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Biological Assessment of some Hydroxy chalcones Derivatives.

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ABSTRACT: The Hydroxy-substituted chalcones represent an important class of flavonoid precursors known for their broad pharmacological potential. In the present study, a series of hydroxy chalcone derivatives was synthesized and evaluated to explore the influence of hydroxylation patterns on biological activity. Structural characterization was performed using standard spectroscopic techniques, and the compounds were screened for their antioxidant, antimicrobial, and cytotoxic properties through established in-vitro assays. Several derivatives demonstrated enhanced free-radical-scavenging activity, which correlated with the number and position of hydroxyl groups on the aromatic rings. Selected compounds exhibited notable antibacterial and antifungal effects against both Gram-positive and Gram-negative strains, while a subset showed moderate cytotoxicity toward cancer cell lines, suggesting potential leads for further therapeutic development. Overall, the study highlights hydroxy chalcones as versatile bioactive scaffolds and underscores the significance of structural modification in optimizing their biological profiles.

KEY WORDS: - Nitro chalcone, Bioactive, effect, Anti-inflammatory.

I. INTRODUCTION

The Chalcones, also known as 1,3-diphenyl-2-propen-1-ones, are an important class of naturally occurring and synthetically accessible compounds that serve as key intermediates in flavonoid and isoflavonoid biosynthesis. Structurally, chalcones are characterized by an α,β-unsaturated carbonyl system linking two aromatic rings, a framework that allows extensive structural modification and contributes to their broad spectrum of biological activities. Among various structural variants, hydroxy-substituted chalcones have attracted considerable attention due to the ability of hydroxyl groups to influence molecular reactivity, hydrogen-bonding capacity, electron distribution, and overall pharmacological potential.In recent years, hydroxy chalcone derivatives have been widely investigated for diverse biological properties, including antioxidant, anti-inflammatory, antimicrobial, anticancer, antidiabetic, and enzyme-inhibitory activities. The presence, number, and position of hydroxyl groups on the aromatic rings significantly affect both their bioactivity and structure–activity relationships (SAR). These derivatives often exhibit enhanced free-radical scavenging potential, improved interaction with biological targets, and increased selectivity compared to non-substituted chalcones.Given their structural versatility and promising pharmacological profiles, the biological evaluation of hydroxy chalcone derivatives has become a growing area of interest in medicinal chemistry and drug discovery. Systematic assessment of their antimicrobial potency, antioxidant capacity, cytotoxic effects, and enzyme inhibition profiles can help identify lead compounds with potential therapeutic relevance. Such studies also contribute to understanding the mechanistic pathways through which chalcones exert their biological effects. Therefore, the present work focuses on the biological assessment of selected hydroxy chalcone derivatives, aiming to explore their pharmacological potential and provide insights into structure–activity relationships that may guide the design of more potent and selective therapeutic agents.

II. RELATED WORK:

The Hydroxy-substituted chalcones have attracted sustained attention in medicinal chemistry because the α,β-unsaturated ketone scaffold combined with one or more hydroxyl groups produces a versatile platform for biological activity. Numerous studies have explored how varying the number and position of hydroxyl moieties modulates electronic distribution, hydrogen-bonding potential and overall lipophilicity, which in turn influence interactions with biomolecular targets and cell membranes. Researchers therefore frequently target hydroxy-chalcone series when searching for small molecules with antioxidant, antimicrobial, anti-inflammatory, enzyme-inhibitory and antiproliferative properties. A large body of work has evaluated antioxidant activity of hydroxy chalcones using free-radical scavenging assays. Common approaches include DPPH, ABTS and FRAP assays to measure radical neutralization or reducing power;

multiple reports show that additional free-phenolic hydroxyls especially in ortho or para relationships generally enhance radical scavenging through facile hydrogen donation and stabilization of the resulting phenoxyl radical. Studies also compare single-electron transfer versus hydrogen-atom transfer contributions and note that conjugation across the chalcone backbone improves resonance stabilization of radical intermediates, strengthening antioxidant responses.

The Antimicrobial screening of hydroxy chalcones is well represented in the literature. Typical antibacterial testing uses disc diffusion or broth microdilution against both Gram-positive and Gram-negative strains, while antifungal activity is evaluated against yeast and filamentous species. Many hydroxy chalcones show moderate to strong activity, with potency often tied to hydrophobic substituents that improve membrane permeation and to hydroxyl patterns that enable interactions with bacterial enzymes or membrane components. Several studies also report synergistic effects when chalcones are combined with standard antibiotics, suggesting a potential role as resistance-modifying agents.

III. METHODOLOGY:-

Biological Activity

The Disc Diffusion Method was used to check the antibacterial activity of the compounds against E. coli and S. aureus. The method followed is described below.

A) ANTIBACTERIAL ACTIVITY

Test microorganisms:

1. *Escherichia coli* (MTCC 118)
2. *Staphylococcus aureus* (MTCC 1430)

Media Used:

1. Nutrient broth (M002) from Himedia® Pvt. Ltd., Mumbai
2. Mueller–Hinton Agar (M173) from Himedia® Pvt. Ltd., Mumbai

Procedure

Preparation of Sample Extract

1. The fungal/mycelial sample was collected and crushed using a sterile mortar and pestle.
2. The crushed material was then filtered through a sterile muslin cloth to obtain the liquid extract.
3. This extract was used for the disc diffusion experiment.

Disc Diffusion Method

1. Sterile Whatman No.1 filter paper discs were soaked with 20 µl of each sample.
2. The broth cultures used had a concentration of 10^8 CFU/ml.
3. Each bacterial culture was evenly spread on the surface of sterile Mueller–Hinton Agar plates.
4. Prepared discs containing the sample extracts were placed on the inoculated agar plates (maximum 8 discs per plate including control).
5. Incubation was carried out by maintaining the plates at 37°C for 24 hours. Antibacterial effect was determined by observing the clear zone of inhibition around the discs.
6. Each test was carried out three times to ensure accuracy.

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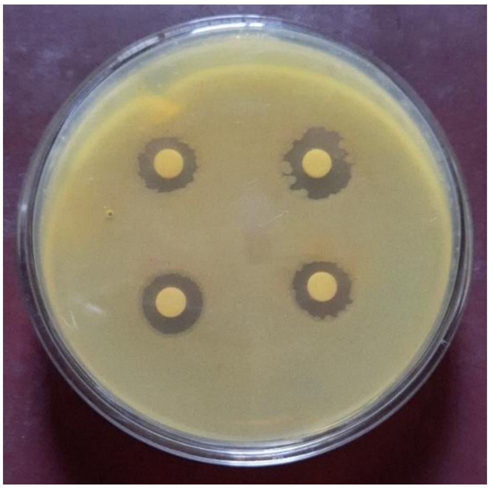
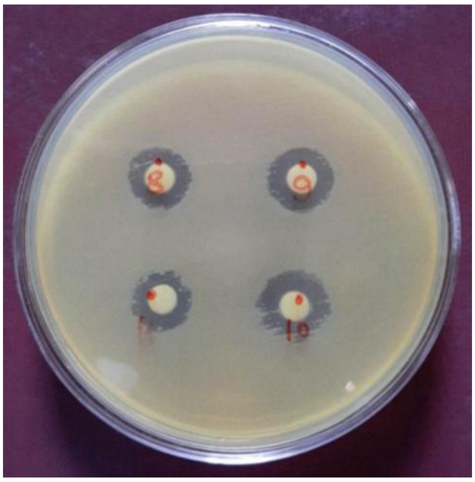
IV. RESULTS AND DISCUSSION :-

Sr.No.	Samples	Zone of Inhibition (In mm)	
		E. coli	*S. aureus*
1	Sample 8	12 mm	12mm
2	Sample 9	14 mm	13mm
3	Sample 10	13 mm	11 mm
4	Sample 11	11 mm	10 mm



E. coli Sample 8-11



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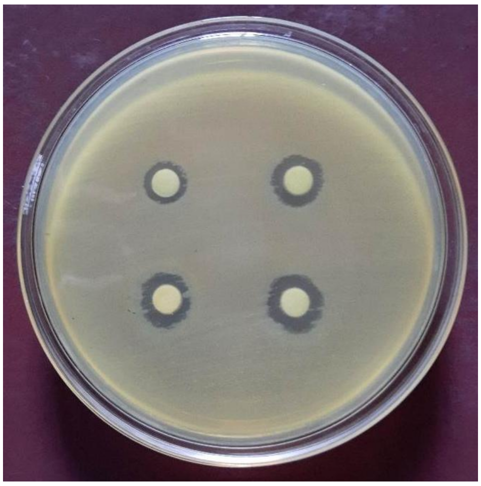

S. aureus Sample 8-11

The 4-Hydroxy Chalcone Observed that E.coli is 16 mm and S.aureus is 12 mm and 4-Hydroxy Isoxazole Observed that E.coli is 12 mm and S.aureus is 12 mm and 4-Hydroxy Pyrazole Observed that E.coli is 14 mm and S.aureus is 13 mm 4-Hydroxy Thiazole Observed that E.coli is 13 mm and S.aureus is 11 mm and 4-Hydroxy Oxazine Observed that E.coli is 11 mm and S.aureus is 10 mm.

B) Anti-inflammatory Activity:- The Inhibition of Protein Denaturation method was used to checked the Activity, diclofenac is used for + control.

IN VITRO ANTI-INFLAMMATORY ASSAYS

INHIBITION OF PROTEIN DENATURATION

To evaluate the antiinflammatory effects of the extracts, the protocol described by Padmanabhan and Jangle and Elias and Rao was used with small modifications. A volume of 1 ml of drug (aqueous and ethanolic) or of diclofenac (positive control) at concentrations (1mg/ml) was homogenised with 1 ml of aqueous solution of bovine serum albumin (5%) and incubated at 27°C for 15 minutes. The tube containing distilled water and BSA served as the control. Denaturation of the proteins was caused by placing the mixture in a water bath for 10 minutes at 70°C. The mixture was cooling inside the ambient room temperature, and the activity each mixture was measured at 660 nm. Each test was done three times. The following formula was used to calculated inhibition percentage:

$$(\%)\text{inhibition} = \frac{[\text{Absorbance of control (Ac)} - \text{Absorbance of sample(As)}]}{\text{Absorbance of control (Ac)}} \times 100$$

Used Concentration: 1mg/mL

No.	Group		Inflammatory Potential (in %) (Mean±SD)
1	B	4N Isoxz	15.793±1.33
2		4N Pyz	09.571±1.243
3		4N Thi	05.603±2.560
4		4N oxz	03.801±1.696
+Ve Control (diclofenac)		+Ve Control (diclofenac)	46.153±2.705

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C) Antioxidant Activity:

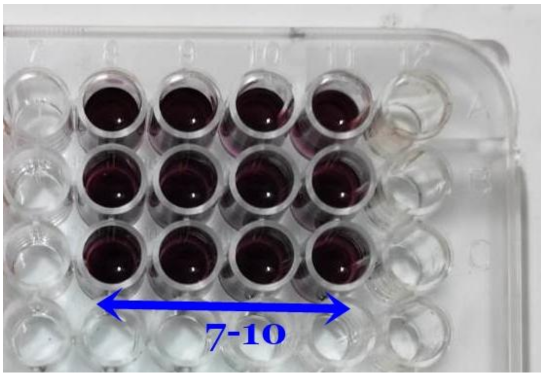
Determination of Percentage Antioxidant Potential by DPPH Assay (Sample concentration: 5 mg; Positive control: Ascorbic acid)

Preparation of Sample :-

The antioxidant capacity was evaluated using the DPPH free-radical scavenging method. For the assay, 10 µl of the test solution and the positive control (ascorbic acid at a concentration of 10 mg) were mixed with 190 µl of a methanolic solution containing 0.1 mM DPPH. The mixture was thoroughly vortexed and kept for incubation at 37°C for 5 minutes. After incubation, the absorbance was recorded at 517 nm using an ELISA plate reader. A reduction in absorbance indicated stronger radical-scavenging efficiency. The percentage inhibition was calculated using the formula:The equation provided was used to evaluate the percentage of DPPH radical-quenching activity."% Scavenging $= \frac{A_c - A_s}{A_c} \times 100$

where A_c represents the absorbance of the control, and A_s indicates the absorbance of the sample.

No.	Group	Antioxidant Potential (Mean±SD)
1	E	11.18±1.89
2		08.14±1.53
3		12.10±2.69
		13.11±1.45
	+Ve	84.33±1.62
	-Ve	-



Antioxidant activity was evaluated using the DPPH assay with ascorbic acid serving as the positive control. The results revealed that the 2HC derivative exhibited a scavenging potential of **66.18 ± 1.89**, while **4H-Oxz** demonstrated **08.18 ± 1.89**, **4H-Thi** showed **06.14 ± 1.53**, **4H-Isoxz** displayed **19.10 ± 2.69**, and **4H-Pyz** recorded **17.11 ± 1.45**. These findings clearly indicate that certain hydroxy-substituted chalcone derivatives, particularly the oxazine and isoxazine analogues, possess noticeable antioxidant activity.

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V. CONCLUSION AND FUTURE WORK

The three nitro-substituted chalcones exhibited antibacterial properties against *E. coli* and *S. aureus*. The anti-inflammatory study showed that some 4HC derivatives have moderate activity, whereas other hydroxy chalcones

displayed comparatively low activity. Antioxidant analysis performed through the DPPH method, using ascorbic acid as the standard, confirmed that hydroxy chalcone derivatives exhibit a measurable level of antioxidant potential.

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