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SYNTHESIS AND BIOLOGICAL EVALUATION OF SOME NEW CHALCONES USING ANHYDROUS POTASSIUM CARBONATE AS AN EFFICIENT BASIC CATALYST BY CONVENTIONAL AND MICROWAVE ASSISTED TECHNIQUES

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ABSTRACT: A series of novel 3-(substituted aryl)-1-(5-methylfuran-2-yl)prop-2-en-1-one derivatives (**3a-k**) were synthesized from commercially available 2-acetyl-5-methylfuran and various substituted aromatic aldehydes in presence of anhydrous potassium carbonate in ethanol solution and by microwave irradiation method with good yield compared to conventional method. Anhydrous potassium carbonate has been utilized as safe, inexpensive and efficient basic catalyst for synthesis of chalcones. The structures of the all synthesized compounds have been established on the basis of IR, Mass, ¹H NMR and ¹³C NMR. The antimicrobial activities of these derivatives were evaluated by quantifying the minimal inhibitory concentration (MIC) against various microbial strains such as *Escherichia coli* [ATCC-9637], *Staphylococcus aureus* [ATCC-25923], *Klebsiella pneumonia* [ATCC-13883], *Candida albicans* [ATCC-28366], *Rhizopus arrhizus* [ATCC-11145] and *Aspergillus niger* [ATCC-26036]. Among the tested compounds, compound **3h** exhibited strong microbial activity against most of the microbial strains except *A. niger*.

INTRODUCTION: Synthesis of organic compounds using conventional method are found to take several hours to days to complete but with microwave assisted organic synthesis it takes few minutes¹. The wide applicability of microwave activation²⁻⁶ in the chemical reactions is due to cleaner products, higher yield, shorter reaction time, operational simplicity, safe and minimization of side reactions.

In recent years the microwave reaction conditions on an inorganic base support is a promising alternative to conventional methods as these reactions represent a clean, efficient, economical and eco-friendly procedure⁷. Heterocyclic chalcones display a broad spectrum of biological activities⁸⁻¹⁰.

These are the main precursors in the biosynthesis of flavonoids abundant in edible plants. Chemically they consist of open-chain flavonoids in which the two aromatic rings are joined by a three-carbon α , β -unsaturated carbonyl system¹¹. They have been reported to show various pharmacological activities such as anticancer¹²⁻¹³, antimalarial¹⁴, anti-inflammatory¹⁵, anti-tubercular¹⁶, cytotoxic¹⁷,

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gastroprotective¹⁸, modulation of nitric oxide production¹⁹ and so on. These compounds are important synthons for the preparation of five and six membered ring systems²⁰ as well as intermediate in the synthesis of many pharmaceutically important compounds²¹. Having such a varied pharmacological activity and synthetic utility, chalcones have attracted chemists to develop newer strategies for their synthesis and screening them for their *in vitro* microbial activity.

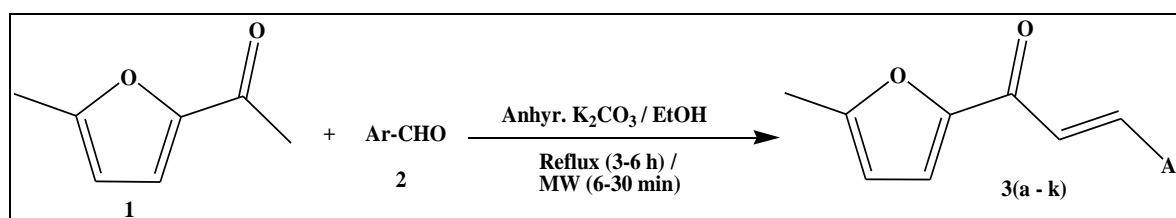
By far the most popular way of synthesis for chalcone is the Claisen-Schmidt condensation of an appropriate acetophenone with benzaldehyde in presence of aqueous bases or acid catalysts²². In continuation of our interest in the synthesis of various chalcone derivatives using conventional and microwave irradiation techniques, it was our effort to develop an efficient method for synthesis of chalcones by using an inexpensive, safe, simple and common reagent. Alkali metal carbonates are weak bases and they are nontoxic in nature. Therefore, we endeavored to utilize anhydrous K₂CO₃ for the synthesis of chalcones particularly because there are several recent applications of this base in the synthesis of chalcones²³. In the beginning, laboratory experiments were performed using K₂CO₃ in various solvents such as DMF, ethanol, *tert*-butanol and 1,4-dioxane.

It was observed that the optimum conversion and yield of the chalcone derivatives were almost same in all the solvents except 1,4-dioxane within comparable time spans. We have opted environmentally benign, non toxic and low boiling ethanol for all the cases studied. Structures of all the new compounds (**3a-k**) were unambiguously confirmed by IR, ¹H NMR, ¹³C NMR and Mass Spectroscopy. In the present study, we have tried to highlight a comparative study between conventional and microwave assisted organic synthesis by synthesizing various substituted heterocyclic chalcones.

MATERIALS AND METHODS:

Melting points were determined using a Büchi apparatus. IR spectra were recorded for KBr disc on a Mattson 5000 FTIR spectrometer. All of the commercial chemicals and solvents were of reagent grade and were used without further purification. ¹H and ¹³C NMR spectra were measured on a Bruker WP 300 / 400 in DMSO-*d*₆ as solvent, using TMS as internal standard, chemical shifts are expressed as δ /ppm and *J* values are given in Hz. Mass spectrometric data were determined using an Agilent 6890 series instrument. The progress of the reaction was monitored by TLC using aluminum silica gel plates 60 F₂₄₅. Products were purified by column chromatography using silica gel (60-120 mesh).

Chalcone derivatives (**3a-k**) were synthesized from commercially available 2-acetyl-5-methylfuran, various substituted aromatic aldehydes and ethanol in the presence of K₂CO₃ act as non-toxic and efficient safe base using conventional and microwave irradiation techniques as shown in **Scheme 1**. The products were purified through chromatography over silica gel (heptane, ethyl acetate-heptane gradient) and characterized through IR, ¹H NMR, ¹³C NMR and Mass spectral analysis. The assigned structures of chalcone derivatives are based on detailed spectroscopic analysis. IR spectrum of compounds revealed a strong bond at 1650-1663 cm⁻¹, along with resonance in its ¹³C NMR at δ 175-177 indicating the presence of a keto carbonyl function. Its ¹H NMR revealed, chemical shifts in the range of (6.5 to 8.0) ppm also confirms the presence of aromatic rings. The C _{α} -C _{β} double bond in the enone moiety of chalcones can potentially adopt either a *Z* or an *E* configuration. The ¹H NMR spectrum of each compounds exhibited CH=CH protons around 7.6-8.0 ppm, with *J* > 15, would suggest that the compounds were an (*E*) configuration²⁴.



REACTION SCHEME 1

TABLE 1: SYNTHESIS OF CHALCONES (3a-k) BY CONVENTIONAL AND MICROWAVE IRRADIATION TECHNIQUES

Compound	Ar	Conventional		MW		Mp ^b (°C)
		Time	Yield ^a (%)	Time	Yield ^a (%)	
3a	3,4,5-trifluorophenyl	4 h	42	6 min	49	146-148
3b	2-chloro-3-(trifluoromethyl)phenyl	3 h	61	30 min	58	128-130
3c	2-bromo-5-fluorophenyl	3 h	51	6 min	57	128-131
3d	3-chloro-2-fluorophenyl	3 h	62	6 min	66	139-141
3e	5-bromo-2-fluorophenyl	3 h	19	6 min	24	132-134
3f	2-fluoro-3-methoxyphenyl	6 h	44	6 min	49	124-128
3g	4'-chlorobiphenyl-4-yl	3 h	19	6 min	28	129-131
3h	5-methyl-1 <i>H</i> -indol-3-yl	3 h	54	6 min	59	97-102
3i	benzo[b]thiophen-5-yl	3 h	46	30 min	48	112-116
3j	furan-3-yl	3 h	44	6 min	51	123-127
3k	3,5-dibromopyridin-4-yl	3 h	58	6 min	62	118-120

^a Isolated yield ^b Uncorrected

General procedure for the synthesis of chalcones (3a-k) using conventional heating method:

A solution of 2-acetyl-5-methylfuran (0.008 mol) and variety of substituted aromatic aldehydes (0.008 mol) in dry ethanol (10 ml) was refluxed with added anhydrous K₂CO₃ (0.02 mol), monitoring the progress of reaction by TLC and LCMS. The reaction was stopped at the appropriate point (3-6 h), the reaction mixture was worked up and subjected to column chromatography over silica gel (60-120 mesh) using EtOAc and n-heptane as eluent.

General procedure for the synthesis of chalcones (3a-k) under the microwave irradiation:

A mixture of 2-acetyl-5-methylfuran (0.008 mol), variety of substituted aromatic aldehydes (0.008 mol) and anhydrous K₂CO₃ (0.02 mol) in the presence of minimal quantity of dry ethanol, was subjected to microwave irradiation at 130 °C (for 6 min, entries **3a**, **3c-3h** and **3j-3k**) or 130 °C (for 30 min, entry **3b** and **3i**), monitoring the progress of reaction by TLC and LCMS. The reaction mixture was worked up and subjected to column chromatography over silica gel (60-120 mesh) using EtOAc and n-heptane as eluent.

3-(3,4,5-trifluorophenyl)-1-(5-methylfuran-2-yl)prop-2-en-1-one (3a):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.39 (s, 3H, CH₃), 6.43 (d, 1H, *J*=4.2 Hz, furyl-H), 7.39-7.46 (m, 1H, -Ar-H), 7.63 (d, 1H, *J*=15.90 Hz, -CO-CH), 7.64 (d, 1H, *J*=15.90 Hz, =CH-Ar), 7.74 (d, 1H, *J*=3.52 Hz, furyl-H), 7.91-7.93 (m, 1H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm):

176.73, 160.93, 153.36, 133.36, 132.47, 126.87, 125.49, 123.93, 122.31, 121.73, 115.16, 114.87, 111.44, 15.46. IR (KBr, ν cm⁻¹): 1657 (C=O), 1610 (C=C of Ar), 1562 (CH=CH), 1021 (C-O). ESI LC/MS/MS: [MH]⁺: 267.2

3 - (2- chloro-3 - (trifluoromethyl)phenyl)-1 - (5-methylfuran-2-yl)prop-2-en-1-one (3b):

¹H NMR (300 MHz, DMSO-*d*₆, δ, ppm): 2.48 (s, 3H, CH₃), 6.46 (d, 1H, *J*=3.30 Hz, furyl-H), 7.61-7.66 (t, 1H, *J*=7.90 Hz, Ar-H), 7.73 (d, 1H, *J*=15.63 Hz, -CO-CH), 7.75 (d, 1H, *J*=3.33 Hz, furyl-H), 7.93 (d, 1H, *J*=7.74 Hz, Ar-H), 8.0 (d, 1H, *J*=15.63 Hz, =CH-Ar), 8.4 (d, 1H, *J*=7.74 Hz, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 175.42, 159.89, 152.89, 135.97, 134.39, 132.90, 131.85, 129.66, 128.14, 127.26, 124.61, 123.15, 121.76, 110.23, 14.23. IR (KBr, ν cm⁻¹): 1661 (C=O), 1611 (C=C of Ar), 1568 (CH=CH), 1010 (C-O). ESI LC/MS/MS: [MH]⁺: 315.6

3-(2-bromo-5-fluorophenyl)-1-(5-methylfuran-2-yl)prop-2-en-1-one (3c):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.40 (s, 3H, CH₃), 6.45 (d, 1H, *J*=3.28 Hz, furyl-H), 7.24-7.29 (m, 1H, Ar-H), 7.73 (d, 1H, *J*=15.60 Hz, -CO-CH), 7.75-7.77 (m, 1H, Ar-H), 7.83 (d, 1H, *J*=3.80 Hz, furyl-H), 7.87 (d, 1H, *J*=15.60 Hz, =CH-Ar), 8.03-8.06 (m, 1H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 175.45, 163.70, 160.46, 159.87, 152.10, 138.73, 136.22, 135.37, 126.67, 123.17, 120.3, 115.31, 110.16, 14.2. IR (KBr, ν cm⁻¹): 1701 (C=O), 1610 (C=C of Ar), 1569 (CH=CH), 1021 (C-O). ESI LC/MS/MS: [MH]²⁺: 311.0

3-(3-chloro-2-fluorophenyl)-1-(5-methylfuran-2-yl)prop-2-en-1-one (3d):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.42 (s, 3H, CH₃), 6.45 (d, 1H, *J*=3.40 Hz, furyl-H), 7.31-7.35 (t, 1H, *J*=8.0 Hz, Ar-H), 7.68 (d, 1H, *J*=15.56 Hz, -CO-CH), 7.70-7.77 (m, 2H, Ar-H), 7.83 (d, 1H, *J*=3.48 Hz, furyl-H), 8.01 (d, 1H, *J*=15.56 Hz, =CH-Ar). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 175.57, 159.67, 157.98, 154.63, 152.11, 132.87, 128.25, 126.17, 125.95, 124.42, 122.72, 121.04, 110.19, 14.22. IR (KBr, ν cm⁻¹): 1691 (C=O), 1618 (C=C of Ar), 1560 (CH=CH), 1017 (C-O). ESI LC/MS/MS: [MH]⁺: 265.2

3-(5-bromo-2-fluorophenyl)-1-(5-methylfuran-2-yl) prop-2-en-1-one (3e):

¹H NMR (300 MHz, DMSO-*d*₆, δ, ppm): 2.31 (s, 3H, CH₃), 6.45 (d, 1H, *J*=2.85 Hz, furyl-H), 7.26-7.33 (m, 1H, Ar-H), 7.64 (d, 1H, *J*=15.50 Hz, -CO-CH), 7.75 (s, 1H, Ar-H), 7.76 (d, 1H, *J*=3.48 Hz, furyl-H), 7.82 (d, 1H, *J*=15.50 Hz, =CH-Ar), 8.30-8.33 (m, 1H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 175.51, 159.71, 152.15, 135.29, 132.04, 131.90, 131.36, 125.88, 124.84, 122.94, 118.74, 117.45, 110.11, 14.22. IR (KBr, ν cm⁻¹): 1682 (C=O), 1611 (C=C of Ar), 1547 (CH=CH), 1011 (C-O). ESI LC/MS/MS: [MH]²⁺: 311.2

3-(2-fluoro-3-methoxyphenyl)-1-(5-methylfuran-2-yl) prop-2-en-1-one (3f):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.41 (s, 3H, CH₃), 3.87 (s, 3H, -OCH₃), 6.45 (d, 1H, *J*=3.44 Hz, furyl-H), 7.20-7.28 (m, 2H, Ar-H), 7.56-7.57 (m, 1H, Ar-H), 7.73 (d, 1H, *J*=15.80 Hz, -CO-CH), 7.83 (d, 1H, *J*=3.36 Hz, furyl-H), 7.85 (d, 1H, *J*=15.80 Hz, =CH-Ar). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 175.92, 158.45, 151.21, 140.18, 139.18, 133.21, 131.28, 130.19, 129.06, 127.17, 125.49, 124.28, 121.64, 50.62, 14.20. IR (KBr, ν cm⁻¹): 1669 (C=O), 1611 (C=C of Ar), 1519 (CH=CH), 1010 (C-O). ESI LC/MS/MS: [MH]⁺: 261.2

3-(4'-chlorobiphenyl-4-yl)-1-(5-methylfuran-2-yl) prop-2-en-1-one (3g):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.40 (s, 3H, CH₃), 6.43 (d, 1H, *J*=3.08 Hz, furyl-H), 7.51-7.72 (m, 4H, Ar-H), 7.75 (d, 1H, *J*=15.70 Hz, -CO-CH), 7.88 (d, 1H, *J*=3.32 Hz, furyl-H), 7.90 (d, 1H,

J=15.70 Hz, =CH-Ar), 7.91-7.93 (m, 2H, Ar-H), 7.97-7.99 (m, 2H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 192.66, 175.65, 158.58, 151.90, 144.41, 140.48, 137.96, 137.54, 135.26, 133.52, 132.82, 130.13, 129.38, 128.88, 127.30, 126.90, 122.13, 121.47, 109.47, 13.70. IR (KBr, ν cm⁻¹): 1669 (C=O), 1611 (C=C of Ar), 1519 (CH=CH), 1010 (C-O). ESI LC/MS/MS: [MH]⁺: 323.4

3-(5-methyl-1H-indol-3-yl)-1-(5-methylfuran-2-yl) prop-2-en-1-one (3h):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.42 (s, 3H, CH₃), 2.48 (s, 3H, CH₃), 6.41 (d, 1H, *J*=2.52 Hz, furyl-H), 7.12 (d, 1H, *J*=8.20 Hz, Ar-H), 7.34-7.36 (m, 1H, Ar-H), 7.38 (d, 1H, *J*=15.70 Hz, -CO-CH), 7.61 (d, 1H, *J*=3.20 Hz, furyl-H), 7.82 (s, 1H, Ar-H), 7.98 (d, 1H, *J*=15.70 Hz, =CH-Ar), 8.0 (s, 1H, Ar-H), 11.8 (s, 1H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 176.82, 157.65, 152.81, 137.78, 136.35, 133.51, 130.41, 129.46, 125.87, 124.61, 120.40, 119.68, 115.35, 112.61, 109.59, 21.78, 14.19. IR (KBr, ν cm⁻¹): 1667 (C=O), 1618 (C=C of Ar), 1510 (CH=CH), 1009 (C-O). ESI LC/MS/MS: [MH]⁺: 266.5

3-(benzo[b]thiophen-5-yl)-1-(5-methylfuran-2-yl) prop-2-en-1-one (3i):

¹H NMR (300 MHz, DMSO-*d*₆, δ, ppm): 2.40 (s, 3H, CH₃), 6.42 (d, 1H, *J*=3.42 Hz, furyl-H), 7.48 (d, 1H, *J*=5.31 Hz, Ar-H), 7.68-7.76 (m, 2H, Ar-H), 7.80 (d, 1H, *J*=15.60 Hz, -CO-CH), 7.81 (d, 1H, *J*=3.34 Hz, furyl-H), 7.88 (d, 1H, *J*=15.60 Hz, =CH-Ar), 8.06-8.08 (m, 1H, Ar-H), 8.28 (s, 1H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 186.31, 176.23, 158.96, 152.23, 143.01, 141.56, 140.37, 131.44, 129.19, 125.28, 124.66, 124.21, 123.52, 121.97, 109.94, 14.00. IR (KBr, ν cm⁻¹): 1664 (C=O), 1611 (C=C of Ar), 1517 (CH=CH), 1019 (C-O). ESI LC/MS/MS: [MH]⁺: 269.2

3-(Furan-3-yl)-1-(5-methylfuran-2-yl)prop-2-en-1-one (3j):

¹H NMR (400 MHz, DMSO-*d*₆, δ, ppm): 2.40 (s, 3H, CH₃), 6.43 (d, 1H, *J*=3.28 Hz, furyl-H), 7.07 (d, 1H, *J*=1.56 Hz, Ar-H), 7.36 (d, 1H, *J*=16.08 Hz, -CO-CH), 7.62 (d, 1H, *J*=16.08 Hz, =CH-Ar), 7.65 (d, 1H, *J*=2.88 Hz, furyl-H), 7.78 (s, 1H, Ar-H), 8.17 (s, 1H, Ar-H). ¹³C NMR (75.46 MHz, DMSO-*d*₆, δ, ppm): 176.28, 158.80, 152.30, 146.99,

145.44, 133.16, 123.46, 122.16, 121.43, 109.85, 108.48, 14.18. IR (KBr, ν cm^{-1}): 1669 (C=O), 1607 (C=C of Ar), 1509 (CH=CH), 1011 (C-O). ESI LC/MS/MS: $[\text{MH}]^+$: 269.2

3-(3,5-Dibromopyridin-4-yl)-1-(5-methylfuran-2-yl)prop-2-en-1-one (3k):

^1H NMR (400 MHz, DMSO- d_6 , δ , ppm): 2.42 (s, 3H, CH_3), 6.48 (d, 1H, $J=2.76$ Hz, furyl-H), 7.48 (d, 1H, $J=16.02$ Hz, -CO-CH), 7.54 (d, 1H, $J=16.02$ Hz, =CH-Ar), 7.71 (d, 1H, $J=3.24$ Hz, furyl-H), 8.84 (s, 2H, Ar-H). ^{13}C NMR (75.46 MHz, DMSO- d_6 , δ , ppm): 174.82, 157.06, 151.24, 160.39, 151.70, 151.14, 144.25, 137.63, 132.14, 123.58, 121.53, 110.48, 14.26. IR (KBr, ν cm^{-1}): 1662 (C=O), 1618 (C=C of Ar), 1511 (CH=CH), 1012 (C-O). ESI LC/MS/MS: $[\text{MH}]^+$: 372.0

Antimicrobial activity: The antimicrobial activity of newly synthesized compounds (**3a-k**) were determined by well plate method in nutrient agar media for antibacterial activity and sabouraud dextrose agar media for antifungal activity. ²⁵⁻²⁶ synthesized compounds were screened for antimicrobial activity against three pathogenic organisms such as *Escherichia coli* [ATCC-9637], *Staphylococcus aureus* [ATCC-25923] and *Klebsiella pneumonia* [ATCC-13883]. Similarly, antifungal activity against three organisms such as *Candida albicans* [ATCC-28366], *Rhizopus arrhizus* [ATCC-11145] and *Aspegillus niger* [ATCC-26036].

Minimum inhibitory concentration (MIC) of all the synthesized compounds was determined, which is defined as the lowest concentration of inhibitor at

which bacterial growth was not visually apparent. The initial optical density (OD) of the medium was measured by spectrophotometer at 600 nm. Different concentrations of compounds (5, 10, 25 and 50 $\mu\text{g/ml}$) were tested for the inhibition of growth of these microbes in separate tubes. The activity of compounds was determined in comparison to standard antibiotic disc of Ciprofloxacin (10 μg) and Fluconazole (10 μg) respectively. Investigation on antimicrobial screening data (**Table 2**) showed some of the compounds were active against various microbial organisms. The activity of DMSO has been tested for the above organisms and it was found to be inactive. Considering the antibacterial activity, the results demonstrate that compound 3h displayed a potent inhibitory effect on the growth of *S. aureus* and *E. coli* with MIC values of 1.9 and 5.7 respectively. Similarly, compound 3i showed excellent activity against *K. pneumonia* with MIC value of 3.2. Compounds **3g**, **3h** and **3j** were showed moderate activity against *K. pneumonia* with MIC range of 12.08 to 13.2. Compounds 3a, **3c** and **3e** were showed moderate activity against *S. aureus* with MIC range of 9.2 to 16.2.

Considering the antifungal activity, it is interesting to note that compound **3h** showed excellent activity against *R. arrhizus* and *C. albicans* with MIC values of 8.6 and 1.1 respectively. Similarly, compound **3c** displayed a potent inhibitory effect on the growth of *R. arrhizus* and *C. albicans* with MIC values of 5.9 and 7.1 respectively. Compounds **3a** and **3i** were displayed a strong antifungal activity against *R. arrhizus* with MIC value of 4.8 and 2.8 respectively.

TABLE 2: MIC ($\mu\text{g/mL}$) OF THE SYNTHESIZED COMPOUNDS (3a-k) AGAINST VARIOUS BACTERIAL AND FUNGAL STRAINS

Comp. No.	<i>Klebsiella pneumonia</i>	<i>Staphylococcus aureus</i>	<i>Escherichia coli</i>	<i>Rhizopus arrhizus</i>	<i>Candida albicans</i>	<i>Aspegillus niger</i>
3a	63.2	11.7	22.2	4.8	76	>100
3b	28.02	58.1	37	>100	41	92
3c	34.8	9.2	0	5.9	7.1	12.6
3d	74	0	>100	15.6	>100	78.4
3e	>100	16.2	65	32.1	>100	61.4
3f	0	45.8	29.7	>100	88.5	94
3g	12.5	32.2	0	>100	0	16.2
3h	13.2	1.9	5.7	8.6	1.1	21.6
3i	3.2	27.6	10.7	2.8	42	16.8
3j	12.08	0	>100	31.2	0	>100
3k	21.04	18.5	>100	46	41.7	>100
Ciprofloxacin	0.72	1.21	0.68	--	--	--
Fluconazole	--	--	--	1.29	0.87	2.1

MIC ($\mu\text{g/mL}$), minimum inhibitory concentration, i.e., the lowest concentration of the compound to inhibit the growth of microbial strains completely

CONCLUSION: A series of novel heterocyclic derivatives (**3a-k**) were synthesized by the use of anhydrous potassium carbonate as an efficient, inexpensive and safe basic catalyst. Microwave irradiation method was reduced the time of reaction completion and improves yield of products (6-30 min. and 24-66%) than classical method (3-6 hr and 19-62%). All the synthesized compounds were characterized by IR, ¹H & ¹³C NMR and mass spectral analysis. The synthesized compounds were evaluated for their *in vitro* antimicrobial activity against various pathogenic bacterial and fungal strains. Chalcone **3h** showed valuable inhibitory activity against most of the microbial strains, except against *A. niger*. The compound with 5-methyl-1H-indol substitution on α,β -unsaturated carbonyl moiety showed marked inhibition against all the five microbial strains. In addition, further screening of this novel class of compounds for other biological activities may find additional interesting applications.

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