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**Research Paper**

# Synthesis And Evaluation of Antioxidant and Anti-Inflammatory Activities of Chalcone Derivatives

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## ABSTRACT

Chalcones are defined chemically as 1,3-diaryl-2-propen-1-ones, and are one of the major building blocks of medicinal chemistry. The anti-inflammatory properties of these compounds form the basis of their versatility. It is of little wonder, then, that both natural and synthetic chalcone derivatives have been the subjects of such extensive study. There are numerous studies conducted in vivo in experimental animal models, as well as published studies that attempt to explain the mechanisms. Preliminary studies have shown that chalcones inhibit cyclooxygenase (COX) and lipoxygenase (LOX) enzymes, lead to the down-regulation of pro-inflammatory cytokines and the inducible nitric oxide synthase (iNOS) and cyclooxygenase-2 (COX-2) enzymes, and also interfere with the NF-kB (nuclear factor-kB) signalling pathway.

## INTRODUCTION

Inflammation is the protective response of the body tissues to injury, infection, or irritation, characterised by redness, heat, swelling, pain, and loss of function (Nowakowska, 2007).

Uncontrolled or chronic inflammation, however, can lead to other pathological mechanisms, such as rheumatoid arthritis, inflammatory bowel disease, cardiovascular disease, metabolic syndrome, and degenerative diseases of the nervous system (Wu et al., 2011; Tang et al., 2020). There is no endless supply of anti-inflammatory medications that can be created, and the available medications have negative side effects. Nonsteroidal anti-inflammatory drugs (NSAIDs) can result in gastrointestinal, renal, and cardiovascular symptoms and may bring about immunosuppressed states (Nowakowska, 2007). Therefore, there is a continuous need to discover anti-inflammatory medications that are both effective and safe.

Chalcones are open-chain flavonoid compounds composed of two aromatic rings, labelled A and B, and connected by an alpha-beta unsaturated

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carbonyl group (Batovska & Parushev, 2011). The simplicity and planarity of this structure facilitate the incorporation of numerous functional groups—including hydroxyl, methoxy, halogen, nitro, alkyl, and heterocycles—that can enhance or diminish the biological activity of the compound (Kumar et al., 2013).

For the past thirty years, both naturally occurring and synthetically produced chalcones have exhibited a wide range of biological activities, including antioxidant, antimicrobial, anticancer, anti-diabetic, neuroprotective, and anti-inflammatory activities (Batovska & Parushev, 2011; El-Atawy et al., 2024).

Recent studies have demonstrated that chalcone derivatives possess significant anti-inflammatory and antioxidant activities. These compounds exert their effects through multiple mechanisms, including inhibition of cyclooxygenase (COX) and lipoxygenase (LOX) enzymes, suppression of pro-inflammatory cytokines, and modulation of nuclear factor-kappa B (NF-kB) signalling pathways (Wu et al., 2011; Tang et al., 2020).

## 2. MATERIALS AND METHODS:

### 2.1 Chemicals and Reagents

All chemicals used were of analytical grade. Standard drugs such as Diclofenac sodium and Ascorbic acid were used for comparison (Herencia et al., 1998; Stepanić et al., 2019).

### 2.2 Synthesis of Chalcone Derivatives

Chalcone derivatives {1,3-diphenylpropan-1-one (S1), (E)-3(3-nitrophenyl)-1-phenylprop-2-en-1-one (S2), and (E)-3(4-chlorophenyl)-1-phenylprop-2-en-1-one (S3)} were synthesised via Claisen–Schmidt condensation of substituted benzaldehydes with acetophenone in the presence of sodium hydroxide (Herencia et al., 1998; Tang et al., 2020). The reaction mixture was stirred, and the precipitate obtained was filtered, washed, and recrystallised.

### 2.3 Anti-inflammatory Activity

Anti-inflammatory activity was evaluated using the protein denaturation method. Egg albumin was used as a protein source, and absorbance was measured at 660 nm. The percentage inhibition was calculated and compared with the standard (Wu et al., 2011; Herencia et al., 1998).

### 2.4 Antioxidant Activity

Antioxidant activity was determined using the DPPH free radical scavenging assay. Absorbance was measured at 517 nm, and $IC_{50}$ values were calculated (Kumar et al., 2013; Stepanić et al., 2019).

## 3. RESULTS:

The chalcone derivatives (S1, S2, and S3) were successfully synthesised via Claisen–Schmidt condensation and evaluated for physicochemical properties, anti-inflammatory activity, antioxidant activity, and molecular docking.

All compounds were crystalline with distinct physical characteristics. The percentage yield ranged from 82% to 94%, indicating efficient synthesis. Thin-layer chromatography showed Rf values between 0.84 and 0.89 using an ethanol: chloroform (3:7) solvent system.

In the protein denaturation assay, all compounds exhibited concentration-dependent anti-inflammatory activity. S1 demonstrated the highest activity ($IC_{50}$ = 35.2 ± 6.5 µg/mL), followed by S2 and S3, while the standard drug showed superior activity ($IC_{50}$ = 18 ± 4.2 µg/mL).

Antioxidant activity, assessed by the DPPH assay, revealed moderate free-radical-scavenging potential. S2 showed the best activity ($IC_{50}$ = 357.2 ± 5.3 µg/mL), followed by S3 and S1, whereas the standard exhibited significantly higher potency ($IC_{50}$ = 27.93 ± 3.2 µg/mL).

**Table 1: Percentage yield and Rf value**

| Sl. No. | COMPOUND NAME | COMPOUND CODE | PERCENTAGE YEILD | Rf VALUE | SOLVENT SYSTEM |
|---|---|---|---|---|---|
| 1. | 1,3-diphenylpropane-1-one | S1 | 94% | 0.84 | Ethanol: Chloroform (3:7) |
| 2. | 3-nitro chalcone | S2 | 82% | 0.87 | Ethanol: Chloroform (3:7) |
| 3. | 4-chloro chalcone | S3 | 88% | 0.89 | Ethanol: Chloroform (3:7) |

**Table 2: Anti-inflammatory activity (IC50)**

| Sl No. | COMPOUND NAME | COMPOUND CODE | $IC_{50}$ VALUE |
|---|---|---|---|
| 1 | 1,3-diphenylpropan-1-one | S1 | 35.2 |
| 2 | 3-nitro chalcone | S2 | 38 |
| 3 | 4-chloro chalcone | S3 | 41 |
| 4 | Diclofenac Sodium | std | 18 |

**Table 3: Anti-oxidant activity (IC50)**

| COMPOUND NAME | COMPOUND CODE | $IC_{50}$ VALUE |
|---|---|---|
| 1,3-diphenylpropane-1-one | S1 | 453.21 |
| 3-nitro chalcone | S2 | 357.2 |
| 4-chloro chalcone | S3 | 402.69 |
| Ascorbic acid | std | 27.93 |

## DISCUSSION

The synthesised chalcone derivatives (S1–S3) showed moderate anti-inflammatory and antioxidant activities.

S1 exhibited better anti-inflammatory activity, likely due to hydroxyl (–OH) groups, while S2 showed relatively higher antioxidant activity, possibly due to the nitro ($–NO_2$) group. However, all compounds were less potent than the standard Ascorbic acid.

## CONCLUSION

The synthesised chalcone derivatives demonstrated moderate anti-inflammatory and antioxidant activities. Among the compounds, S1 and S2 showed comparatively better anti-inflammatory activity, followed by mild to moderate antioxidant activity, as assessed using protein denaturation and the DPPH free radical scavenging assay. These findings indicate that chalcone derivatives may serve as potential candidates for the development of safer anti-inflammatory agents.

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