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Chalcones: A review on synthesis and pharmacological activities

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ABSTRACT

Chalcone is a privileged species with medicinal significance as it consists of reactive ketoethylenic moiety –CO–CH=CH– belonging to flavonoids. The presence of a reactive α, β-unsaturated carbonyl function in chalcone and its derivatives is the reason for its pharmacological activities. Chalcones exhibit a wide spectrum of pharmacological effects such as antioxidant, antibacterial, anthelmintic, antiulcer, antiviral, insecticidal, antiprotozoal, anticancer, anti-inflammatory, antidiabetic, etc. Chalcones can be synthesized by Claisen–Schmidt's condensation, Heck's reaction, Suzuki's reaction, etc. The purpose of this review is to focus on the methods of synthesis of chalcones and their versatile pharmacological activities.

INTRODUCTION

The chemistry of chalcone PGs is gaining intense research interest globally. The term "Chalcone" was coined by Kostanecki and Tambor (1899). Other names for chalcones are benzyl acetophenone or benzylideneacetophenone. In the structure of a chalcone, two benzenoid rings are joined by an aliphatic chain of three carbons. Chalcone is an α, β-unsaturated ketonic compound consisting of two benzenoid rings with wide variety of groups. Aromatic groups are connected to each other by three carbons, α, β-unsaturated ketonic system, highly electrophilic in nature having a linear structure (Awasthi *et al*., 2009; Cheng *et al*., 2000; Liu *et al*., 2001). They have ketoethylenic moiety (–CO–CH=CH–) in their structure. They have a conjugated double bond and an entirely delocalized π-electron-containing order on aromatic rings. Chalcones have been utilized as a precursor for the synthesis of compounds which possess pharmacological importance (Straub, 1995). The chalcones' chemistry remains a major interest for scientists in the 21st century, producing a diversity of promising pharmacological activities like anti-inflammatory (Dhar *et al*., 2018; Fu *et al*., 2019; Gan *et al*., 2018; Li *et al*., 2017; Mahapatra *et al*., 2017; Md Idris *et al*., 2018; Sayed *et al*., 2018), analgesic (Fu *et al*., 2019), antigout as xanthine oxidase inhibitors (Hofmann *et al*., 2016), antihistaminic (Padaratz *et al*., 2009; Rossi and Avellino, 1957), anticancer (Gan *et al*., 2018; Hsieh *et al*., 2019; Khanapure *et al*., 2018; Özdemir *et al*., 2017; Pingaew *et al*., 2014; Sashidhara *et al*., 2010), antileishmanial (Insuasty *et al*., 2015), antimalarial (Pingaew *et al*., 2014), antiviral (Wan *et al*., 2015), antiulcer (Choudhary *et al*., 2012), antimicrobial (Benouda *et al*., 2019; Lal *et al*., 2018; Monga *et al*., 2014; Özdemir *et al*., 2017; Sayed *et al*., 2018), antioxidant (Bandgar *et al*., 2010), antidiabetic (Balu *et al*., 2019; Emayavaramban *et al*., 2013; Gaur *et al*., 2014; Hsieh *et al*., 2012; Rammohan *et al*., 2020; Shukla *et al*., 2017), etc. Metochalcones increase bile secretion by stimulating the liver (Sahu *et al*., 2012) and sofalcone as an antiulcer agent, which increases the concentration of Prostaglandins from the mucosa causing a gastroprotection from *Helicobacter pylori*-induced ulcers (Higuchi *et al*., 2010). It is also found through clinical trials that hesperidin methylchalcone was tested and found effective for chronic peripheral venous lymphatic insufficiency (Beltramino *et al*., 1999, 2000) and hesperidin trimethylchalcone was found effective for trunk or branch varicosis (Weindorf and Schultz-Ehrenburg, 1987).

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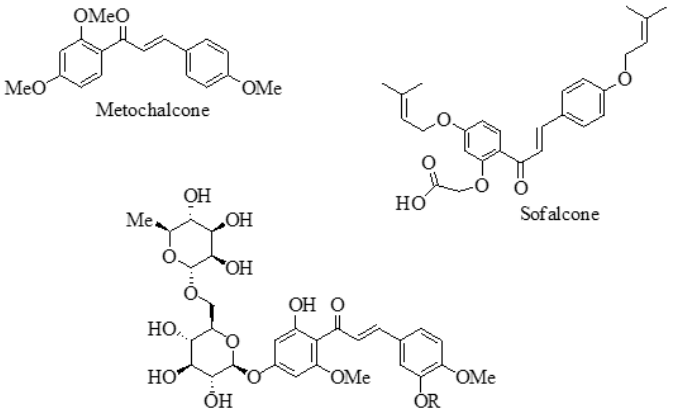
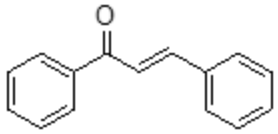


Chalcones have been known from earlier times to have an interesting moiety which is associated with a wide range of pharmacological activities. The majority of commonly found food chalcones are phloretin (Gerhauser, 2008; Mariadoss *et al*., 2019; Min *et al*., 2015) and its glucoside phloridzin, i.e., phloretin 2′-0-β- glucopyranoside which are present in apples, chalconaringenin in tomatoes (Echeverria *et al*., 2009; Kolot *et al*., 2019; Slimestad and Verheul, 2011), Arbutin in pears (Reiland and Slavin, 2015; Sasaki *et al*., 2014), and flavokavains in kava plants (Liu *et al*., 2018; Pinner *et al*., 2016). Chalcone possess a very good moiety due to which a variety of novel heterocyclic compounds with better pharmacological properties can be designed.



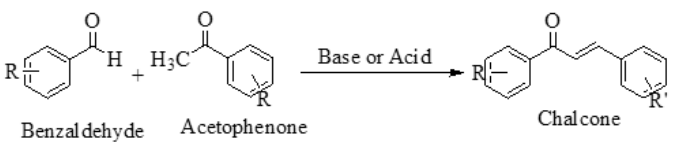
Structure of a chalcone

METHODS OF SYNTHESIS OF CHALCONES

Chalcones possess a simple moiety which makes its substitutions easy with simple and easy methods of synthesis. Currently, a wide range of schemes are available to synthesize various chalcone analogs.

Claisen–Schmidt's condensation

It is a commonly employed and easy method (Scheme 1). In the method, chalcones are synthesize by condensing substituted or unsubstituted benzaldehyde with substituted or unsubstituted acetophenone with the use of bases or acids as catalysts in an appropriate solvent at about 50°C–100°C for few hours (Kaur and Narasimhan, 2018; Khanapure *et al*., 2018; Monga *et al*., 2014; Özdemir *et al*., 2017; Rahman *et al*., 2007; Reddy and Kathale, 2018). It is normally carried out in the liquid phase, but some syntheses occur in the solid phase, like resin was bound with acetophenone compounds and then reacted with benzaldehyde compounds (Mahapatra *et al*., 2015) or under solvent-free conditions such as catalytic condensation in the presence of triazabicyclodecene (Fringuelli *et al*., 2004). Additionally, microwave-assisted liquid and solvent-free condensation decrease synthesis time and elevate the production yield (Kakati and Sarma, 2011; Srivastava, 2008).



Scheme 1. The Claisen–Schmidt condensation.

Carbonylative Heck's coupling reaction

Chalcones have been synthesized by vinylation of aryl halide (such as phenyl halide) with styrene under carbon monoxide and the catalyst palladium can undergo carbonylative coupling (Bianco *et al*., 2003; Wu *et al*., 2010) (Scheme 2).

Suzuki–Miyaura's coupling reaction

This coupling reaction takes place by combining benzoyl chloride and styryl boronic acid using $Pd(PPh_3)_4$, $CsCO_3$, and anhydrous toluene or by combining phenyl boronic acid and cinnamoyl chloride using $Pd(PPh_3)_4$, $CsCO_3$, and anhydrous toluene (Selepe and Van Heerden, 2013) (Scheme 3).

Sonogashira's isomerization coupling

This reaction involves the synthesis of chalcones by the microwave coupling of the electron-insufficient group, like phenyl halide, and prop-2-yn-1-ol and catalyst $PdCl_2(PPh_3)_2$ and solvent like tetrahydrofuran (THF) (Braun *et al*., 2006; Takahashi *et al*., 1980) (Scheme 4).

Continuous-flow deuteration reaction

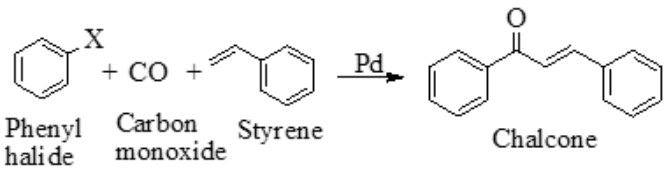
Ynones basically were synthesized by the process available in the literature by the reaction of benzoyl chloride and phenylacetylene under Sonogashira's conditions and then for deuteration, which was carried out in an H-Cube system caused by replacing H_2O with D_2O as the deuterated source (Hsieh *et al*., 2015; Ötvös *et al*., 2016) (Scheme 5).

Solid acid catalyst-mediated reaction

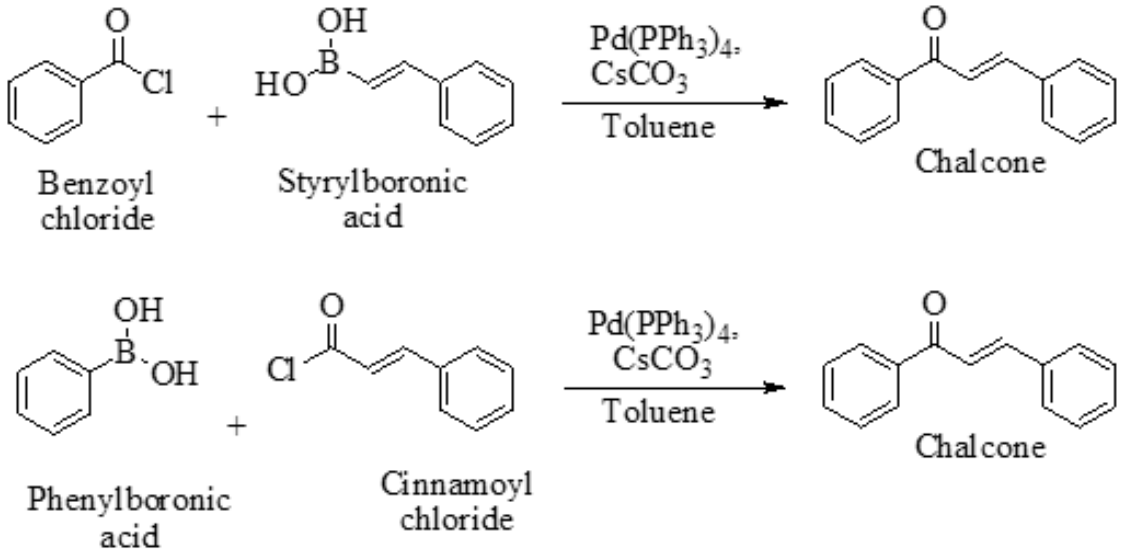
Chalcones are prepared by using a solid acid catalyst which is heterogeneous in nature (Scheme 6). It involves the addition of aromatic aldehyde (such as benzaldehyde) and ethynyl benzene in ethylene dichloride solvent using a microwave condition and using ion-exchange resin, like amberlyst-15, as the solid acid catalyst (Rueping *et al*., 2011)

Coupling reaction

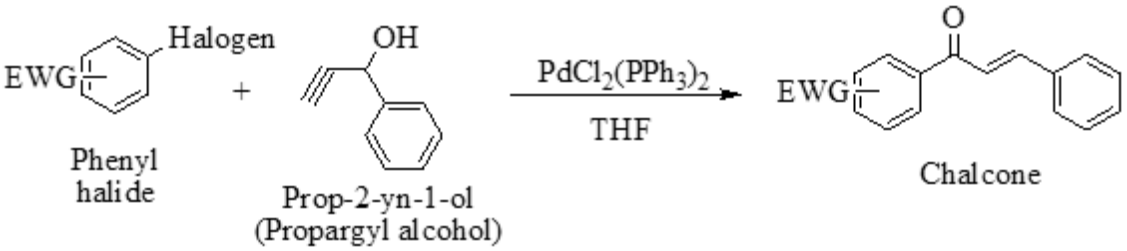
Chalcones are prepared by coupling benzaldehyde with phenylacetylene in hydrogen bromide and ionic liquids like BmimOTs (1-butyl-3-methyl-1*H*-imidazolium 4-methylbenzene-sulfonate) for about 12 hours at 100°C (Xu *et al*., 2004) (Scheme 7).



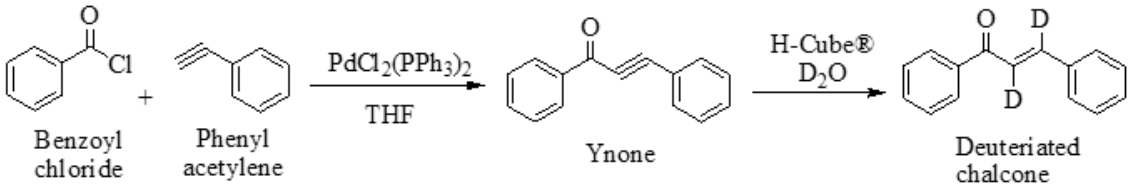
Scheme 2. Carbonylative Heck coupling reaction.



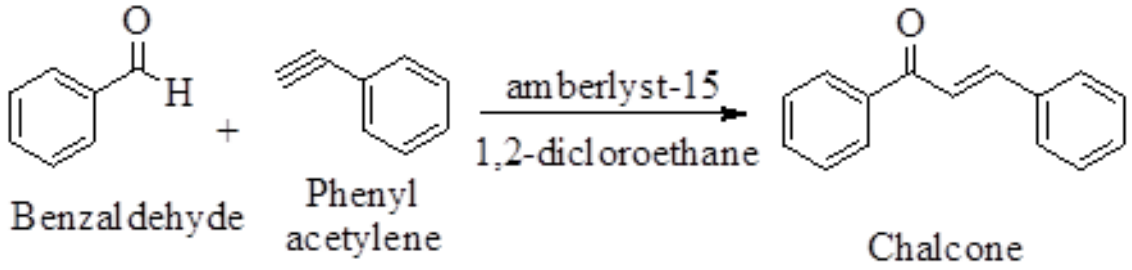
Scheme 3. Suzuki–Miyaura coupling reaction.



Scheme 4. Sonogashira isomerization coupling.



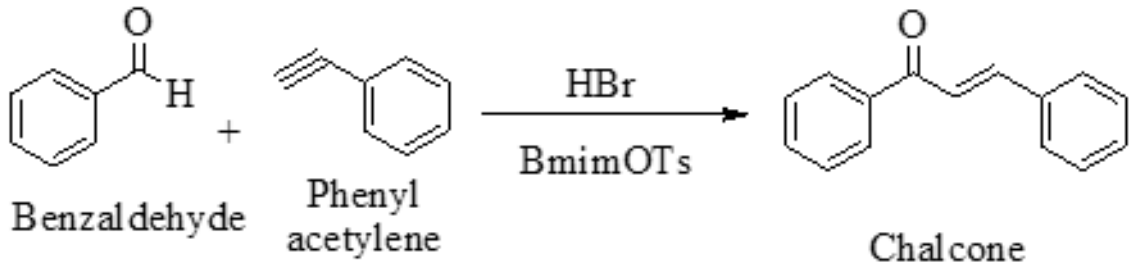
Scheme 5. Continuous-flow deuteration reaction.



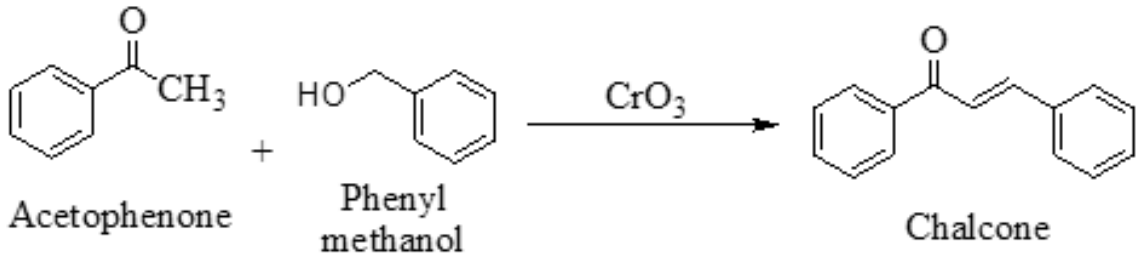
Scheme 6. Solid acid catalyst-mediated synthesis.

One-pot synthesis

It is an easy, efficient, and green method that allows the chalcone synthesis in a single reactor (Scheme 8). The reaction mixture consists of phenyl methanol and acetophenone with an oxidizing agent such as CrO_3. CrO_3 generates the benzaldehyde from phenyl methanol, which then involves the reaction with the acetophenone to give chalcone (Mahapatra *et al*., 2015).



Scheme 7. Coupling reaction.



Scheme 8. One-pot synthesis of chalcones.

Synthesis of chalcones using Schiff bases

Schiff bases result in aryl amino ketones, which in the presence of an acid lead to hydramine breakdown and produce products such as primary aromatic amine and chalcones (Abe *et al*., 2003; Gaonkar and Vignesh, 2017).

Microwave-assisted synthesis of chalcone

In this method, heterogeneous catalysts, such as K_2CO_3, $Ba(OH)_2$, p-Toluenesulfonic acid, KF-Al_2O_3, piperidine, and aqueous alkali, are employed to synthesize chalcones and their derivatives under microwave conditions (Blass, 2002; Gall *et al*., 1999; Mistry and Desai, 2004).

Ultrasound irradiation-assisted synthesis of chalcone

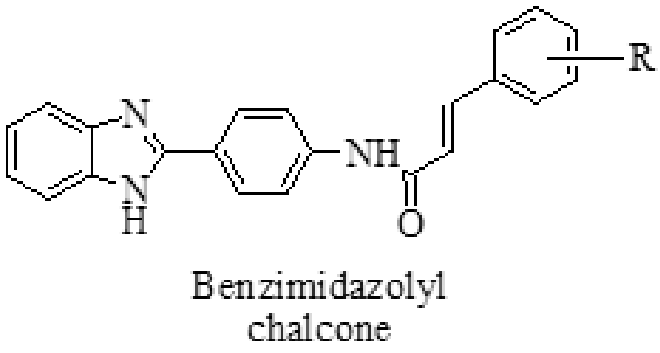
Ultrasound-assisted synthesis is another advantageous technique like microwave irradiation-assisted synthesis due to the fact that it completes the reaction within short period of time and yields a high percentage of products. For the synthesis of chalcones and their derivatives under ultrasound irradiation, heterogenous catalysts like K_2CO_3, pulverized potassium hydroxide (KOH), NaOH, basic Al_2O_3, KF-Al_2O_3 are used productively (Adole *et al*., 2020; Calvino *et al*., 2006; Cancio *et al*., 2019; Li *et al*., 2002; Polo *et al*., 2019; Rammohan *et al*., 2020).

PHARMACOLOGICAL ACTIVITIES

Various chalcones and their derivatives have been synthesized and reported to have pharmacological activities like antimicrobial, antimalarial, anticancer, antifungal, anthelmintic, anti-inflammatory, anti-HIV, monoamine oxidase inhibition, antiangiogenic, antileishmanial activities, etc. A brief outline of some of the selected pharmacological activities is presented in the following sections.

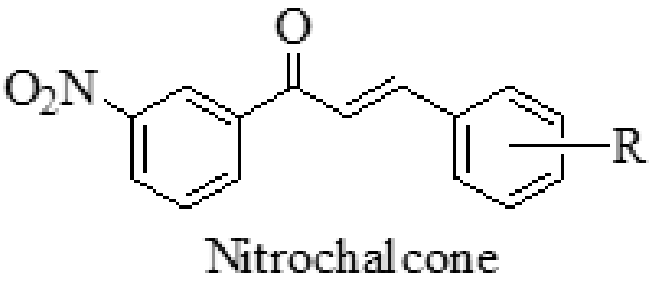
Chalcone as an antimicrobial agent

α, β-unsaturated keto functions as highly reactive species, which shows nucleophilic conjugate addition of important protein due to which it shows antimicrobial activity.

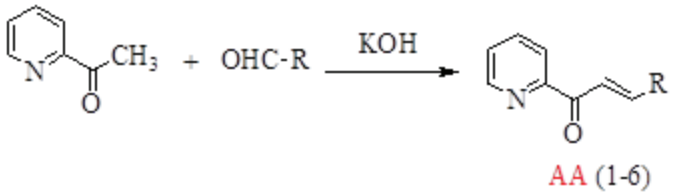


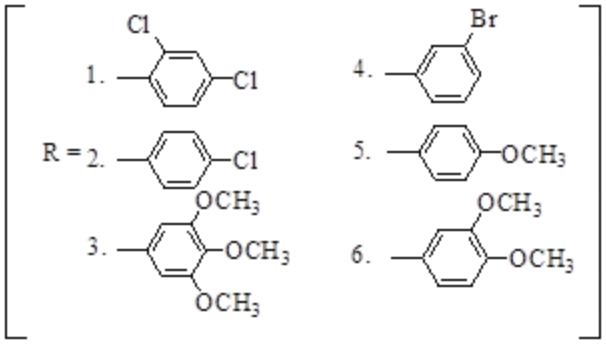
The synthesis of a new chalcone series with benzimidazolyl group was carried out to produce antimicrobial agents by condensing N-(4-(1*H*benzo[d]imidazol-2-yl)phenyl) acetamide with benzaldehyde-related compounds using aqueous KOH at room temperature (Baviskar *et al*., 2009).

Novel nitrochalcones were synthesized to produce antimicrobial agents by condensing nitroacetophenone with some aromatic aldehydes by using a base at room temperature (Monga *et al*., 2014).

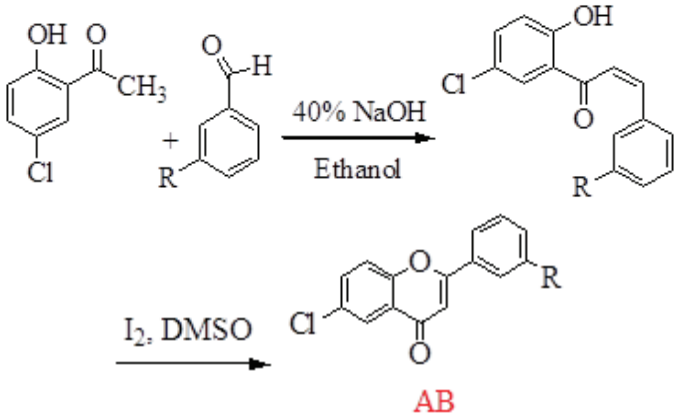


Chalcones AA (**1–6**) were prepared by Claisen–Schmidt's condensation of 2-acetyl pyridine and aldehyde derivatives in diluted ethanolic KOH at room temperature (Prasad *et al*., 2008).



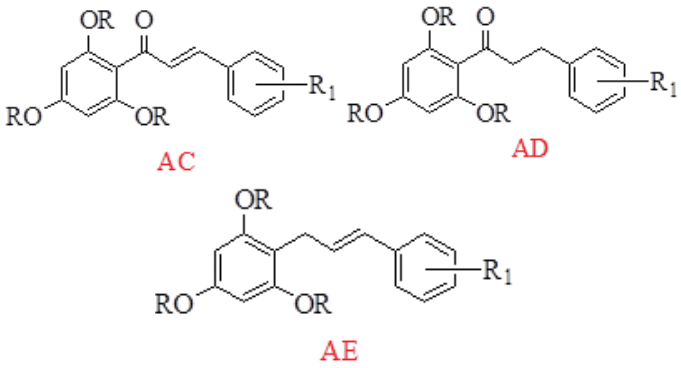


Physical properties of chalcones are presented in Table 1. Chalcones AB are synthesized by condensing aldehydes with o-hydroxyl acetophenone, followed by reaction with I_2 and dimethyl sulfoxide (DMSO), which results in the synthesis of flavones and which shows antimicrobial property (Rathore *et al*., 2015).



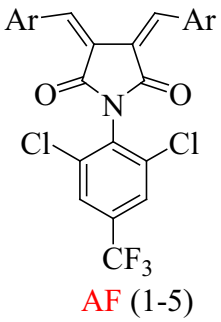
R = H, OH, Cl, NO_2

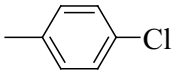
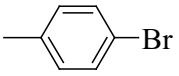
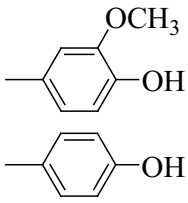
Some of the novel fluorinated chalcones, AC, AD, AE (**1–13**), have been synthesized and tested for antitubercular activity for *Mycobacterium tuberculosis* H37Rv and antimicrobial activity for fungi and pathogenic bacteria (Burmaoglu *et al*., 2017).



Compound	Main structure	R	R_1	Compound	Main structure	R	R_1
1	AC	CH_3	H	**8**	AE	CH_3	3-F
2	AD	CH_3	H	**9**	AE	CH_3	2,5-di F
3	AC	CH_3	2-F	**10**	AC	H	2-F
4	AC	CH_3	3-F	**11**	AC	H	2,5-di F
5	AC	CH_3	4-F	**12**	AE	H	2-F
6	AC	CH_3	2,5-di F	**13**	AE	H	2,5-di F
7	AE	CH_3	2-F				

Recently, chalcones AF (**1–5**) have been reported by the coupling of 1-(2,6-dichloro-4-trifluoromethyl-phenyl)-pyrolidine-2,5-dione, 1-(2,6-dichloro-4-trifluoromethyl-phenyl) piperidine-2,6-dione, and various aromatic aldehydes in the acetic acid. The resultant products had shown antimicrobial properties (Rajput and Sayyed, 2017).



Compound	Main structure
1	
2	
3	
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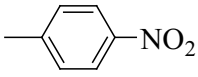
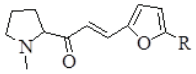
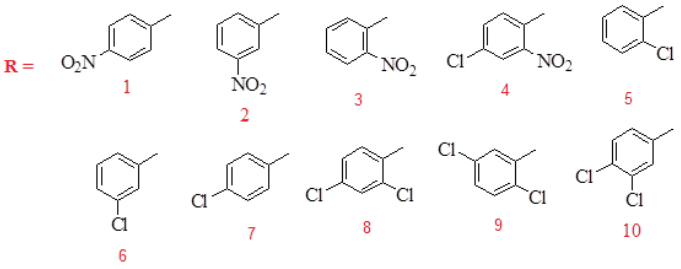
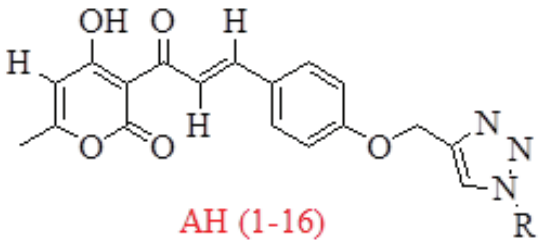
5	

Chalcones AG (**1–10**) were prepared by carrying out Claisen–Schmidt's condensation between 2-acetyl-1-methylpyrrole and 5-(aryl)-furfural analogs. The consequential products were tested to possess antimicrobial activities for five pathogenic bacteria and four fungi (Özdemir *et al*., 2017).





A novel series of dehydroacetic acid chalcone-1,2,3-triazole analogs AH (**1–16**) was designed and synthesized. The synthesized compounds were evaluated for antimicrobial activities against four bacterial and two fungal strains (Lal *et al*., 2018).

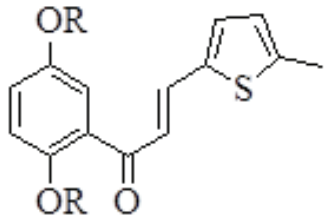


AH (1-16)

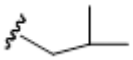
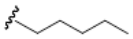
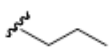
Compound	R	Compound	R
1	C_6H_5-	9	3-$BrC_6H_4CH_2$-
2	2-$CH_3C_6H_4CH_2$-	10	4-$BrC_6H_4CH_2$-
3	3-$CH_3C_6H_4CH_2$-	11	2-$FC_6H_4CH_2$-
4	4-$CH_3C_6H_4CH_2$-	12	3-$FC_6H_4CH_2$-
5	2-$NO_2C_6H_4CH_2$-	13	4-$FC_6H_4CH_2$-
6	3-$NO_2C_6H_4CH_2$-	14	4-$OCH_3C_6H_4$-
7	4-$NO_2C_6H_4CH_2$-	15	4-BrC_6H_4-
8	2-$BrC_6H_4CH_2$-	16	4-$NO_2C_6H_4$-

Chalcones as anticancer agents

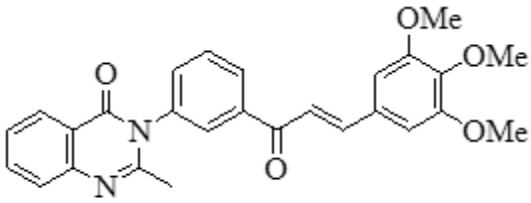
The synthesis of a novel sequence of 2′,5′-dialkoxyl chalcones BA (**1–10**) was carried out by condensing various aromatic ketones with various suitable and substituted benzaldehydes. The compounds were evaluated to show antitumor and chemopreventive activities (Cheng *et al*., 2008).



BA (1-10)

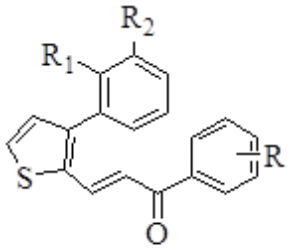
Compound	R	R_1	Compound	R	R_1
1	H	H	6	H	
2	H	CH_2CH_3	7	H	
3	CH_2CH_3	CH_2CH_3	8	H	CH_3
4	H		9	CH_2CH_3	CH_3
5	H		10		CH_3

A new quinazolinone–chalcone derivative was prepared by condensing the substituted aromatic aldehyde and substituted aromatic ketone in $Ba(OH)_2$ and testing it for possessing anticancer activity (Wani *et al*., 2015).

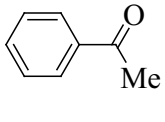
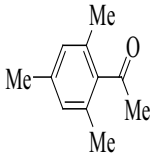
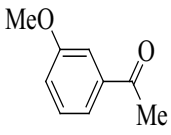
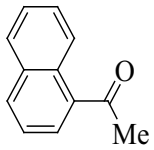
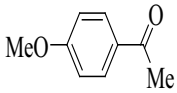
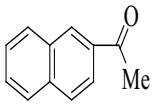
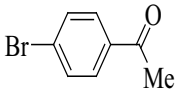
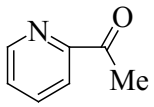
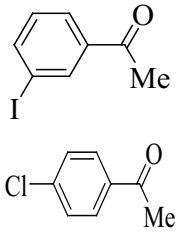
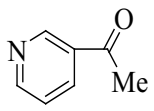
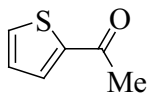


Quinazolinone-Chalcone

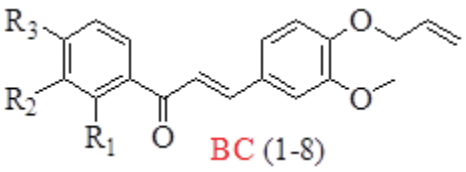
A novel series of chalcones BB (**1–12**) with 3-aryl thiophene-2-aryl and hetero aryl moieties was prepared and tested to show *in vitro* anticancer property for human colon cancer cell lines (Venkataramireddy *et al*., 2016).



BB (1-12)

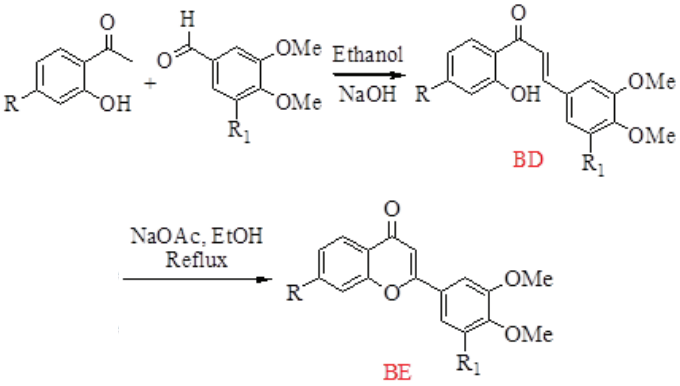
Compd.	R	R_1	R_2	Compd.	R	R_1	R_2
1		H	OCH_3	7		H	OCH_3
2		H	OCH_3	8		H	OCH_3
3		H	OCH_3	9		H	OCH_3
4		H	OCH_3	10		H	OCH_3
5		H	OCH_3	11		H	OCH_3
6		H	OCH_3	12		H	OCH_3

Ngameni *et al.* (2013) synthesized O-allyl chalcones BC (**1–8**) by condensing O-allyl vanillin with various acetophenones and reported antiproliferative activity of the synthesized chalcone derivative.



Compd.	R_1	R_2	R_3	Compd.	R_1	R_2	R_3
1	H	H	H	**5**	H	H	Me
2	OMe	H	H	**6**	H	Me	H
3	Me	H	Me	**7**	Me	H	H
4	H	OMe	H	**8**	H	H	OMe

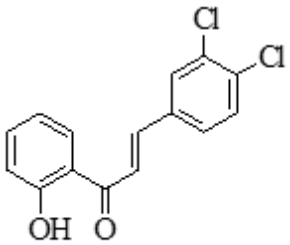
Novel derivatives of chalcones BD were prepared by condensing appropriate acetophenones and various benzaldehydes, followed by the reaction of the produced product (chalcone) with sodium acetate in ethanol to give flavanones BE, which were evaluated as antiproliferative agents (Ketabforoosh *et al*., 2014).



R = H; $\mathbf{R_1}$ = H, OMe, Cl, Br

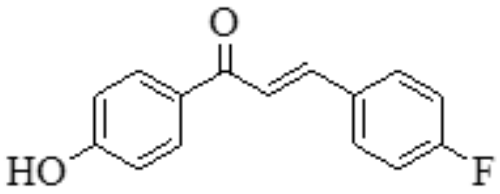
Chalcones as anti-inflammatory agents

A novel chalcone 20-hydroxy-3,4-dichlorochalcone was synthesized which was evaluated for anti-inflammatory activity (Won *et al*., 2005).



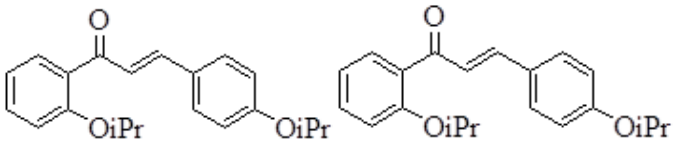
Dicholochalcone

Fluorinated chalcone analog was prepared by Claisen–Schmidt's condensation and by reacting with $SOCl_2$/EtOH, which possesses a powerful anti-inflammatory property (Hasan *et al*., 2012).

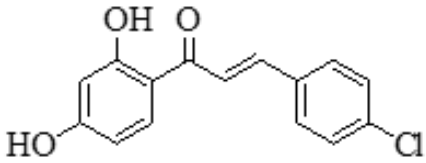


Fluorinated chalcone

Novel chalcones containing the isopropyl group (iPr), viz. compounds CA and CB, were prepared by Claisen–Schmidt's condensation and were evaluated as active anti-inflammatory agents (Chen *et al*., 2013).

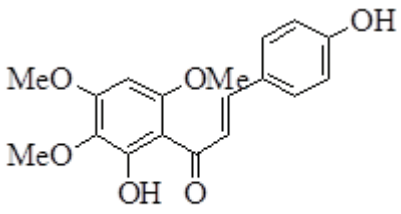


A novel dihydroxy chloro chalcone was prepared and tested to be a potent anti-inflammatory agent (Zhang *et al*., 2010).



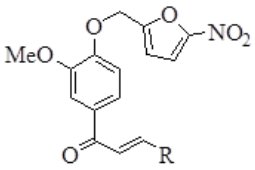
Dihydroxy chloro chalcone

2′,4-dihydroxy-3′,4′,6′-trimethoxychalcone (CC) is a chalcone analog isolated from *Chromolaena odorata* (L.). It has been investigated for its anti-inflammatory activity against lipopolysaccharide-induced inflammation in RAW 264.7 macrophages. The results show that the compound significantly decreased the production of NO and pro-inflammatory cytokines, tumor necrosis factor-α, interleukin-1β, and IL-6. It also obstructed NF-κB activation by hindering the stimulation of inhibitor κB kinase α/β, degradation of inhibitor κB (IκB) α and translocation of p65 NF-κB into the nucleus (Dhar *et al*., 2018).



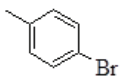
CC

In a recent study, a new series of chalcone analogs containing Apocynin and 5-Nitrofuran group have been reported. Compounds CD–CN were prepared by Claisen–Schmidt's condensation, which was carried out under solvent-free conditions and was evaluated as active anti-inflammatory agents (Reddy and Kathale, 2018).

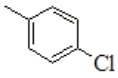


CD-N

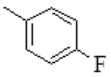
R =



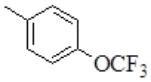
CD



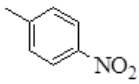
CE



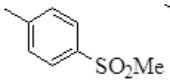
CF



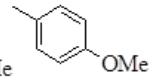
CG



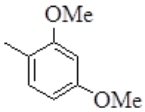
CH



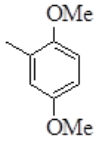
CI



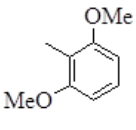
CJ



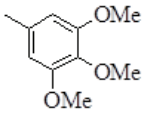
CK



CL



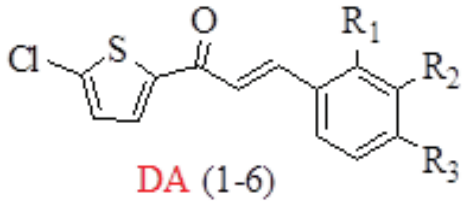
CM



CN

Chalcones as antioxidants

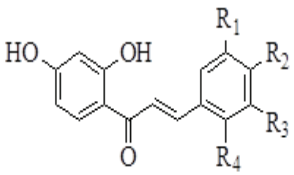
A sequence of novel derivatives of chalcones DA (**1–6**) with heterocyclic moiety was prepared by Claisen–Schmidt's condensation of 2-acetyl-5-chlorothiophene and various benzaldehyde derivatives with a catalytic amount of NaOH and methanol as solvents at room temperature and evaluated as antioxidant agents (Kumar *et al*., 2013).



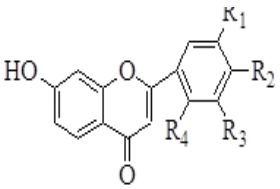
DA (1-6)

Compound	R_1	R_2	R_3	Compound	R_1	R_2	R_3
1	I	H	H	**4**	OCH_3	H	H
2	H	I	H	**5**	H	OCH_3	H
3	H	H	I	**6**	H	H	OCH_3

A new series of 2,4-dihydroxy chalcones DB (**1–5**) was prepared by the condensation of dihydroxy acetophenone and various benzaldehydes, followed by reaction with DMSO in the presence of iodine to give flavonoids DC (**1–5**), which were evaluated for antioxidant activity (Murti *et al*., 2013).



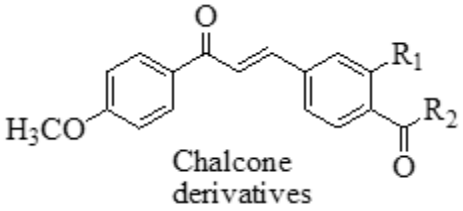
DB (1-5)



DC (1-5)

Compound	R_1	R_2	R_3	R_4
1	H	OH	H	H
2	H	OMe	H	H
3	H	Cl	H	H
4	H	H	NO_2	H
5	OMe	OH	H	OMe

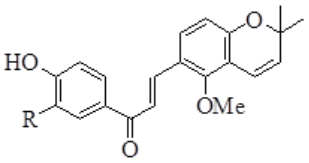
A new series of derivatives of chalcones was synthesized and tested for antioxidant activity (Wu *et al*., 2014).



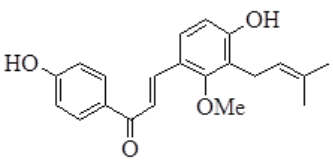
Chalcone derivatives

where $\mathbf{R_1}$ = H, OCH_3; $\mathbf{R_2}$ = CH_3, C_2H_5, H_2C=CH, Ph, 4-ClPh, 2-FPh, and Benzyl.

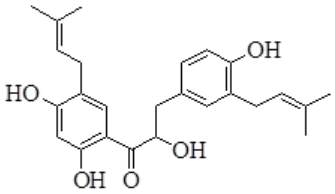
A novel chalcone, i.e., glycyglabrone, was isolated from the roots of liquorice (*Glycyrrhiza glabra*), along with three known derivatives, viz. licoagrochalcone, licochalcone, and kanzonol. The obtained chalcones DD, DE, and DF were found to possess antioxidant property (Chen *et al*., 2017).



DD



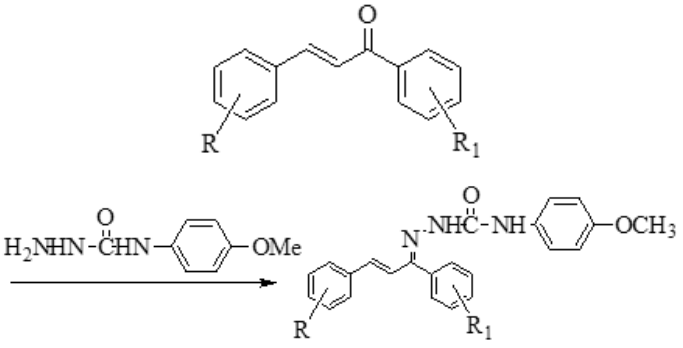
DE



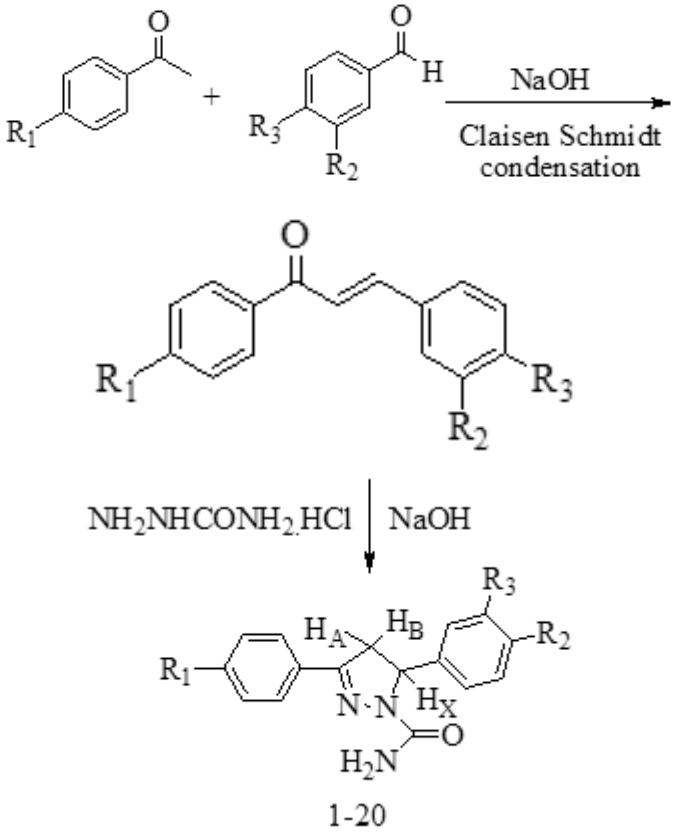
DF

Chalcones as an antiepileptic

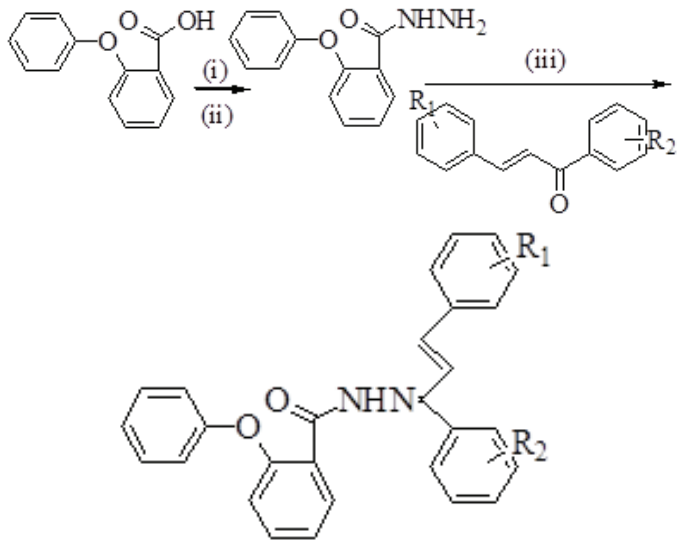
A new series of chalcones was prepared through Claisen–Schmidt's condensation, which was further tested for antiepileptic property (Sharma *et al*., 2013).



A new series of 3,5-diphenyl-2-pyrazoline-1-carboxamide analogs **1–20** were prepared by the reaction of substituted chalcones with semicarbazide hydrochloride, which were evaluated to be potent antiepileptic derivatives (Siddiqui *et al.*, 2010).



A new chalcone series EA (**1–10**) was synthesized which incorporated hydrazide derivatives and were evaluated as anticonvulsant agents (Kumar and Chauhan, 2015).



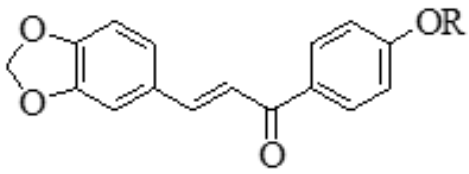
EA

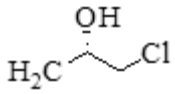
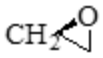
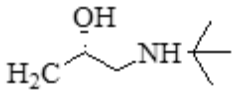
(i) CH_3OH, Conc. H_2SO_4, (ii) $NH_2NH_2.H_2O$, and (iii) CH_3OH; Glacial acetic acid.

Compound	R_1	R_2	Compound	R_1	R_2
1	H	H	**6**	4-$N(Me)_2$	4-OH
2	3-NO	H	**7**	3-NO_2	4-Cl
3	4-Cl	H	**8**	4-$N(Me)_2$	4-F
4	4-Cl	4-OH	**9**	4-Cl	4-F
5	2-Cl	4-OH	**10**	4-NO_2	4-F

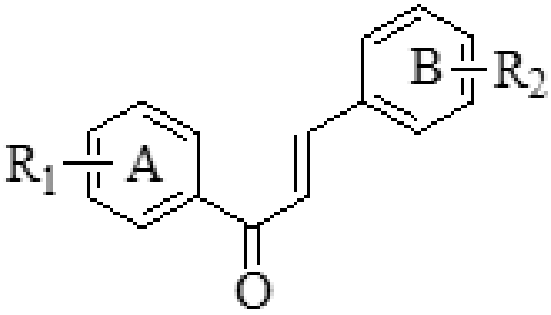
Chalcones as antidiabetic agents

A novel series of chalcone-based aryl oxypropanolamine FA, FB, FC, and FD was synthesized and evaluated as powerful antidiabetic and antidyslipidemic agents (Shukla *et al*., 2017).



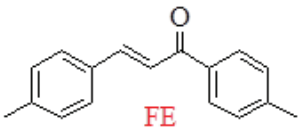
Compound	R
FA	H
FB	
FC	
FD	

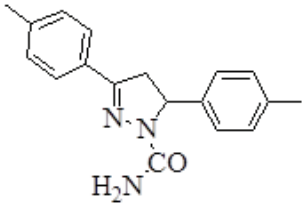
A new series of 60 derivatives of chalcone were synthesized having substitutions on Ring A or were unsubstituted by Claisen–Schmidt's condensation of some aromatic ketones with various benzaldehydes in 50% *w/v* KOH/H_2O with solvent ethanol. Out of these 60 derivatives, 12 derivatives were found to be active as antidiabetic agents (Hsieh *et al*., 2012).

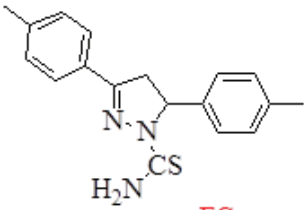


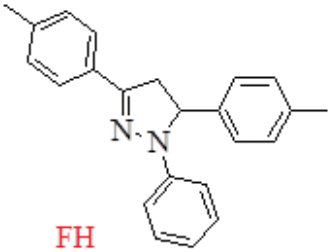
R_1 = H, OH, F, Cl, Br, I; **R_2** = H, OMe, OBn, -OCH_2O-

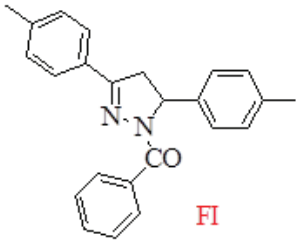
A series of chalcone FE and its 2-pyrazoline analogs FF, FG, FH, FI, and FJ was prepared and tested to be antidiabetic agents (Emayavaramban *et al*., 2013).

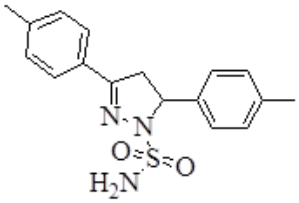

FE


FF

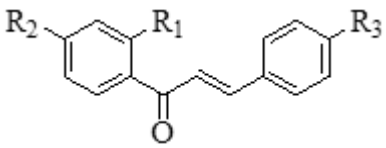

FG


FH

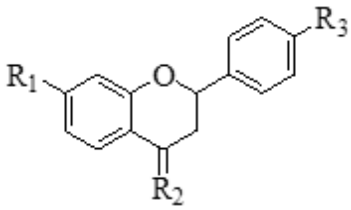

FI


FJ

Chalcones, which are derivatives of isoliquiritigenin and liquiritigenin and have flavonoid moiety, viz. FK, FL, FM, FN, FO, FP, FQ, FR, FS, FT, and FU, were synthesized and evaluated for their antidiabetic activity (Gaur *et al*., 2014).

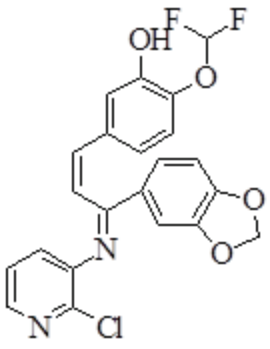


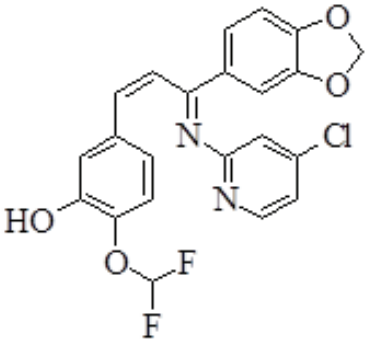
Compound	R_1	R_2	R_3
FK	OH	OH	OH
FM	OH	$OOCCH_3$	$OOCCH_3$
FN	OCH_3	OCH_3	OH
FO	OCH_3	OCH_3	$OOCCH_3$
FP	OCH_3	OCH_3	H
FS	OCH_3	OCH_3	$OCOC_6H_5$

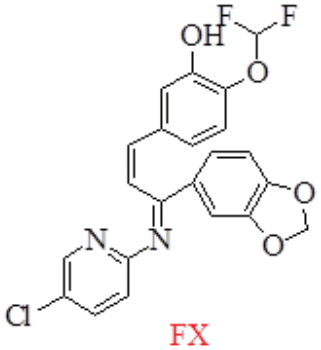


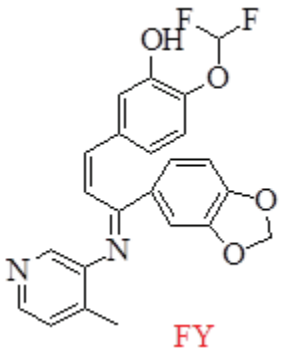
Compound	R_1	R_2	R_3
FL	OH	O	OH
FQ	$OOCCH_3$	O	$OOCCH_3$
FR	OH	O	$OOCCH_3$
FT	$OCOC_6H_5$	O	$OCOC_6H_5$
FU	OH	NOH	OH

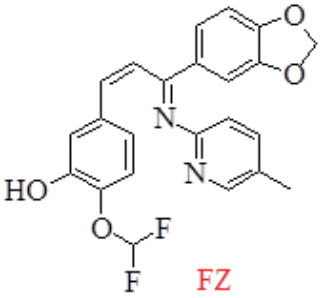
A novel series of chalconeimines, FV, FW, FX, FY, and FZ, was prepared and evaluated for antidiabetic activity via *in-vitro* α-amylase inhibition activity (Balu *et al*., 2019).


FV


FW

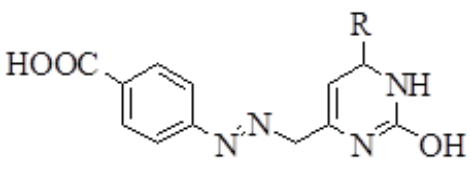

FX


FY


FZ

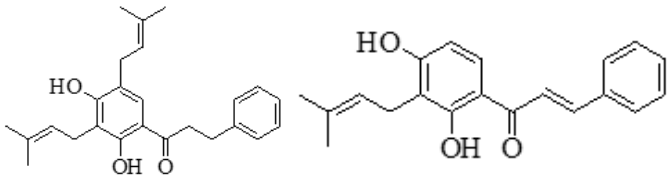
Chalcones as antihypertensive agents

Novel chalcones GA (**1–7**) were synthesized, consisting of pyrimidine as the basic moiety, and tested to be potent antihypertensive agents (Bukhari *et al*., 2013).

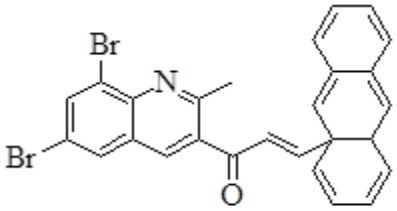

GA (1-7)

Compound	R	Compound	R
1	C_6H_5	**5**	4-OCH_3 C_6H_5
2	2-OH C_6H_5	**6**	3- NO_2 C_6H_5
3	4-OH C_6H_5	**7**	2- Cl C_6H_5
4	2-NO_2 C_6H_5		

Studies were carried out on vasorelaxant and antihypertensive properties of dihydrospinochalcone and Isocordoin isolated from *Lonchocarpus xuul* (Avila-Villarreal *et al.*, 2013).

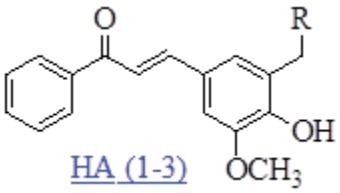


Novel chalcones with quinoline in their structure GB were prepared and tested to have powerful antihypertensive moiety (Kumar *et al*., 2015).



Chalcones as antimalarial agents

Three aminoalkylated derivatives of chalcones HA (**1–3**) were synthesized by Claisen–Schmidt's condensation between chloroacetophenone and vanillin. After this reaction, addition of the amine group was carried out through Mannich's reaction. The synthesized derivatives were evaluated for antimalarial activity against *Plasmodium falciparum* strain (3D7) and molecular docking was also performed. Molecular docking and biological evaluation shows that compound HA2 was the most active derivative among the synthesized derivatives (Syahri *et al*., 2020).



Compound	*R*
1	Morpholine
2	Piperidine
3	Diethylamine

Table 1. Physical properties of chalcone.

IUPAC name	trans-1,3-diaryl-2- propen-1-one
Molecular formula	$C_{15}H_{12}O$
Molar mass	208.26 g mol^{-1}
Exact mass	208.088815
Density	1.071 g/mol^3
Melting point	55°C–57°C
Boiling point	345°C–348°C

CONCLUSION

From this review, it can be stated that chalcones and their derivatives show a wide spectrum of biological activities, *viz* anticancer, antimicrobial, anticonvulsant, antioxidant, anti-inflammatory activities, etc. That is why the attention of scientists has increased towards chalcones in searching for novel and biologically potent derivatives from them.

CONFLICT OF INTEREST

None.

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