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Chalcone as an Important Starting Point for Heterocycle Synthesis

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Vidya K.Magar[1]*, Lalit Sonawane[2], Khavane Karna B.[3]

1. *Ph.D. Research Scholar, School of Pharmacy, S.R.T.M. University, Nanded (M.S.)-431606 India.*
2. *Micro Labs Ltd, Mathru Hospital, Kadlu Road, Singasandra, Bangalore 560068 India.*
3. *Department of Pharmacology, GSBS'S, Gurukrupa Institute of Pharmacy, Majalgaon, Dist.Beed-431131, Maharashtra. India.*

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ABSTRACT

Chalcones represent an essential group of natural as well as synthetic products and some of them possess wide range of pharmacological activity such as antimicrobial, antitumor, anticancer, antitubercular, anti-inflammatory, antioxidant, antimalarial, antileishmanial. Etc. The presence of reactive α, β-unsaturated keto group in Chalcones is found to be responsible for their biological activity. Chalcone can be synthesized by several methods using aldehydes and ketones as starting material. This review is focused about different methods of synthesis of Chalcone and its use in heterocyclic synthesis.

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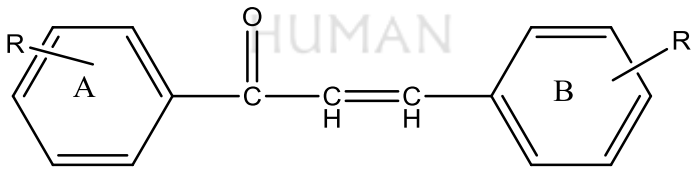
INTRODUCTION

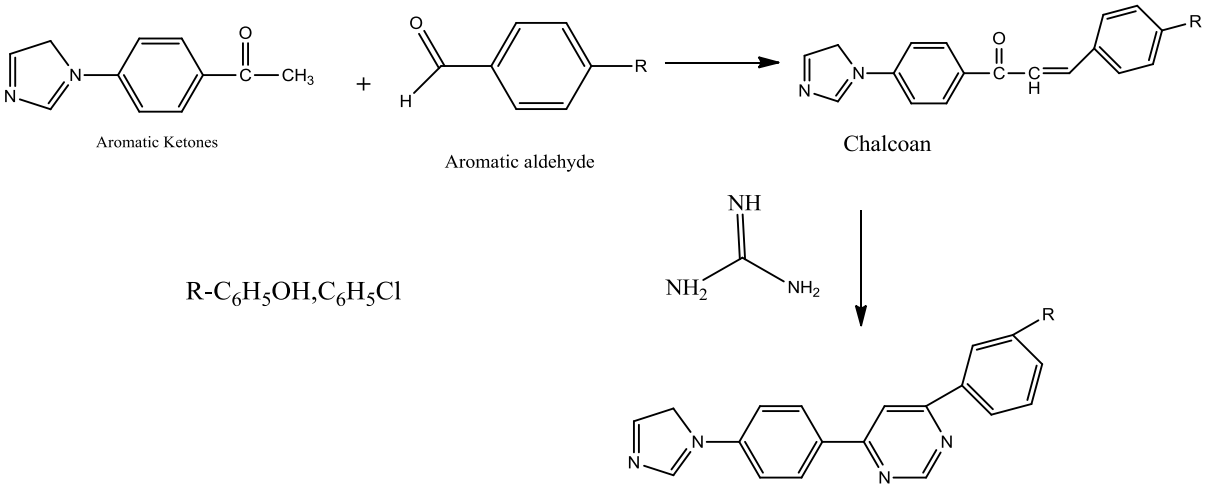
Chalcones come under an aromatic ketone that forms the central core for a variety of important biological compounds. Claisen–Schmidt condensation between acetophenone and benzaldehyde gives Chalcone. This reaction is catalyzed by acids and bases under homogeneous or heterogeneous conditions. Chalcones 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 [1, 2, 3], anti-inflammatory[4], antimalarial [5, 6], antileishmania l[7], antioxidant [8,] antitubercular [9, 10,] The presence of a reactive α,β-unsatutated keto function in chalcones was found to be responsible for their antimicrobial activity. Chalcone derivatives are considered as key starting materials for the syntheses of different classes of heterocyclic compounds such as pyrazolines, oxazoles, isoxazoles, thiophenes and pyrimidines. In the present work, we reported the reaction of various Aromatic ketones with different substituted aromatic aldehyde to form chalcones.

General structure of Chalcone*:*

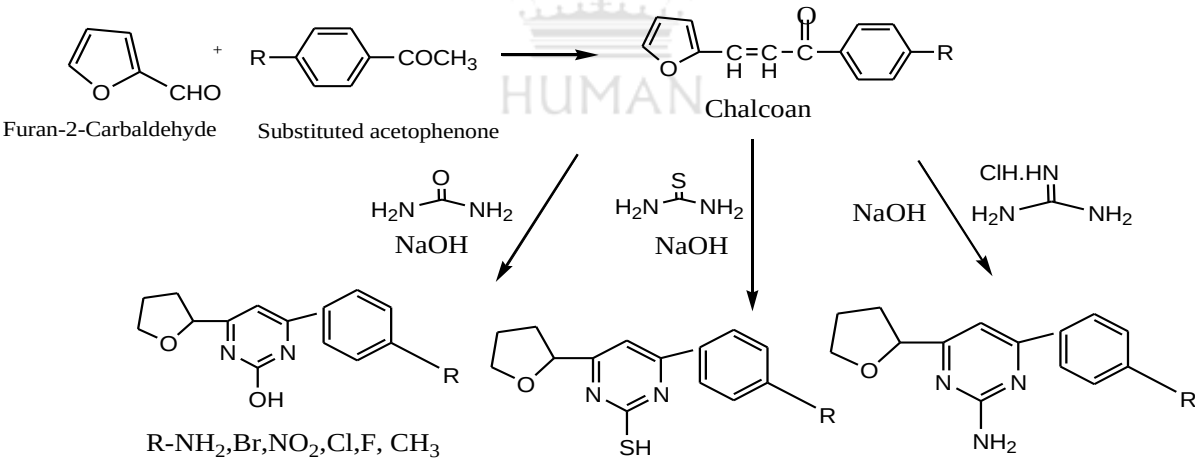


SYNTHESIS OF CHALCONE FROM ALDEHYDE AND KETONES

Eswara Rao G., Srinivasa Babu P. *et al.,*[11]Synthesized and evaluated pyrimidine derivatives from Chalcone and evaluated for antibacterial activity.

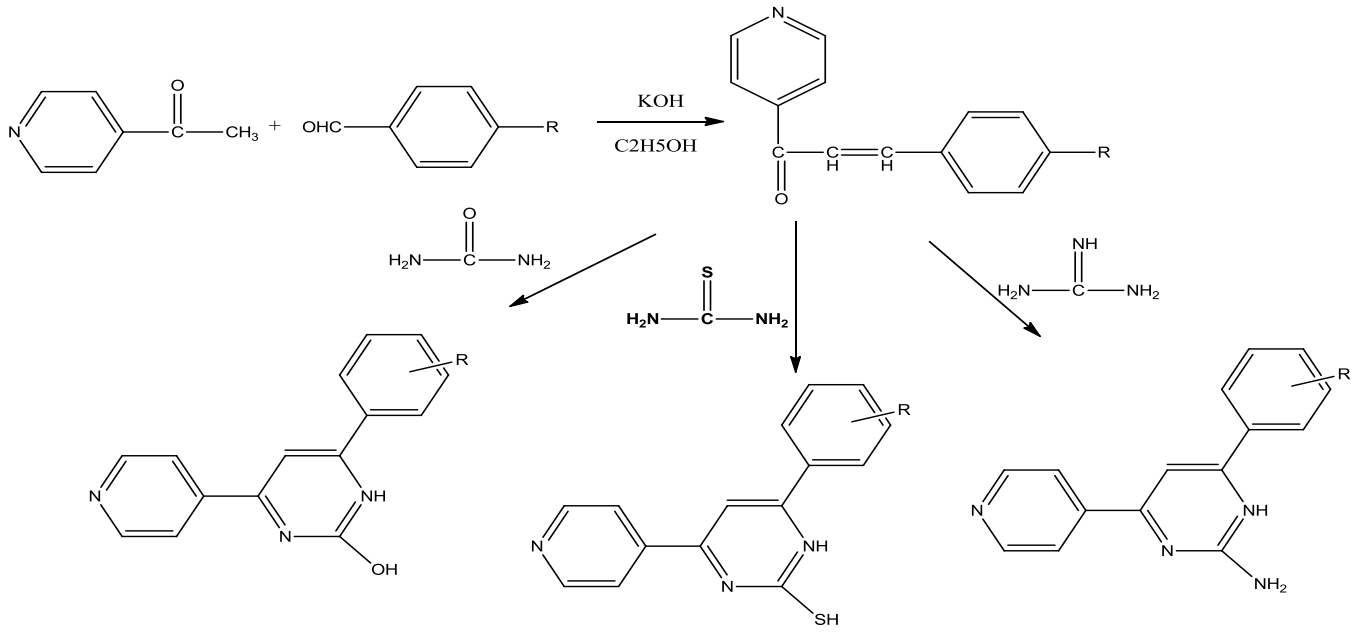


Vishal D. Joshi, Mahendra D. Kshirsagar *et.al.* [12] Synthesized Chalcones by treatment of furan-2-carbaldehyde with different acetophenones byclaisen-schimidt condensation. Various pyrimidine derivatives were prepared by reaction of Chalcone with urea, thiourea and guanidine HCL in ethanolic sodium hydroxide and evaluated for analgesic and anti-inflammatory activity.

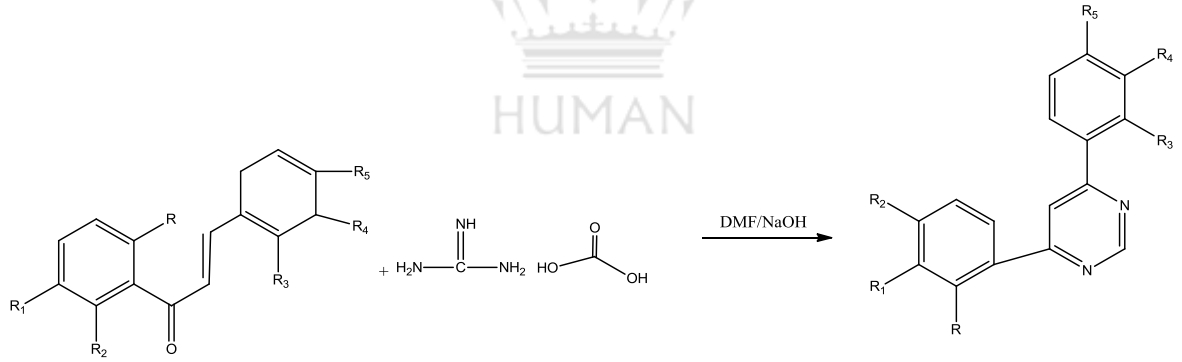


Pyrimidine derivatives

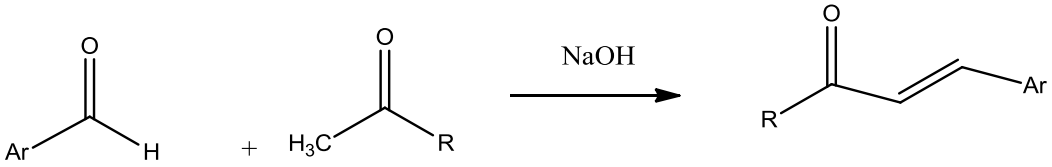
Monica Kachroo, Rakesh Panda *et al.*, [13] Synthesized chalcones by the reaction of 4-acetylpyridine with various aromatic and heteroaromatic aldehydes. Further, chalcones derivatives were cyclized to pyrimidine analogs by using thiourea, urea and guanidine hydrochloride. All the pyrimidine derivatives were evaluated for antitubercular, antibacterial, anti-inflammatory and antioxidant activities.



Vandana Sharma and K. V. Sharma *et. al.* [14] Synthesized substituted 2-amino-4, 6-diarylpyrimidines by the reaction of variedly substituted chalcones with guanidinium carbonate in DMF and evaluated for antimicrobial and antifungal activity.

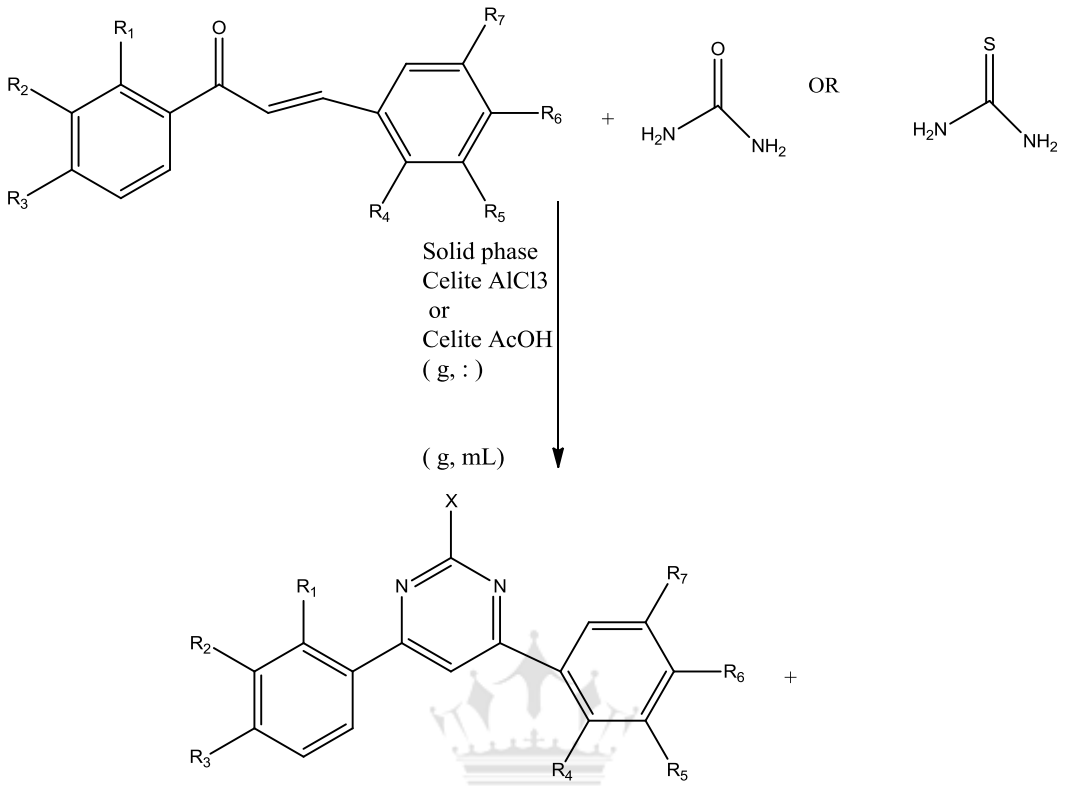


Tribhuvansingh *et. al.*,[15] Synthesized and evaluated novel aryl Chalcones for antibacterial and anti-inflammatory activity.

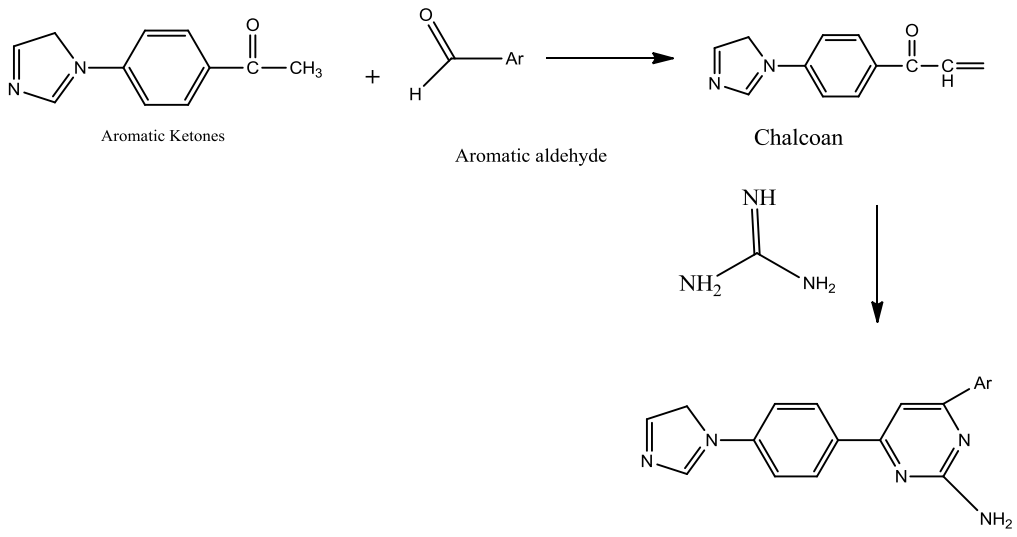


Seda Fandakli *et. al.*,[16] Synthesized hydroxy and methoxy-substituted 4,6-diarylpyrimidin-2(1h)-ol and 4,6-diarylpyrimidine-2(1h)-thiol derivatives from the reaction of the

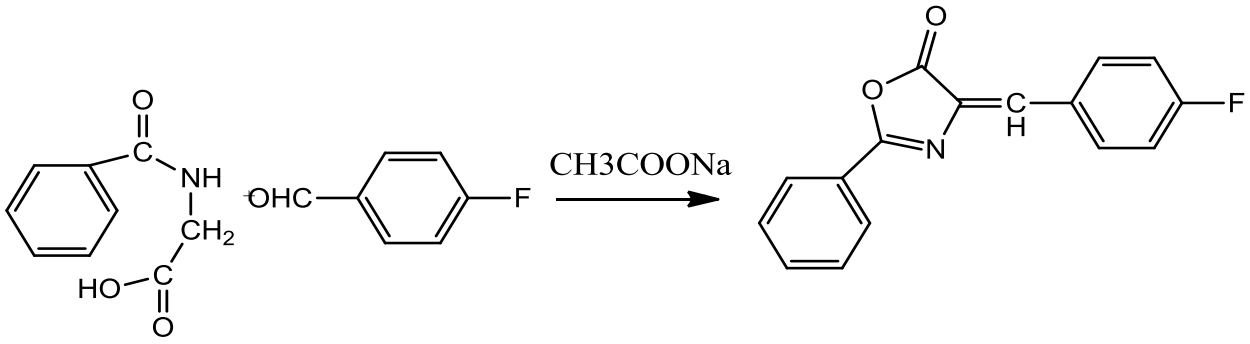
corresponding 1,3-diaryl-2-propene-1- one compounds with urea or thiourea using the solid-phase microwave method and evaluated for antimicrobial activities against the gram-positive bacteria.



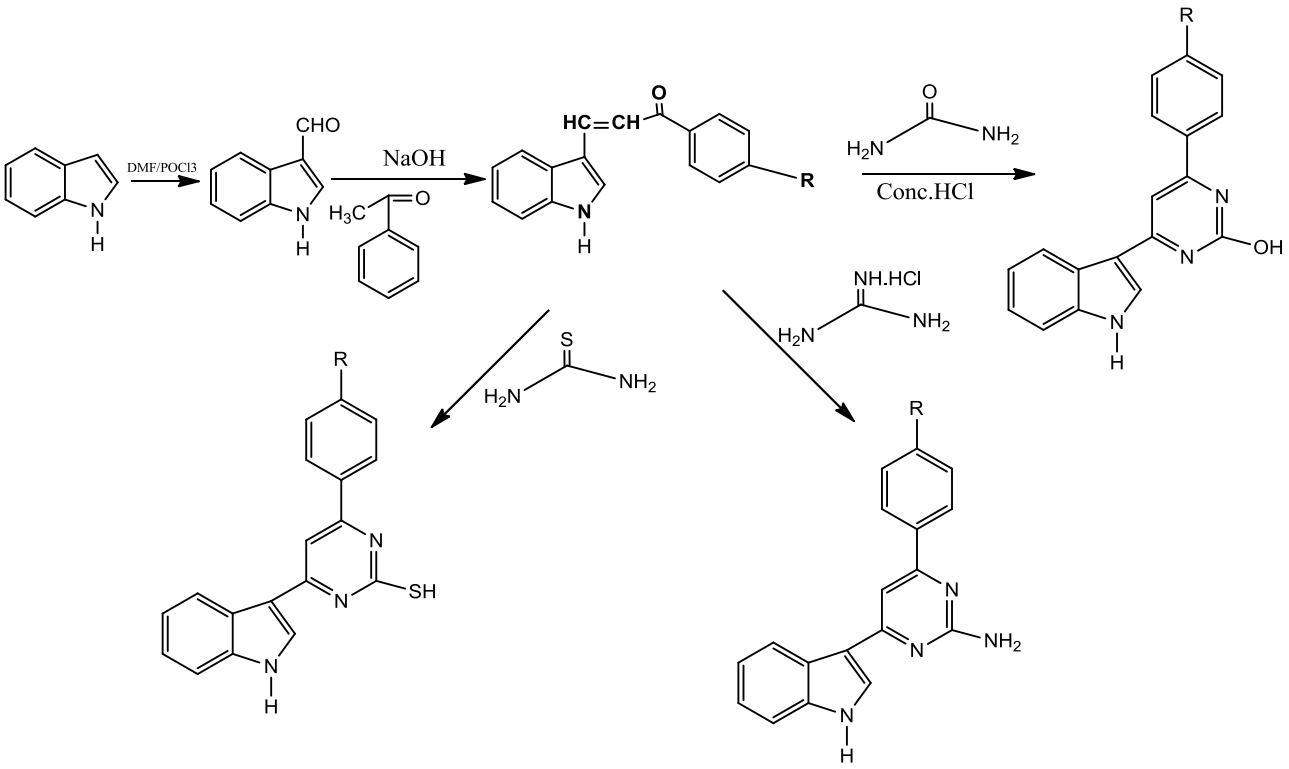
Pavan Kumar Padarthi *et al*., [17] synthesized imidazole based chalcones, all the pyrimidine derivatives were evaluated for antibacterial activity.



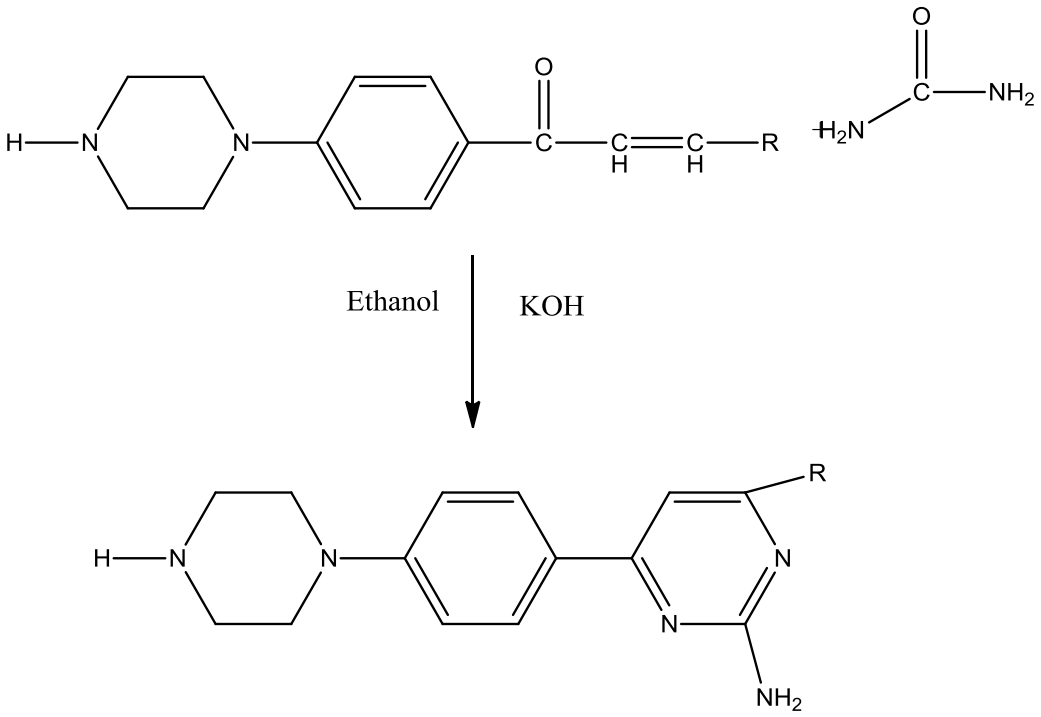
N. B. Patel and H. R. Patel *et. al.,* [18] Synthesized a novel series of chalcones, pyrimidines and imidazolinone .chalcones were prepared from the lead molecule 4-[2-(5-ethylpyridin-2-yl)ethoxybenzaldehyde. Pyrimidine derivatives were prepared from the reaction of chalcones and guanidine nitrate in alkali media. Imidazolinones were synthesized from reaction of pyrimidine and oxazolone derivatives and evaluated for antifungal and antibacterial activity.



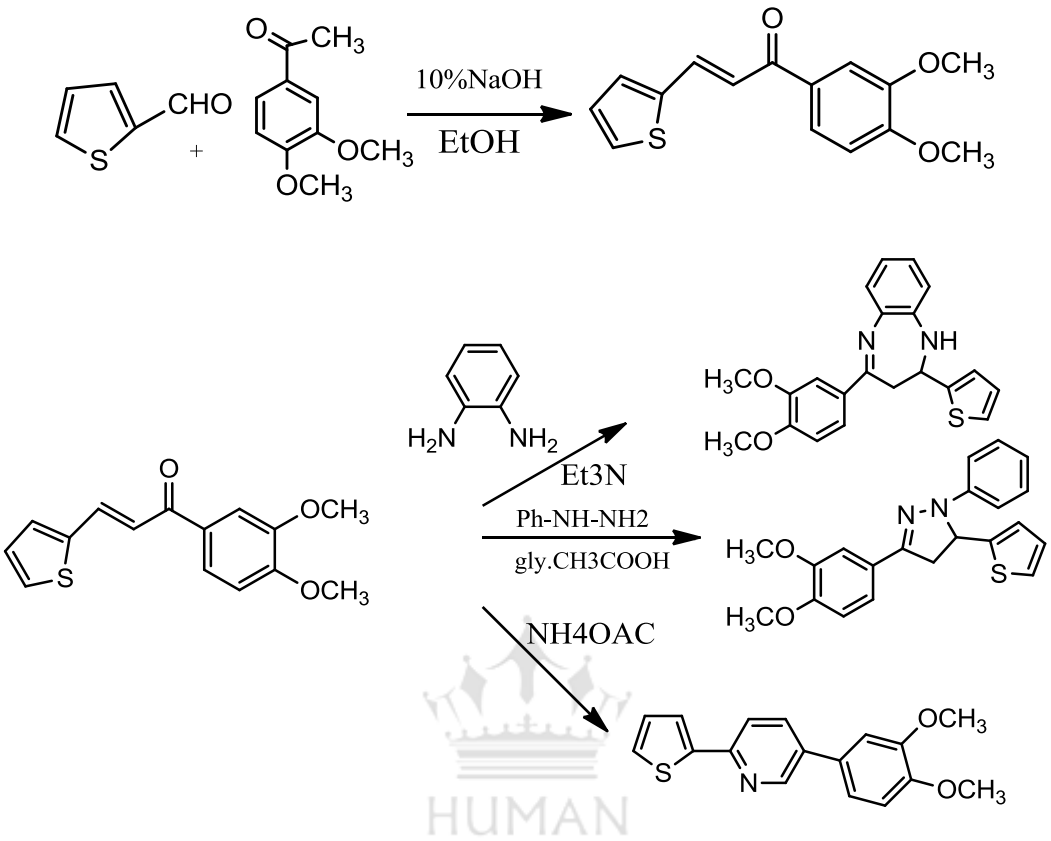
S. S. Panda *et.al.,*[19] Synthesized a number of chalcones by reacting indole-3-aldehyde, prepared by Vilsemeir Haack reaction with 4-substituted acetophenone in NaOH solution in ethanol. These chalcones were immediately reacted with urea, thiourea and guanidine hydrochloride in presence of concentrated hydrochloric acid as reagent to obtain the corresponding hydroxy, thio and amino pyrimidines and evaluated for anti-inflammatory activity reflected by their ability to reduce the carrageenan-induced inflammation in rats, appreciable antioxidant activity and also antibacterial activity was observed.



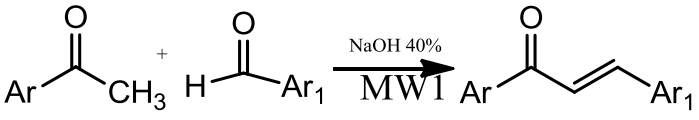
S.K.A. Rahaman *et.al.*, Synthesized Novel[20] Pyrimidines by condensation of chalcones of 4′-piperazineacetophenones with guanidine .and evaluated for anti-histaminic activity.



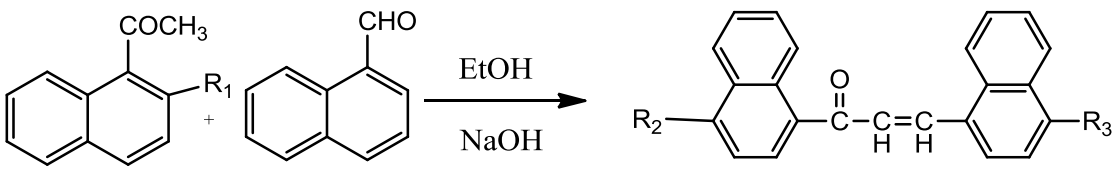
M. Yaseen Mowlana and A. Jamal Abdul Nasser *et. al.*, [21] Synthesized a series of novel substituted Indolyl Chalcone derivatives and performed the Docking study.



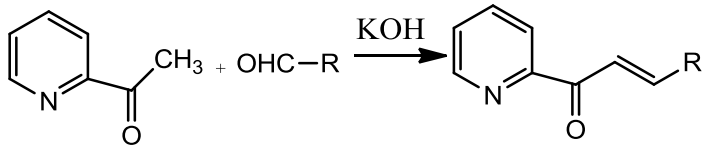
Bhuiyan *et.al.* [22] Synthesized methyl ketones with several aromatic aldehydes in presence of aqueous solution of sodium hydroxide using microwave irradiations and evaluated for antibacterial activities.



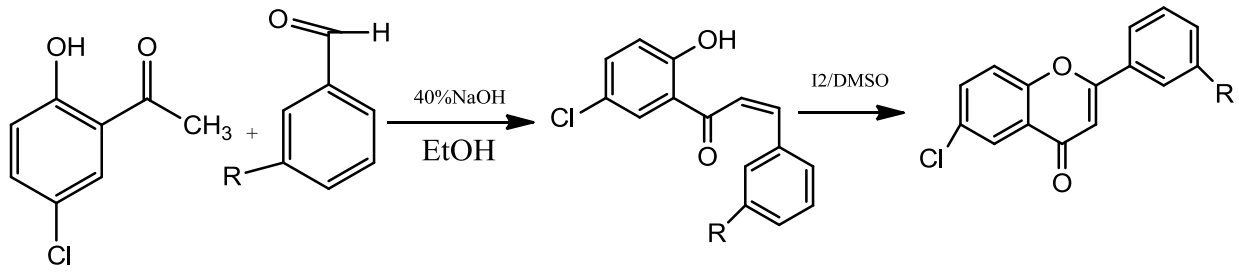
Davood and Maseud *et.al.*, [23] Synthesized chalcoan by condensing either 1-acetylnaphthalene or substituted 1-acetylnaphthalenes with 1-naphthaldehyde or 4-dimethylamino-1 naphthaldehyde in ethanolic NaOH solutions and evaluated for antimicrobial activity.

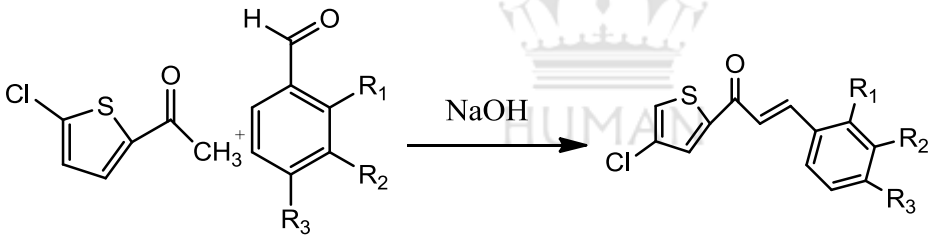


Prasad *et. al.,* [24] Synthesized by condensing 2-acetyl pyridine with aldehyde derivatives in dilute ethanolic potassium hydroxide solution at room temperature according to Claisen-Schmidt condensation.

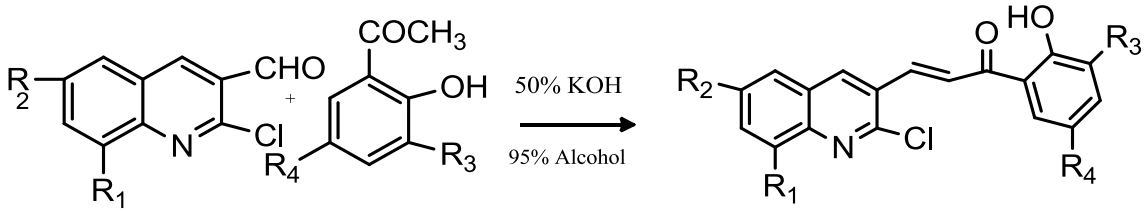


Rathore *et. al.*, Synthesized by Claisen-Schimdt condensation of aromatic aldehydes with o-hydroxy acetophenone and evaluated antimicrobial activity.

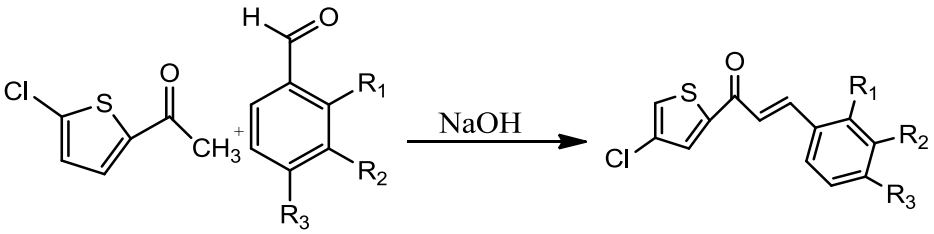




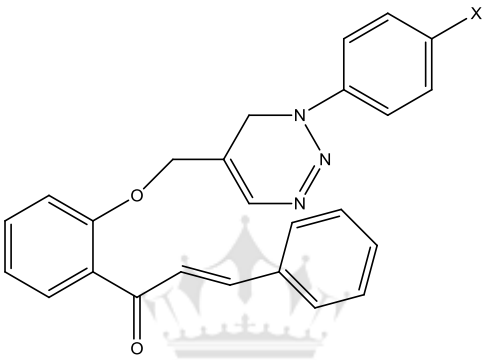
Sirsat *et. al.,*[25] Synthesized by using different substituted hydroxyl acetophenone and quinolinecarbaldehyde by Claisen-Schmidt condensatiom to give general 1-[substituted aryl]-3-[substituted hetero aryl]- 2-propene-1-ones and evaluated for antimicrobial activity.



Chidan *et. al.*,[26] Synthesized by condensing 2-acetyl-5-chlorothiophene with benzaldehyde derivatives in methanol at room temperature using a catalytic amount of sodium hydroxide and reported as antioxidant agent.



Ahmed Habeeb Radhi and Y. Hemasri *et al.*, [27] Synthesized 1, 2, 3-triazoyl chalcones and evaluated for anticancer and antibacterial activity.



CONCLUSION

Chalcone act as an important precursor for the synthesis of heterocycles such as pyrimidine, pyrazolines which are pharmacologically active compounds.in this review we have focused on Chalcone synthesis from different aromatic aldehyde and ketones and its subsequent conversion into heterocycles, The therapeutic activities about heteroaryl chalcones provided in this review can be useful for future investigation.

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