NH_2$	OCH <sub>3</sub>	Н	Н	OCH <sub>3</sub>	Н	15.	Br	OCH₃	Н	OCH <sub>3</sub>	Н	н
7.	$NH_2$	Н	Н	Н	Н	Н	16.	Br	OCH₃	Н	Н	OCH₃	н
8.	Н	OCH <sub>3</sub>	Н	Н	Н	Н	17.	Н	Н	Н	Н	Н	Н
9.	Н	Н	OCH <sub>3</sub>	Н	Н	Н							

# Chalcone as Anticonvulsant agent

Some substituted 3,5-diphenyl-2-pyrazoline-1-carboxamide derivatives LVIII (1-20) were synthesized by reacting substituted 1,3-diphenylprop-2-en-1-one (chalcone) with semicarbazide hydrochloride. These compounds have been reported as anticonvulsant agent. (Siddiqui et al., 2010)



						1 20			
						LVIII (1-20)			
Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>	Compd.	R <sub>1</sub>	R <sub>2</sub>	R <sub>3</sub>		
1.	Н	Н	Н	11.	CH₃	Н	Н		
2.	Н	Н	OCH <sub>3</sub>	12.	CH₃	Н	OCH₃		
3.	Н	Н	Cl	13.	CH₃	Н	Cl		
4.	Н	Cl	Н	14.	CH₃	Cl	Н		
5.	Н	Н	F	15.	CH₃	Н	F		
6.	OCH₃	Н	Н	16.	Br	Н	Н		
7.	OCH₃	Н	OCH₃	17.	Br	Н	OCH₃		
8.	OCH₃	Н	Cl	18.	Br	Н	Cl		
9.	OCH₃	Cl	Н	19.	Br	Cl	Н		
10.	OCH <sub>3</sub>	Н	F	20.	Br	Н	F		
A now corios	now cories of chalcone LIX was synthesized by Claison. Schmidt reaction having anticomulcant activity (Sharma								

A new series of chalcone LIX was synthesized by Claisen- Schmidt reaction having anticovulsant activity. (Sharma et al., 2013)



Neeraj Kumar and Lalit Singh Chauhan have synthesized a series of novel chalcone LX (1-10) incorporated hydrazide derivatives as anticonvulsants agent. (Kumar and Chauhan, 2015)



(i) CH<sub>3</sub>OH, Conc H<sub>2</sub>SO<sub>4</sub>; (ii) NH<sub>2</sub>NH<sub>2</sub>.H<sub>2</sub>O; (iii) CH<sub>3</sub>OH; Glacial CH<sub>3</sub>COOH

Compd.	R <sub>1</sub>	R <sub>2</sub>	Compd.	R <sub>1</sub>	R <sub>2</sub>
1.	Н	Н	6.	p-N(CH <sub>3</sub> ) <sub>2</sub>	p-OH
2.	m-NO	Н	7.	m-NO <sub>2</sub>	p-Cl
3.	p-Cl	Н	8.	p-N(CH <sub>3</sub> ) <sub>2</sub>	p-F
4.	p-Cl	p-OH	9.	p-Cl	p-F
5.	o-Cl	p-OH	10.	p-NO <sub>2</sub>	p-F

## **Chalcone as Antidiabetic agent**

Chalcones LXI and their corresponding 2-pyrazoline derivatives LXII, LXIII, LXIV, LXV, LXVI were synthesized and evaluated for their anti-diabetic activity. (Emayavaramban et al., 2013)



Rashmi Gaur and her co-workers have synthesized anti-diabetic derivatives of isoliquiritigenin and liquiritigenin having chalcones LXVII, LXVII, LXIX, LXX, LXXI, LXXII, LXXII, LXXIV, LXXV, LXXVI, LXXVII and flavonoid moiety.(Gaur et al., 2014)



Chalcone-based aryl oxypropanolamine LXXVIII, LXXIX, LXXX, LXXXI were synthesized as a potential antidiabetic and antidyslipidaemic agent. (Shukla et al., 2017)

LXXVII



### **Chalcone as Antihypertensive agent**

LXXVI

G. Avila-Villarreal and his co-workers have studied antihypertensive and vasorelaxant effects of dihydrospinochalcone and Isocordoinisolated LXXXII, LXXXIII from Lonchocarpus xuul. (Avila-Villarreal et al., 2013)



Vol. 6, Issue 2 | magazine.pharmatutor.org

Pyrimidine based chalcones LXXXIV (1-7) were synthesized and evaluated for their antihypertensive activity. (Bukhari et al., 2013)



Compd.	R	Compd.	R
1.	Phenyl	5.	4-methoxyphenyl
2.	2-hydroxy phenyl	6.	3-nitrophenyl
3.	4-hydroxy phenyl	7.	2-chlorophenyl
4.	2-nitrophenyl		

Quinoline based chalcone LXXXV were synthesized and evaluated their antihypertensive activity. (Kumar et al., 2015)



#### CONCLUSION

In this review we have discussed about different biological activity of chalcones and their synthetic methods. It is clear from above discussion that chalcones is a precursor of different heterocyclic moiety of valuable medicinal compounds.

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