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CHALCONE AS A VERSATILE MOIETY FOR DIVERSE PHARMACOLOGICAL ACTIVITIES

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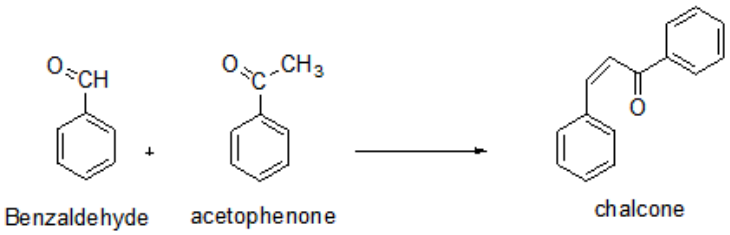
ABSTRACT

Chalcones are 1, 3-diphenyl-2-propene-1-one, consist of two aromatic rings linked by a three carbon α, β-unsaturated carbonyl system. 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. These are considered to be precursors of flavonoids and isoflavonoids. The aim of this review is to summarize chalcones and their diverse pharmacological activities like anticancer, antimicrobial, analgesic and antiviral activities etc.

INTRODUCTION: Chalcones are well known intermediates for synthesizing various heterocyclic compounds. The compounds with the backbone of chalcones have been reported to possess various biological activities such as antimicrobial, anti-inflammatory, analgesic, antiplatel, antiulcerative, antimalarial**,** anticancer, antiviral, antileishmanial, Antioxidant, Antitubercular, antihyperglycemic, activities. The presence of a reactive & unsatutated keto function in chalcones is found to be responsible for their antimicrobial activity.

In the present communication, we report the reaction of various acetophenone derivatives with different aromatic aldehyde derivatives to form chalcones. The structures of the various synthesized compounds were assigned on the basis of IR, 1H-NMR spectral data and elemental analysis. These compounds were also screened for their antimicrobial activity. Chalcone constitute an impartment group of natural products and some of them possess a wide range of biological activities such as antimicrobial [1] anticancer [2] antitubercular [3].

The general Method of synthesis of chalcone as shown below:



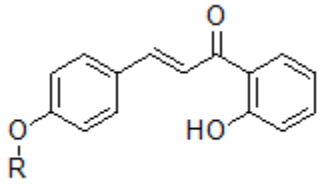
Physical Properties of Chalcone: The physical properties of chalcone are as follows:-

Molecular formula	:	$C_{15}H_{12}O$
Molar mass	:	208.26 g mol^{-1}
Exact mass	:	208.088815
Density	:	1.071 g/cm^3
Melting point	:	55–57 °C
Boiling point	:	345-348 °C

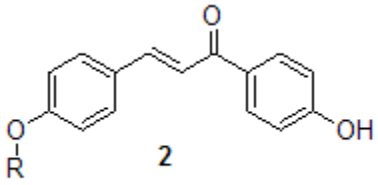
Pharmacological Activities of Chalcone: Chalcones and its derivatives have attracted particular interest during the last few decades due to use of such ring system as the core structure in many drug substances covering wide range of pharmacological activities which are further discussed below:-

Antimicrobial Activity: Nagaini *et al*., successfully synthesized a new homologues series of chalcone derivatives as antimicrobial agents and the anti-bacterial activity against *E. coli* where the presence of hydroxyl group at the *ortho* position(**1**) showed better antimicrobial activities as compared to *para* position(**2**) [4].

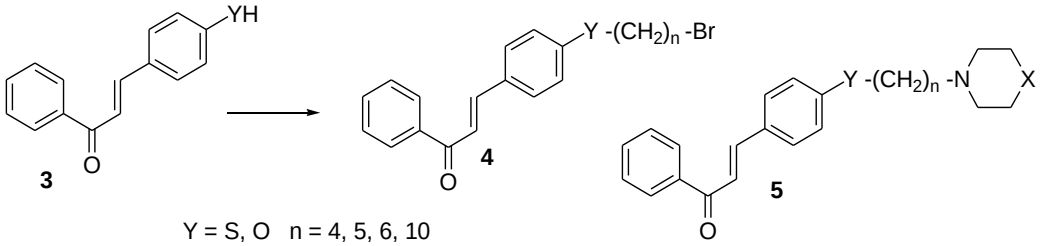
A series of 40 substituted chalcones were synthesized and tested by Nowakowska *et al*., for their *in vitro* antibacterial and antifungal activities. Among the (*E*)-4-aminoalkylthiochalcones and (*E*)-4-aminoalkoxy-chalcones tested, compounds **3**, **4** and **5** exhibited good antibacterial property against *Staphylococcus aureus*, *Enterococcus faecalis* and *Bacillus subtilis* [5].



1(a). R = $C_{10}H_{22}$
1(b). R = $C_{12}H_{25}$
1(c). R = $C_{14}H_{29}$



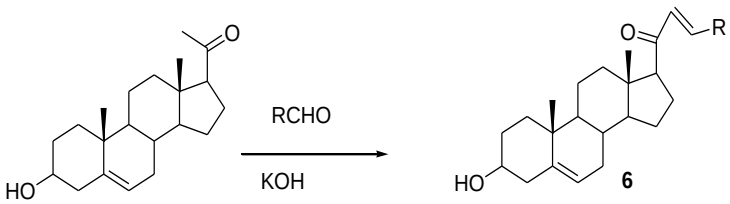
2(a). R = $C_{10}H_{22}$
2(b). R = $C_{12}H_{25}$
2(c). R = $C_{14}H_{29}$



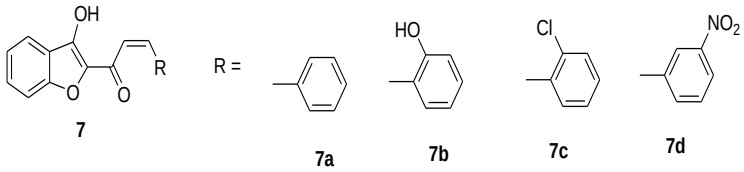
Y = S, O n = 4, 5, 6, 10

X =CH-CH_2 , O ,NH, CH_3

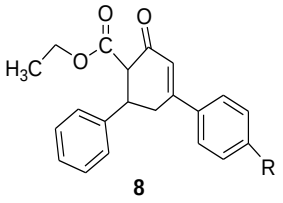
The efficient and facile synthesis of 17-chalconyl derivatives of pregnenolone and their evaluation as antimicrobial agents were screened by Abid *et al* [6].



Swamy *et al.,* reported the antimicrobial activity of 3-hydroxy benzofuran substituted chalcones. It was evident that most of the compounds are very weakly active and few are moderately active against *Staphylococcus aureus* and *Escherichia coli* but compounds **7c, 7d** possessed very good activity against fungi *Aspergillus flavus* and compound **7b** showed moderate activity [7].



Mayekar *et al*., reported that a series of chalcones and its cyclohexenone derivatives were derived from 6-methoxy-2-naphthaldehyde. The compounds **8b** and **8c** showed comparatively good activity against all the bacterial and fungal strains like *Escherichia coli*, *Staphylococcus aureus*, *Pseudomonas aeruginosa*, *Klebsiella pneumoniae*, *Penicillium marneffei, T. mentagrophytes*, *A. flavus* and *A. fumigates* when compared to standard drugs like Ampicillin, Itraconazole [8].



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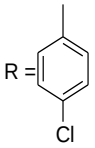
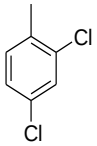
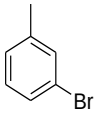


8a

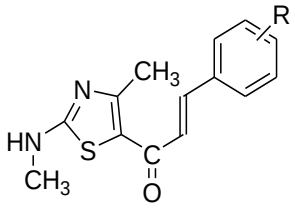


8b



8c

Liaras *et al.,* synthesized a new class of structurally novel derivatives, that incorporate two known bioactive structures a thiazole and chalcone, to yield a class of compounds with interesting antimicrobial properties and antifungal properties and evaluation of antibacterial activity showed that almost all the compounds **9a-j** exhibited greater activity than reference drugs and thus could be promising novel drug candidates [9].



9

9a. R = H

9b. R =4-NO_2

9c. R =3-NO_2

9d. R = 4-Cl

9e. R = 3-Cl

9f. R =2-Cl

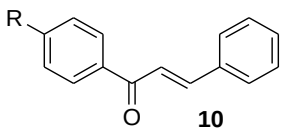
9g.R =4-OMe

9h.R =2-OMe

9i. R =2,6-diCl

9j R=2,4-diCl

Nielsen *et al*., investigated the antibacterial activity of hydroxy chalcones that were observed and the low aqueous solubility and the medium antibacterial potency have limited the usefulness of the compounds. They described the bioisosteric replacement of the essential 4′-hydroxy group in the hydroxy chalcones with bioisosters of varied degrees of acidity resulting in both more potent and more soluble compounds. The more acidic 4′-hydroxy analogues (e.g., 3′-fluoro- or 3′, 5′-difluoro-) gave almost inactive compounds whereas exchanging the hydroxy group with a carboxy group resulted in a potent compound with a high aqueous solubility. Further optimisation and SAR-analysis resulted in soluble and potent carboxy chalcones [e.g., 3, 5-dibromo- and 3, 5-di(trifluoromethyl)-] **[10].**



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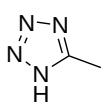
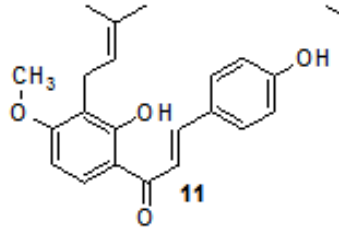
10a



10b

10c

The antibacterial activity of thirty-one chalones were described by Ávila *et al*., against bacterial strains, *Bacillus cereus* ATCC 11778, *Escherichia coli* ATCC 25922, *Pseudomonas aeruginosa* ATCC 27853, and *Staphylococcus aureus* ATCC 25923. Some of the tested compounds showed fair to significant activity against Gram-positive bacteria [11].



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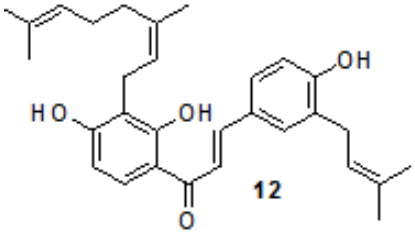

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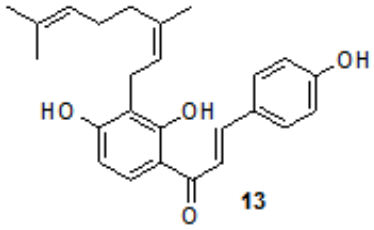
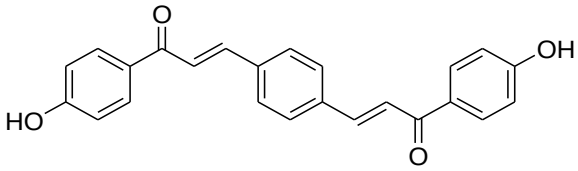


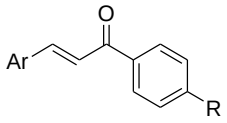
13

Chitra *et al*., synthesized four copolyesters from 3,3-(1,4-phenylene)bis(1-(4-hydroxyphenyl)prop-2-en-1-one (THAP) and 3,3-(1,4-phenylene)bis(1-(4-hydroxy-3-methoxyphenyl)prop-2-en-1-one (TMAP). These copolyesters displayed potential bactericidal activity against pathogenic bacteria [12].



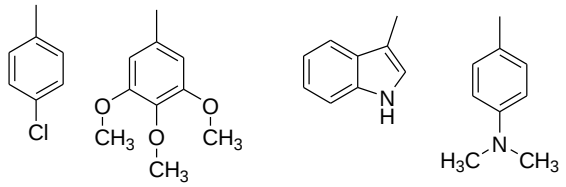
14

Bhatia *et al.,* synthesized some mini libraries which screened for antibacterial activity. The mini-libraries **15{1a, 2a–d}, 15{1b, 2a–d}, 15{1a–f, 2a}** and **15{1a–f, 2c}** were found to be most active of the synthesized mini libraries. The compound 3-(4-chlorophenyl)-1-(4-methoxyphenyl)prop-2-en-1-one exhibited significant activity that was better than that of the other three compounds synthesized [13].



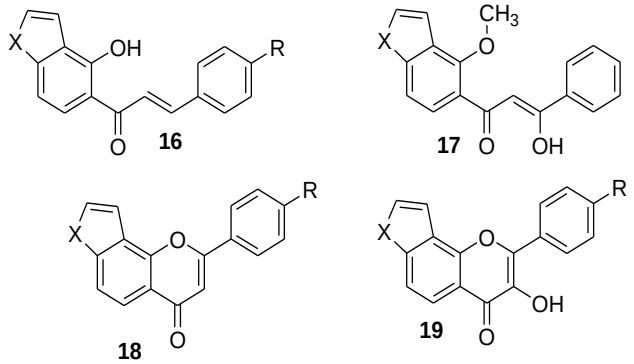
R (a-f) = OCH_3 , Cl, CH_3 , Br, NO_2, $N(CH_3)_2$

Ar (a-d) =



15

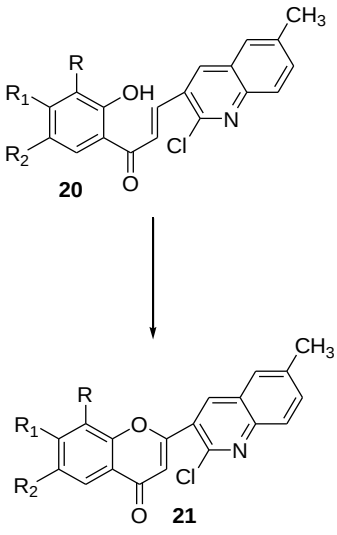
Nitrogen and sulfur heterocyclic mimics of furanoflavonoids synthesized and screened for antibacterial activity by Yadav *et al* [14].



16 **17** **18** **19**

X = NCH_3 / S
R = H/ OCH_3

Some novel compounds were evaluated by Mokle *et al*., for their antibacterial activity and studied the effect on seed germination of wheat (Triticum aestivum). It was found that compound **21e, 21f, 21g, 22b, 22e, 22f** and **22g** exhibited good antibacterial activity against all bacteria at a concentration of 100µg/ml **[15].**



20

20b = **21**b = R = I, R_1=H, R_2 = Cl

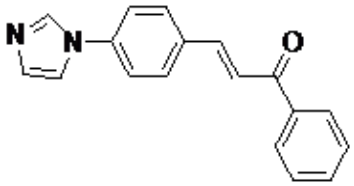
20e = **21**e = R= I, R_1=OH, R_2= I

20f = **21**f = R = Cl ,R_1= OH, R_2 =Cl

20g =**21**g = R=Br, R_1=OH,R_2= Br

21

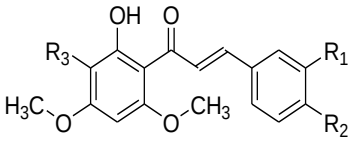
A series of new 3-[4-(1*H*-imidazol-1-yl)phenyl]prop-2-en-1-ones were synthesized by Hussain *et al*., and the compounds were subjected to preliminary evaluation for the anti-fungal activity. Few of the synthesized compounds showed significant activities **[16].**



222

Antileishmanial Activity: Paula *et al.*, were studied the eighteen analogues of an active natural chalcone were synthesized using xanthoxyline and some derivatives, and these analogues were tested for selective activity against both promastigotes and intracellular amastigotes of Leishmania amazonensis *in vitro*. Three analogues (**23a, 23b**, and **23c**) containing nitro, fluorine or bromine groups, respectively, displayed increased selective activity against the parasites as compared with the natural chalcone.

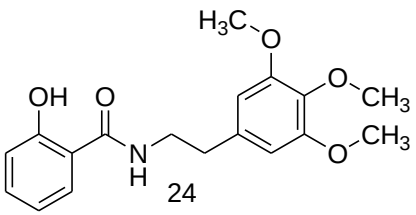
The nitrosylated chalcone **23a** was also tested intralesionally in infected mice and was found to be as effective as Pentostan reference drug at a dose 100 times higher than that of the chalcone in controlling both the lesion growth and the parasite burden **[17].**



23

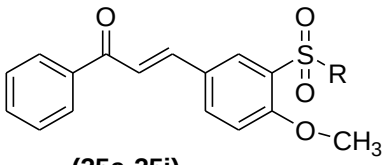
23a. R_1 = NO_2 , R_2 = H , R_3 =H
23b. R_1=H , R_2=F, R_3 = H
23c. R_1 = NO_2 ,R_2= H, R_3=Br

One new amide (**24**) as well as two known chalcones and one known flavanone were isolated from *P. hispidum* leaves by Ruiz *et al.* The results showed that the known chalcone exhibited the most potent antileishmanial activity with an IC_{50} of 0.8 µM (amphotericin B: IC_{50} = 0.2 µM) **[18].**



24

Carla *et al.,* showed a new set of sulfonamide 4-methoxychalcone derivatives (**25a–25i**) were synthesized and which shown antileishmanial activity against Leishmania braziliensis promastigotes and intracellular amastigotes and determined its cell toxicity profile. Interestingly all compounds presented a concentration-dependent antileishmanial profile and the benzylamino derivative (**25i**) showed a biological activity better than pentamidine [19].



(25a-25i)

R= **25a** = N(CH3)2

25b = N(CH2CH3)2

25c = Pyrrolidin -1 -Yl

25d = morpholin -4-yl

25e = phenylamino

25f = 4-chlorophenylamino,

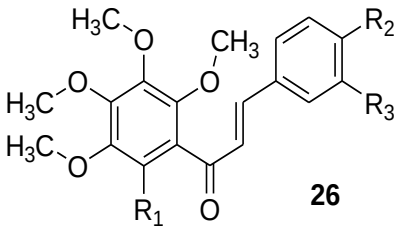
25g = 3, 4 -dichlorophenylamino

25h = 4 - methoxyphenylamino

25i = benzylamino

A series of chalcones polyoxygenated on the ring A (with pentamethoxy or 2′-hydroxy-3′, 4′, 5′, 6′-tetramethoxy substitution patterns) was synthesized from tangeretin, a natural Citrus flavonoid. These chalcones were evaluated by Quintin *et al*., for their antiproliferative, activation of apoptosis, inhibition of tubulin assembly and antileishmanial activities.

Comparison with the reference analogous 3′, 4′, 5′-trimethoxylated chalcones showed that such peroxygenated substitution patterns on the ring A were less beneficial to these activities [20].



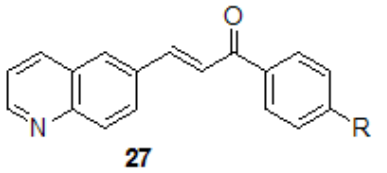
26

R_1 = OH ,OMe

R_2 = OMe ,H

R_3 = OH ,NO_2 ,NH_2

Anticancer Activity: Tavares *et al*., evaluated a series of new 6-quinolinyl and Quinolinyl N-oxide Chalcones were efficiently prepared by synthesized all chalcones were tested by minimal inhibitory concentration (MIC) against three species of *Candida*, *Cryptococcus gattii* and *Paracoccidioides brasiliensis*. The effect of these compounds was also tested on the survival and growth of the human cancer cell lines UACC-62 (melanoma), MCF-7 (breast), TK-10 (renal) and leukemic cells, Jurkat and HL60.The leukemic cells the compounds **28f**, **27g**, **28g** and **29g** have shown the best activity [21].



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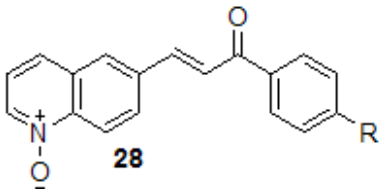

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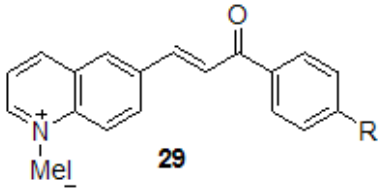
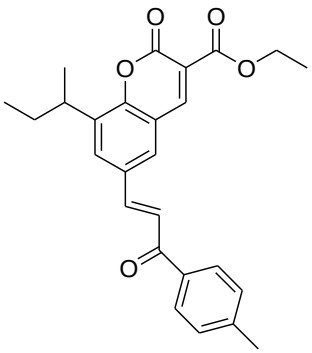


29

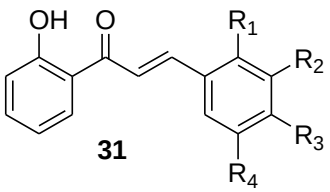
27a,28a,29a. R =H, **27b,28b,29b.** R =Me , **27c,28c,29c.** R = OMe, **27d,28d,29d.** R =NO_2, **27e,28e,29e.** R = F, **27f,28f,29f.** R = Br , **27g,28g,29g.** R =Cl

A series of novel coumarin–chalcone hybrids were synthesized and evaluated by Sivakumar *et al*., for their cytotoxicity compound **30** showed around 30-fold more selectivity towards C33A (cervical carcinoma) cells over normal fibroblast NIH3T3 cells [22].



30

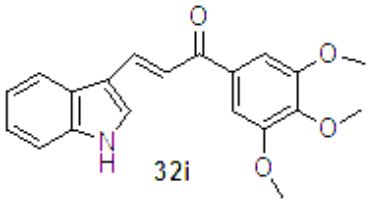
The Synthesized chalcones and their antitumoral activity were studied on HepG2 hepatocellular carcinoma cells and dose-dependent inhibition of cell proliferation by Echeverria *et al* [23].



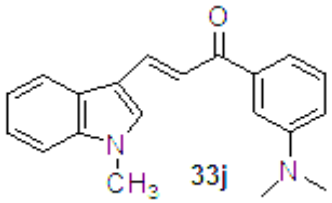
31

R_1=R_2=R_3=R_4= H

Kumar *et al*., synthesized a series of indolyl chalcones and evaluated *in vitro* for their anticancer activity against three human cancer cell lines. Compounds **32b–d**, **32h**, **32j**, **32l**, **32m**, **33g**, and **33j** showed significant cytotoxicity, particularly, indolyl chalcones **32i** and **32m** were identified as the most potent and selective anticancer agents with IC_{50} values 0.03 and 0.09 µM, against PaCa-2 cell line, respectively **[24].**

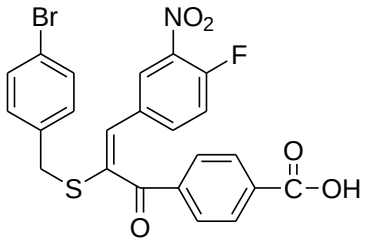


32i



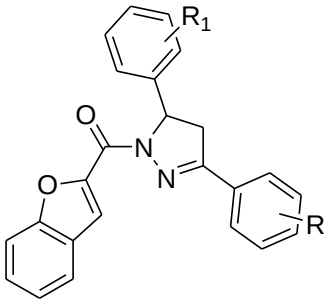
33j

Novel (*E*)-α-benzylthio chalcones were reported by Reddy *et al*., with preliminary in vitro activity and indicating that several of them are potent inhibitors (comparable to imatinib, the reference compound) of BCR-ABL phosphorylation in leukemic K562 cells, known to express high levels of BCR-ABL. The ability of such compounds to significantly inhibit K562 cell proliferation suggests that this scaffold could be a promising lead for the development of anticancer agents that are able to block BCR-ABL phosphorylation in leukemic cells **[25].**



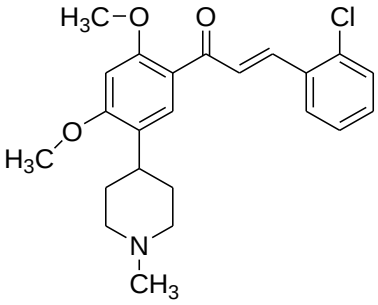
34

A new series of benzofuran-2-yl(4, 5-diydro-3, 5-substituted diphenylpyrazol-1-yl) methanone derivatives were synthesized by Parekh *et al* and showed their antiproliferative activity studied against human cancer cell lines. Compounds a,b,c were exhibited good MDR reversal activity [26].



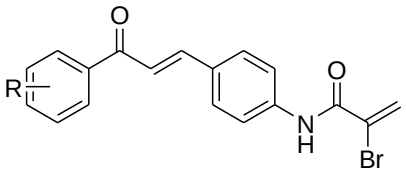
35 Where R =H, 4-Cl, 4-F
R_1 =H, 4-CH_3,4-NO_2

The synthesized *N*-Methylpiperidinylchalcones were investigated for antiproliferative activity against human tumour cell lines by Liu *et al* [27].

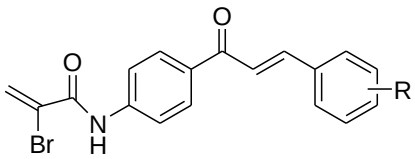


36

Romagnoli *et al.,* showed that two novel large series of α-bromoacryloylamido chalcones **37a–m** the most promising lead molecules were **37k**, **37m** and **37j**, which had the highest activity toward the five cell lines Moreover, compound **37k** induced apoptosis through the mitochondrial pathway and activated caspase-3 [28].

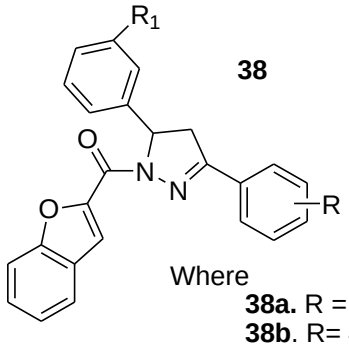


37a-m



R = H, OMe , Me , $N(CH_3)_2$, halogen

The synthesized compounds **38a–v** was studied against human cancer cell lines by *Parekh et al.,* for their antiproliferative activity and reversal of multidrug resistance on human MDR1-gene transfected mouse lymphoma cells. Among the 24 compounds, the **38c** and **38h** showed good antiproliferative activity **38b**, **38f** and **38k** were exhibited good MDR reversal activity. The main significance of the process is easy workup process, short reaction time and high yield of the new compounds for biological interest. However, the studies on genetically modified multidrug resistant cancer cells are costly and time consuming [29].



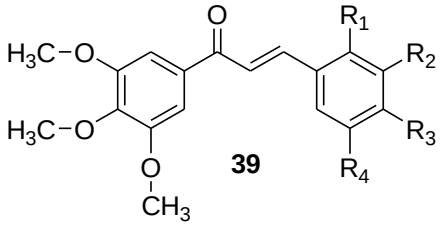
38

Where

38a. R = H,
38b. R= 4-Cl,
38c. R= 4-F
38f. R_1 =H,
38h.R_1= 4-CH_3
38k R_1= ,4-NO_2

Rao *et al*., reported a series of twenty three 3′,4′,5′-trimethoxychalcone analogues as inhibitors of nitric oxide (NO) production in LPS/IFN-γ-treated macrophages, and tumor cell proliferation. 4-Hydroxy-3,3′,4′,5′-tetramethoxychalcone (**7**), 3,4-dihydroxy-3′,4′,5′-trimethoxychalcone (11), 3-hydroxy-3′,4,4′,5′-tetramethoxychalcone, and 3, 3′,4′, 5′-tetramethoxy chalcone were the most potent growth inhibitory agents on NO production, with an IC_{50} value of 0.3, 1.5, 1.3 and 0.3 µM, respectively.

The chalcone **39** was the most potent anti-proliferative compound in the series with IC_{50} values of 1.8 and 2.2 µM toward liver cancer Hep G2 and colon cancer Colon 205 cell lines, respectively. 2, 3, 3′, 4′, 5′-Pentamethoxy chalcone, 3, 3′, 4, 4′, 5, 5′-hexamethoxychalcone, 2, 3′, 4, 4′, 5, 5′-hexamethoxychalcone, 2-hydroxy-3, 3′, 4′, 5′-tetramethoxychalcone and showed significant anti-proliferation actions in Hep G2 and Colon 205 cells with an IC_{50} values ranging between 10 and 20 µM [30].

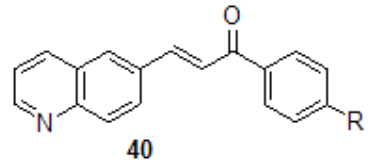


39

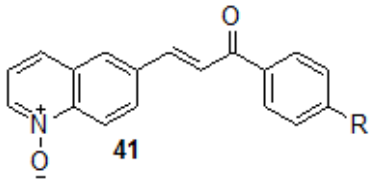
R_1 ,R_2, R_3 , R_4 = OH and/or

CH_3 or CH_3 or F or Br or NO_2

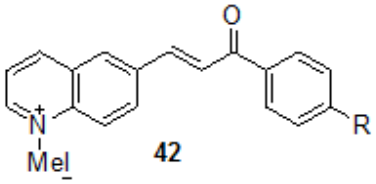
Tavares *et al*., reported a series of new 6-quinolinyl and quinolinyl N-oxide chalcones were efficiently preparedby synthesized all chalcones were tested by minimal inhibitory concentration (MIC) against three species of *Candida*, *Cryptococcus gattii* and *Paracoccidioides brasiliensis*. The effect of these compounds was also tested on the survival and growth of the human cancer cell lines UACC-62 (melanoma), MCF-7 (breast), TK-10 (renal) and leukemic cells, Jurkat and HL60. The cytotoxic activity showed that compounds **40c** and **41e**, presented the best activity against MCF-7 and TK-10 [21].



40



41

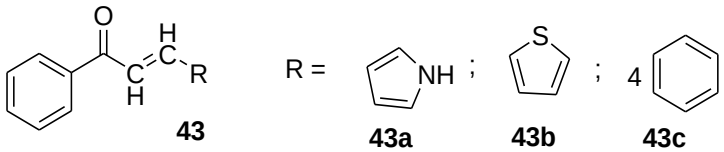


42

40a,41a,42a. R =H, **40b,41b,42b.** R =Me , **40c,41c,42c.** R = OMe, **40d,41d,42d.** R =NO_2

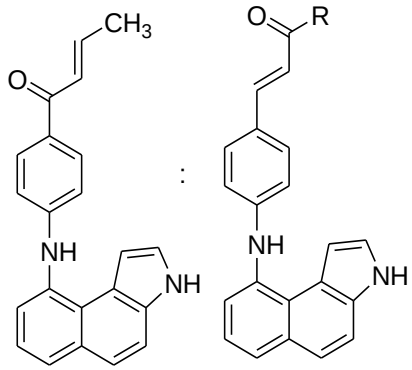
40e,41e,42e. R = F, **40f,41f,42f.** R = Br , **40g,41g,42g.** R =Cl

The conjugates of α,β-unsaturated ketone systems, phenyl-butenone and diaryl-propenones (chalcones), with the tricyclic planar pyrroloquinoline nucleus were synthesised and evaluated by Via *et al*., for their anticancer properties. The effect on the activity of the nuclear enzyme DNA topoisomerase II was also investigated. A noticeable cytotoxic effect was observed for all pyrroloquinoline-conjugated compounds particularly against human melanoma cell line JR8 (IC_{50} 1.2–3.3 µM); the unconjugated chalcones and butenone had a lower or no effect at the tested concentrations [31].



43 **43a** **43b** **43c**

Chalcone Compounds

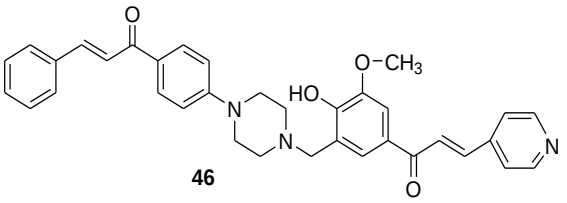


44 **45**

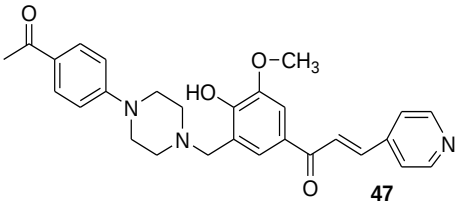
Pyrroloquinoline linked chalcone

Reddy *et al*., synthesized a series of novel bichalcone analogs and evaluated in lipopolysaccharide (LPS)-activated microglial cells as inhibitors of nitric oxide (NO) and for *in vitro* anticancer activity using a limited panel of four human cancer cell lines. All analogs inhibited NO production. Compounds **46** exhibited optimal activity with IC_{50} values of 0.3 and 0.5 µM, respectively, and were at least 38-fold better than the positive control.

Compound **46** and **47** exerted significant in vitro anticancer activity GI_{50} values ranging from 0.70 to 13.10 µM. A mode of action study using HT-29 colon cancer cells showed that **47** acts by inducing apoptosis signaling [32].

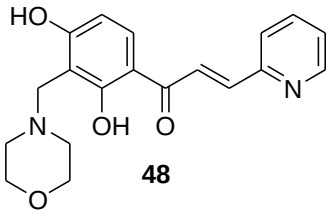


46



47

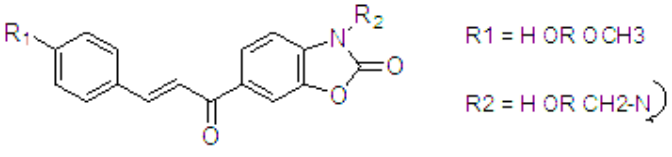
Reddy *et al*., showed that the Mannich bases of heterocyclic chalcones were synthesized (**48**), and tested the target compounds for cytotoxicity against three human cancer cell lines (prostate, PC-3; breast, MCF-7; nasopharynx, KB) and a multi-drug resistant subline (KB-VIN). Out of the chalcone synthesized, compound showed potent activity against at least one cell line with IC_{50} values ranging from 0.03 to3.80 µg/mL [33].



48

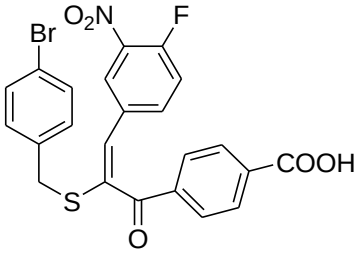
A series of 12 new Mannich bases with chalcone core structure were synthesized as potential antineoplastic agents, via N-aminomethylation of two parent 6-(3-aryl-2-propenoyl)-2(3*H*)-benzoxazolones. The newly synthesized compounds as well as the chalcone prototypes were evaluated by Lvanoya *et al*., for cytotoxicity in the human pre-B-cell leukemia cell line BV-173 using the MTT-dye reduction assay.

The tested compounds exhibited concentration-dependent cytotoxic effects at low micromolar concentrations. Selected Mannich bases induced programmed cell death in BV-173 at a concentration of 2.5 µM as evidenced by the encountered DNA-laddering [34].



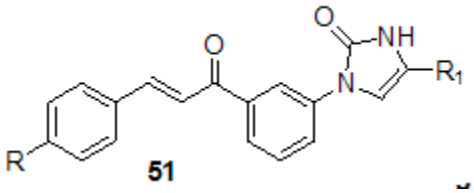
49

A novel (*E*)-α-benzylthio chalcones were synthesized and reported by Reddy *et al*., with preliminary *in vitro* activity data indicating that several of them are potent inhibitors (comparable to imatinib, the reference compound) of BCR-ABL phosphorylation in leukemic K562 cells, known to express high levels of BCR-ABL. The ability of such compounds to significantly inhibit K562 cell proliferation suggests that this scaffold could be a promising lead for the development of anticancer agents that are able to block BCR-ABL phosphorylation in leukemic cells [25].



50

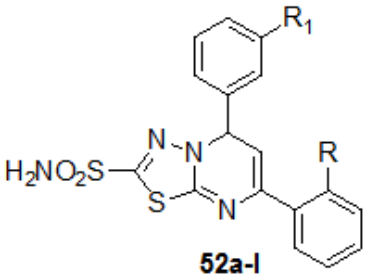
A series of novel chalcone linked imidazolones were synthesized and evaluated by Kamal *et al.,* for their anti-cancer activity against a panel of 53 human tumour cell lines derived from nine different cancer types: leukemia, lung, colon, CNS, melanoma, ovarian, renal, prostate and breast. Some of these (**51a**, **51b** and **51c**) showed good anti-cancer activity with GI_{50} values ranging from 1.26 to 13.9 µM [35].



51

51a. R = 4-OH-3-OMe ; R_1= Phenyl
51b. R = 4-OH-3-OMe ; R_1 = 4 -Methoxy phenyl
51c. R = 4-OH-3-OMe ; R_1 = 4 -Chloro phenyl
51d. R = 3 -OH ; R_1= Phenyl
51e.R = 3 -OH ; R_1 = 4 -Chloro phenyl
51f.R = 3,4,5 -$(OMe)_3$; R_1= Phenyl
51g.R = 3,4,5 -$(OMe)_3$;R_1 = 4 -Methoxy phenyl
51h.R = 3,4,5 -$(OMe)_3$;R_1= 3,4,5-trimethoxy phenyl
51i.R = 3,4,5 -$(OMe)_3$;R_1= 4 -Chloro phenyl
51k.R = 3 -OH ; R_1= naphthyl

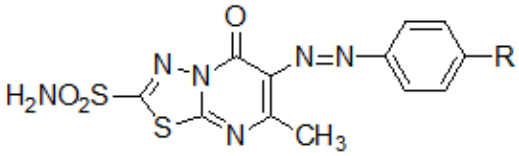
Sayed *et al.,* reported a new series of sulfonamide derivatives of [1, 3, 4]thiadiazolo[3, 2-*a*]pyrimidine were formed and investigated as antitumor agents. Some of the newly prepared compounds were tested for their *in vitro* and *in vivo* antitumor activities. Preliminary biological studies revealed that compounds **52c**, **52f**, and **52j** exhibited the highest affinity to DNA, while compounds **52h**,**i**, **53a–c**, **54** and **55** exhibited moderate activity when copared to 5-flurouracil [36].



52a-l

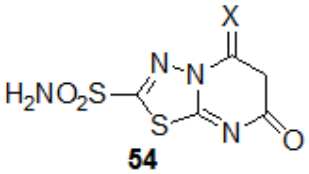
R = H; 4-NH_2 ; 3-CH_3

R_1 = H ; 4-Br ;4-Cl ; 4-NO_2 ; 4-OCH_3 ; 3,4 -Di -OCH_3 ;2,6 -DI -Cl ;2 -Cl -5 -NO_2



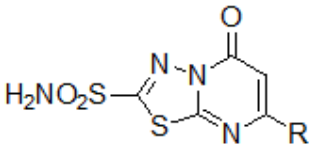
53a-f

R =H; Br;Cl; CH_3; OCH_3; NO_2



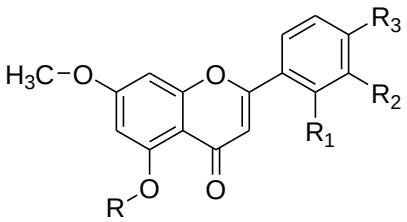
54

X = O; NH



55

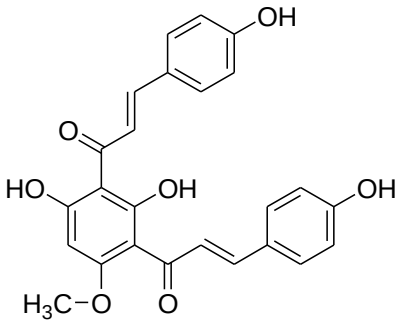
The substituted chalcones formed and targeted compounds were screened by Rao *et al.,* for their biological activity. Among them, compounds **56** and **57** displayed a significant growth inhibitory action against a panel of tumor cell lines including Jurkat, PC-3, and Colon 205. On treatment with an equitoxic (IC_{50}) concentration, another two compounds blocked cells in the G2/M phase of the Jurkat cell cycle, whereas one compound blocked the same in the G0/G1 phase [37].



56. R = Me , R_1 = H, R_2 ,R_3 = -OCH_2O-

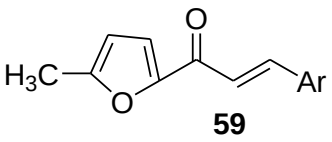
57. R, R_3 = H, R_1 ,R_2 = OMe

Antioxidant Activity: Susanne *et al.,* synthesized the 3′-coumaroyl-2′, 4, 4′-trihydroxy-6′-methoxychalcone (**58**), were structurally derived from helichrysetin. Compound **58** showed the highest cytotoxic activity against HeLa cells with an IC_{50} value of 7.3 ± 0.4 µM. Anti-oxidative effects were determined in the ORAC assay and revealed very strong activity for **58** [38].



58

Cytotoxicity against tumor cell lines may be the result of disruption of the cell cycle, inhibition of angio-genesis, interference with p53-MDM2 interaction, mitochondrial uncoupling or induction of apoptosis were Chemoprotection by chalcones may be a consequence of their antioxidant properties mediated via inhibition or induction of metabolic enzymes, by an anti-invasive effect or a reduction in nitric oxide production. All the chalcones **59**(**a-e**) were tested by Ahmed *et al.,* for cytotoxic activity by the BSLT bioassay method. All the compounds were found to possess cytotoxic activity. Among them, compounds **59a, 59c** showed dose dependent cytotoxic activity at concentrations of (**59a**) 24.27µg/ml, (**59c**) 37.05µg/ml, respectively. Podophyllotoxin is used as a standard drug for BSLT assay method [39].



59

Where

59a.Ar = phenyl,

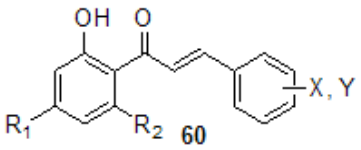
59b. 4' '-fluoro pheny,

59c. 4' ' -chloro phenyl,

59d. 2,4' '-dichloro phenyl,

59e. 4' '-nitro phenyl

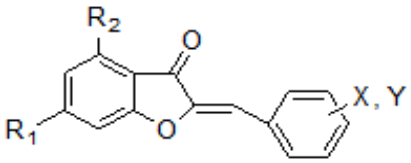
A series of 2′-hydroxy-chalcones (**60**) and their oxidative cyclization products, aurones (**61**), were synthesized and tested by Detsi *et al.,* for their antioxidant and lipoxygenase inhibitory activity. Aurones possess an appealing pharmacological profile combining high antioxidant and lipid peroxidation activity with potent soybean LOX inhibition [40]**.**



60

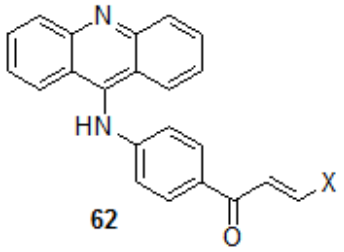
2'- hydroxy-chalcones

R_1=R_2=H, OCH_3 ,OCH_2OCH_3 , OH
X= Y= OCH_3 , OCH_2OCH_3, OH
X=OCH_3, CH_3, Cl

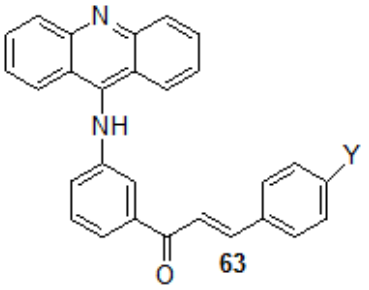


61 aurones

Antimalarial Activity: The synthesized chalcone derivatives were characterized and screened by Tomar *et al.,* for *in vitro* antimalarial activity against *Plasmodium falciparum* NF-54. All the chalcones showed complete inhibition at concentration of 10µg/mL and above while three compounds showed significant inhibition at concentration of 2µg/mL. The three most active chalcone derivatives were screened for in vivo activity as well, but no significant inhibition in parasitaemia was observed when given intraperitoneally to *Plasmodium yoelii* infected mice model [41].



62

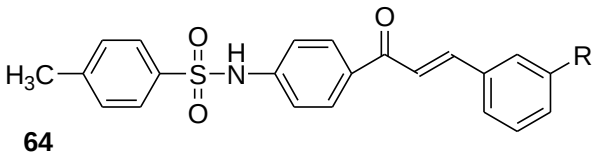


63

63a. Y=H , **63b**. Y=OMe
63c. Y=Cl

62a. X = C_6H_5 **62b**. X = 3-NO_2-C_6H_4 **62c**. X= 3 - Me C_6H_4
62d. X = 4 - MeC_6H_4 **62e**. X = 4-MeOXC_6H_4 **62f**. X = 3,4,5 -Trimethoxyphenyl
62g. X = 4 -Cl -C_6H_4 **62h**. X = C_6H_5 -CH=CH -

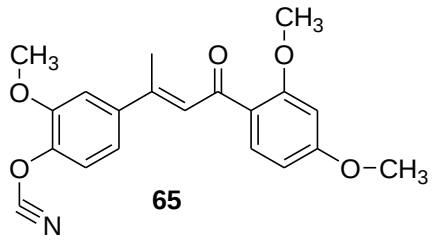
The chalcones, a new class of glycosidase inhibitors were synthesized and their glycosidase inhibitory activities were investigated by Seo *et al.,* Non-aminochalcones had no inhibitory activity, however, aminochalcones had strong glycosidase (α-glucosidase, α-amylase, and β-amylase) inhibitory activities. In particular, sulfonamide chalcones had more potent α-glucosidase inhibitory activity than aminated chalcone. 4′-(*p*-Toluenesulfonamide)-3, 4-dihydroxy chalcone (IC_{50} = 0.4 µM) was the best inhibitor against α-glucosidase, and these sulfonamide chalcones showed non-competitive inhibition [42].



64

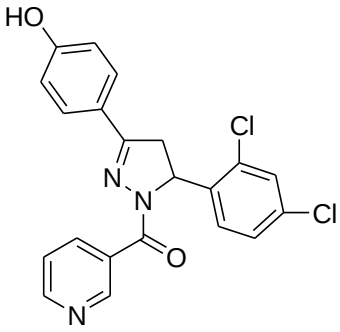
R = 4 - or 3,4 -OH

Eric *et al.,* studied a targeted series of chalcone and dienone hybrid compounds containing aminoquinoline and nucleoside templates was synthesized and evaluated for *in vitro* antimalarial activity. Several chalcone-chloroquinoline hybrid compounds were found to be notably active, with compound **65** the most active, exhibiting submicromolar IC_{50} values against the D10, Dd2 and W2 strains of *Plasmodium falciparum* [43].



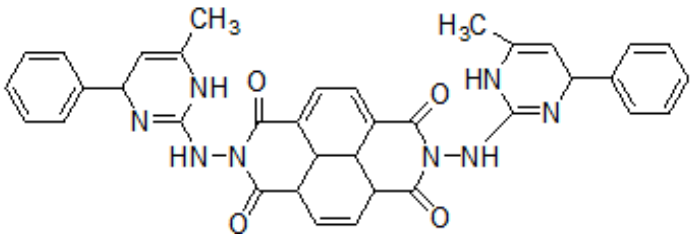
65

Acharya *et al*., were synthesized a series of 1, 3, 5-trisubstituted pyrazolines and evaluated for *in vitro* antimalarial efficacy against chloroquine sensitive (MRC-02) as well as chloroquine resistant (RKL9) strains of *Plasmodium falciparum*. The activity was at nano molar concentration. *β*-hematin formation inhibition activity ($BHIA_{50}$) of the pyrazolines were determined and correlated with antimalarial activity. A reasonably good correlation (r = 0.62) was observed between antimalarial activity (IC_{50}) and $BHIA_{50}$. This suggested that antimalarial mode of action of this class of compounds appears to be similar to that of chloroquine and involves the inhibition of hemozoin formation. Some of the compounds showed better antimalarial activity than chloroquine against resistant strain of *P. falciparum* and were also found active in the *in vivo* experiment [44].



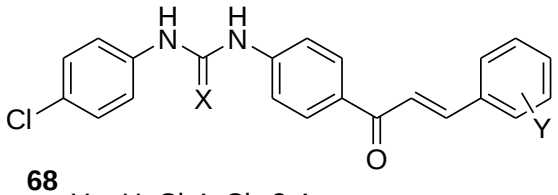
66

Analgesic Activity: A series of diazipine, pyrimidine, fused triazolopyrimidine and imide derivatives were newly synthesized by Said *et al.,* using 4-phenyl-but-3-en-2-one as a starting material. Initially the acute toxicity of the compounds was assayed via the determination of their LD_{50}. All the compounds were interestingly less toxic than the reference drug. The pharmacological screening showed that many of these obtained compounds have good analgesic activity comparable to Valdecoxib®, Carbamazepine® and Predensilone® as reference drugs [45].



67

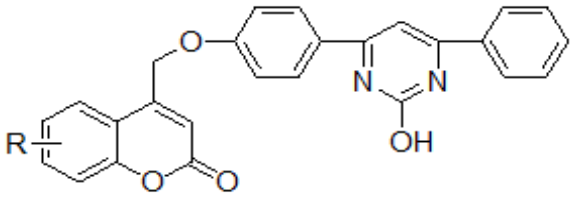
Chalcones or 1, 3-diaryl-2-propen-1-ones are known to be useful for treating pain, inflammation, and certain diseases although their uses have not been scientifically verified. Due to the limitations of opioid and NSAID therapy, there is a continuing search for new analgesics. A series of novel new 1-phenyl-3-{4-[(2*E*)-3-phenylprop-2-enoyl]phenyl}-thiourea and urea derivatives were synthesized and evaluated against writhing test in mice by Santos *et al* [46].



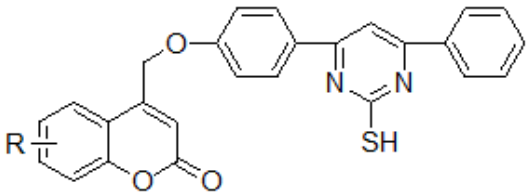
68

Y = H, Cl-4 ,Cl_2-3,4,
OCH_3-4, CH_3-4
X =S ,O

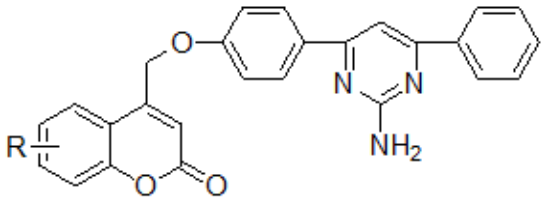
Keri *et al.,* reported a novel series of 4-[4-(6-phenyl-pyrimidin-4-yl)-phenoxymethyl]-chromen-2-ones **69–71**(**a–e**) were synthesized and the synthesized compounds shown *in-vivo* analgesic activities at a dose of 25 and 100 mg/kg body weight (b.w), respectively. Among them, compounds **69**(**d**), **70**(**c**) and **71**(**d**) exhibited significant analgesic activity comparable with standard drug analgin using Tail-flick model [47].



(69a-e)



(70a-e)



(71a-e)

where **69a,70a,71a.** R= 6-CH_3,

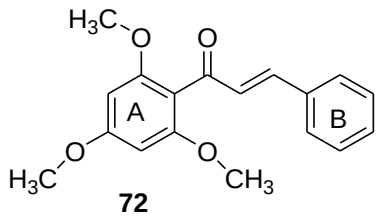
69b,70b,71b. R= 7-CH_3,

69c,70c,71c. R= 6-Cl,

69d,70d,71d. R = 5,6-Benzo

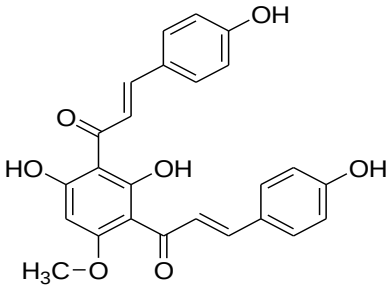
69e,70e,71e. R = 7,8-Benzo

Anti-inflammatory Activity: Louise *et al.,* synthesized chalcones derived from 2, 4, 6-trimethoxy acetophenone which shown inhibition of nitric oxide (NO) production by altering the expression of induced enzymes and induced anti-inflammatory activity. The mean IC_{50} values, calculated through dose versus inhibitory effect curves, in four independent experiments, varied between 1.34 and 27.60 µM, and were compared with the positive control, compound 1400W (IC_{50} = 3.78 µM), a highly selective inhibitor of iNOS (induced nitric oxide synthase). Eight chalcones gave mean IC_{50} values less than or equal to those obtained for 1400W, which suggests that these molecules may act as inhibitors of inflammatory process [48].



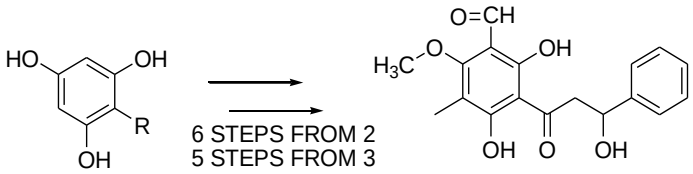
72

Susanne *et al*., reported a 3′-coumaroyl-2′,4,4′-trihydroxy-6′-methoxychalcone(**73**), were structurally derived from helichrysetin. Compound **73** showed the highest cytotoxic activity against HeLa cells with an IC_{50} value of 7.3 ± 0.4 µM. The anti-inflammatory activity of all compounds was measured in an *in vitro* ICAM-1 assay with human microvascular endothelial cells (HMEC-1) **[38].**



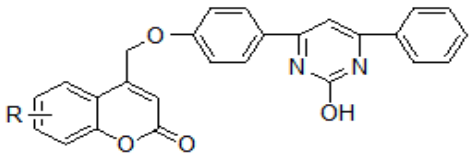
73

Anti-HIV Activity: The synthesized chalcone from commercially available 2, 4, 6-trihydroxytoluene (**74**) or 2, 4, 6-trihydroxybenzaldehyde (**75**) in five (from **74**) or six steps (from **75**).Which shown a unique highly functionalized and potent anti-HIV activity reported by Goto *et al* [49].

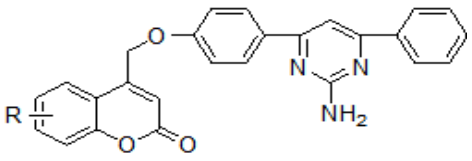


74: R=CHO
75: R= CH_3

Anti-pyretic activity: A novel series of 4-[4-(6-phenyl-pyrimidin-4-yl)-phenoxymethyl]-chromen-2-ones **76–77**(**a–e**)] were synthesized by **Keri *et al.,*** and those compounds showed *in-vivo* anti-pyretic activity at a dose of 25 and 100 mg/kg body weight (b.wt.), respectively. Compounds **76**(**a**) and **77**(**a–d**) showed significant anti-pyretic activities comparable with standard drug aspirin using yeast-induced pyrexia model [47].



(76a-e)



(77a-e)

where **76a,77a.** R= 6-CH_3,

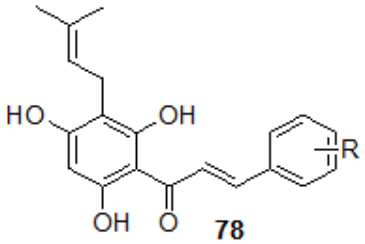
76b,77b. R= 7-CH_3,

76c,77c. R= 6-Cl,

76d,77d. R = 5,6-Benzo

76e,77e. R = 7,8-Benzo

Vasorelaxant activity: Dong *et al*., studied the series of prenylated flavonoids. According to the estimated result, eleven molecules **a-k** were selected and synthesized. Their vasodilatory activities were determined experimentally in rat aorta rings that were pretreated with phenylephrine (PE). Structure–activity relationship (SAR) analysis revealed that flavanone derivatives showed the most potent activities, while flavone and chalcone derivatives exhibited medium activities [50].

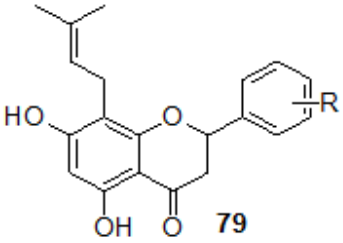


78

78a,79a,80a. R = 3' ,4' -OCH2O -;

78b,79b,80b. R = 3',4',5' -TnOMe;

78c,79c,80c. R = 4' -Cl;



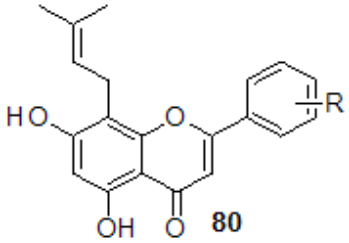
79

78d,79d,80d. R = H;

78e,79e,80e. R =3',4' -OCH2O -;

78f,79f,80f. R = 3' -Br;

78g,79g,80g. R = 3' -OMe, 4' -OH;



80

78h,79h,80h. R = 3' -Br;

78I,79I,80I. R = 3' -OMe,4' -OH

78J,79J,80J. R =3',4',5' -TriOMe;

78k,79k,80k. R= 3' -OH

CONCLUSION: The literature review of chalcone heterocyclic nucleus has proved that it is a versatile nucleus having various pharmacological activities of chalcone derivatives like antimalarial, anticancer, antileishmanial, anti-inflammatory, antibacterial, anti-fungal, antimicrobial, anticonvulsant and antioxidant activities etc. The vital information given in this article can be utilized further by researchers in the design and development of novel and potent drugs in the treatment of various diseases which are mentioned in this article.

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