Synthesis of Chalcones and Flavanone with Antimicrobial and Antiseptical Activity

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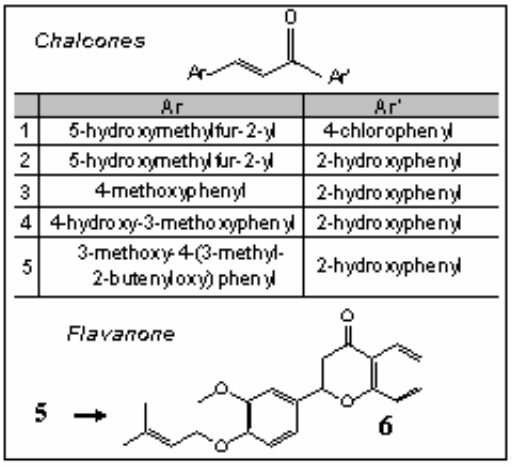
Introduction

Chalcone-based natural products are widely explored because of their array of biological activities[1-2]. Here, we discuss the antimicrobial and antiseptical activities shown by some unexplored chalcones and by a prenylated flavanone.

Results and Discussion

We have prepared a number of chalcones (**1-5**) by base-catalysed Claisen-Schmidt condensation conditions of appropriate substituted acetophenones and aryl aldehydes. Flavanone (**6**) was obtained from isomerization of 2´-hydroxychalcones in presence of NaAc and EtOH under reflux of 8 hours.



	Ar	Ar'
1	5-hydroxymethylfur-2-yl	4-chlorophenyl
2	5-hydroxymethylfur-2-yl	2-hydroxyphenyl
3	4-methoxyphenyl	2-hydroxyphenyl
4	4-hydroxy-3-methoxyphenyl	2-hydroxyphenyl
5	3-methoxy-4-(3-methyl-2-butenyloxy) phenyl	2-hydroxyphenyl

Figure 1. Synthesized chalcones and flavanone.

MIC screening tests were carried out according to Eloff method[3]. Chloramphenicol or nistatin were used as reference control. Two of the synthesized compounds have been examined for their *in vitro* antimicrobial activity using a panel of different microorganisms.

Expressive activities of compound **5** were obtained against *Candida albicans*, *Streptococcus falcium* and *Salmonells sp.* Compound **4** was active against *Bacillus subitilis*, *S. falcium*, *S. epidermides* and *C. albicans*. Comparatively, compound **4** was more potent than compound **5** as antimicrobial agent.

Compounds were also tested to a possible antiseptical profile, using *Staphylococcus aureus* ATCC 6538. Table 1 shows the inhibition of growth in percentage of *S. aureus* in samples of mice ear treated compared to non treated samples.

Table 1. Antiseptical activities of compounds **1, 2, 3, 4** and **6** tested at 10% aqueous solution.

Compounds	Growth inhibition in %
1	100
2	0
3	30
4	30
6	30

The furan derivative **1** exhibited the most potent antiseptical activity. At the same concentration, the furan compound **2** has not revealed antiseptical activity. It was found that the compounds **3**, **4** and **6** exhibited the same antiseptical activity.

Both antimicrobial and antiseptical activities have been explored to these and other analogues by our group.

Conclusions

- All compounds were obtained through efficient and simple synthetic approach and with good yields;
- The furan compound **1** exhibited the most potent antimicrobial and antiseptical activity. It was active against different microorganisms at tested concentration.
- Compound **3, 4** and **6** exhibited a low antimicrobial activity against the tested microorganism, while compound **2** was inactive.

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