

## Antimicrobial Activity Studies of Some Novel Propenones Bearing Arylfuran Moiety

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**Abstract:** Novel series of Arylfuryl propenones (**5a-l**) were synthesized from substituted arylfurfural (**3**) and aromatic ketones (**4**) (**Schemes 1 and 2**). The newly synthesized compounds were confirmed and characterized by elemental analysis, FT-IR, <sup>1</sup>H-NMR and Dart-Mass spectral data. They were also screened for their in vitro antibacterial and antifungal activities by Disc Diffusion Method. The investigation of the antibacterial and antifungal screening studies revealed that the novel arylfuryl propenones (**5a-l**) possess substantial antimicrobial activity.

**Keywords:** Arylfuryl propenones, Propenones, Antimicrobial activity, Spectroscopic data.

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### 1. INTRODUCTION

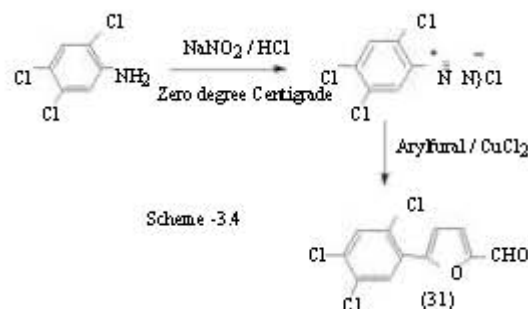
Chalcones are key intermediates in the synthesis of many biologically important heterocycles such as benzothiazepine, pyrazolines, pyrimidines, isoxazoles, 1,4-diketones, flavones and so on. Chalcones are renowned for broad-spectrum of pharmacological activities, including antimalarial,<sup>1,2</sup> anticancer,<sup>3-5</sup> antiprotozoal (antileishmanial and antitrypanosomal),<sup>6</sup> anti-inflammatory,<sup>7,8</sup> antibacterial,<sup>9,10</sup> antifilarial,<sup>11</sup> antifungal,<sup>12,13</sup> antimicrobial,<sup>14</sup> larvicidal,<sup>15</sup> anticonvulsant,<sup>16</sup> antioxidant<sup>17,18</sup> etc. Chalcones have also been shown inhibition of enzymes, especially mammalian alpha-amylase,<sup>19</sup> cyclo-oxygenase (COX)<sup>20</sup> and monoamine oxidase (MAO).<sup>21</sup> Hence, prompted by the prominence of various biological activities of propenones the present study was undertaken in view of searching new bioactive propenone derivatives bearing heterocyclic ring system. We have synthesized new Propenones derivatives having arylfuran ring system, and subjected them for elemental analysis, FT-IR, <sup>1</sup>H-NMR spectroscopy. All the novel derivatives were screened for their antimicrobial (antibacterial and antifungal) activity.

### 2. MATERIALS AND METHODS

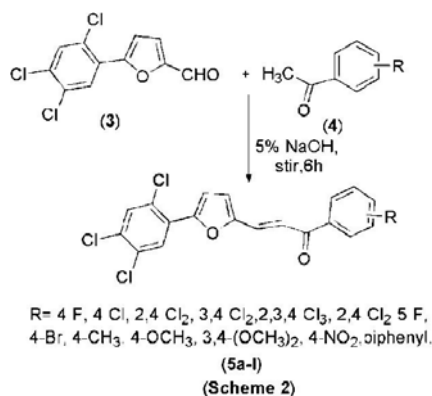
The chemicals used for the synthesis of novel arylfuryl propenones were of standard quality. 2,4,5-trichloroaniline, furan-2-aldehyde (furfural), different arylketones were procured from Sigma-Aldrich, Bengaluru, India; Sodium nitrite and Cupric chloride from Spectrochem, Mumbai-India. Substituted arylketones (**4**) were treated with arylfurfural (**3**) to get novel arylfuryl propenones (**5a-l**).

Melting points were determined in an open capillary tube and are uncorrected. FT-IR spectra were recorded in KBr on a SHIMADZU-FTIR Infrared Spectrometer, <sup>1</sup>H-NMR were recorded on Bruker Avil HD-300 MHz-FT-NMR at 400 MHz in CDCl<sub>3</sub>, Elemental analysis was carried out on a Euro-E-300, Mass spectra (FAB mass) was recorded on a JEOL SX 102/DA-6000 Mass Spectrometer. Completion of the reaction was monitored by thin layer chromatography (TLC) using Merck silica gel 60 F<sub>254</sub> coated alumina plates. The synthetic pathway is presented in **Schemes 1 and 2** and in spectroscopic data. The data of the novel arylfuryl propenones are presented in **Table 1** and the biological activity data are tabulated in **Tables 2 and 3**.

## 2.1. Reaction Schemes



**Scheme1.** Synthesis Of 5-(2,4,5-Trichlorophenyl)Furan-2-Aldehyde (3).



**Scheme2.** Synthesis Of (2e)-1-(Arylphenyl)-3-[5-(2,4,5-Trichlorophenyl)Furan-2-Yl]Prop-2-En-1-One (5a-L).

## 2.2. General Procedure for the Preparation of Arylfurfural [5-(2,4,5-trichlorophenyl)furan-2-yl aldehyde] (3).22

The synthesis of arylfurfural [5-(2,4,5-trichlorophenyl)furan-2-yl-aldehyde] (**3**) was done according to well described procedure in the literature.<sup>22</sup> The 2,4,5-trichloroaniline (**1**) (0.01mol, 1eq) were converted into respective anilinium salts by dissolving in HCl acid. The anilinium salts was cooled to 0 °C and treated with nitrous acid (NaNO<sub>2</sub> in water) at 0-5 °C to yield diazonium salt. Furan-2-aldehyde (0.011mol, 1.1eq) (**2**) was added slowly to the diazonium salt, followed by CuCl<sub>2</sub> at 0-5°C, the reaction mixture was stirred at 5-10°C for 15 minutes, then at room temperature for 24h. The solid mass obtained was filtered using Buchner funnel, washed with dilute HCl acid and water and finally with petroleum ether or n-hexane. The precipitate obtained was dried, recrystallised from ethanol or dry toluene (**Scheme 1**).

## 2.3. General Procedure for the Preparation of (2E)-1-(arylphenyl)-3-[5-(2,4,5-trichlorophenyl) furan-2-yl]prop-2-en-1-one (5a-l).23

The equimolar mixture of 5-(aryl)furan-2-yl-aldehyde (0.01 mol) (**3**) and substituted arylacetophenone (0.01 mol) (**4**) were stirred in ethanol (30 mL) and 5% aqueous solution of NaOH (10 mL) for 6h at room temperature. The reaction mixtures were poured into crushed ice and acidified with HCl. The solid mass separated were filtered, dried and recrystallized from DMF and ethanol to afford novel analytical samples, viz., (2E)-3-[5-(aryl)furan-2-yl]-1-(aryl)prop-2-en-1-ones (**5a-l**) (**Scheme 2**). The characterization data of the novel compounds (**5a-l**) are tabulated in Table 1 and Spectroscopic Data.

## 3. RESULTS AND DISCUSSIONS

The novel (2E)-1-(arylphenyl)-3-[5-(2,4,5-trichlorophenyl)furan-2-yl]prop-2-en-1-one (**5a-l**) were synthesized through Claisen-Schmidt condensation, which is an important step in formation of C-C bond. It is normally carried out by the use of strong bases such as NaOH or KOH in polar solvents (MeOH or DMF). The Carbonyl group of the propenone was absorbed in the region 1667-1660 cm<sup>-1</sup> in the product. It was absorbed in the lower region thereby giving evidence for conjugation in propenones. The formation of -CH=CH- (ene) of the chalcone was confirmed by the <sup>1</sup>H-NMR. The Dart-Mass gave signals for molecular-ion peaks in correspondence with the respective molecular weights of the compounds.

### 3.1. Spectroscopic Data

**5a:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3066 (Ar-H) 2968 and 2841 (C-H), 1665(C=O), 1612 and 1587 (C=C), 878, 841, 801, 773, 755(C-Cl).  $^1\text{H-NMR}$ (DMSO,  $\delta$  ppm): 7.24 (d, 1H,  $J=3.6$  Hz, furan ring), 7.31 (d, 1H,  $J=3.6$  Hz, furan ring), 7.60 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.49 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.07 (s, 1H, 2,4,5-trichlorophenyl), 8.43 (s, 1H, 2,4,5-trichlorophenyl), 7.54 (d, 2H,  $J=8.4$  Hz, 3,4-dichlorophenyl ring), 7.63 (d, 2H,  $J=8.4$  Hz, 3,4-dichlorophenyl ring), 7.59 (s, 1H, 3,4-dichlorophenyl). DART-Mass: 447 ( $\text{M}^+$ ) with isotopic peaks 449/451/453.

**5b:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3074, 3048 (Ar-H) 2945 and 2823 (C-H), 1667(C=O), 1608 and 1592 (C=C), 867, 798, 761(C-Cl).  $^1\text{H-NMR}$ (DMSO,  $\delta$  ppm): 7.27 (d, 1H,  $J=3.6$  Hz, furan ring), 7.36 (d, 1H,  $J=3.6$  Hz, furan ring), 7.63 d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.52 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.01 (s, 1H, 2,4,5-trichlorophenyl), 8.40 (s, 1H, 2,4,5-trichlorophenyl), 8.23 (d, 2H,  $J=8.4$  Hz, biphenyl ring), 7.78 (d, 2H,  $J=8.4$  Hz, biphenyl ring), 7.46-7.43(m, 5H, biphenyl). DART-Mass: 453( $\text{M}^+$ ) with isotopic peaks 455/457/459.

**5c:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3038 (Ar-H), 1661 (C=O), 1601, 1556 and 1486(C=C), 797, 773, 735 and 691(C-Cl).  $^1\text{H-NMR}$ (DMSO,  $\delta$  ppm): 7.29 (d, 1H,  $J=3.6$  Hz, furan ring), 7.46 (d, 1H,  $J=3.6$  Hz, furan ring), 7.63 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.82 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.00 (s, 1H, 2,4,5-trichlorophenyl), 8.38 (s, 1H, 2,4,5-trichlorophenyl), 7.63 (d, 2H,  $J=8.4$  Hz, 4-chlorophenyl ring), 8.15 (d, 2H,  $J=8.4$  Hz, 4-chlorophenyl ring). DART-Mass: 411( $\text{M}^+$ ) with isotopic peaks 413/415/417.

**5d:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3048 (Ar-H), 1663 (C=O), 1604, 1559 and 1485 (C=C), 1052 (C-F), 815, 798, 774, 745, 694 (C-Cl).  $^1\text{H-NMR}$ (DMSO,  $\delta$  ppm): 7.31 (d, 1H,  $J=3.6$  Hz, furan ring), 7.43 (d, 1H,  $J=3.6$  Hz, furan ring), 7.62 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.81 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.01 (s, 1H, 2,4,5-trichlorophenyl), 8.23 (s, 1H, 2,4,5-trichlorophenyl), 7.34 (1H, d,  $J=9.2$  Hz, 2,4-dichloro-5-fluorophenyl moiety), 7.58 (1H, d,  $J=6.8$  Hz, 2,4-dichloro-5-fluorophenyl moiety). DART-Mass: 465( $\text{M}^+$ ) with isotopic peaks 467/469/471/473.

**5e:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3052 (Ar-H), 1665 (C=O), 1602, 1561 and 1483 (C=C), 831, 798, 765, 745 and 694 (C-Cl).  $^1\text{H-NMR}$  (DMSO,  $\delta$  ppm): 7.31 (d, 1H,  $J=3.6$  Hz, furan ring), 7.43 (d, 1H,  $J=3.6$  Hz, furan ring), 7.62 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.84 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.01 (s, 1H, 2,4,5-trichlorophenyl), 8.38 (s, 1H, 2,4,5-trichlorophenyl), 7.51 (1H, s, 2,4-dichlorophenyl moiety), 7.64 (1H, dd,  $J=2.4$  Hz, 2,4-dichlorophenyl moiety), 7.91 (1H, d,  $J=8$  Hz, 2,4-dichlorophenyl moiety). DART-Mass: 447 ( $\text{M}^+$ ) with isotopic peaks 449/451/453.

**5f:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3050 (Ar-H), 2924 (C-H), 1660 (C=O), 1601, 1585 (C=C), 841, 796, 743 (C-Cl), 698 (C-Br).  $^1\text{H-NMR}$  (DMSO,  $\delta$  ppm): 7.12 (d, 1H,  $J=3.6$  Hz, furan ring), 7.46 (s, 1H,  $J=3.6$  Hz, furan ring), 7.61 (d, 1H,  $J=16.0$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.80 (d, 1H,  $J=16.0$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.00 (s, 1H, 2,4,5-trichlorophenyl), 8.37 (s, 1H, 2,4,5-trichlorophenyl), 7.76 (d, 2H,  $J=8.4$  Hz, 4-bromophenyl ring), 8.07 (d, 2H,  $J=8.4$  Hz, 4-bromophenyl ring). DART- Mass: 455 ( $\text{M}^+$ ) with isotopic peaks 457/459/461.

**5g:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3041 (Ar-H), 2924 (C-H), 1660 (C=O), 1601, 1585 (C=C), 1027 (C-F), 821, 796, 773(C-Cl).  $^1\text{H-NMR}$  (DMSO,  $\delta$  ppm): 7.12 (d, 1H,  $J=3.6$  Hz, furan ring), 7.46 (d, 1H,  $J=3.6$  Hz, furan ring), 7.61(d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.80 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.91 (s, 1H, 2,4,5-trichlorophenyl), 8.37(s, 1H, 2,4,5-trichlorophenyl), 7.76 (2H, m, 4-fluorophenyl moiety), 7.98 (2H, m, 4-fluorophenyl moiety). DART-Mass: 396 ( $\text{M}^+$ ) with isotopic peaks 398/400/402/404.

**5h:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3043 (Ar-H), 2923 (C-H), 1663 (C=O), 1600, 1576 (C=C), 837, 801, 775, 756, 734 and 714 (C-Cl).  $^1\text{H-NMR}$  (DMSO,  $\delta$  ppm): 6.85 (d, 1H,  $J=4.0$  Hz, furan ring), 7.28(d, 1H,  $J=3.6$  Hz, furan ring), 7.04 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.24 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.58 (s, 1H, 2,4,5-trichlorophenyl), 7.98 (s, 1H, 2,4,5-trichlorophenyl), 7.30 (d, 2H,  $J=8.4$  Hz, 2,3,4-trichlorophenyl ring), 7.50 (d, 2H,  $J=8.4$  Hz, 2,3,4-trichlorophenyl ring). DART-Mass: 481( $\text{M}^+$ ) with isotopic peaks 483/485/487/489.

**5i:** FT-IR (KBr,  $\text{cm}^{-1}$ ): 3028 (Ar-H), 2923 and 2841 (C-H), 1663 (C=O), 1600, 1576 (C=C), 837, 777, 734 (C-Cl).  $^1\text{H-NMR}$  (DMSO,  $\delta$  ppm): 2.33 (s, 3H,  $-\text{CH}_3$  of 4-methylphenyl moiety),  $\delta$  6.85 (d, 1H,  $J=4.0$  Hz, furan ring), 7.28 (d, 1H,  $J=4.0$  Hz, furan ring), 7.04 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.24 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.58 (s, 1H, 2,4,5-trichlorophenyl), 7.98

(s, 1H, 2,4,5-trichlorophenyl), 7.19 (d, 2H,  $J = 8.0$  Hz, 4-methylphenyl moiety), 7.66 (d, 2H,  $J = 8.0$  Hz, 4-methylphenyl moiety). DART-Mass: 392 ( $M^+$ ) with isotopic peaks 394/396/398.

**5j**: FT-IR (KBr,  $cm^{-1}$ ): 3054 (Ar-H), 2949 and 2838 (C-H), 1665 (C=O), 1604, 1572 (C=C), 841, 798, 759 (C-Cl).  $^1H$ -NMR (DMSO,  $\delta$  ppm): 3.69 (s, 3H, OCH<sub>3</sub>), 6.83 (d, 1H,  $J = 4.0$  Hz, furan ring), 7.22(d, 1H,  $J=4.0$  Hz, furan ring), 7.43 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.67 (d, 1H,  $J=16.4$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.93 (s, 1H, 2,4,5-trichlorophenyl), 8.20 (s, 1H, 2,4,5-trichlorophenyl), 7.52 (d, 2H,  $J=8.6$  Hz, 4-methoxyphenyl ring), 7.74 (d, 2H,  $J=8.6$  Hz, 4-methoxyphenyl ring). DART-Mass: 407 ( $M^+$ ) with isotopic peaks 409/411.

**5k**: FT-IR (KBr,  $cm^{-1}$ ): 3042 (Ar-H), 2963 and 2871 (C-H), 1663 (C=O), 1610, 1559 (C=C), 1565 and 1387 (NO<sub>2</sub> asymmetric and symmetric stretch), 873, 802, 749 (C-Cl).  $^1H$ -NMR (DMSO,  $\delta$  ppm): 7.01(d, 1H,  $J=4.0$  Hz, furan ring), 7.35(d, 1H,  $J=4.0$  Hz, furan ring), 7.57 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.92(d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 8.01(s, 1H, 2,4,5-trichlorophenyl), 8.22 (s, 1H, 2,4,5-trichlorophenyl), 8.22 (d, 2H,  $J=8.6$  Hz, 4-nitrophenyl ring), 8.29 (d, 2H,  $J=8.6$  Hz, 4-nitrophenyl ring); DART-Mass: 423( $M^+$ ) with isotopic peaks 425/427/429.

**5l**: FT-IR (KBr,  $cm^{-1}$ ): 3054 (Ar-H), 2949 and 2838 (C-H), 1665 (C=O), 1604, 1572 (C=C), 841, 785, 759 (C-Cl).  $^1H$ -NMR (DMSO,  $\delta$  ppm): 3.75 (s, 3H, OCH<sub>3</sub>), 3.81 (s, 3H, OCH<sub>3</sub>), 6.83 (d, 1H,  $J=4.0$  Hz, furan ring), 7.22 (d, 1H,  $J=4.0$  Hz, furan ring), 7.43 (d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.67(d, 1H,  $J=15.6$  Hz,  $\alpha$ ,  $\beta$ -unsaturated protons), 7.93 (s, 1H, 2,4,5-trichlorophenyl), 8.20 (s, 1H, 2,4,5-trichlorophenyl), 7.51 (d, 1H,  $J=7.6$  Hz, 3,4-dimethoxyphenyl), 7.70 (d, 1H,  $J=7.6$  Hz, 3,4-dimethoxyphenyl), 7.81 (s, 1H, 3,4-dimethoxyphenyl). DART- Mass: 440( $M^+$ ) with isotopic peaks 442/444/446.

**Table 1.** Characterization Data of arylfurylpropen-1-ones (5a-l)

Compound	R	MF	M.W.	m. p. ( $^{\circ}C$ )
5a	3,4-Cl <sub>2</sub>	C <sub>19</sub> H <sub>9</sub> O <sub>2</sub> Cl <sub>5</sub>	446.53	130-132
5b	4-C <sub>6</sub> H <sub>5</sub>	C <sub>25</sub> H <sub>15</sub> O <sub>2</sub> Cl <sub>3</sub>	453.74	136-139
5c	4-Cl	C <sub>19</sub> H <sub>10</sub> O <sub>2</sub> Cl <sub>4</sub>	412.09	141-146
5d	2,4-Cl <sub>2</sub> -5-F	C <sub>19</sub> H <sub>8</sub> O <sub>2</sub> Cl <sub>3</sub> F	464.52	84-90
5e	2,4-Cl <sub>2</sub>	C <sub>19</sub> H <sub>9</sub> O <sub>2</sub> Cl <sub>5</sub>	446.53	115-120
5f	4-Br	C <sub>19</sub> H <sub>10</sub> O <sub>2</sub> Cl <sub>3</sub> Br	454.94	123-125
5g	4-F	C <sub>19</sub> H <sub>10</sub> O <sub>2</sub> Cl <sub>3</sub> F	395.64	118-121
5h	2,3,4-Cl <sub>3</sub>	C <sub>19</sub> H <sub>10</sub> O <sub>2</sub> Cl <sub>6</sub>	480.98	168-170
5i	4-CH <sub>3</sub>	C <sub>20</sub> H <sub>13</sub> O <sub>2</sub> Cl <sub>3</sub>	391.67	134-140
5j	4-OCH <sub>3</sub>	C <sub>20</sub> H <sub>13</sub> O <sub>3</sub> Cl <sub>3</sub>	407.67	140-144
5k	4-NO <sub>2</sub>	C <sub>19</sub> H <sub>10</sub> O <sub>4</sub> NCl <sub>3</sub>	422.64	88-92
5l	3,4-(OCH <sub>3</sub> ) <sub>2</sub>	C <sub>21</sub> H <sub>15</sub> O <sub>4</sub> Cl <sub>4</sub>	439.67	150-153

## 3.2. Antimicrobial Activity

### 3.2.1. Antibacterial Activity

The Novel arylfuryl propenones (**5a-l**) were screened for *in vitro* antibacterial activity against two Gram positive bacteria; viz., *S. aureus* and *B. subtilis* and two Gram negative bacteria; viz., *P. aeruginosa* and *K. pneumonia* by Disc Diffusion Method (Zone of Inhibition Test).<sup>24</sup> The microorganisms used in the study were collected from Institute of Microbial Technology (IMTECH), Chandigarh, India. Streptomycin, an antiobiotic drug was the reference standard (Ref. Std).

Discs measuring 6.25 mm in diameter were punched from Whatman no.1 filter paper. Batches of 100 discs were dispensed to each screw capped bottles and sterilized by dry heat at 140 $^{\circ}C$  for an hour. The test compounds were prepared with different concentrations using *N, N*-dimethyl formamide (DMF). Exactly 1mL containing 100 times the amount of chemical in each disc was added to each bottle, containing 100 discs. The discs of each concentration were placed in nutrient agar medium inoculated with fresh bacterial strains separately. The plates were incubated at 37  $^{\circ}C$  for 24h. The compounds that produced distinct circular zones of inhibition around the discs and the diameters of clear zones were determined and used as an indication of antimicrobial activity. Experiments were performed in triplicates and standard error was calculated. The results are tabulated in **Table 2**.

In the present study, the antibacterial activity of aryl furyl propenones (5a-l) indicated that most of the novel derivatives used have little or more activity on both Gram negative and Gram positive species of

bacteria. **5a** gave positive results against both Gram positive and Gram negative species. The largest zone of inhibition was produced by *B. subtilis* (15.3±0.87). **5b** was positive against all the species of bacteria used except for the Gram-negative *P. aeruginosa*, which gave a negative result without any zone of inhibition. Compound **5e** showed positive result with Gram positive *S. aureus* (8.6±0.24) and no zone of inhibition with the other species. **5j** was positive only against *B. subtilis* (8.3±0.34). The diameter of zone of inhibition formed by the compounds **5j** and **5k** was between 8.2±0.35 and 9.7±0.38, respectively. The magnitude of the inhibition formed by these compounds were found to be low even though they exhibited antibacterial activity, hence these derivatives cannot be considered as potential antibacterial agents. Among the thirteen novel derivatives tested **5c**, **5d**, **5f**, **5g**, **5h** and **5k** gave positive results against all the bacterial species with the zone of inhibition ranging from 8.4±0.54 to 16.1±0.51. Among all the compounds **5a**, **5c**, **5f**, **5g**, and **5h** exhibited relatively maximum activity and hence these compounds can be considered as the broad spectrum antibacterial agents.

### 3.2.2. Antifungal Activity

The Novel Propenones (**5a-l**) were screened for *in vitro* antifungal properties by Disc Diffusion method.<sup>25</sup> The fungal strains were procured from Institute of Microbial Technology (IMTECH), Chandigarh, India. Four fungal species namely, *Aspergillus niger*, *Aspergillus flavus*, *Candida albicans* and *Alternaria alternata* were used in the study. Nystatin was the Reference Standard in the study. Discs measuring 6.25 mm in diameter were punched from What man no.1 filter paper. Batches of 100 discs were dispensed to each screw capped bottles and sterilized by dry heat at 140 °C for an hour. The test compounds were prepared with different concentrations using *N, N*-dimethyl formamide (DMF). Exactly 1mL containing 100 times the amount of chemical in each disc was added to each bottle, containing 100 discs. The discs of each concentration were placed in nutrient agar medium inoculated with fresh bacterial strains separately. The plates were incubated at 37 °C for 24h. The compounds that produced distinct circular zones of inhibition around the discs and the diameters of clear zones were determined and used as an indication of antimicrobial activity. Experiments were performed in triplicates and standard error was calculated. The results are tabulated in **Table 3**.

The antifungal activity of Arylfuryl propenones (**5a-l**) indicated that most of the novel derivatives had considerably good activity on all the four fungal pathogens used. All the species of fungus used in the study were found to be sensitive towards the compounds **5a**, **5c**, **5d**, **5f**, **5g** and **5h**. The magnitude of zone of inhibition exhibited by the compounds against the pathogens ranges between 10.9±0.58 and 18.1±0.65. **5b** and **5j** gave positive results against three fungal species. Among these comparatively larger zones of inhibition were found against *A. niger* (11.1±0.76) by **5b** and *A. alternata* (9.7±0.38) by compound **5j**. Similarly, compounds **5e**, **5i** gave a positive result against only one fungal species. **5k** and **5l** were found to possess a positive activity against two fungal species. The diameter of zone of inhibition against the compounds **5b**, **5e**, **5i**, **5j**, **5k** and **5l** were small and in the range of 8.2±0.35 to 11.1±0.76 mm. Therefore, these derivatives cannot be considered as potential antifungal agents. On the other hand, compounds **5a**, **5c**, **5d**, **5f**, **5g** and **5h** exhibited a maximum activity giving a larger zone of inhibition.

**Table2.** Antibacterial Activity of Arylfuryl Propenones (5a-L).

Fungal species /	Diameter of Zone of Inhibition (mm±SD) <sup>A</sup>			
Test compounds	Gram positive Bacteria		Gram negative Bacteria	
(1mg/mL)	<i>S. aureus</i>	<i>B. subtilis</i>	<i>P. aeruginosa</i>	<i>K. pneumoniae</i>
5a	14.2±0.54	15.3±0.35	12.6±0.49	12.9±0.52
5b	9.1±0.76	8.6±0.64	-	9.1±0.69
5c	12.9±0.56	11.2±0.26	10.6±0.48	9.3±0.34
5d	10.8±0.65	12.1	8.4	10.6±0.38
5e	8.6±0.54	-	-	-
5f	15.7±0.67	16.1±0.51	13.4±0.55	15.7±0.57
5g	11.2±0.54	9.9±0.45	13.2±0.43	14.1±0.58
5h	13.1±0.56	11.7±0.43	10.3±0.39	9.8±0.43
5i	-	8.3±0.42	-	-
5j	9.2±0.39	9.7±0.35	8.5±0.28	-
5k	10.3±0.42	9.4±0.47	8.6±0.41	10.1±0.29
5l	-	8.2±0.51	9.1±0.26	-
Streptomycin	31.5±0.84	33.6±0.78	26.3±1.08	22.4±0.79

**Note:** <sup>A</sup>Mean values of 3 trials. Ref. Std: Streptomycin (10 µg/disc).

**Table3.** Antifungal Activity Of Arylfuryl Propenones (5a-L).

Fungal species / Test compounds (1mg/mL)	Diameter of Zone of Inhibition (mm±SD) <sup>A</sup>			
	A. niger	A. flavus	C. albicans	A. alternata
5a	17.2±0.77	15.9±0.87	16.5±0.49	13.9±0.52
5b	11.1±0.76	9.6±0.64	-	8.2±0.69
5c	15.9±0.56	13.9±0.26	14.6±0.39	15.1±0.41
5d	18.1±0.65	15.6±0.74	12.9±0.38	15.3.4±0.54
5e	-	-	8.6±0.24	-
5f	15.7±0.67	16.9±0.51	15.1±0.55	13.7±0.57
5g	11.2±0.54	10.9±0.58	11.2±0.43	11.4±0.58
5h	14.1±0.82	13.9±0.69	12.3±0.44	11.5±0.39
5i	-	-	-	9.4±0.34
5j	9.2±0.39	-	8.5±0.41	9.7±0.38
5k	-	9.1±0.57	8.6±0.53	-
5l	8.5±0.47	-	-	8.2±0.35
Nystatin	23.5±0.79	21.7±1.37	23.4±1.49	19.5±0.87

**Note:** A Mean values of 3 trials. Ref. Std: Nystatin (10 µg/disc).

#### 4. CONCLUSION

The investigation of the antimicrobial screening studies of arylfuryl propenones revealed that all tested compounds (5a-l) showed moderate to good growth inhibition. Compounds, 5a, 5c, 5f, 5g, and 5h exhibited relatively maximum activity and hence these compounds can be considered as the broad spectrum antibacterial and antifungal agents. It was observed that among the novel derivatives the compounds with chlorine at 3<sup>rd</sup> and 4<sup>th</sup> positions, fluorine at 4<sup>th</sup> position and bromine at 4<sup>th</sup> position on the phenyl ring of the propenone system, showing the potential activities can be considered as antibiotic drug candidates. Further studies with special reference to determination of therapeutic index for the drug candidates are in progress.

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