IN-VITRO EVALUATION OF SELECTED CHLORO-CHALCONES FOR ANTIOXIDANT ACTIVITY

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Abstract : Synthetic chalcones having Chloro substituent (**3a-3f**) along with different functionality on the ring. Were examined in-vitro for their antioxidant abilities by DPPH (2,2-diphenyl-1-picryl hydrazine) radical scavenging activity and OH radical scavenging activity. The synthetic chloro-substituted chalcones were found to be reactive towards DPPH radical and also possess good to moderate OH radical scavenging activity. These findings suggest that these chloro-substituted chalcones can be considered as potential antioxidant agents which might be further explored for the design of lead antioxidant drug candidates.

Keywordss - Chloro-chalcones, antioxidant, radical scavenging activity.

I. INTRODUCTION

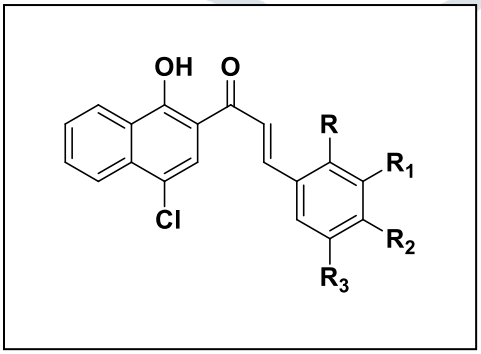
There is increasing experimental, clinical and epidemiological evidence highlighting an participation of free radicals and reactive oxygen species (ROS) in a variety of human diseases including cancer, inflammatory disorders and various degenerative ailments associated with aging.[1] Antioxidants are chemical substances, which scavenge free radicals and ROS thereby minimizing the burden of oxidative stress generated in the body.[2] Moreover, numerous experimental studies have suggested the importance of antioxidants as an alternative therapeutic approach for the treatment of several human ailments such as cardiovascular diseases, various types of cancer, and several inflammatory disorders.[3-5]

Antioxidants are compounds capable of preventing and even counteracting the damage caused in human tissue by the normal effects of physiological oxidation. A lot of research has shown that antioxidants can play a role in preventing the development of some chronic diseases. In addition to those mentioned previously, diseases such as atherosclerosis, emphysema, iron overload, malaria, muscular dystrophy, retinal degeneration, and rheumatoid arthritis are but a few examples where research has shown the likelihood of direct links and the possibility of positive dietary and perhaps even nutraceutical interventions.

Chalcones basic structure includes two aromatic ring bound by an α, β-unsaturated carbonyl group, a unique template associated with very diverseapplication.[6] Due to the presence of the reactive keto, vinylenic group, chalcones and their analogues have been reported to be antioxidant.[7] Hydroxyl and phenyl substitutents are associated with antioxidant properties. In the present investigation the antioxidant activities of selected chloro-substituted chalcones with various substituents attached are described.

II. EXPIRMENTAL

2,2-Diphenyl-1-picrylhydrazine (DPPH) was obtained from Sigma-Aldrich. glutathione (GSH) were obtained from s. d. Fine Chemicals Ltd. Mumbai. All other chemicals used were of AR grade and were obtained from commercial sources. The Synthetic chalcones under study were selected from the series of chloro-substituted chalcones which is synthesized. The details of the synthetic methodology and characterization of the test compounds has been reported elsewhere.[8]



3a. R= H, R_1= OCH_2CH_3 R_2= OH, R_3=H
3b. R= H, R_1=OCH_2CH_3, R_2= OH, R_3=Br
3c. R= O-CH_3, R_1=H, R_2= H, R_3=Cl
3d. R= OH, R_1=H, R_3= Cl, R_2=H
3e. R= OH, R_1= R_3= Br, R_2=H
3f. R= OH, R_1= R_3= I, R_2=H

General procedure

DPPH radical scavenging assay

DPPH (2, 2, diphenyl-1-picrylhydrazyl) radical scavenging assay was carried out as per reported methods with slight modification.[9] Briefly, 1ml of test solution (Test compound) added to equal quantity of 0.1mM solution of DPPH in ethanol. After 20 min incubation at room temperature, the DPPH reductions were measured by reading the absorbance at 517 nm. Ascorbic acid used as reference compound.

OH radical scavenging assay

Hydroxyl radical scavenging activities were determined by the earlier reported method.[10] The reaction cocktail contained 60 µl of 1 mM, $Fecl_3$, 90 µl of 1 mM 1,10-phenanthroline, 2.4 ml of 0.2 M phosphate buffer (pH 7.8), 150 µl of 0.17 M H_2O_2, and 1.5 ml of various concentration of individual compound. Reaction mixture kept at room temperature for 5 min incubation and absorbance was measured at 560 nm using spectrophotometer. α- Tocopherol was used a reference compound.

III. RESULT AND DISCUSSION

The results summarized in Table I shows that all the synthetic chloro-chalcones under study were effective towards the scavenging of DPPH radicals. The overall range of DPPH scavenging activity of all chalcones was 35.67- 18.19% as compared to the reference compound ascorbic acid (54.16%). The compound **3c** was more potent towards the stabilization of DPPH radicals besides the moderate activity shown by other synthetic compounds. The DPPH radical scavenging assay has often been performed for evaluation of the anti-radical activity of antioxidants since DPPH possesses an odd electron responsible for giving a strong absorption peak at 517nm.

The profile of OH radical scavenging activity was found to be moderate (Table I). Compound **3c** containing electron donating methoxy group showed more potent activity toward stabilization of OH radicals compared to a reference compound α-Tocopherol (14.6%).

Compound code	Antioxidant activity	
	DPPH	OH
3a	21.99±0.25	10.53 ±0.38
3b	22.19±0.15	12.02 ±0.07
3c	35.37±0.05	19.07±0.46
3d	19.89±0.75	12.12±0.75
3e	18.19±0.65	4.02±0.78
3f	23.94±0.35	10.76±0.9
Ascorbic acid	54.16±0.65	-
α-Tocopherol	-	14.60 ±0.07

IV. CONCLUSION

It can be concluded from the present findings that the chloro-substituted chalcones studied can be considered as potential antioxidant agents. Furthermore 1-(4-chloro-1-hydroxynaphthalen-2-yl)-3-(5-chloro-2-methoxyphenyl)prop-2-en-1-one scaffold can be subjected to optimization so as to design and develop a lead antioxidant drug candidate with an improved potency.

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