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INVESTIGATIONS ON ANTIBACTERIAL ACTIVITIES OF SOME CHROMONE DERIVATIVES AGAINST *E. COLI*

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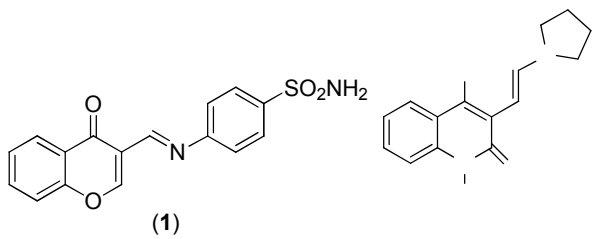
Abstract

Chromone derivatives have been synthesized to investigate and understand the role of flavone derivatives as antibacterial agent against bacterial strain (*E. coli*) where these synthesized compounds have shown some of the encouraging results which can be very useful to estimate the antibacterial action of these derivatives, these chromano uracil derivatives so generated provides an insight into the role of flavone derivatives in the antibacterial activities. This paper describes about the role of various substituents in the antibacterial activities and how these activities are altered due to change of concentration of respective solution.
Keywords: Chromone, Uracil, Schiff base, *E. coli.*

Introduction

With the continuous development in the modern day life and technological advancement, there are ample number of ailments and diseases coming up in the world which need a continuous attention and care which leads to the basis for the development of new class of compounds which could be screened, evaluated and developed as lead molecules for curing such ailments, some of the major ailment which are of current interest includes cancer, diabetes, HIV, antifungal and antibacterial diseases, which are not only deadly but also difficult to cure as well. Scientists around the world have been trying to design ample drugs against the incurable diseases. There are many naturally occurring compounds such as flavones, chromone, coumarin which have been use to cure these diseases since time immemorial. From plants, there are more than 4000 chemically different flavonoids have been isolated. Which play important role to cure disease, (Konini *et al.*, 2012) a major source of these flavone in our daily life is from fruits, vegetables, coffee, etc. with positive impact without any side effects in our body.



(**1**)

Chromone derivatives have wide range of biological activities due to which medicinal chemists have generated interest in various structural aspects and variations in SAR dependent properties. Flavones and chromones are very useful because they posses properties like antioxidant (Jaryal *et al.,* 2017), anti- tumor, anti-microbial (Kaur R *et al.,* 2015), anti-inflammatory and also play important role to cure diseases like malaria, diabetes, cancer, asthma, anti-tumor, anti-fungal, anti-viral, anti-cytochrome etc (Qian *et al.*, 2016). Derivatives of chromone also act as intermediates to many products of dyestuffs and pharmaceuticals (Kavitha *et al.*, 2014) Synthetic and natural occurring chromones have been known to display useful antibacterial (Rawat *et al.,* 2017) and antifungal activity (Alexandra *et al.*, 2014; Chandra *et al.,* 2016).

Some of the key flavones which have been used for the synthesis of different type of drugs like Nobiletin (Anti-inflammation), Flavopiridol (Anti-cancer), Apigenin (Anti-microbial) etc. (Singh *et al.*, 2014; Khan *et al.,* 2018) These flavone derivatives are known to show good pharmacological activities due to formation of complexes of flavonoids and coumarins with metal ions which exhibit a batter result rather than flavonoids and coumarins alone (Magdalena *et al.*, 2009) another key aspect of these flavones is the function of molecules as secondary metabolites which are generated after a number of biochemical reactions inside body (Girish *et al.*, 2012; Lahyani *et al.*, 2016).

Schiff bases derivatives from chromones are biologically more active, having good chelating ability and known as synthetic precursor ligands, which can form complexes with metal easily. 3- formylchromone Schiff bases are less toxic and highly selective in nature play important role in medicinal chemistry (Arjmand *et al.*, 2013). Structurally imine (-C=N-), Nitrogen p1a y important role in co-ordination chemistry it create interest in the co-ordination chemistry of metals and Schiff bases for their investigation. These bases are flexible, and have the tendency for the formation stable complexes with transition metals with bi, tri, and tetra-dentate chelate ligands (Keri *et al.*, 2014) these Schiff bases so generated are known to have basic skeleton in certain dye material (Arulmurugan *et al.*, 2010). They also participate in biological processes like for photosynthesis, oxygen transportation and enzymes immobilization (Engelhart *et al.*, 2013) and they are known as privileged ligands because they can easily form complexes with metal atoms and act as catalyst in certain reactions (Sujarania *et al.*, 2012).

Experimental

For the synthesis of Schiff bases reaction were carried out with variously substituted 6-methyl/ 6-flouro/ 6-bromo/ 6-chloro/ 3- formylchromone by reacting with 5-aminouracil in dry methanol in the presence of zincperchlorate at room temperature.

To the clear solution of 5-aminouracil (1.2 eq) in dry methanol (20ml) in RBF was added zincperchlorate (5mg), and stirred the contents on magnetic stirrer for 10 minute under anhydrous condition. To the stirred solution 3-formylchromone (1 mol equivalent) was added and stirred on magnetic stirrer for 4h. After the completion of reaction, solvent was evaporated under reduced pressure to obtained solid mass which was triturated with dienthyl ether to obtained yellow coloured powder

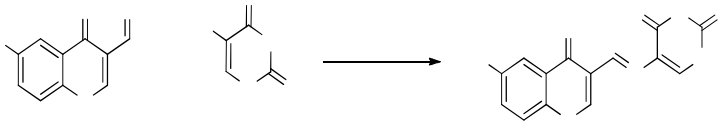


Table 1 : Reaction time and percentage yield of various chromone derivatives

S. No.	Entry	R	Reaction Time (h)	Yield (%age)	Melting Point
1.	5a	H	4	88	190-192ºC
2.	5b	CH_3	4	91	170-175 ºC
3.	5c	Cl	4	95	150-152 ºC
4.	5d	Br	4	89	160-162 ºC
5.	5e	F	4	92	178-180ºC

Results and Discussion

To investigate role of chromone derived compounds, studies were further extended for biological evaluation all the products obtained were evaluated for their antimicrobial activity against the *E. coli*. For the evaluation various solutions of 10, 25, 50, 100 ppm were prepared after careful dilution of the stock solution prepared by dissolving respective chromone in DMSO. Culture medium were developed by autoclaving the petri plates and broth medium, it was spread over these plates in laminar flow under aseptic conditions and to compare these results with streptomycin sulphate its disc is placed in the center of each petri plates and covered plates were allowed to stand for 10 hours to check the growth of any bacteria. These plates were inoculated with the strain of *E.coli* and various samples of chromones were applied over in concentrations of 10, 25, 50, 100 ppm by applying disc method. Plates were incubated at a temperature 35 ^{0}C for 24 hours and results of their antibacterial activity were observed by measuring the diameter of zone of inhibition. Results are summarized in table 2 and Figure -2.

Table 2: Antibacterial activity of chromone derivatives at various concentrations against *E. coli*

S. No.	Entry	Zone of Inhibition			
		100ppm	50ppm	25ppm	10ppm
1.	5a	31	26	19	10
2.	5b	34	30	21	9
3.	5c	24	22	21	11
4.	5d	25	23	22	9
5.	5e	31	25	22	10

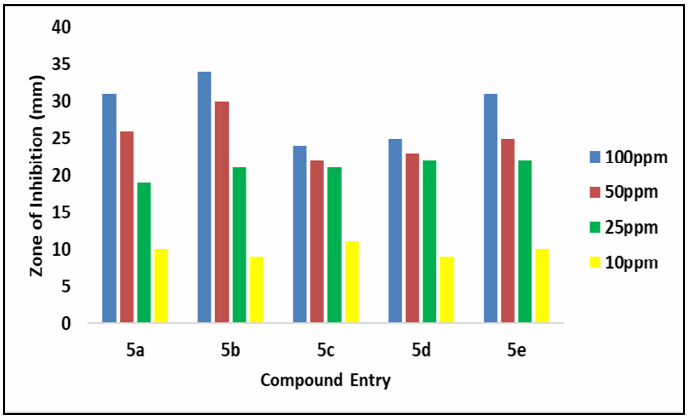


Fig. 1 : Antibacterial activity of chromone derivatives at various concentrations against *E. coli*

Conclusions

Present investigation is based upon the synthesis of chromone derivatives by one of the best method with high yield. These chromone derivatives can be synthesis in pure form or a minimal purification is required in the methodology. Biological activity of these derivatives is increased because of Schiff base formation between chromone and 5amino-uracil. These chromone derivatives have been evaluated for their antibacterial activity *E. coli*. where these have shown a maximum activity in the 100ppm and 50ppm conc. Presence of electron withdrawing groups such as fluoro, chloro, bromo result into increase in biological activity of these derivatives. Flavones which are synthesized can act as precursors for the synthesis of different type of new molecules for further investigations.

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