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# Synthesis and Antimicrobial Activity of 1-Furyl-3-(substituted phenyl)-2-propene-1-one

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2-Acetyl furan was condensed with different aromatic aldehyde in ethanol medium in presence of aqueous alkali and the thick mass was decomposed by dilute hydrochloric acid to get 1-furyl-3-(substituted phenyl)-2-propene-1-one. The structure elucidation of these compounds were done on the basis of chemical and spectral data. The antibacterial activity of these compounds have also been screened and found to be effective against both gram positive and gram negative bacteria.

**Key Words: Synthesis, Antimicrobial activity, 1-Furyl-3-(substituted phenyl)-2-propene-1-one.**

## INTRODUCTION

The chalcones which are important intermediate in the synthesis of flavanones[1], dihydroxy flavanol[2], flavanol[3], aurones[4], flavones[5], dihydroxy chalcones[6] etc. are obtained by acid or base catalyzed aldol condensation of 2-hydroxy acetophenone with substituted benzaldehyde[7,8]. Pinkey *et al.*[9] suggested the use of triethylbenzyl ammonium chloride for condensation. Chalcones[10] were synthesized by using pulverized potassium hydroxide and dimethyl formamide. However, these methods show a large variation[1,7]. The higher concentration of alkali results in formation of diphenone by self-condensation of acetophenone as well as Cannizaro reaction of benzaldehyde and lower concentration of alkali takes longer time for condensation. Similarly, chalcones are known to show amebicidal and antimicrobial activity[11]. Chalcones and its derivatives are reported to have antibacterial[12], antifungal, antiparasitic, antitubercular, insect repellent properties[13,14]. Recently, we have prepared β-(2′-furyl)-acrylophenone by condensing 2-hydroxy substituted acetophenone with furan-2-aldehyde in ethanol in presence of aqueous potassium hydroxide[15].

In the present communication, the formation of 1-furyl-3-(substituted phenyl)-2-propene-1-one by condensing 2-acetyl furan and aromatic aldehyde has been reported..

The antimicrobial activity of these compounds were assessed by Agar Cup Method[16] by calculating minimum inhibitary zone in mm. The compounds were

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tested against test organisms *S. aureus, B. megatherium, S. typhi, B. subtilis, P. vulgaris, E. coli and P. aeruginosa.*

## EXPERIMENTAL

2-Acetyl furan is of AR grade and was obtained from Sigma-Aldrich. The melting points were determined in open capillary tube and are uncorrected. Purity of compounds was checked by TLC on silica get-G coated plates. IR spectra was recorded on Perkin-Elmer-557 spectrophotometer. PMR spectra were recorded in $CDCl_3$ on Brucker AC 300F spectrophotometer at 300 MHz using TMS as internal reference.

### Synthesis of 1-furyl-3-(4-dimethyl aminophenyl)-2-propene-1-one (Ia)

A mixture of 2-acetyl furan (10 mmol, 1.1 mL) and N,N-dimethyl amino benzaldehyde (12 mmol, 1.49 g) were stirred in ethanol (30 mmol) and to it aqueous solution of sodium hydroxide (40%, 6 mmol) was added. The mixture was kept overnight. The content was then poured over crushed ice and acidified with dilute hydrochloric acid. The resulting solid was crystallized from 50 per cent ethanol yields **(1a)**, m.p. 98°C, yield 78%.

### Properties of (1a)

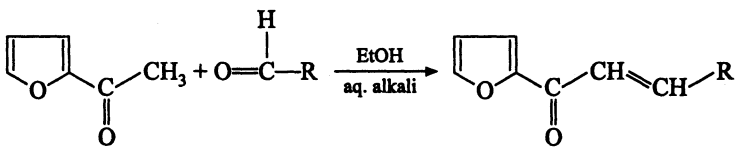
(i) It is crimson red coloured crystalline compound, m.p. 98°C.
(ii) Alcoholic solution gives violet blue colour with neutral $FeCl_3$ solution.
(iii) Alcoholic solution turns red with alkali.
(iv) It decolourizes bromine water.
(v) **Elemental detection:**

| | % C | % H |
|---|---|---|
| Found | 74.24 | 6.34 |
| Calculated | 74.68 | 6.22 |

(iv) **Spectral analysis:** IR ($\nu_{max}$) ($cm^{-1}$): 3109 ν(=C—H); 2905 ν(C—H); 1636 ν(C=O); 1599 ν(—CH=CH—); 1464 ν(>C=C<); 1574 ν(—C—CH=CH—); 1047 ν(—C—N—$(CH_3)_2$) and 882.9 ν(2′-furyl).

PMR ($CDCl_3$)δ ppm: 3.00 (s, 6H), —$N(CH_3)_2$; 6.57 (d, 1H, J = 17 Hz); 6.7 (d, 1H, J = 17 Hz) and 7.26–7.80 (m, 7H, Ar—H) and (2-furyl).

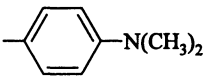
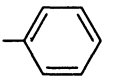
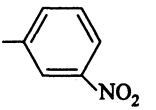
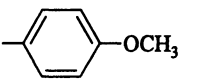
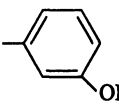
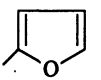
From the above chemical and spectral data, if follows that compound (Ia) is 1-furyl-3-(4-dimethyl amino phenyl)-2-propene-1-one.




**(Ia)**

Similarly, other 1-furyl-3-(substituted phenyl)-2-propen-1-one were prepared and these are listed in Table-1 with their physical properties.

TABLE-1
COMPOUNDS AND THEIR PHYSICAL PROPERTIES

| Compound | R | m.p. | Yield(%) | Colour |
|---|---|---|---|---|
| 1a |  | 98°C | 88 | Crimson red |
| 1b |  | 78°C | 90 | Light brown |
| 1c |  | 161°C | 91 | Cream |
| 1d |  | 86°C | 79 | Pista |
| 1e |  | 135°C | 92 | Yellow |
| 1f |  | 80°C | 95 | Brown |

## Antimicrobial Activity

These synthesized compounds were tested against test organisms. The solvent used was DMF. Sensitivity plates were seeded with bacterial innoculum of $1 \times 10^6$ CIU/mL and each cup (dia. 10 mm) was loaded with 0.1 mL of test solution of variable concentration in DMF. The zones of inhibition were recorded after incubation for 24 h using vernier callipers. The activity was compared with standard drug chloramphenicol. The zone of inhibition was measured in mm and reported in Table-2.

TABLE-2
ANTIMICROBIAL ACTIVITY

| Organism | 1a | 1b | 1c | 1d | 1e | 1f |
|---|---|---|---|---|---|---|
| *S. aureus* | 12.3 | > 12 | 16 | 18 | 12.4 | 16 |
| *B. magatherium* | 14 | > 12 | 28 | > 12 | 15 | > 12 |
| *S. typhi* | 14.2 | 15 | 18.9 | > 12 | > 12 | 16 |
| *B. subtilis* | 14 | 15 | 19 | 19 | > 12 | > 12 |
| *P. vulgaris* | 13.9 | 14.2 | 27.2 | 14 | > 12 | > 12 |
| *E. coli* | 16 | > 12 | 16 | > 12 | 15 | > 12 |
| *P. aeruginosa* | 14.4 | > 12 | 16 | > 12 | 14 | > 12 |

The sensitivity of microorganisms to the compound is identified in the following manner:

Highly active = 21–30 mm; moderately active = 17–20 m; weakly active = 12–16 mm; less than 12 mm = inactive

## RESULTS AND DISCUSSION

Majority of the title compounds were found to be active against test organisms. Compound **1a, 1c** were found to be highly active. Others are moderate and weakly active. Compounds **Ib, Id** and **If** found to be inactive against some test organisms.

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