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# SYNTHESIS, FORMULATION AND EVALUATION OF ANTIMICROBIAL GEL OF NOVEL NAPTHOFURAN DERIVATIVE

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**ABSTRACT**

A substituted napthofuran derivative was prepared. The structure of compound was confirmed by spectral data of IR study. Compound with optimum antimicrobial activity was selected for formulation of antimicrobial gel. The gel was formulated by varying the polymer ratio. Gel formulations were characterized for appearance, odor, pH, viscosity measurement etc. The results of study reveal significant values of formulation as carrier for synthesized compounds. The result also revealed optimum characteristics features of gel formulation and confirm antimicrobial potential of prepared gel.

**Key words:** Naphthofuran, Antimicrobial, Gel, Synthesis.

## INTRODUCTION

Naphthofuran derivatives isolated from various natural sources like Fusarium Oxysporum [1], are well known for various biological activities [2,3]. Various derivatives of naphtho furan fused with pyrimidine ring were synthesized and evaluated for antibacterial, antifungal, diuretic, and anthelmintic activities. Amides and their heterocyclic derivatives can be used for the, prevention and treatment of tissue damage, involvement in inflammatory sites, the treatment of psoriasis and ulcerative colitis [4,5].

Topical drug administration is a localized drug delivery system anywhere in the body. There are various hydrophilic polymers such as carbopol 940, hydroxyl methyl cellulose (HPMC), sodium alginate that are used in topical gel delivery system. Based on the molecular fraction these polymers are used in concentration between 1-5% in topical formulation [6].

In view of biological importance of napthofuran derivatives and acceptability of gel formulation for topical use; in this study an attempt has been made for the synthesis, formulation and evaluation of antimicrobial gel of novel napthofuran derivative.

## MATERIALS AND METHODS

The chemicals and reagents used in the present project were of AR and LR grade, procured from commercial market. Melting points of the synthesized compounds were determined by open capillary method and are uncorrected. The IR spectra of the synthesized compounds were recorded on a Fourier Transform IR spectrometer in the range of 400-4000 is reported in $cm^{-1}$.

### Synthesis

### Ethyl naphtho-[2, 1-b] furan-2-carboxylate

To a solution of 2-hydroxy-1-naphthaldehyde (0.03 mol) in dry N, N-dimethylformamide (25 ml), ethylchloroacetate (0.03 mol) and anhydrous potassium carbonate (0.9 mol) were added and the reaction mixture was refluxed on water bath for 24 h. The reaction mixture was then poured into ice cold water, to obtain the product

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ethyl naphtho-[2, 1 *b*] furan-2-carboxylate as solid, which was collected by filtration, dried and recrystallized from ethanol.

**Spectral Analysis**

Spectral analysis for structure identification done by FT-IR. The spectra of the synthesized compounds were recorded in the range of 400-4000 $cm^{-1}$.

**Gel Preparation [7]**

Synthesized product was dissolved in ethanol while stirring. On the other hand, propylene glycol, carboxyvinyl polymer (Carbopol 940), glycerin and distilled water were mixed uniformly by stirring, and triethanolamine was added to the mixture while continuing the stirring. The previously prepared alcoholic solution of synthesized compound was added to this gel solution and the whole was adjusted further adding purified water, compositions are shown in Table 1.

**Characterization of Gel [8]**

**pH**

The pH of the gel formulations was determined by using digital pH meter.

**Appearance**

The prepared gel bases were inspected visually for clarity, color and presence of any particles.

**Homogeneity**

All developed gels were tested for homogeneity by visual inspection after the gels have been set in the container. They were tested for their appearance and presence of any aggregates.

**Determination of odor**

Determination of odor was done by mixing gel in water and taking the smell.

**Viscosity measurement**

Viscosities of the formulated gels were determined using Brookfield viscometer spindle no. 7 and speed 60 rpm at 25°C, the corresponding dial reading on the viscometer were noted.

**Antimicrobial Evaluation: [9]**

**Antibacterial activity of prepared gel formulations**

Antibacterial activity of the prepared gels (G1-G4) was determined by the cup plate method against the gram-positive organisms *Staphylococcus aureus, Bacillus subtilis* and gram-negative organisms *Escherichia coli, Shigella*. The bacteria were sub-cultured on Nutrient Agar medium. The petridishes were incubated at 37ºC for 24h. Then the zone of inhibition of each cup was observed as result.

**Antifungal activity of prepared gel formulations**

The antifungal activity of the prepared gels (G1-G4) was carried out against the fungi *Candida albicans* and *Aspergillus niger*. The fungi were sub-cultured in Sabouraud's Dextrose Agar medium. The fungal susceptibility testing was done by cup-plate method. The petridishes were incubated for 48 h. at 25ºC. Then the zone of inhibition of each cup was observed and compared to the standard.

**RESULTS AND DISCUSSIONS**

The objective of present work was to synthesize and preparation of gel formulation of Naptho-furan derivative and their evaluation for antimicrobial activity. Synthesized compound was in conformity with the structures envisaged. The structure was proved on the basis of spectral analysis.

**Spectral Analysis**

The synthesized compound show characteristics peaks of C=O stretching frequency at 1720 $cm^{-1}$, C-O stretch at 1218 $cm^{-1}$ and aromatic features appeared at 1522 $cm^{-1}$ & 3221 $cm^{-1}$. IR spectra of synthesized compound reveal all peaks of interest which confirm structure identity (Figure 1).

**The preparation and evaluation of gel**

The gel was prepared and subjected to evaluation of various parameters. The gel was pale orange color with a translucent appearance and of cooling sensation throughout the evaluation period. The pH was constant throughout the study to about 7.2. The initial viscosities were recorded at 25°C. The odor of prepared formulation was tested by diluting the formulations in the distilled water. It masked the odor of the compound and given pleasant smell. All developed gel showed good homogeneity with absence of lumps. The developed preparations were clear and transparent (Table 2).

**Antibacterial and Antifungal study of gel formulation**

The antibacterial and antifungal activity of different gel formulations were compared in Table 3. The antibacterial and antifungal activities were determined by measuring the zone of inhibition (mm). The results of all the formulations were found to be satisfactory.

**Table 1. Composition of formulations**

| S. No. | Formulation | Carbopol (% w/w) | Propylene Glycol (% w/w) | Glycerin (g) | Triethanolamine (g) |
|---|---|---|---|---|---|
| 1 | G1 | 0.25 | 3 | 5 | 1 |
| 2 | G2 | 0.50 | 3 | 5 | 1 |
| 3 | G3 | 0.75 | 3 | 5 | 1 |
| 4 | G4 | 1.00 | 3 | 5 | 1 |

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**Table 2. Values of evaluation parameters of developed gel formulations**

| S. No. | Formulation | pH | Viscosity (cp) | Homogeneity | Appearances |
|---|---|---|---|---|---|
| 1 | G1 | 7.1 | 2.47 | Homogeneous | Clear and Transparent |
| 2 | G2 | 7.3 | 2.52 | | |
| 3 | G3 | 7.2 | 2.76 | | |
| 4 | G4 | 7.2 | 2.61 | | |

**Table 3. Antimicrobial activity (Zone of inhibition of prepared gel formulations)**

| Zone of inhibition (mm) | | | | |
|---|---|---|---|---|
| **Microbial strain** | **G1** | **G2** | **G3** | **G4** |
| *S. aureus* | 2 | 4 | 4 | 2 |
| *E. coli* | 1 | 6 | 1 | 4 |
| *B. subtilis* | 3 | 3 | 3 | 2 |
| *Shigella* | 4 | 2 | 2 | 5 |
| *C. albicans* | 6 | 5 | 5 | 3 |
| *A .niger* | 3 | 4 | 7 | 6 |

**Figure 1. IR- Spectrum of Ethyl naphthofuran-2-carboxylate**

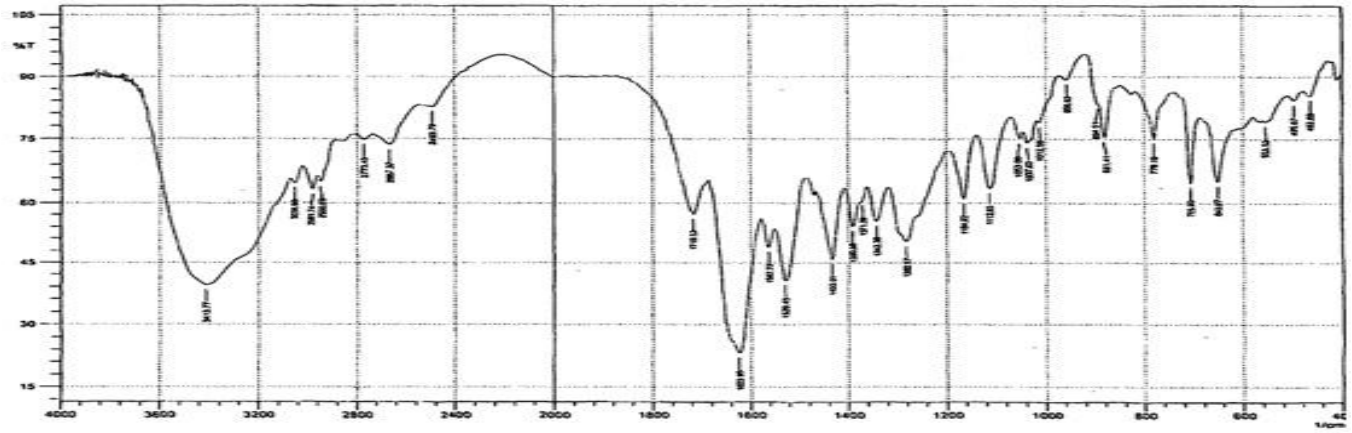
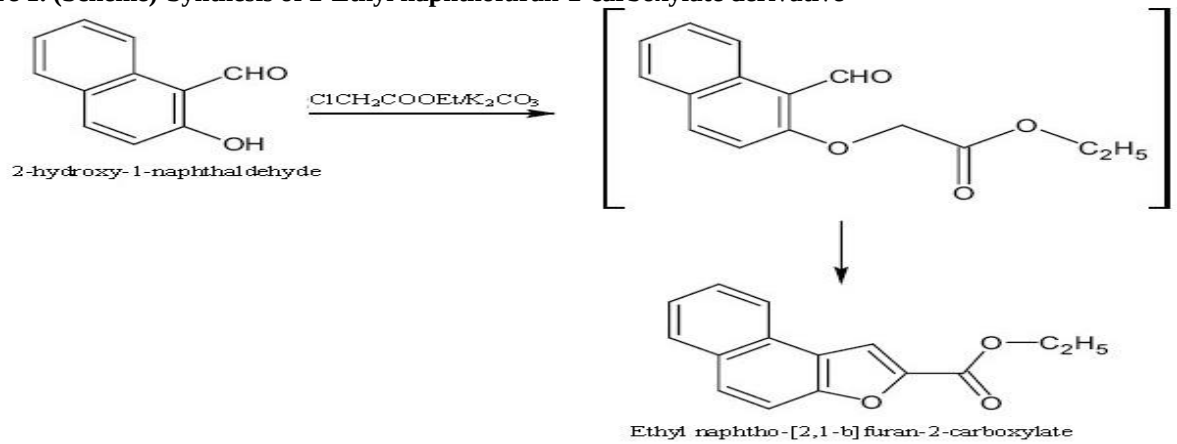


**Figure 1. (Scheme)-Synthesis of 2-Ethyl naphthofuran-2-carboxylate derivative**




## CONCLUSION

Naphtofuran derivative were found to have significant antimicrobial properties and study reveals same results when applied as gel formulation. The acceptability of Gel formulation for topical use is well known and the potential antimicrobial agents can be best delivered through gel preparation; thus the present study confirms Gel formulation of naphtha furan derivative as potent antimicrobial formulation for further development on commercial scale.

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