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Microwave-Assisted Fast and Efficient Green Synthesis of 9-Anthracenyl Chalcones and their Anti-Bacterial Activity

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Abstract: *A simple, fast and efficient green synthetic protocol was developed for the synthesis of 9-anthracenyl chalcones (**3a-p**) in excellent yields under microwave irradiation conditions. These microwave irradiated reactions of 9-acetyl anthracene with various aryl/substitutedaryl/heteroaryl/ aldehydes in presence of potassium hydroxide avoids the routine longer reaction time and expansive catalysts and provides several advantages such as solvent-free and short reaction time as eco-friendly green synthesis. All the newly synthesized 9-anthracenyl chalcone compounds were evaluated for their biological activity studies towards the anti-bacterial activity. The compounds **3d, 3h, 3j, 3l, 3n** demonstrated potent activity against Staphylococcus aureus (MTCC 96) and Bacillus subtilis (MTCC 121), and two Gram-negative organisms, Escherichia coli (MTCC 43) and Klebsiella pneumonia (MTCC 530).*

Key words: *Green Synthesis, 9-Anthracenyl Chalcones, Microwave Irradiation, Solvent-free, Anti-Bacterial Activity.*

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I. INTRODUCTION

Chalcones have attracted many chemists in and around the world to develop new synthetic strategies for synthesizing various new chalcone compounds due to their greater pharmacological and biological properties and synthetic utility. Chalcones having an α, β unsaturated carbonyl group (–CO– CH=CH-) and because of this chromophore, it gives intense colour to chalcone making chalcone biologically active[1]. They are known to be the main precursors in the biosynthesis of many naturally occurring pigments such as flavonoids[2-4] and also chalcones are important biocides and bear very good synthons for various chemical transformations which includes designing of new pharmaceuticals profile[5] including five and six membered heterocyclic ring systems[6-8]. Chalcone derivatives possess various potential biological activity of against anti-malarial[9–11], anti-cancer[12] anti-inflammatory[13] antimitotic[14], anti-tuberculosis[15], anti-hyperglycemic[16,17] agents , analgesic[18], antiplatelet[19], anticulcerative[20,21], antiviral[22], antioxidant[23], immunomodulatory[24], inhibition of chemical mediator release[25], inhibition of leukotriene B4[26], inhibition of tyrosinase[27], inhibition of aldose reductase[28,29], antibacterial[30-32] and antimicrobial[33,34] activities were well reported. Search and development of new green synthetic methodologies in organic synthesis is always essential and worthwhile to minimize the environmental pollution directly/indirectly. Due to many stringent and growing environmental factors and consciousness, the development of new technologies is directed for environmental free and ecofriendly synthetic methodologies for synthesis and detailed reexamination of various important synthetic processes[35-38].

Over the years many new methods have been devised to improvise the synthesis of chalcone derivatives and also many green synthetic methodologies have been reported for the synthesis of different type of chalcone derivatives. Microwave assisted organic synthesis, a greener process *i.e.* non-conventional energy source is of increasing interest and has blossomed into an important tool in the synthetic organic chemistry and it offers great advantages over many research methods and techniques. The use of microwave speeds up the reactions in laboratories[39], and the technique offers many advantages over other methods that is short reaction time, clean, efficient, economic and increase product yields[40- 43].

Continuation to our research work towards the development of new eco-friendly green synthesis methodologies, the efforts were made to develop a new green synthesis protocol for the synthesis of 9-anthracenyl chalcones. Herein, we report microwave-assisted fast and efficiently synthesis of 9-anthracenyl chalcone derivatives in presence of KOH under solvent-free reaction conditions and evaluated for their anti-bacterial activity. We found KOH to be an excellent catalyst for the synthesis of 9-anthracenyl chalcones under microwave irradiation giving 90-97% yield in a very short reaction time. The advantages of microwave irradiation method for the synthesis of 9-anthracenyl chalcones is that i) Economic ii) No use of solvent iii) High reaction rate iv) Ease of purification v) Environment friendly. Because of all these factors, we have

developed this new solvent-free microwave-assisted reaction for the synthesis of 9-anthracenyl chalcone and its derivatives with considerable success in terms of yields as well as short reaction time for completion of reaction and also they were newly investigated for their anti-bacterial activity.

II. RESULTS AND DISCUSSION

In continuation of our research efforts towards the development of green synthetic methodologies, herein, we have developed a new and green optimized protocol for synthesis of 9-anthracenyl chalcones (**3a-p**). As we were emphasizing on one-pot synthesis of 9-anthracenyl chalcone derivatives under solvent-free condition using eco-friendly microwave irradiation method, we studied in detail the use of catalysts under different microwave reaction conditions that in terms of time and temperature. In order to find the most effective condensations, the mixture of 9-acetyl anthracene and benzaldehyde was microwave irradiated at different reaction conditions. In the initial experiment, the reaction was first carried out with 9-acetyl anthracene, **1** (1 mmol) and benzaldehyde, **2** (1 mmol) using MgO as the catalyst, TLC was first monitored after grinding the mixture for 10 minutes, but we see no new spot for the reaction mixture. The reaction mixture was than microwave irradiated at intervals of 10 minutes at different temperature and TLC was checked at each interval, we observe that after 20 minutes of MW at 160^{o}C, there was only 30% of the product formation. At increased time of 60 min, 80% formation of the product can be observed. Due to the increased time taken for formation of product in terms of yield, we studied and monitored the reaction using other catalyst such as boric acid, $BaCl_2$, $Ba(OH)_2$, I_2, $Ca(OH)_2$ and KOH. The use of microwave irradiation was found essential as a control reaction carried out at 160^{o}C. The time and product conversion for each reaction was monitored and the results of each reaction are presented in the table give below (Table 1).

Table 1: Evaluation of Catalysts for the Synthesis of 9-Anthracenyl Chalcone (**3a**) under Microwave Irradiation.[a]

Entry	Catalyst	Temperature	Time (min)	Yield (%)
1	MgO	160^{o}C	60 mins	80%
2	Boric acid	160^{o}C	10 mins	10%
3	$BaCl_2$	160^{o}C	20 mins	5%
4	$Ba(OH)_2$	160^{o}C	20 mins	10%
5	I_2	160^{o}C	10 mins	3%
6	$Ca(OH)_2$	160^{o}C	20 mins	40%
7	**KOH**	**160^{o}C**	**5 mins**	**96%**

[a]Condtions: 9-Acetyl anthracene (1 mmol); Benzaldehyde (1 mmol); 160^{o}C under solvent-free conditions.

Therefore, effort was made to improve the reaction conditions by applying different temperature and time intervals for improving the product yield. Among all the catalysts we used, the KOH was found to be the best catalyst for the solvent-free synthesis of 9-anthracenyl chalcone under microwave irradiated at 160^{o}C and the reaction was completed within the 5 minutes yielded 96% which is quiet excellent compared to the other catalysts and conditions which we have evaluated. The workup involves pouring ice cold water in the reaction mixture. The yellow solid precipitated was filtered, washed several times with water and collected. Taking this as the general optimized reaction condition and technique, we further proceeded for the synthesis of other chalcone derivatives using different aldehyde derivatives. It was observed that all electron donating and electron withdrawing substituents in the aryl ring of the aldehyde were well tolerated to give moderate to high yields of the desired products. All other synthesized chalcone compounds were fully identified on the basis of its spectroscopic data (IR, ^{1}HNMR, ^{13}C-NMR, Mass spectra). Derivatives of many chalcones were reported to be an important class of antimicrobial molecules. Therefore, herein our synthesized compounds **3a** to **3p** were screened for antibacterial activity against two Gram-positive organisms *Staphylococcus aureus* (MTCC 96), *Bacillus subtilis* (MTCC 121) and two Gram-negative organisms *Escherichia coli* (MTCC 43) and *Klebsiellapneumoniae* (MTCC 530). The products were tested in concentrations of 50µg/50µL. The zones of inhibition were measured in (mm) with Ampicillin as the standard drug. The compounds **3d, 3h, 3l** and **3n** demonstrated potent activity (Table 3 and Figure 1) against *Staphylococcus aureus* (MTCC 96) and *Bacillus subtilis* (MTCC 121), and two Gram-negative organisms, *Escherichia coli* (MTCC 43) and *Klebsiella pneumonia* (MTCC 530). Compared to other compounds **3e, 3j** and **3m** showed lowest inhibitory activity against all organisms**.** All compounds showed good inhibitory activity against *Bacillus subtilis* when compared to the other three organisms under study. Activity of these compounds is structure dependent.The results clearly indicate that the compounds **3d** and **3h** displayed significant activity with a high degree of variation. In particular, **3d** compound showed a zone of inhibition which is almost comparable to that of the standard drug Ampicillin (Table 3 and Figure 1).

Table 3: In vitro Anti-Bacterial Activity of Compounds (Concentration used 50µg/50µl)

	Zone of Inhibition (in mm)			
Name of the Compound (50µg/50µl)	*Escherichia coli*	*Klebsiellapneumoniae*	*Bacillus subtilis*	*Staphylococcus aureus*
3a	5	8	9	8
3b	8	7	10	9
3c	6	8	9	7
3d	13	10	12	10
3e	4	6	8	9
3f	8	6	7	5
3g	6	4	6	6
3h	12	11	10	9
3i	7	7	9	7
3j	4	6	7	9
3k	7	8	8	10
3l	10	9	10	11
3m	5	7	6	8
3n	10	11	10	12
3o	6	6	8	7
3p	8	7	7	9
Ampicillin	14	12	16	14

Figure 1. Anti-Bacterial Activity of 9-Anthracenyl Chalcones (**3a-p**).

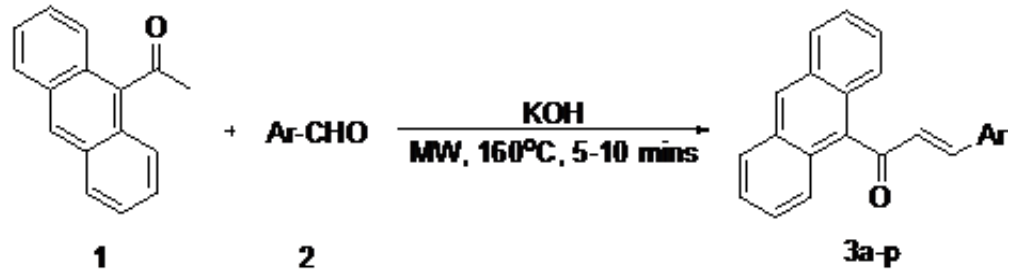
III. EXPERIMENTAL

3.1. General

All reagents and solvents were of the highest commercial quality purchased from Aldrich &Merk and were used without further purification. For thin layer chromatography (TLC), silica gel plates Merck 60 F254 were used using solvent system hexane and ethyl acetate. Chromatograms were visualized by, UV at 254 and 365 nm, followed by iodine vapors. Melting points were determined on an IKON melting point apparatus and are uncorrected. The synthesized compounds were purified by recrystallization and the purity of all synthesized 9-Anthracenyl chalcone products were confirmed by Binary Gradient HPLC-3000 system. IR spectra were recorded on JASCO FT/IR-5300. The 1H-NMR and 13C-NMR spectra were recorded at Bruker 400 MHz and 500 MHz, respectively. The chemical shifts are reported in ppm downfield to TMS (d = 0) for 1H NMR and relative to the central $CDCl_3$ resonance (d = 77.0) for 13C NMR. High-resolution mass spectra were recorded on micromass ESI- TOF MS.

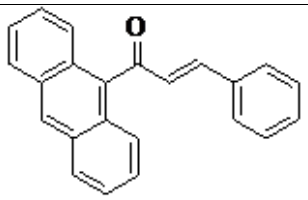
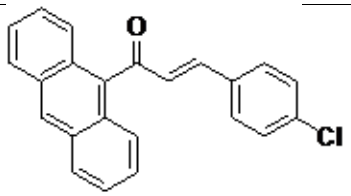
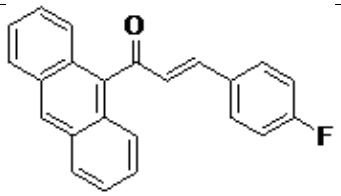
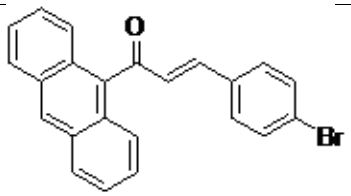
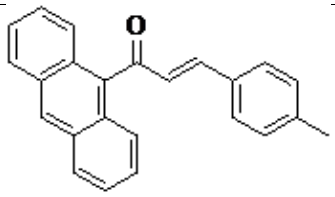
3. 2. Synthesis

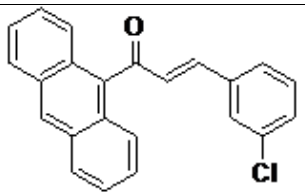
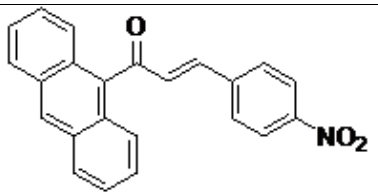
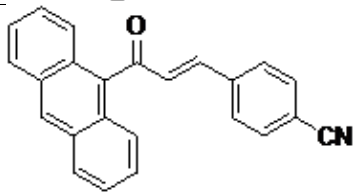
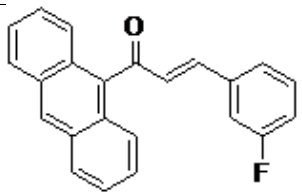
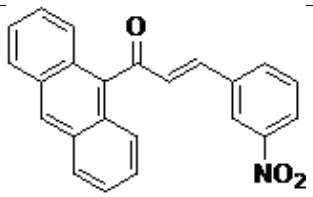
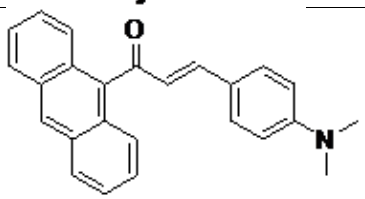
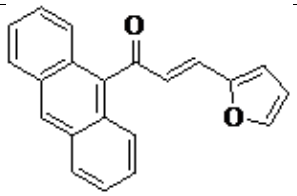
*General procedure for the synthesis of 9-anthracenyl Chalcone and its derivatives (**3a-p**):* Equimolar quantities of 9-acetyl anthracene (1 mmol) and respective aldehydes (1 mmol) were mixed and to it was added a catalytic amount of KOH and the entire reaction mixture was grinded and microwave irradiated for about 5-10 minutes at 160^{o}C. The completion of reaction was monitored by Thin Layer Chromatography system. After completion of the reaction, ice cold water was added to the reaction mixture and the solid products were collected by filtration method which was washed with water several times and finally with methanol and dried to give the desired pure products, **3a-p** (Scheme 1 and Table 2).

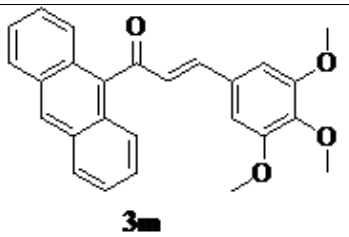
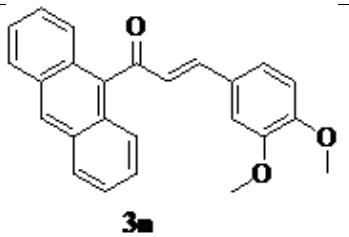
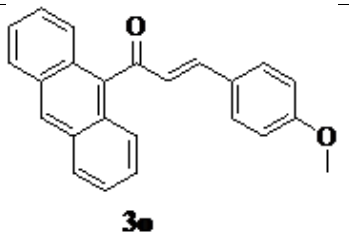
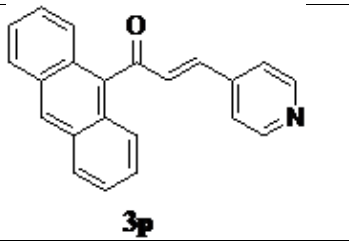


Scheme 1. Schematic procedure for the synthesis of 9-anthracenyl chalcones (**3a-p**) under microwave irradiation method.

Table 2. Synthesis of 9-Anthracenyl Chalcone derivatives (**3a-p**) under microwave irradiation method.[a]

Entry	Aldehyde	Product	Time (min)	Melting Point (^{o}C)	Yield (%)
1	Benzaldehyde	 **3a**	5	185-187	96
2	p-Chlorobenzaldehyde	 **3b**	5	155-158	97
3	p-Fluorobenzaldehyde	 **3c**	6	108-110	95
4	p-Bromobenzaldehyde	 **3d**	6	167-170	94
5	p-Methylbenzaldehyde	 **3e**	6	115-117	90

6	m-Chlorobenzaldehyde	 **3f**	5	115-117	94
7	p-Nitrobenzaldehyde	 **3g**	5	159-161	95
8	p-Cyanobenzaldehyde	 **3h**	5	129-132	95
9	m-Fluorobenzaldehyde	 **3i**	5	130-132	93
10	m-Nitrobenzaldehyde	 **3j**	5	167-169	94
11	p-Dimethylaminobenzaldehyde	 **3k**	7	170-172	91
12	Furfuraldehyde	 **3l**	6	119-121	90

13	3,4,5-Trimethoxybenzaldehyde	 3m	10	149-152	94
14	3,4-Dimethoxybenzaldehyde	 3n	10	105-107	95
15	4-Methoxybenzaldehyde	 3o	6	99-101	92
16	Pyridine-4-carboxaldehyde	 3p	5	161-163	94

[a] Reaction Conditions: 9-Acetyl anthracene (1 mmol); Benzaldehyde (1 mmol); KOH under solvent-free microwave irradiation conditions at 160°C.

3.3. Anti-Bacterial Activity

The cultures were diluted with 0.9% saline and the final volume was made with concentration approximately 105–106 CFU/mL. The synthesized compounds were diluted in DMSO. For agar disc diffusion method, Luria Bertani media was prepared, autoclaved and poured into sterilized petriplates and then plates were spread with Gram-positive bacterial strains and Gram-negative bacterial strains separately. The synthesized compounds samples were added to the disc and plates were incubated at 37°C for 24 h. Zones of inhibition were measured in (mm). All experiments were carried out in triplicates.

3. 3. Spectral characterization data

(E)-1-(anthracen-9-yl)-3-phenylprop-2-en-1-one (**3a)**: Bright yellow solid. IR(KBr) cm^{-1} 3132 (Aromatic C-H), 1639 (C=O), 1520 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H, Ar-H), 8.08 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.97 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.50 – 7.45 (m, 4H, Ar-H), 7.46 – 7.44 (m, 2H, Ar-H, =CH), 7.38 – 7.32 (m, 4H, Ar-H), 7.28 – 7.25 (m, 1H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 200.19, 147.90, 134.62, 134.29, 131.17, 131.01, 129.19, 128.95, 128.69, 128.65, 128.45, 126.60, 126.66, 125.55, 125.31. HRMS (m/z) 309.1273 (M+1) observed for $C_{23}H_{16}O$.

(E)-1-(anthracen-9-yl)-3-(4-chlorophenyl)prop-2-en-1-one (**3b)**: Pale yellow solid. IR(KBr) cm^{-1}3049 (Aromatic C-H), 1629 (C=O), 1577 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H, Ar-H), 8.08 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.92 (d, *J* = 8.1 Hz, 2H, Ar-H), 7.51 – 7.49 (m, 5H, Ar-H), 7.37 (d, *J* = 7.4 Hz, 1H, =CH), 7. 32 (d, *J* = 7.4 Hz, 1H, =CH), 7.28 – 7.25 (m, 1H, Ar-H), 7.24 – 7.22 (m, 1H, Ar-H), 7.20 – 7.18 (m, 1H, Ar-H). ^{13}C NMR (400 MHz, $CDCl_3$) δ 199.87, 146.13, 136.96, 134.35, 132.78, 131.14, 129.75, 129.51, 129.22, 128.70, 128.56, 128.39, 126.72, 125.55, 125.17. HRMS (m/z) 343.0882 (M+1) observed for $C_{23}H_{15}ClO$.

(E)-1-(anthracen-9-yl)-3-(4-fluorophenyl)prop-2-en-1-one (**3c)**: Orange yellow solid. IR(KBr) cm^{-1} 3059 (Aromatic C-H), 1629 (C=O), 1587 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H, Ar-H), 8.09 – 8.06 (m, 2H, Ar-H), 7.94 – 7.70 (m, 2H, Ar-H), 7.53 – 7.42 (m, 6H, Ar-H), 7.23 – 7.22 (m, 2H, =CH), 7.06 – 7.01 (m, 2H, Ar-H). ^{13}C NMR (400 MHz, $CDCl_3$) δ 200.00, 165.56, 163.04, 146.49, 134.45, 131.14,

130.66, 130.57, 130.50, 128.92, 128.49, 128.31, 126.69, 125.55, 125.22, 116.25. HRMS (m/z) 327.1183 (M+1) observed for $C_{23}H_{15}FO$.

(E)-1-(anthracen-9-yl)-3-(4-bromophenyl)prop-2-en-1-one (**3d)**: Pale yellow solid. IR(KBr) cm^{-1} 3054 (Aromatic C-H), 1634 (C=O), 1582 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (s, 1H, Ar-H), 8.09 – 8.06 (m, 2H, Ar-H), 7.93 – 7.90 (m, 2H, Ar-H), 7.54 – 7.44 (m, 7H, Ar-H), 7.33 – 7.25 (m, 3H, Ar-H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 199.86, 134.34, 134.10, 133.20, 132.19, 131.13, 129.93, 129.59, 128.72, 128.59, 128.40, 126.74, 125.57, 125.36, 125.17. HRMS (m/z) 388.0409 (M+1) observed for $C_{23}H_{15}BrO$.

(E)-1-(anthracen-9-yl)-3-(p-tolyl)prop-2-en-1-one (**3e)**: Bright orange solid. IR(KBr) cm^{-1} 3044 (Aromatic C-H), 1629 (C=O), 1580 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.56 (s, 1H, Ar-H), 8.08 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.93 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.52 – 7.45 (m, 5H, Ar-H), 7.37 – 7.33 (m, 2H, Ar-H), 7.26 (d, *J* = 7.3 Hz, 1H, =CH), 7.25 – 7.18 (m, 1H, Ar-H), 7.15 (d, *J* = 7.3 Hz, 1H, =CH), 2.35 (s, 3H, CH_3). ^{13}C NMR (400 MHz, $CDCl_3$) δ 200.26, 131.56, 131.15, 129.80, 129.68, 129.23, 128.68, 128.62, 128.41, 128.30, 128.09, 127.95, 126.55, 125.50, 125.36, 21.51. HRMS (m/z) 323.1435 (M+1) observed for $C_{24}H_{18}O$.

(E)-1-(anthracen-9-yl)-3-(3-chlorophenyl)prop-2-en-1-one(3f): Bright orange solid. IR(KBr) cm^{-1} 3054 (Aromatic C-H), 1629 (C=O), 1562 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H, Ar-H), 8.08 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.91 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.53 – 7.47 (m, 5H, Ar-H), 7.42 (d, *J* = 7.6 Hz, 1H, =CH), 7.36 – 7.25 (m, 4H, Ar-H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 199.84, 145.89, 144.49, 136.12, 135.00, 134.20, 133.54, 131.13, 130.73, 130.13, 128.73, 128.38, 127.97, 126.77, 126.64, 125.55, 125.11. HRMS (m/z) 343.0882 (M+1) observed for $C_{23}H_{15}ClO$.

(E)-1-(anthracen-9-yl)-3-(4-nitrophenyl)prop-2-en-1-one (**3g)**: Yellow solid. IR(KBr) cm^{-1} 3039 (Aromatic C-H), 1639 (C=O), 1539 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (s, 1H, Ar-H), 8.17 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.08 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.91 – 7.80 (m, 2H, Ar-H), 7.59 – 7.55 (m, 2H, Ar-H), 7.53 – 7.48 (m, 4H, Ar-H), 7.34 (d, *J* = 7.6 Hz, 1H, =CH), 7.28 (d, *J* = 7.6 Hz, 1H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 199.34, 148.72, 143.87, 140.39, 133.75, 132.35, 131.11, 129.11, 128.99, 128.84, 128.39, 126.98, 125.66, 124.91, 124.07. HRMS (m/z) 354.1120 (M+1) observed for $C_{23}H_{15}NO_3$.

(E)-4-(3-(anthracen-9-yl)-3-oxoprop-1-en-1-yl)benzonitrile (**3h)**: Bright orange solid. IR(KBr) cm^{-1} 3054 (Aromatic C-H), 2228 (CN), 1644 (C=O), 1598 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (s, 1H, Ar-H), 8.01 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.88 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.64 – 7.61 (m, 2H, Ar-H), 7.55 – 7.49 (m, 6H, Ar-H), 7.36 – 7.22 (m, 2H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 199.45, 144.51, 138.58, 132.58, 131.78, 131.11, 128.92, 128.85, 128.81, 128.38, 127.22, 126.93, 125.63, 124.93, 118.19, 113.88. HRMS (m/z) 334.1223 (M+1) observed for $C_{24}H_{15}NO$.

(**E)-1-(anthracen-9-yl)-3-(3-fluorophenyl)prop-2-en-1-one** (**3i)**: Bright orange solid. IR(KBr) cm^{-1} 3065 (Aromatic C-H), 1634 (C=O), 1582 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.58 (s, 1H, Ar-H), 8.09 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.90 (d, *J* = 8.1 Hz, 2H, Ar-H), 7.55 – 7.46 (m, 4H, Ar-H), 7.35 – 7.30 (m, 2H, Ar-H), 7.28 (d, *J* = 7.6 Hz, 1H, =CH), 7.22 (d, *J* = 7.6 Hz, 1H, =CH), 7.18 – 7.15 (m, 1H, Ar-H), 7.10 – 7.06 (m, 1H, Ar-H). ^{13}C NMR (400 MHz, $CDCl_3$) δ 199.89, 161.97, 146.11, 134.23, 134.12, 131.13, 130.49, 130.49, 130.42, 130.18, 128.63, 128.39, 128.20, 127.97, 127.29, 126.75, 124.58, 123.86, 122.43. HRMS (m/z) 327.1180 (M+1) observed for $C_{23}H_{15}FO$.

(**E)-1-(anthracen-9-yl)-3-(3-nitrophenyl)prop-2-en-1-one** (**3j)**: Bright orange solid. IR(KBr) cm^{-1} 3054 (Aromatic C-H), 1639 (C=O), 1520 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.59 (s, 1H, Ar-H), 8.26 (d, *J* = 8.2 Hz, 1H, Ar-H), 8.20 (d, *J* = 8.4 Hz, 1H, Ar-H), 8.12 – 8.06 (m, 2H, Ar-H), 7.92 – 7.80 (m, 2H, Ar-H), 7.79 – 7.76 (m, 1H, Ar-H), 7.57 – 7.47 (m, 5H, Ar-H), 7.36 (d, *J* = 7.2 Hz, 1H, =CH), 7.27 (d, *J* = 7.3 Hz, 1H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 119.53, 148.62, 114.23, 136.05, 133.85, 133.75, 131.45, 131.11, 129.97, 128.93, 128.83, 128.38, 128.31, 126.95, 125.64, 125.00, 123.05. HRMS (m/z) 354.1134 (M+1) observed for $C_{23}H_{15}NO_3$.

(**E)-1-(anthracen-9-yl)-3-(4-(dimethylamino)phenyl)prop-2-en-1-one** (**3k)**: Bright orange solid. IR(KBr) cm^{-1} 3054 (Aromatic C-H), 2362 (N-CH), 1630 (C=O), 1572 (olefinic C=C). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.53 (s, 1H, Ar-H), 8.06 (d, *J* = 8.2 Hz, 2H, Ar-H), 7.98 (d, *J* = 8.4 Hz, 2H, Ar-H), 7.51 – 7.44 (m, 4H, Ar-H), 7.33 – 7.28 (m, 2H, =CH), 7.15 (d, *J* = 7.4 Hz, 2H, , Ar-H), 6.60 (d, *J* = 7.4 Hz, 2H, Ar-H), 3.00 (s, 6H, CH_3). ^{13}C NMR (500 MHz, $CDCl_3$) δ 199.85, 152.32, 149.18, 135.62, 134.10, 133.56, 130.65, 128.48, 128.44, 127.81, 127.23, 126.27, 128.73, 125.73, 124.37, 111.72, 40.02. HRMS (m/z) 374.1521 (M+23) observed for $C_{25}H_{21}NO$.

(**E)-1-(anthracen-9-yl)-3-(furan-2-yl)prop-2-en-1-one** (**3l)**: Bright yellow solid. IR(KBr) cm^{-1} 3106 (Aromatic C-H), 1618 (C=O), 1541 (olefinic C=C). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (s, 1H, Ar-H), 8.08 – 8.04 (m, 2H, Ar-H), 7.94 – 7.91 (m, 2H, Ar-H), 7.54 – 7.45 (m, 5H, Ar-H), 7.16 (d, *J* = 8.4 Hz, 1H, =CH), 6.95 (d, *J* = 8.4 Hz, 1H, =CH), 6.54 – 6.51 (m, 1H, Ar-H), 6.45 (dd, *J* = 6.4 Hz, *J* = 2.7 Hz, 1H, Ar-H). ^{13}C NMR (500 MHz, $CDCl_3$) δ 199.53, 150.79, 145.67, 134.46, 133.63, 131.13, 128.40, 128.34, 127.23, 126.67, 126.39, 125.51, 125.32, 116.98, 112.80. HRMS (m/z) 321.0890 (M+23) observed for $C_{21}H_{14}O_2$.

(**E)-1-(anthracen-9-yl)-3-(3,4,5-trimethoxyphenyl)prop-2-en-1-one** (**3m)**: Yellow solid. IR(KBr) cm^{-1} 3059 (Aromatic C-H), 1629 (C=O), 1572 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (s, 1H, Ar-H), 8.10 – 8.07 (m, 2H, Ar-H), 7.94 – 7.91 (m, 2H, Ar-H), 7.54 – 7.47 (m, 4H, Ar-H), 7.23 (d, *J* = 8.9 Hz, 1H, =CH), 7.14 (d, *J* = 8.9 Hz, 1H, =CH), 6.68 (s, 2H, Ar-H), 3.86 (s, 3H, OCH_3), 3.82 (s, 6H, OCH_3). ^{13}C NMR (400 MHz, $CDCl_3$) δ 200.08, 153.50, 153.44, 148.06, 140.86, 134.64, 131.26, 131.16, 129.62, 128.70, 128.63, 128.42, 128.30, 126.64, 125.33, 60.96, 56.17. HRMS (m/z) 421.1418 (M+23) observed for $C_{26}H_{22}O_4$.

(**E)-1-(anthracen-9-yl)-3-(3,4-dimethoxyphenyl)prop-2-en-1-one** (**3n)**: Pale yellow solid. IR(KBr) cm^{-1} 2992 (Aromatic C-H), 1624 (C=O), 1598 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (s, 1H, Ar-H), 8.08 – 8.06 (m, 2H, Ar-H), 7.96 – 7.94 (m, 2H, Ar-H), 7.55 – 7.45 (m, 6H, Ar-H), 7.20 (s, 1H, Ar-H), 7.18 (d, *J* = 9.1 Hz, 1H, =CH), 6.99 (d, *J* = 9.1 Hz, 1H, =CH), 3.89 (s, 3H, OCH_3), 3.86 (s, 3H, OCH_3). ^{13}C NMR (400 MHz, $CDCl_3$) δ 200.04, 151.84, 149.29, 148.09, 131.17, 128.83, 128.60, 128.40, 128.19, 127.28, 126.77, 126.54, 125.51, 125.33, 1233.50, 11.06, 110.18, 55.99, 55.89. HRMS (m/z) 391.1310 (M+23) observed for $C_{25}H_{20}O_3$.

(**E)-1-(anthracen-9-yl)-3-(4-methoxyphenyl)prop-2-en-1-one** (**3o)**: Pale yellow solid. IR(KBr) cm^{-1} 3059 (Aromatic C-H), 1629 (C=O),1567 (olefinic C=C). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.55 (s, 1H, Ar-H), 8.08 – 8.05 (m, 2H, Ar-H), 7.96 – 7.94 (m, 2H, Ar-H), 7.52 – 7.46 (m, 4H, Ar-H), 7.40 (d, *J* = 9.4 Hz, 2H, Ar-H), 7.21 – 7.19 (m, 2H, =CH), 7.85 (d, *J* = 9.4 Hz, 2H, Ar-H), 3.81 (s, 3H, OCH_3). ^{13}C NMR (500 MHz, $CDCl_3$) δ 200.15, 162.07, 147.95, 134.12, 131.16, 130.49, 128.61, 128.41, 128.07, 127.23, 127.08, 126.52, 125.50, 125.35, 114.42, 55.40. HRMS (m/z) 361.1203 (M+23) observed for $C_{24}H_{18}O_2$.

(**E)-1-(anthracen-9-yl)-3-(pyridin-4-yl)prop-2-en-1-one** (**3p)**: Dark orange solid. IR(KBr) cm^{-1} 3049 (Aromatic C-H), 1634 (C=O), 1582 (olefinic C=C). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 – 8.57 (m, 3H, Ar-H), 8.08 – 8.07 (m, 2H, Ar-H), 7.89 – 7.87 (m, 2H, Ar-H), 7.51 – 7.48 (m, 2H, Ar-H), 7.40 (d, *J* = 8.1 Hz, 2H, Ar-H), 7.27 (d, *J* = 8.1 Hz, 2H, Ar-H), 7.25 – 7.15 (m, 2H, =CH). ^{13}C NMR (400 MHz, $CDCl_3$) δ 119.56, 150.56, 144.04, 141.49, 132.65, 131.09, 128.98, 128.81, 128.39, 127.20, 126.96, 125.64, 124.91, 122.05. HRMS (m/z) 332.1054 (M+23) observed for $C_{22}H_{15}NO$.

IV. CONCLUSION

In conclusion, we have developed a solvent-free simple green synthetic protocol that is cost efficient for the synthesis of 9-Anthracenyl chalcone and its derivatives. These series of 9-Anthracenyl chalcone derivatives were further screened for antimicrobial activity against a panel of various bacterial strains. From the data it was found that compounds **3d, 3h, 3j, 3l,** and **3n** shows potent activity against *Staphylococcus aureus* (MTCC 96) and *Bacillus subtilis* (MTCC 121), and two Gram-negative organisms, *Escherichia coli* (MTCC 43) and *Klebsiella pneumonia* (MTCC 530). Out of these five compounds, compounds **3d** shows almost comparable to that of the standard drug Ampicillin. From the data it is evident that the position of different substituents on the aromatic ring can influence the activity of the compounds against the different panel of bacteria selected for the study. Further studies can also be carried out keeping in view the various biological and pharmaceutical importance of these chalcones by bringing out some modification in the chalcone moiety.

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