

Microwave-assisted synthesis and biological evaluation of carbazole-based chalcones, aurones and flavones

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Abstract A new class of chalcones, aurones and flavones derived from carbazole is designed as potential antimicrobial and antioxidant agents. Synthesis of (*Z*)-2-((9-ethyl-9*H*-carbazol-3-yl)methylene)benzofuran-3(2*H*)-ones and 2-(9-ethyl-9*H*-carbazol-3-yl)-4*H*-chromen-4-ones was carried out by the oxidation of (*E*)-3-(9-ethyl-9*H*-carbazol-3-yl)-1-(2-hydroxyphenyl)prop-2-en-1-ones under microwave irradiation and conventional heating. All the newly synthesized compounds were characterized on the basis of IR, ¹H NMR, ¹³C NMR, mass and analytical data. All the synthesized compounds were evaluated for their antibacterial, antifungal and antioxidant activities. Synthesized compounds were screened in vitro for antibacterial activity against two gram-positive bacterial strains like *Staphylococcus aureus* and *Bacillus subtilis* and two gram-negative bacterial strains like *Escherichia coli* and *Klebsiella pneumonia* and antifungal activity by inhibitory action against three fungal strains like *Fusarium oxysporum*, *Aspergillus niger* and *Aspergillus flavus*. The synthesized compounds were also evaluated for their DPPH radical scavenging activity. All the newly synthesized compounds have shown good antibacterial, antifungal and antioxidant activities.

Keywords Antimicrobial activity · Antioxidant activity · Carbazole Chalcones · Aurones · Flavones · Microwave irradiation

Introduction

Carbazole scaffolds are embedded with many natural products and drug molecules (Itoigawa *et al.*, 2000), mainly extracted from the plants of *Rutaceae* family, widely used in folk medicine. Carbazole skeleton containing alkaloids, ellipticine, olivacine, datelliptium, retelliptine and pazelliptine was proved as antitumor drugs. Furthermore, carbazole alkaloids extracted from *Clausena heptaphylla* found to exhibit antimicrobial activity. Flavonoids, medicinally important class of compounds extracted from various natural plants, possess a variety of pharmacological properties, including antioxidant, anticancer (Li *et al.*, 2008), antihypertensive (Xuea *et al.*, 2008) and anti-inflammatory (Lim *et al.*, 2011) activities.

Chalcones, aurones and flavones are three major subclasses of flavonoids. Among these aurones, (*Z*)-2-benzylidenebenzofuran-3-(2*H*)-ones constitute a less studied subclass of flavonoids, which occur rarely in nature. These are responsible for the pigmentation of flowers and fruits, especially for the bright yellow color of flowers. Aurones have been reported to possess insect antifeedant (Morimoto *et al.*, 2007), anticancer (Cheng *et al.*, 2010), anti-inflammatory (Shin *et al.*, 2011), antileishmanial (Kayser *et al.*, 1998), antibacterial (Hadj-esfandiari *et al.*, 2007) and also show inhibitory activity against a variety of enzymes and proteins (Thomas *et al.*, 2003; Okombi *et al.*, 2006). Surprisingly, only few studies on the antioxidant activity (Detsi *et al.*, 2009) of aurones exist. Flavones exhibit a wide spectrum of biological activities such as anti-inflammatory (Bano *et al.*, 2013), antiallergic (Tomohiro *et al.*, 2008), anticancer (Lin *et al.*, 2008) and neuroprotective properties (Groot and Rauen 1998). Chalcones are flavonoid and isoflavonoid precursors, abundant in edible plants, and display a wide spectrum of biological activities,

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including antioxidant (Shenvi *et al.*, 2013), antibacterial (Tran *et al.*, 2012), antileishmanial (Barbosa *et al.*, 2011), anticancer (Boumendjel *et al.*, 2008), antiangiogenic (Radha *et al.*, 2012), anti-infective and anti-inflammatory (Wu *et al.*, 2011). The growing interest in these compounds and their potential use in medicinal applications are proved by the growing number of publications concerning the synthesis and biological evaluation of chalcone analogues.

Microwave-assisted organic synthesis (MAOS) (Ashok *et al.*, 2013, 2014) has emerged as a new tool in organic synthesis. This technique offers simple, clean, fast, efficient and economic for the synthesis of a large number of organic molecules. Important advantage of this technology includes highly accelerated rate of the reaction, reduction in reaction time with an improvement in the yield and quality of the product (Fig. 1).

In this study, we have synthesized carbazol substituted chalcones **3a–i**, aurones **4a–i** and flavones **5a–i** with the aim to study the structure–activity relationship and therefore to provide variation in activity from straight-chained α , β -unsaturated carbonyl compounds, i.e., chalcones to aurones and flavones (Schemes 1, 2).

Results and discussion

Chemistry

The precursor chalcones **3a–i** were synthesized by the Claisen–Schmidt condensation of substituted 2 hydroxy acetophenone with 9-ethyl-9*H*-carbazol-3-carbaldehyde in the presence of KOH in MeOH. Further, these 2' hydroxy chalcones **3a–i** were oxidized with cupric bromide and iodine in presence of DMSO to afford corresponding aurones **4a–i** and flavones **5a–i**. In the reaction of 2' hydroxy chalcones **3a–i** with CuBr₂, a five-membered transition state is favorable leading to corresponding aurones **4a–i**, whereas in case of iodine six-membered intermediate is favorable resulting in corresponding flavones **5a–i** (Scheme 3). All the compounds were synthesized by microwave irradiation method as well as conventional heating method. In these, the microwave irradiation

method proved to be environmentally benign, high yielding and high rate of acceleration (Table 1).

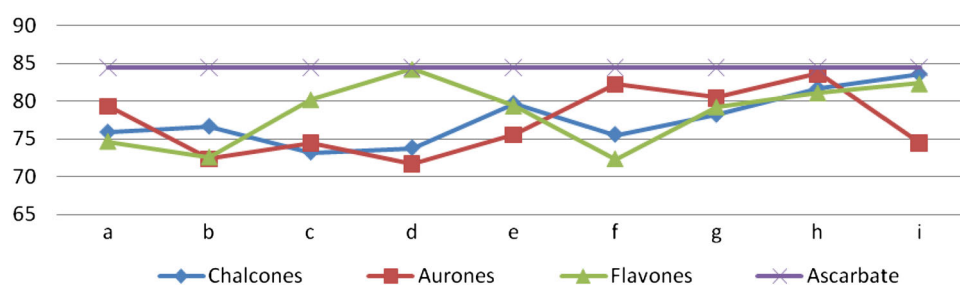
Structures of synthesized compounds (**4a–i** and **5a–i**) were characterized by spectral data such as IR, ¹H NMR, ¹³C NMR, mass and elemental analysis. In IR spectrum of the representative compound **3a** showed signal for carbonyl group (–C=O) at 1693 cm⁻¹. The ¹H NMR spectrum of the representative compound **4a** showed a characteristic singlet for =C–H proton at δ 7.17, a doublet of doublet for Ar–H_{2'} at δ 8.18 and two doublets for aromatic protons Ar–H_{4'}, Ar–H_{1'} at δ 8.69 and δ 8.19, respectively. In the ¹³C NMR spectrum of **4a**, the carbonyl carbon appeared at δ 184.4, C–O carbon at δ 165.7 and N–CH₂ carbon at δ 37.8. The GC–MS spectrum exhibited M⁺ peak at *m/z* 339. In ¹H NMR, the representative compound **5a** showed a characteristic singlet for Ar–H₃ at δ 6.91, two doublets for Ar–H_{1'}, Ar–H_{2'} appeared at δ 8.36 and δ 8.18, respectively. In ¹³C NMR spectrum, C=O carbon appeared at δ 177.2 and C–O carbon at δ 165.5. The ESI–MS spectrum exhibited (M + H) peak at *m/z* 340.

Antibacterial activity

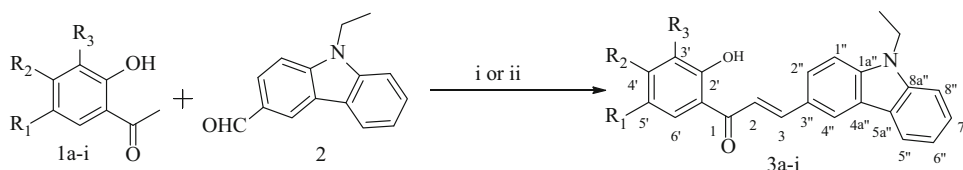
All the synthesized compounds **3a–i**, **4a–i** and **5a–i** were screened in vitro for their antibacterial activity against gram-positive bacterial strains [*Staphylococcus aureus* (ATCC 6538), *Bacillus subtilis* (ATCC 6633)] and gram-negative bacterial strains [*Escherichia coli* (ATCC 25922), *Klebsiella pneumoniae* (ATCC 13883)] at 20 and 40 μ g/ml concentrations (Figs. 2, 3). The zone of inhibition (in mm) was compared with standard drug ciprofloxacin. The results are given in Table 2.

All the compounds showed relatively better activity against gram-positive bacterial strains than gram-negative bacterial strains. There was no remarkable change in antibacterial activity from chalcones to aurones and flavones. Among all, compounds **3a**, **3h**, **3i**, **3a**, **4h**, **4i**, **5a**, **5h** and **5i** were shown promising activity against gram-positive bacterial strains and compounds **3c**, **3f**, **4c**, **5c** and **5f** were shown moderate zone of inhibition, indicating that compounds with electron-donating substitutions on phenyl ring, i.e., –OCH₃ and –OC₂H₅, shown maximum zone of

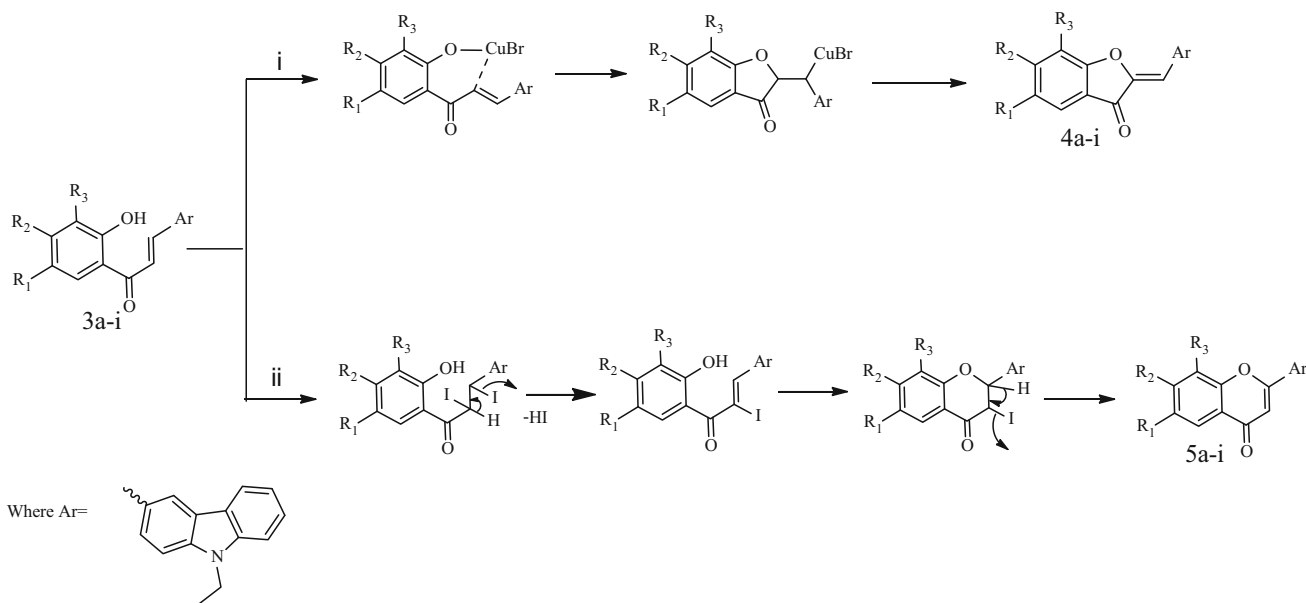
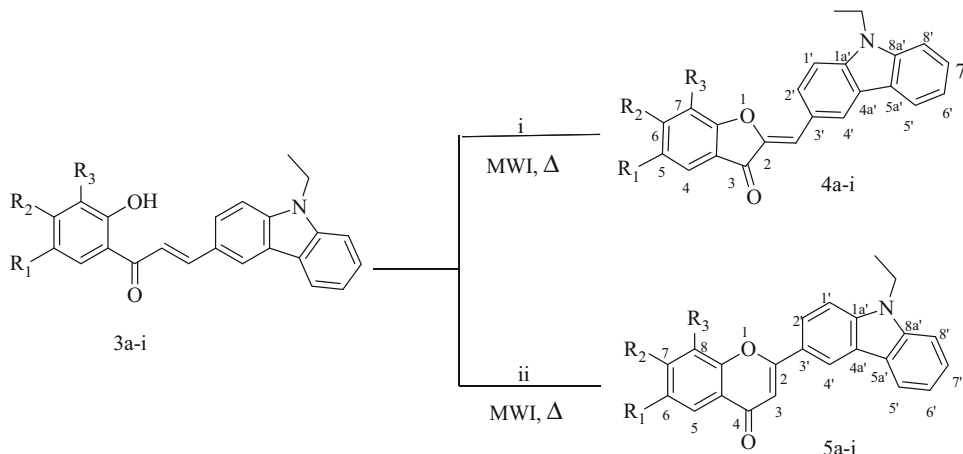
Fig. 1 DPPH radical scavenging activity of synthesized compounds



Scheme 1 Synthesis of 2'-hydroxy chalcones. Reagents and conditions: (i) KOH, MeOH, RT (ii) powdered KOH, Microwave irradiation



Scheme 2 Synthesis of aurones (4a-i) and flavones (5a-i); reagents and conditions: (i) CuBr₂, DMSO (ii) I₂, DMSO



Scheme 3 Possible mechanism for the formation of products (i) CuBr₂, DMSO (ii) I₂, DMSO

inhibition. Compounds with substitutions at R₁ = Cl and R₂ = Me on phenyl ring shown moderate activity. Compounds **3a**, **4a** and **5a** shown maximum zone of inhibition; remaining compounds shown poor activity against gram-negative bacterial strains, indicating that compounds without substitutions on phenyl ring were active against gram-negative bacterial strains.

Compounds **3a** (13 mm), **3h** (13 mm), **3i** (14 mm), **4a** (12 mm), **4h** (13 mm), **4i** (14 mm), **5a** (13 mm), **5h** (13 mm) and **5i** (13 mm) were shown maximum zone of inhibition compared with standard drug ciprofloxacin (15 mm) against *S. aureus* at 20 µg/ml concentration. Compounds **3h** (13 mm), **4a** (13 mm), **4i** (13 mm) and **5i** (14 mm) were showed high resistance compared with

Table 1 Comparison of yields **3a–i**, **4a–i** and **5a–i**

Compound	R ₁	R ₂	R ₃	Conventional method		Microwave irradiation method	
				Time (h)	Yield (%) ^a	Time (min)	Yield (%) ^a
3a^b	H	H	H	6	64	8	88
3b	F	H	H	6	68	6	84
3c	Cl	H	H	7	72	8	92
3d	Br	H	H	8	64	7	88
3e	CH ₃	H	H	5	76	6	92
3f	Cl	CH ₃	H	8	62	7	94
3g	Cl	H	Cl	6	68	5	86
3h	H	OCH ₃	H	7	62	6	82
3i	H	OC ₂ H ₅	H	8	66	8	78
4a^b	H	H	H	6	56	4	78
4b	F	H	H	7	52	5	72
4c	Cl	H	H	5	68	4	76
4d	Br	H	H	8	56	4	86
4e	CH ₃	H	H	5	68	5	82
4f	Cl	CH ₃	H	6	64	6	78
4g	Cl	H	Cl	6	62	5	72
4h	H	OCH ₃	H	7	68	7	78
4i	H	OC ₂ H ₅	H	8	62	7	76
5a	H	H	H	4	66	3	86
5b	F	H	H	4	72	2	88
5c	Cl	H	H	5	64	3	94
5d	Br	H	H	4	74	4	92
5e	CH ₃	H	H	4	76	3	90
5f	Cl	CH ₃	H	6	64	4	88
5g	Cl	H	Cl	5	72	3	86
5h	H	OCH ₃	H	7	62	4	84
5i	H	OC ₂ H ₅	H	7	60	4	82

^a Isolated yields^b Reported in the literature

standard drug at 20 µg/ml concentration against *B. faecalis*.

Antifungal activity

The antifungal activity of synthesized compounds **3a–i**, **4a–i** and **5a–i** was tested against three pathogenic fungi, *Fusarium oxysporum*, *Aspergillus niger* and *Aspergillus flavus* (Fig. 4). All the compounds were shown moderate activity against the tested fungal strains. Among all, chalcones shown relatively less antifungal activity compared with aurones and flavones. Compounds **4b**, **5b** with fluoro substitution on ring showed maximum activity against *A. niger* and compounds **4h**, **5h** with methoxy substitution on ring were active against *A. flavus*, and compounds **4b**, **5h** shown promising activity against *F. oxysporum*.

Compounds **4b** (15.8 mm) against *A. niger*, **4a** (13.6 mm), **5g** (12.8 mm) against *A. flavus* and **4b** (17.4 mm) against *F. oxysporum* shown maximum zone of inhibition than standard drug amphotericin-B.

DPPH radical scavenging activity

DPPH radical scavenging activity of compounds **3a–i**, **4a–i** and **5a–i** was measured at 100 µg/ml concentration in triplicate using sodium ascorbate as standard (Fig. 4). Compounds **3g**, **3h**, **3i**, **4f**, **4g**, **4h**, **4i**, **5c**, **5d**, **5h** and **5i** were shown promising DPPH radical scavenging activity compared with standard, indicating that compounds with electron-donating groups (OCH₃ and OC₂H₅) and weak electron-withdrawing groups (Cl and Br) increase the antioxidant activity of the compounds. Compounds **3a**, **3e**,

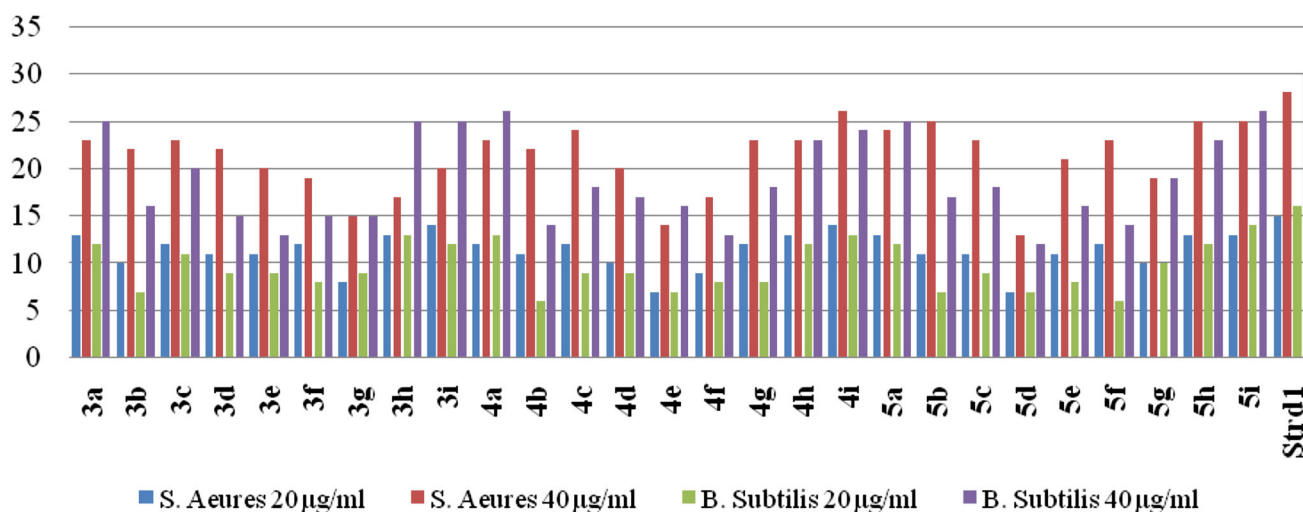


Fig. 2 Antibacterial activity against gram-positive bacterial strains

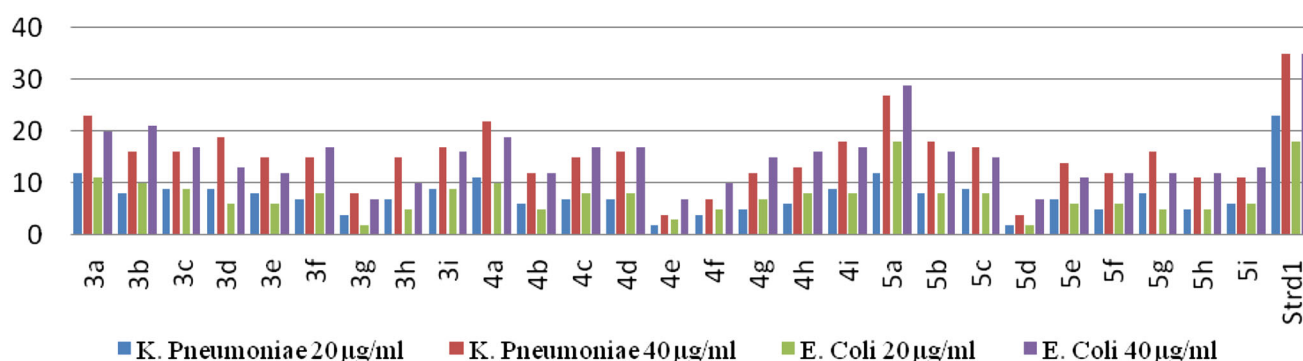


Fig. 3 Antibacterial activity against gram-negative bacterial strains

4a, **4e**, **5a** and **5e** and shown moderate activity compared with standard, indicating that compounds with CH_3 and without substitution on ring showed moderate antioxidant activity in Table 3.

Experimental

Chemistry

Melting points were determined in open glass capillary tube on a Gallen-Kamp MFB-595 apparatus and are uncorrected. The progress of reactions was monitored by TLC (Silica gel, aluminum sheets 60 F₂₅₄, Merck). The IR spectra were taken on a Perkin–Elmer FT-IR-8400s, using samples in KBr disks. Microwave reactions were carried out in the milestone multi SYNTH microwave system. The ¹H NMR (400 MHz) and ¹³C NMR (100 MHz) spectra were recorded on Bruker Avance II 400 spectrometer using CDCl₃ as solvent and TMS as the

internal standard; the chemical shifts are expressed in δ ppm. Mass spectra were recorded on GCMS-QP 1000 EX and SHIMADZU LCMS 2020 mass spectrometers. Elemental microanalysis was performed on a Perkin–Elmer CHN-2400 analyzer.

General procedure for the synthesis of compounds 3a–i

Conventional method

To a stirred solution of substituted 2-hydroxy acetophenone **1** (1.19 ml, 10 mmol) and KOH (20 %, w/v aqueous solution) in ethanol (30 ml) was added 9-ethyl-9H-carbazol-3-carbaldehyde **2** (2.23 g, 10 mmol), and the mixture was stirred at room temperature for 6–8 h. After completion of reaction (as indicated by TLC), the reaction mixture was poured into ice-cold water and neutralized with dil. HCl. The yellow product formed was filtered and recrystallized from ethanol.

Table 2 Antimicrobial activity of synthesized compounds

Compd no.	Inhibition zone (mm)										
	Gram-positive bacteria				Gram-negative bacteria				Fungi		
	<i>S. aureus</i>		<i>B. subtilis</i>		<i>K. pneumoniae</i>		<i>E. coli</i>		<i>A. niger</i>	<i>A. flavus</i>	<i>F. oxysporum</i>
	Concentration (μg)										
	20	40	20	40	20	40	20	40	100	100	100
3a	13	23	12	25	12	23	11	20	7.5	4.8	7.1
3b	10	22	07	16	08	16	10	21	6.3	9.6	8.2
3c	12	23	11	20	09	16	09	17	3.8	5.4	4.4
3d	11	22	09	15	09	19	06	13	8.6	6.1	4.2
3e	11	20	09	13	08	15	06	12	2.7	5.2	8.0
3f	12	19	08	15	07	15	08	17	8.7	6.4	3.7
3g	08	15	09	15	04	08	02	07	2.6	7.4	7.9
3h	13	17	13	25	07	15	05	10	8.7	6.1	3.7
3i	14	20	12	25	09	17	09	16	2.6	7.8	3.9
4a	12	23	13	26	11	22	10	19	3.6	13.6	4.5
4b	11	22	06	14	06	12	05	12	15.8	5.0	17.4
4c	12	24	09	18	07	15	08	17	4.6	6.1	5.4
4d	10	20	09	17	07	16	08	17	2.5	6.2	4.4
4e	07	14	07	16	02	04	03	07	8.7	9.2	7.6
4f	09	17	08	13	04	07	05	10	8.4	11.4	4.3
4g	12	23	08	18	05	12	07	15	10.2	7.8	11.6
4h	13	23	12	23	06	13	08	16	7.8	9.4	6.8
4i	14	26	13	24	09	18	08	17	9.1	8.7	9.6
5a	13	24	12	25	12	27	18	29	8.3	8.7	8.8
5b	11	25	07	17	08	18	08	16	12.4	7.9	9.6
5c	11	23	09	18	09	17	08	15	8.4	6.1	8.2
5d	07	13	07	12	02	04	02	07	4.6	8.3	4.2
5e	11	21	08	16	07	14	06	11	7.8	5.6	7.9
5f	12	23	06	14	05	12	06	12	5.3	4.9	6.4
5g	10	19	10	19	08	16	05	12	2.5	12.8	5.6
5h	13	25	12	23	05	11	05	12	10.4	8.2	14.7
5i	13	25	14	26	06	11	06	13	7.3	7.1	7.8
strd 1	15	28	16	30	23	35	18	35	–	–	–
strd 2	–	–	–	–	–	–	–	–	14	12.5	15.2
strd 3	–	–	–	–	–	–	–	–	16.3	15.6	16.2

strd 1 Ciprofloxacin

strd 2 Amphotericin-B

strd 3 Clotrimazole

Microwave irradiation method

A mixture of substituted 2-hydroxy acetophenone **1** (1.19 ml, 10 mmol), 9-ethyl-9H-carbazol-3-carbaldehyde **2** (2.23 g, 10 mmol) and powdered KOH was taken in a quartz tube and inserted into a Teflon vial with screw capped, and then it was subjected to microwave irradiation at 180 watts for 5–8 min. As indicated by TLC, after completion of reaction, the reaction mixture was poured into

ice-cold water and neutralized with dil. HCl. The yellow product formed was filtered and recrystallized from ethanol.

(*E*)-3-(9-ethyl-9H-carbazol-3-yl)-1-(2-hydroxyphenyl) prop-2-en-1-one (**3a**) Yellow colored solid; m.p.: 141–143 °C; IR (KBr, cm^{-1}): 3442 (OH), 1630 (C=O); ^1H NMR (CDCl_3 , 400 MHz): δ 13.13 (s, 1H, Ar–OH), 8.40 (d, 1H, $J = 1.6$ Hz, Ar– $\text{H}_{4''}$), 8.19–8.14 (m, 2H, Ar– $\text{H}_{1''}$ and H_2), 8.03–8.02 (dd, 1H, $J = 7.6, 1.2$ Hz, Ar– $\text{H}_{2''}$), 7.72 (d,

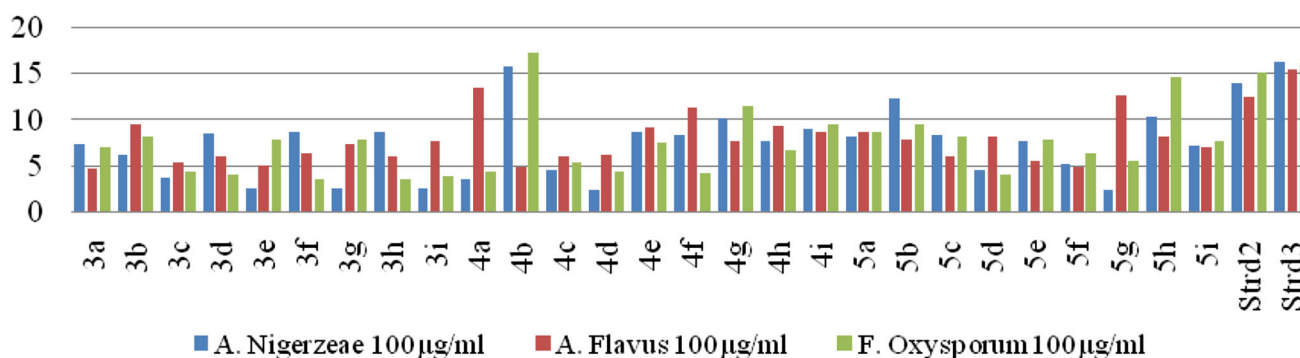


Fig. 4 Antifungal activity of synthesized compounds

Table 3 DPPH Radical scavenging activity of synthesized compounds 3a–i, 4a–i and 5a–i

Compound	DPPH radical scavenging activity	Compound	DPPH radical scavenging activity
3a	75.89 ± 1.58	4f	82.86 ± 1.18
3b	76.64 ± 1.08	4g	80.45 ± 1.28
3c	73.23 ± 1.21	4h	83.66 ± 1.12
3d	73.83 ± 1.27	4i	74.47 ± 1.25
3e	79.67 ± 1.34	5a	74.67 ± 1.24
3f	75.58 ± 1.84	5b	72.58 ± 1.84
3g	78.22 ± 1.45	5c	80.22 ± 1.25
3h	81.86 ± 1.12	5d	84.26 ± 1.72
3i	83.56 ± 1.07	5e	79.37 ± 1.34
4a	79.37 ± 1.34	5f	72.34 ± 1.46
4b	72.34 ± 1.36	5a	79.25 ± 1.05
4c	74.47 ± 1.15	5h	81.12 ± 1.12
4d	71.68 ± 1.36	5i	82.35 ± 1.03
4e	75.58 ± 1.85	Ascorbate	84.45 ± 2.42

1H, $J = 15.6$ Hz, H₂), 7.82–6.95 (m, 8H, Ar–H), 4.40 (q, 2H, N–CH₂), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 193.6 (C, C-1), 163.6 (C, C-2'), 147.0 (C, C-3), 141.7 (C, C-3''), 140.6 (C, C-1a''), 135.7 (C, C-4'), 129.5 (C, C-3'), 126.5 (C, C-6'), 126.5 (C, C-1'), 126.4 (C, C-4a''), 125.8 (C, C-8a''), 123.7 (C, C-1''), 122.9 (C, C-5a''), 121.8 (C, C-7''), 120.6 (C, C-5''), 120.4 (C, C-6''), 119.8 (C, C-2''), 118.5 (C, C-2), 117.0 (C, C-8''), 108.9 (C, C-4''), 37.7 (C, CH₂), 13.7 (C, CH₃); GC–MS: 341 (M⁺). Anal. Calc. for C₂₃H₁₉NO₂: C, 80.92; H, 5.61; N, 4.10. Found: C, 81.05; H, 5.68; N, 4.15.

(*E*)-3-(9-ethyl-9H-carbazol-3-yl)-1-(5-fluoro-2-hydroxyphenyl)prop-2-en-1-one (3b) Yellow colored solid; m.p.: 198–200 °C; IR (KBr, cm⁻¹): 3445 (OH), 1634 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 12.81 (s, 1H, Ar–OH), 8.40 (d, 1H, $J = 1.2$ Hz, Ar–H_{4''}), 8.20–8.15 (m, 2H, Ar–H_{1''} and H₂), 7.82–7.79 (dd, 1H, $J = 1.6, 6.8$ Hz, Ar–H_{2''}), 7.58 (d, 1H, $J = 15.2$ Hz, H₂), 7.68–6.98 (m, 7H, Ar–H), 4.39 (q, 2H,

N–CH₂), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 192.6 (C, C-1), 159.7 (C, C-2'), 156.0 (C, C-5'), 153.6, 148.1 (C, C-3), 141.8 (C, C-3''), 140.5 (C, C-1a''), 126.7 (C, C-6'), 126.5 (C, C-1'), 125.3 (C, C-3'), 123.6 (C, C-8a''), 123.4 (C, C-4a''), 123.2 (C, C-1''), 122.8 (C, C-5a''), 122.2, 120.7, 120.6 (C, C-5''), 119.9 (C, C-6''), 119.7 (C, C-2), 119.6 (C, C-2''), 116.0 (C, C-8''), 114.4 (C, C-4'), 114.3 (C, C-4''), 37.8 (C, CH₂), 13.8 (C, CH₃); GC–MS: 359 (M⁺). Anal. Calc. for C₂₃H₁₈FNO₂: C, 76.86; H, 5.05; N, 3.90. Found: C, 76.95; H, 5.13; N, 3.95.

(*E*)-1-(5-chloro-2-hydroxyphenyl)-3-(9-ethyl-9H-carbazol-3-yl)prop-2-en-1-one (3c) Yellow colored solid; m.p.: 148–150 °C; IR (KBr, cm⁻¹): 3424 (OH), 1632 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 13.04 (s, 1H, Ar–OH), 8.43 (d, 1H, $J = 1.2$ Hz, Ar–H_{4''}), 8.21–8.17 (m, 2H, Ar–H_{1''} and H₂), 7.96 (d, 1H, $J = 2.4$ Hz, Ar–H_{6'}), 7.83–7.81 (dd, 1H, $J = 1.6, 7.2$ Hz, Ar–H_{2''}), 7.76–7.30 (m, 6H, Ar–H), 6.99 (d, 1H, $J = 9.2$ Hz, Ar–H_{3'}), 4.40 (q, 2H, N–CH₂), 1.47 (t,

3H, CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 192.6 (C, C-1), 162.0 (C, C-2'), 148.3 (C, C-3), 141.9 (C, C-3''), 140.5 (C, C-1a''), 135.7 (C, C-4'), 128.7 (C, C-6'), 126.8 (C, C-8a''), 126.5 (C, C-1'), 125.3 (C, C-1''), 123.6 (C, C-5'), 123.3 (C, C-5a''), 122.8 (C, C-3'), 121.9 (C, C-7''), 120.9 (C, C-5''), 120.7 (C, C-6''), 119.9 (C, C-2''), 115.9 (C, C-8''), 109.0 (C, C-4''), 37.8 (C, CH₂), 13.8 (C, CH₃); GC–MS: 375 (M⁺). Anal. Calc. for C₂₃H₁₈ClNO₂: C, 73.50; H, 4.83; N, 3.73. Found: C, 73.61; H, 4.88; N, 3.77.

(*E*)-1-(5-bromo-2-hydroxyphenyl)-3-(9-ethyl-9H-carbazol-3-yl)prop-2-en-1-one (**3d**) Yellow colored solid; m.p.: 134–136 °C; IR (KBr, cm⁻¹): 3442 (OH), 1627 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 13.06 (s, 1H, Ar–OH), 8.43 (d, 1H, *J* = 2.0 Hz, Ar–H_{4''}), 8.22–8.18 (m, 2H, Ar–H_{1''} and H₂), 8.10 (d, 1H, *J* = 2.8 Hz, Ar–H_{6'}), 7.83 (dd, 1H, *J* = 2.0, 9.0 Hz, Ar–H_{2''}), 7.61 (d, 1H, *J* = 14.8 Hz, H₂), 7.58–7.30 (m, 5H, Ar–H), 6.94 (d, 1H, *J* = 8.8 Hz, Ar–H_{3'}), 4.40 (q, 2H, N–CH₂), 1.46 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 192.5 (C, C-1), 162.3 (C, C-2'), 148.3 (C, C-3), 141.9 (C, C-3''), 140.5 (C, C-1a''), 138.4 (C, C-4'), 131.7 (C, C-6'), 126.9 (C, C-4a''), 126.9 (C, C-1'), 126.5 (C, C-5'), 125.3 (C, C-8a''), 123.6 (C, C-1''), 122.8 (C, C-5a''), 122.3 (C, C-7''), 121.5 (C, C-5''), 120.8 (C, C-6''), 120.5 (C, C-2''), 115.9 (C, C-3'), 110.3 (C, C-8''), 109.0 (C, C-4''), 37.8 (C, CH₂), 13.8 (C, CH₃); GC–MS: 419 (M⁺). Anal. Calc. for C₂₃H₁₈BrNO₂: C, 65.73; H, 4.32; N, 3.33. Found: C, 65.78; H, 4.38; N, 3.36.

(*E*)-3-(9-ethyl-9H-carbazol-3-yl)-1-(2-hydroxy-5-methylphenyl)prop-2-en-1-one (**3e**) Yellow colored solid; m.p.: 174–176 °C; IR (KBr, cm⁻¹): 3430 (OH), 1636 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 12.90 (s, 1H, Ar–OH), 8.42 (d, 1H, *J* = 1.6 Hz, Ar–H_{4''}), 8.18–8.14 (m, 2H, Ar–H_{1''} and H₂), 7.84–7.81 (dd, 1H, *J* = 1.6, 7.2 Hz, Ar–H_{2''}), 7.78 (s, 1H, Ar–H_{6'}), 7.71 (d, 1H, *J* = 15.6 Hz, H₂), 7.54–7.28 (m, 5H, Ar–H), 6.94 (d, 1H, *J* = 8.4 Hz, Ar–H_{3'}), 4.40 (q, 2H, N–CH₂), 2.39 (s, 3H, Ar–CH₃), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 191.9 (C, C-1), 161.5 (C, C-2'), 147.0 (C, C-3), 141.5 (C, C-3''), 140.5 (C, C-1a''), 137.0 (C, C-4'), 131.1 (C, C-5'), 129.2 (C, C-4a''), 127.7 (C, C-5a''), 126.7 (C, C-1'), 126.5 (C, C-6'), 126.4 (C, C-8a''), 125.7 (C, C-1''), 122.8 (C, C-7''), 121.9 (C, C-6''), 119.8 (C, C-5''), 118.3 (C, C-2''), 116.8 (C, C-3'), 107.5 (C, C-4''), 101.0, 37.8 (C, CH₂), 20.7 (C, Ar–CH₃), 13.8 (C, CH₃); GC–MS: 355 (M⁺). Anal. Calc. for C₂₄H₂₁NO₂: C, 81.10; H, 5.96; N, 3.94. Found: C, 81.17; H, 6.02; N, 3.96.

(*E*)-1-(5-chloro-2-hydroxy-4-methylphenyl)-3-(9-ethyl-9H-carbazol-3-yl)prop-2-en-1-one (**3f**) Yellow colored solid; m.p.: 188–190 °C; IR (KBr, cm⁻¹): 3436 (OH), 1624 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 13.00 (s, 1H, Ar–OH), 8.42 (d, 1H, *J* = 2.0 Hz, Ar–H_{4''}), 8.19–8.15 (m, 2H, Ar–H_{1''} and H₂), 7.93 (s, 1H, Ar–H_{6'}), 7.82 (dd, 1H,

J = 1.6, 6.8 Hz, Ar–H_{2''}), 7.59 (d, 1H, *J* = 15.6 Hz, H₂), 7.54–7.29 (m, 4H, Ar–H), 6.91 (s, 1H, Ar–H_{3'}), 4.41 (q, 2H, N–CH₂), 2.40 (s, 3H, Ar–CH₃), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 192.2 (C, C-1), 162.0 (C, C-2'), 147.7 (C, C-3), 145.0 (C, C-4'), 141.8 (C, C-3''), 140.5 (C, C-1a''), 129.1 (C, C-6'), 126.8 (C, C-5'), 126.5 (C, C-1'), 124.0 (C, C-1''), 123.6 (C, C-3'), 122.8 (C, C-5a''), 122.1 (C, C-7''), 120.7 (C, C-5''), 120.5 (C, C-2''), 120.3 (C, C-6''), 119.9 (C, C-2), 119.2 (C, C-4a''), 116.1 (C, C-8''), 109.0 (C, C-4''), 37.8 (C, CH₂), 20.8 (C, Ar–CH₃), 13.8 (C, CH₃); GC–MS: 389 (M⁺). Anal. Calc. for C₂₄H₂₀ClNO₂: C, 73.94; H, 5.17; N, 3.59. Found: C, 74.04; H, 5.19; N, 3.65.

(*E*)-1-(3,5-dichloro-2-hydroxyphenyl)-3-(9-ethyl-9H-carbazol-3-yl)prop-2-en-1-one (**3g**) Yellow colored solid; m.p.: 168–170 °C; IR (KBr, cm⁻¹): 3443 (OH), 1629 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 13.84 (br. s, 1H, Ar–OH), 8.44 (d, 1H, *J* = 1.6 Hz, Ar–H_{4''}), 8.25 (d, 1H, *J* = 15.2 Hz, H₂), 8.18 (d, 1H, *J* = 8.0 Hz, Ar–H_{1''}), 7.90 (d, 1H, *J* = 2.8 Hz, Ar–H_{6'}), 7.83 (dd, 1H, *J* = 2.0, 7.6 Hz, Ar–H_{2''}), 7.59 (d, 1H, *J* = 15.2 Hz, H₂), 7.64–7.32 (m, 5H, Ar–H), 4.41 (q, 2H, N–CH₂), 1.48 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 192.2 (C, C-1), 162.5 (C, C-2'), 149.4 (C, C-3), 142.1 (C, C-3''), 140.5 (C, C-1a''), 135.2 (C, C-4'), 129.6 (C, C-6'), 128.4 (C, C-5'), 127.3 (C, C-4a''), 127.0 (C, C-3'), 126.6 (C, C-1'), 125.1, 123.7 (C, C-8a''), 123.0 (C, C-1''), 122.8 (C, C-5a''), 122.5 (C, C-7''), 121.3 (C, C-5''), 120.7 (C, C-6''), 120.1 (C, C-2''), 115.2 (C, C-8''), 109.1 (C, C-4''), 109.0 (C, C-2), 37.9 (C, CH₂), 13.8 (C, CH₃); GC–MS: 409 (M⁺). Anal. Calc. for C₂₃H₁₇Cl₂NO₂: C, 67.33; H, 4.18; N, 3.41. Found: C, 67.41; H, 4.21; N, 3.46.

(*E*)-3-(9-ethyl-9H-carbazol-3-yl)-1-(2-hydroxy-4-methoxyphenyl)prop-2-en-1-one (**3h**) Pale yellow colored solid; m.p.: 156–160 °C; IR (KBr, cm⁻¹): 3436 (OH), 1648 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 13.72 (s, 1H, Ar–OH), 8.39 (d, 1H, *J* = 1.6 Hz, Ar–H_{4''}), 8.17–8.14 (m, 2H, Ar–H_{1''} and H₂), 7.93 (d, 1H, *J* = 8.8 Hz, Ar–H_{6'}), 7.81–7.78 (dd, 1H, *J* = 2.0, 6.8 Hz, Ar–H_{2''}), 7.63 (d, 1H, *J* = 15.6 Hz, H₂), 7.54–7.28 (m, 4H, Ar–H), 6.53–6.49 (m, 2H, Ar–H), 4.39 (q, 2H, N–CH₂), 3.87 (s, 3H, –OCH₃), 1.46 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 191.9 (C, C-1), 166.6 (C, C-4'), 165.9 (C, C-2'), 146.1 (C, C-3), 141.5 (C, C-3''), 140.5 (C, C-1a''), 131.1 (C, C-6'), 126.5 (C, C-1'), 126.4 (C, C-4a''), 125.8 (C, C-1''), 123.5 (C, C-5a''), 122.8 (C, C-5'), 121.7 (C, C-7''), 120.6 (C, C-5''), 119.7 (C, C-6''), 116.9 (C, C-2''), 114.3 (C, C-2), 108.9 (C, C-8''), 108.8 (C, C-4''), 107.5 (C, C-3'), 101.0, 55.5 (C, O–CH₃), 37.8 (C, CH₂), 13.8 (C, CH₃); GC–MS: 371 (M⁺). Anal. Calc. for C₂₄H₂₁NO₃: C, 77.61; H, 5.70; N, 3.77. Found: C, 77.65; H, 5.75; N, 3.76.

(*E*)-1-(4-ethoxy-2-hydroxyphenyl)-3-(9-ethyl-9H-carbazol-3-yl)prop-2-en-1-one (**3i**) Pale yellow colored solid;

m.p.: 138–140 °C; IR (KBr, cm^{-1}): 3441 (OH), 1629 (C=O); ^1H NMR (CDCl_3 , 400 MHz): δ 13.7 (s, 1H, Ar-OH), 8.39 (d, 1H, $J = 2.0$ Hz, Ar-H $_{4''}$), 8.16–8.10 (m, 2H, Ar-H $_{1''}$ and H $_2$), 7.91 (d, 1H, Ar-H $_{6'}$), 7.63 (d, 1H, $J = 15.6$ Hz, H $_2$), 7.53–7.27 (m, 5H, Ar-H), 6.51–6.47 (m, 2H, Ar-H), 4.39 (q, 2H, N-CH $_2$), 4.10 (q, 2H, O-CH $_2$), 1.43–1.48 (m, 6H, 2 \times -CH $_3$); ^{13}C NMR (CDCl_3 , 100 MHz) δ 191.8 (C, C-1), 166.6 (C, C-4'), 165.3 (C, C-2'), 146.0 (C, C-3), 141.5 (C, C-3''), 140.5 (C, C-1a''), 131.1 (C, C-6'), 126.5 (C, C-1'), 126.4 (C, C-4a''), 125.8 (C, C-8a''), 123.5 (C, C-1''), 122.8 (C, C-5a''), 121.7 (C, C-7''), 120.6 (C, C-5''), 119.7 (C, C-6''), 116.9 (C, C-2''), 114.1 (C, C-2), 108.9 (C, C-5'), 108.8 (C, C-8''), 107.9 (C, C-4''), 101.4, 63.9 (C, O-CH $_2$), 37.8 (C, CH $_2$), 14.6 (C, CH $_3$), 13.8 (C, CH $_3$); GC-MS: 385 (M $^+$). Anal. Calc. for $\text{C}_{25}\text{H}_{23}\text{NO}_3$: C, 77.90; H, 6.01; N, 3.65. Found: C, 77.93; H, 6.04; N, 3.66.

General procedure for the synthesis of aurones 4a–i

Conventional method

To a stirred solution of cupric bromide (7.2 mmol) in DMSO (30 ml) was added substituted 2' hydroxy chalcone 3a–i (10 mmol) at room temperature and refluxed for 6–8 h. After completion of reaction, the reaction mixture was poured into ice-cold water and extracted with dichloromethane (2 \times 30 ml) and dried over Na_2SO_4 , purified by column chromatography using n-hexane: ethylacetate (9:1).

Microwave irradiation method

A mixture of substituted 2' hydroxy chalcone 3a–i (10 mmol) and cupric bromide (7.2 mmol) in DMSO (2 ml) was taken in a quartz tube and inserted into a Teflon vial with screw capped, and then it was subjected to microwave irradiation at 320 watts for 4–7 min. After completion of reaction (as indicated by TLC), the reaction mixture was poured into ice-cold water and extracted with dichloromethane (2 \times 30 ml) and dried over Na_2SO_4 , purified by column chromatography using n-hexane: ethylacetate (9:1).

(Z)-2-((9-ethyl-9H-carbazol-3-yl)methylene)benzofuran-3(2H)-one (4a) Yellow colored solid; m.p.: 176–178 °C; IR (KBr, cm^{-1}): 2976 (C–H), 1693 (C=O); ^1H NMR (CDCl_3 , 400 MHz): δ 8.69 (d, 1H, $J = 1.6$ Hz, Ar-H $_{4'}$), 8.19 (d, 1H, $J = 8$ Hz, Ar-H $_{1'}$), 8.08 (dd, 1H, $J = 1.6$, 7.2 Hz, Ar-H $_{2'}$), 7.84–7.21 (m, 8H, Ar-H), 7.17 (s, 1H, =C–H), 4.40 (q, 2H, N-CH $_2$), 1.47 (t, 3H, –CH $_3$); ^{13}C NMR (CDCl_3 , 100 MHz) δ 184.4 (C, C-3), 165.7 (C, C-7a), 145.7 (C, C-2), 140.8 (C, C-3'), 140.4 (C, C-1a'), 136.3 (C, C-6), 129.8 (C, C-4), 126.3 (C, C-3a), 124.6 (C,

C-5), 124.5 (C, C-7), 123.6 (C, C-8a'), 123.2 (C, C-1'), 123.1 (C, C-5a'), 122.9 (C, C-7'), 122.2 (C, C-5'), 120.7 (C, C-6'), 117.8 (C, C-2'), 115.4, 112.9 (C, C-4'), 109.0 (C, C-8'), 108.9 (C, =C–H), 37.8 (C, CH $_2$), 13.8 (C, CH $_3$); GC-MS: 339 (M $^+$). Anal. Calc. for $\text{C}_{23}\text{H}_{17}\text{NO}_2$: C, 81.40; H, 5.05; N, 4.13. Found: C, 81.53; H, 5.09; N, 4.22.

(Z)-2-((9-ethyl-9H-carbazol-3-yl)methylene)-5-fluorobenzofuran-3(2H)-one (4b) Yellow colored solid; m.p.: 168–170 °C; IR (KBr, cm^{-1}): 2972 (C–H), 1690 (C=O); ^1H NMR (CDCl_3 , 400 MHz): δ 8.68 (s, 1H, Ar-H $_{4'}$), 8.20 (d, 1H, $J = 7.6$ Hz, Ar-H $_{1'}$), 8.07 (d, 1H, $J = 8.4$ Hz, Ar-H $_{2'}$), 7.56–7.22 (m, 7H, Ar-H), 7.20 (s, 1H, =C–H), 4.41 (q, 2H, N-CH $_2$), 1.49 (s, 3H, –CH $_3$); ^{13}C NMR (CDCl_3 , 100 MHz): δ 183.8 (C, C-3), 164.0 (C, C-7a), 145.9 (C, C-2), 145.3 (C, C-5), 140.9 (C, C-3'), 140.4 (C, C-1a'), 129.8 (C, C-3a), 129.4 (C, C-7), 128.3 (C, C-6), 124.6 (C, C-4), 124.4 (C, C-8a'), 123.6 (C, C-1'), 122.9 (C, C-5a'), 121.2 (C, C-7'), 120.7 (C, C-5'), 119.8 (C, C-6'), 115.8 (C, C-2'), 114.7 (C, C-8'), 108.9 (C, =C–H), 37.7 (C, CH $_2$), 13.8 (C, CH $_3$); GC-MS: 357 (M $^+$). Anal. Calc. for $\text{C}_{23}\text{H}_{16}\text{FNO}_2$: C, 77.30; H, 4.51; N, 3.93. Found: C, 77.35; H, 4.59; N, 3.95.

(Z)-5-chloro-2-((9-ethyl-9H-carbazol-3-yl)methylene)benzofuran-3(2H)-one (4c) Yellow colored solid; m.p.: 178–181 °C IR (KBr, cm^{-1}): 2986 (C–H), 1686 (C=O); ^1H NMR (CDCl_3 , 400 MHz): δ 8.67 (d, 1H, $J = 1.2$ Hz Ar-H $_{4'}$), 8.19 (d, 1H, $J = 7.6$ Hz, Ar-H $_{1'}$), 8.06 (dd, 1H, $J = 2$ Hz, 7.6 Hz, Ar-H $_{2'}$), 7.80–7.31 (m, 7H, Ar-H), 7.19 (s, 1H, =C–H), 4.39 (q, 2H, N-CH $_2$), 1.47 (t, 3H, –CH $_3$); ^{13}C NMR (CDCl_3 , 100 MHz): δ 192.6 (C, C-3), 162.0 (C, C-7a), 148.3 (C, C-2), 141.9 (C, C-3'), 140.5 (C, C-1a'), 135.7 (C, C-6), 128.7 (C, C-4), 126.8 (C, C-4a'), 126.5 (C, C-3a), 125.3 (C, C-4'), 123.6 (C, C-8a'), 123.3 (C, C-1'), 122.8 (C, C-5a'), 122.3 (C, C-7'), 120.9 (C, C-5'), 120.7 (C, C-6'), 120.1 (C, C-7), 119.9 (C, C-2'), 115.8 (C, C-8'), 109.0 (C, =C–H), 37.8 (C, CH $_2$), 13.8 (C, CH $_3$); GC-MS: 373 (M $^+$). Anal. Calc. for $\text{C}_{23}\text{H}_{16}\text{ClNO}_2$: C, 77.90; H, 4.31; N, 3.75. Found: C, 77.95; H, 4.36; N, 3.79.

(Z)-5-bromo-2-((9-ethyl-9H-carbazol-3-yl)methylene)benzofuran-3(2H)-one (4d) Yellow colored solid; m.p.: 134–136 °C IR (KBr, cm^{-1}): 2923 (C–H), 1692 (C=O); ^1H NMR (CDCl_3 , 400 MHz): δ 8.65 (s, 1H, Ar-H $_{4'}$), 8.17 (d, 1H, $J = 8$ Hz, Ar-H $_{1'}$), 8.04 (d, 1H, $J = 8.0$ Hz, Ar-H $_{2'}$), 7.94 (s, 1H, Ar-H $_4$), 7.74–7.11 (m, 6H, Ar-H), 7.18 (s, 1H, =C–H), 4.39 (q, 2H, N-CH $_2$), 1.47 (t, 3H, –CH $_3$); ^{13}C NMR (CDCl_3 , 100 MHz) δ 192.6 (C, C-3), 162.0 (C, C-7a), 148.3 (C, C-2), 141.9 (C, C-3'), 140.5 (C, C-1a'), 135.7 (C, C-6), 128.7 (C, C-4), 126.8 (C, C-3a), 126.5 (C, C-7), 125.3 (C, C-8a'), 123.6 (C, C-1'), 123.3 (C, C-4a'), 122.8 (C, C-5a'), 122.3 (C, C-7'), 120.9 (C, C-4'), 120.7 (C, C-5'), 120.1 (C, C-6'), 119.9 (C, C-2'), 115.8 (C, C-8'),

109.0 (C, =C–H), 37.8 (C, CH₂), 13.8 (C, CH₃); GC–MS: 417 (M⁺). Anal. Calc. for C₂₃H₁₆BrNO₂: C, 66.04; H, 3.86; N, 3.35. Found: C, 66.09; H, 3.92; N, 3.39.

(Z)-2-((9-ethyl-9H-carbazol-3-yl)methylene)-5-methylbenzofuran-3(2H)-one (**4e**) Yellow colored solid; m.p.: 138–140 °C; IR (KBr, cm⁻¹): 2976 (C–H), 1693 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 8.68 (d, 1H, *J* = 1.2 Hz, Ar–H_{4'}), 8.19 (d, 1H, *J* = 7.6 Hz, Ar–H_{1'}), 8.07 (dd, 1H, *J* = 1.2, 7.2 Hz, Ar–H_{2'}), 7.62 (s, 1H, Ar–H_{6'}), 7.51–7.29 (m, 6H, Ar–H), 7.14 (s, 1H, =C–H), 4.40 (q, 2H, N–CH₂), 2.42 (s, 3H, Ar–CH₃), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz): δ 182.7 (C, C-3), 168.0 (C, C-7a), 146.5 (C, C-2), 140.6 (C, C-1a'), 137.4 (C, C-3'), 132.8 (C, C-6), 129.7 (C, C-5), 128.8 (C, C-4), 126.2 (C, C-3a), 125.5 (C, C-8a'), 124.4 (C, C-1'), 124.0 (C, C-4a'), 123.5 (C, C-7'), 122.8 (C, C-5a'), 121.9 (C, C-5'), 120.6 (C, C-6'), 119.7 (C, C-2'), 115.2 (C, C-8'), 114.9 (C, C-7), 112.4 (C, C-4'), 108.8 (C, =C–H), 37.7 (C, CH₂), 26.6, 13.8 (C, CH₃); GC–MS: 353 (M⁺). Anal. Calc. for C₂₄H₁₉NO₂: C, 81.56; H, 5.42; N, 3.96. Found: C, 81.61; H, 5.52; N, 3.99.

(Z)-5-chloro-2-((9-ethyl-9H-carbazol-3-yl)methylene)-6-methylbenzofuran-3(2H)-one (**4f**) Yellow colored solid; m.p.: 168–170 °C; IR (KBr, cm⁻¹): 2919 (C–H), 1692 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 8.62 (s, 1H, Ar–H_{4'}), 8.16 (d, 1H, *J* = 8.0 Hz, Ar–H_{1'}), 8.01 (d, 1H, *J* = 8.0 Hz, Ar–H_{2'}), 7.76 (s, 1H, Ar–H₄), 7.73–7.53 (m, 4H, Ar–H), 7.28 (s, 1H, Ar–H₆), 7.11 (s, 1H, =C–H), 4.37 (q, 2H, N–CH₂), 2.50 (s, 3H, Ar–CH₃), 1.46 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz): δ 188.8 (C, C-3), 164.0 (C, C-7a), 145.9 (C, C-2), 145.3 (C, C-6), 140.9 (C, C-3'), 140.4 (C, C-1a'), 129.8 (C, C-4), 129.4 (C, C-5), 128.3 (C, C-4a'), 124.6 (C, C-8a'), 124.1 (C, C-1'), 123.6 (C, C-5a'), 122.9 (C, C-7'), 121.2 (C, C-5'), 120.7 (C, C-6'), 119.8 (C, C-2'), 118.5 (C, C-7), 115.8 (C, C-3a), 114.7 (C, C-8'), 109.0 (C, =C–H), 108.9 (C, C-4'), 37.7 (C, CH₂), 21.7 (C, Ar–CH₃), 13.8 (C, CH₃); GC–MS: 387 (M⁺). Anal. Calc. for C₂₄H₁₈ClNO₂: C, 74.32; H, 4.68; N, 3.61. Found: C, 74.35; H, 4.71; N, 3.65.

(Z)-5,7-dichloro-2-((9-ethyl-9H-carbazol-3-yl)methylene)benzofuran-3(2H)-one (**4g**) Yellow colored solid; m.p.: 238–241 °C; IR (KBr, cm⁻¹): 2923 (C–H), 1692 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 8.76 (s, 1H, Ar–H_{4'}), 8.15 (d, 1H, *J* = 7.6 Hz, Ar–H_{1'}), 8.05–8.03 (m, 2H, Ar–H_{2'} and Ar–H₅), 7.56–7.30 (m, 5H, Ar–H), 7.16 (s, 1H, Ar–H₃), 4.39 (q, 2H, N–CH₂), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz): δ 186.2 (C, C-3), 163.5 (C, C-7a), 145.0 (C, C-2), 140.6 (C, C-3'), 135.2 (C, C-1a'), 130.2 (C, C-6), 129.5 (C, C-4), 129.3 (C, C-5), 128.6 (C, C-4a'), 127.6 (C, C-5a'), 126.8 (C, C-4'), 126.5 (C, C-3a), 125.9 (C, C-8a'), 125.4 (C, C-1'), 124.6 (C, C-7'), 123.8 (C, C-7), 122.4 (C,

C-5'), 120.4 (C, C-6'), 118.1 (C, C-2'), 109.2 (C, C-8'), 109.0 (C, =C–H), 31.4 (C, CH₂), 13.5 (C, CH₃); GC–MS: 407 (M⁺). Anal. Calc. for C₂₃H₁₅Cl₂NO₂: C, 67.66; H, 3.70; N, 3.43. Found: C, 67.71; H, 3.75; N, 3.47.

(Z)-2-((9-ethyl-9H-carbazol-3-yl)methylene)-6-methoxybenzofuran-3(2H)-one (**4h**) Yellow colored solid; m.p.: 196–198 °C; IR (KBr, cm⁻¹): 2978 (C–H), 1691 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 8.66 (d, 1H, *J* = 2.0 Hz, Ar–H_{4'}), 8.19 (d, 1H, *J* = 8.4 Hz, Ar–H_{1'}), 8.03 (dd, 1H, *J* = 2.0, 8.4 Hz, Ar–H_{2'}), 7.73 (d, 1H, *J* = 8.8 Hz, Ar–H₄), 7.53–7.28 (m, 4H, Ar–H), 7.08 (s, 1H, =C–H), 6.85–6.75 (m, 2H, Ar–H), 4.39 (q, 2H, N–CH₂), 3.95 (s, 3H, –OCH₃), 1.47 (t, 3H, –CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 182.8 (C, C-3), 168.1 (C, C-7a), 167.0 (C, C-6), 146.6 (C, C-2), 140.6 (C, C-3'), 140.4 (C, C-1a'), 129.5 (C, C-4), 126.3 (C, C-3a), 125.6 (C, C-8a'), 124.2 (C, C-1'), 123.6 (C, C-7'), 123.3 (C, C-5'), 122.9 (C, C-5'), 120.7 (C, C-6'), 119.6 (C, C-2'), 115.4 (C, C-8'), 114.1 (C, C-5), 111.9 (C, C-4'), 108.8 (C, =C–H), 96.6 (C, C-7), 56.0 (C, O–CH₃), 37.7 (C, CH₂), 13.8 (C, CH₃); GC–MS: 369 (M⁺). Anal. Calc. for C₂₄H₁₉NO₃: C, 78.03; H, 5.18; N, 3.79. Found: C, 78.08; H, 5.23; N, 3.83.

(Z)-6-ethoxy-2-((9-ethyl-9H-carbazol-3-yl)methylene)benzofuran-3(2H)-one (**4i**) Yellow colored solid; m.p.: 145–147 °C; IR (KBr, cm⁻¹): 2957 (C–H), 1690 (C=O); ¹H NMR (CDCl₃, 400 MHz): δ 8.64 (d, 1H, *J* = 2.0 Hz, Ar–H_{4'}), 8.18 (d, 1H, *J* = 8.8 Hz, Ar–H_{1'}), 8.04 (dd, 1H, *J* = 2.0, 8.4 Hz, Ar–H_{2'}), 7.72 (d, 1H, *J* = 8.8 Hz, Ar–H₄), 7.56–7.25 (m, 4H, Ar–H), 7.10 (s, 1H, =C–H), 6.85–6.77 (m, 2H, Ar–H), 4.39 (q, 2H, N–CH₂), 4.10 (q, 2H, O–CH₂), 1.49–1.51 (m, 6H, 2×–CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 182.8 (C, C-3), 168.1 (C, C-7a), 166.4 (C, C-6), 146.6 (C, C-2), 140.6 (C, C-3'), 140.4 (C, C-1a'), 129.5 (C, C-4), 126.2 (C, C-3a), 126.1 (C, C-8a'), 125.6 (C, C-5a'), 124.4 (C, C-1'), 123.6 (C, C-7'), 123.3, 122.9 (C, C-5'), 120.7 (C, C-6'), 119.6 (C, C-2'), 115.2 (C, C-8'), 114.0 (C, C-5), 112.3 (C, C-4'), 108.8 (C, =C–H), 96.9 (C, C-7), 64.4, 37.7 (C, CH₂), 14.6 (C, CH₃), 13.8 (C, CH₃); GC–MS: 383 (M⁺). Anal. Calc. for C₂₅H₂₁NO₃: C, 78.31; H, 5.52; N, 3.65. Found: C, 78.36; H, 5.57; N, 3.69.

General procedure for the synthesis of flavones 5a–i

Conventional method

To a stirred solution of iodine (20 mol%) in DMSO (20 ml) was added substituted 2' hydroxy chalcone **3** (10 mmol) at room temperature and refluxed for 4–6 h. After completion of reaction, the reaction mixture was poured into ice-cold water and extracted with dichloromethane (2 × 30 ml) and dried over Na₂SO₄, purified by column chromatography using hexane: ethylacetate (9:1).

Microwave irradiation method

A mixture of substituted 2' hydroxy chalcone **3** (10 mmol) and iodine (20 mol%) in 2 ml of DMSO was taken in a quartz tube and inserted into a Teflon vial with screw capped, and then it was subjected to microwave irradiation at 320 watts for 4–7 min. After completion of reaction (as indicated by TLC), the reaction mixture was poured into ice-cold water and extracted with dichloromethane (2 × 30 ml) and dried over Na₂SO₄, purified by column chromatography using hexane: ethylacetate (9:1).

2-(9-Ethyl-9H-carbazol-3-yl)-4H-chromen-4-one (5a)

Pale yellow colored solid; m.p.: 168–171 °C; IR (KBr, cm⁻¹): 1652 (C=O), 1586 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.71 (d, 1H, Ar-H_{4'}), 8.27–8.19 (m, 2H, Ar-H), 8.03 (dd, 1H, *J* = 1.6, 8.4 Hz, Ar-H), 7.75–7.32 (m, 7H, Ar-H), 6.94 (s, 1H, Ar-H₃), 4.41 (q, 2H, N-CH₂), 1.48 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz): 174.4 (C, C-4), 164.7 (C, C-2), 156.3 (C, C-8a), 141.8 (C, C-1a'), 140.5 (C, C-7), 133.4 (C, C-3'), 126.6 (C, C-4a), 125.6 (C, C-8a'), 125.0 (C, C-1'), 124.0 (C, C-4a'), 123.9 (C, C-5), 123.3 (C, C-5a'), 122.8 (C, C-6), 122.1 (C, C-8), 120.7 (C, C-5'), 119.9 (C, C-7'), 119.0 (C, C-4'), 118.0 (C, C-2'), 109.0 (C, C-6'), 108.8 (C, C-8'), 106.1 (C, C-3), 37.8 (C, CH₂), 13.8 (C, CH₃); ESI-MS: 340 (M + H)⁺. Anal. Calc. for C₂₃H₁₇NO₂: C, 81.40; H, 5.05; N, 4.13. Found: C, 81.49; H, 5.11; N, 4.19.

2-(9-Ethyl-9H-carbazol-3-yl)-6-fluoro-4H-chromen-4-one (5b)

Pale yellow colored solid; m.p.: 222–224 °C; IR (KBr, cm⁻¹): 1640 (C=O), 1597 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.67 (s, 1H, Ar-H_{4'}), 8.18 (d, 1H, *J* = 7.6 Hz, Ar-H_{1'}), 7.99 (d, 1H, *J* = 8.4 Hz, Ar-H_{2'}), 7.88–7.30 (m, 7H, Ar-H), 6.90 (s, 1H, Ar-H₃), 4.41 (q, 2H, N-CH₂), 1.48 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz): 177.5 (C, C-4), 165.0 (C, C-6), 160.7 (C, C-2), 152.5 (C, C-8a), 141.9 (C, C-7), 140.6 (C, C-1a'), 126.7 (C, C-3'), 125.3 (C, C-4a), 123.9 (C, C-8a'), 122.8 (C, C-1'), 121.8 (C, C-4a'), 121.6 (C, C-5), 121.3 (C, C-5a'), 120.0 (C, C-6), 119.1 (C, C-8), 110.7 (C, C-5'), 110.5 (C, C-7'), 109.0 (C, C-4'), 108.9 (C, C-8'), 105.5 (C, C-6'), 37.8 (C, CH₂), 13.8 (C, CH₃); ESI-MS: 358 (M + H)⁺. Anal. Calc. for C₂₃H₁₆FNO₂: C, 77.30; H, 4.51; N, 3.92. Found: C, 77.35; H, 4.57; N, 3.96.

6-Chloro-2-(9-ethyl-9H-carbazol-3-yl)-4H-chromen-4-one (5c)

Pale yellow colored solid; m.p.: 210–212 °C; IR (KBr, cm⁻¹): 1658 (C=O), 1596 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.69 (d, 1H, *J* = 1.2 Hz, Ar-H_{4'}), 8.40 (s, 1H, Ar-H₅), 8.20 (m, 2H, Ar-H_{2'} and H_{1'}), 7.93–7.31 (m, 6H, Ar-H), 6.93 (s, 1H, Ar-H₃), 4.42 (q, 2H, N-CH₂), 1.48 (t, 3H, -CH₂-CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 188.9

(C, C-4), 152.4 (C, C-2), 142.7 (C, C-8a), 140.6 (C, C-1a'), 139.4 (C, C-7), 134.7 (C, C-3'), 133.5 (C, C-6), 131.1 (C, C-4a), 130.1 (C, C-8a'), 129.6 (C, C-1'), 129.0 (C, C-4a'), 127.3 (C, C-5), 126.6 (C, C-5a'), 123.2 (C, C-6), 122.8 (C, C-8), 122.3 (C, C-5'), 121.9 (C, C-7'), 120.7 (C, C-4'), 119.4 (C, C-6'), 118.6 (C, C-3), 109.0 (C, C-8'), 39.9 (C, CH₂), 13.8 (C, CH₃); ESI-MS: 374 (M + H)⁺. Anal. Calc. for C₂₃H₁₆ClNO₂: C, 77.90; H, 4.31; N, 3.75. Found: C, 77.96; H, 4.35; N, 3.79.

6-Bromo-2-(9-ethyl-9H-carbazol-3-yl)-4H-chromen-4-one (5d)

Pale yellow colored solid; m.p.: 178–180 °C; IR (KBr, cm⁻¹): 1640 (C=O), 1596 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.69 (d, 1H, *J* = 2.0 Hz, Ar-H_{4'}), 8.38 (d, 1H, *J* = 2.4 Hz, Ar-H₅), 8.20 (d, 1H, *J* = 8.0 Hz, Ar-H_{1'}), 8.00 (dd, 1H, *J* = 1.6, 6.8 Hz, Ar-H_{2'}), 7.78–7.32 (m, 6H, Ar-H), 6.94 (s, 1H, Ar-H₃), 4.43 (q, 2H, N-CH₂), 1.49 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 177.0 (C, C-4), 165.0 (C, C-2), 155.1 (C, C-8a), 141.9 (C, C-7), 140.6 (C, C-1a'), 136.4 (C, C-3'), 128.3 (C, C-4a), 126.7 (C, C-8a'), 125.4 (C, C-1'), 123.4 (C, C-4a'), 122.8 (C, C-5), 121.7 (C, C-5a'), 120.7 (C, C-6), 120.0 (C, C-8), 119.2 (C, C-5'), 118.4 (C, C-7'), 109.1 (C, C-4'), 108.9 (C, C-8'), 106.1 (C, C-2'), 37.8 (C, CH₂), 13.8 (C, CH₃); ESI-MS: 418 (M + H)⁺. Anal. Calc. for C₂₃H₁₆BrNO₂: C, 66.04; H, 3.86; N, 3.35. Found: C, 66.11; H, 3.91; N, 3.37.

2-(9-Ethyl-9H-carbazol-3-yl)-6-methyl-4H-chromen-4-one (5e)

Pale yellow colored solid; m.p.: 226–228 °C; IR (KBr, cm⁻¹): 1629 (C=O), 1610, 1598 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.70 (d, 1H, *J* = 1.6 Hz, Ar-H_{4'}), 8.18 (d, 1H, *J* = 7.6 Hz, Ar-H_{1'}), 8.04–8.00 (m, 2H, Ar-H_{2'} and Ar-H₅), 7.57–7.31 (m, 6H, Ar-H), 6.92 (s, 1H, Ar-H₃), 4.41 (q, 2H, N-CH₂), 2.48 (s, 3H, Ar-CH₃), 1.48 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 178.4 (C, C-4), 164.6 (C, C-2), 164.5 (C, C-8a), 141.7 (C, C-7), 140.5 (C, C-1a'), 134.8 (C, C-1a'), 134.6 (C, C-6), 126.5 (C, C-3'), 125.0 (C, C-4a), 123.9 (C, C-8a'), 123.7 (C, C-1'), 123.3 (C, C-4a'), 122.8 (C, C-5), 122.2 (C, C-5a'), 120.7 (C, C-8), 119.9 (C, C-5'), 119.0 (C, C-7'), 117.7 (C, C-4'), 109.0 (C, C-6'), 108.9 (C, C-8'), 106.0 (C, C-2'), 37.4 (C, CH₂), 20.9 (C, Ar-CH₃), 13.8 (C, CH₃); ESI-MS: 354 (M + H)⁺. Anal. Calc. for C₂₄H₁₉NO₂: C, 81.56; H, 5.42; N, 3.96. Found: C, 81.60; H, 5.49; N, 3.99.

6-Chloro-2-(9-ethyl-9H-carbazol-3-yl)-7-methyl-4H-chromen-4-one (5f)

Pale yellow colored solid; m.p.: 219–221 °C; IR (KBr, cm⁻¹): 1638 (C=O), 1594 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.66 (d, 1H, *J* = 1.2 Hz, Ar-H_{4'}), 8.36 (s, 1H, Ar-H₅), 8.18 (d, 1H, *J* = 8.0 Hz, Ar-H_{1'}), 7.98 (dd, 1H, *J* = 1.6, 8.0 Hz, Ar-H_{2'}), 7.77–7.30 (m, 5H, Ar-H), 6.89 (s, 1H, Ar-H₃), 4.39 (q, 2H, N-CH₂), 2.52

(s, 3H, Ar-CH₃), 1.47 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 176.9 (C, C-4), 164.9 (C, C-2), 155.0 (C, C-8a), 141.9 (C, C-7), 140.5 (C, C-1a'), 136.2 (C, C-3'), 128.2 (C, C-6), 126.7 (C, C-4a), 125.3 (C, C-8a'), 123.9 (C, C-1'), 123.3 (C, C-4a'), 122.7 (C, C-5), 121.6 (C, C-5a'), 120.6 (C, C-6), 120.0 (C, C-8), 119.9 (C, C-5'), 118.3 (C, C-7'), 109.0 (C, C-4'), 108.9 (C, C-8'), 105.9 (C, C-6'), 37.8 (C, CH₂), 22.6 (C, Ar-CH₃), 13.8 (C, CH₃); ESI-MS: 388 (M + H)⁺. Anal. Calc. for C₂₄H₁₈ClNO₂: C, 74.32; H, 4.68; N, 3.61. Found: C, 74.37; H, 4.75; N, 3.67.

6,8-Dichloro-2-(9-ethyl-9H-carbazol-3-yl)-4H-chromen-4-one (5g) Pale yellow colored solid; m.p.: 216–218 °C; IR (KBr, cm⁻¹): 1637 (C=O), 1593 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.67 (d, 1H, *J* = 1.6 Hz, Ar-H_{4'}), 8.15 (d, 1H, *J* = 7.6 Hz, Ar-H_{1'}), 8.03–8.00 (m, 2H, Ar-H_{2'} and Ar-H₅), 7.62–7.30 (m, 5H, Ar-H), 6.89 (s, 1H, Ar-H₃), 4.39 (q, 2H, N-CH₂), 1.47 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 176.3 (C, C-4), 164.3 (C, C-2), 155.2 (C, C-8a), 140.6 (C, C-1a'), 139.8 (C, C-7), 135.4 (C, C-3'), 133.3 (C, C-6), 130.4 (C, C-8), 129.5 (C, C-4a), 126.7 (C, C-8a'), 124.0 (C, C-1'), 123.7 (C, C-4a'), 122.6 (C, C-5), 121.1 (C, C-5a'), 119.2 (C, C-6'), 117.3 (C, C-5'), 115.8 (C, C-7'), 112.7 (C, C-4'), 110.1 (C, C-8'), 109.1 (C, C-2'), 105.5 (C, C-3), 37.9 (C, CH₂), 13.8 (C, CH₃); ESI-MS: 408 (M + H)⁺. Anal. Calc. for C₂₃H₁₅Cl₂NO₂: C, 67.66; H, 3.70; N, 3.43. Found: C, 67.73; H, 3.76; N, 3.47.

2-(9-Ethyl-9H-carbazol-3-yl)-7-methoxy-4H-chromen-4-one (5h) Yellow colored solid; m.p.: 214–216 °C; IR (KBr, cm⁻¹): 1620 (C=O), 1593 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.67 (d, 1H, *J* = 1.6 Hz, Ar-H_{4'}), 8.20–8.14 (m, 2H, Ar-H_{1'} and Ar-H₆), 7.98 (dd, 1H, *J* = 2.0, 6.8 Hz, Ar-H_{2'}), 7.55–6.98 (m, 6H, Ar-H), 6.97 (s, 1H, Ar-H₃), 4.40 (q, 2H, N-CH₂), 3.96 (s, 3H, -OCH₃), 1.47 (t, 3H, -CH₃); ¹³C NMR (CDCl₃, 100 MHz) δ 177.9 (C, C-4), 164.3 (C, C-2), 163.9 (C, C-7), 157.9 (C, C-8a), 141.6, 140.5 (C, C-1a'), 126.9 (C, C-3'), 126.5 (C, C-4a), 123.8 (C, C-8a'), 123.2 (C, C-1'), 122.8 (C, C-4a'), 122.1 (C, C-6), 120.6 (C, C-5), 119.8 (C, C-5a'), 118.8 (C, C-7'), 117.8 (C, C-4'), 114.1 (C, C-6'), 109.0 (C, C-2'), 108.8 (C, C-8'), 105.9 (C, C-3), 100.3 (C, C-8), 55.8 (C, OCH₃), 37.8 (C, CH₂), 13.8 (C, CH₃); ESI-MS: 370 (M + H)⁺. Anal. Calc. for C₂₄H₁₉NO₃: C, 78.03; H, 5.18; N, 3.79. Found: C, 78.07; H, 5.21; N, 3.85.

2-(9-Ethyl-9H-carbazol-3-yl)-7-methoxy-4H-chromen-4-one (5i) Pale yellow colored solid; m.p.: 208–210 °C; IR (KBr, cm⁻¹): 1620 (C=O), 1591 (C=C); ¹H NMR (CDCl₃, 400 MHz): δ 8.67 (d, 1H, *J* = 1.6 Hz, Ar-H_{4'}), 8.19 (d, 1H, *J* = 8.8 Hz, Ar-H_{1'}), 8.18 (d, 1H, *J* = 8.8 Hz, Ar-H₅), 8.14 (d, 1H, *J* = 8.8 Hz, Ar-H_{2'}), 7.56–7.26 (m, 4H, Ar-H), 7.03–6.94 (m, 2H, Ar-H), 6.46 (s, 1H, Ar-H₃), 4.38 (q,

2H, N-CH₂), 4.19 (q, 2H, O-CH₂), 1.53–1.46 (m, 6H, 2×-CH₃); ¹³C NMR (CDCl₃, 100 MHz): δ 176.9 (C, C-4), 163.2 (C, C-2), 162.3 (C, C-7), 157.0 (C, C-8a), 140.6 (C, C-1a'), 139.5 (C, C-3'), 125.9 (C, C-4a), 125.5 (C, C-8a'), 122.8 (C, C-1'), 122.2 (C, C-4a'), 121.8 (C, C-5), 121.2 (C, C-5a'), 119.6 (C, C-7'), 118.8 (C, C-4'), 117.8 (C, C-6'), 116.7 (C, C-6), 113.4 (C, C-5), 107.9 (C, C-8'), 107.8 (C, C-8), 105.0 (C, C-2'), 99.8 (C, C-3), 63.2 (C, OCH₂), 36.8 (C, CH₂), 13.6 (C, N-CH₂-CH₃), 12.8 (C, O-CH₂-CH₃); ESI-MS: 384 (M + H)⁺. Anal. Calc. for C₂₅H₂₁NO₃: C, 78.31; H, 5.52; N, 3.65. Found: C, 78.37; H, 5.55; N, 3.71.

Biological assay

Antimicrobials are one class of drugs prescribed for the treatment of simple infection to life-threatening infections. Nowadays, the antimicrobials resistance toward infection increases, and the toxic effects produced by these antimicrobials decrease its significance. So the scope for the synthesis of newer antimicrobials always exists.

The synthesized compounds were assayed against gram-positive and gram-negative bacterial and fungal cultures.

Antibacterial assay

All the synthesized compounds were evaluated for their in vitro antibacterial activity against gram-positive bacteria such as *S. aureus*, *B. subtilis* and gram-negative bacteria *E. coli* and *K. pneumoniae*. The bacterial cultures were grown in nutrient agar media and subcultured for the better growth (log-phase cultures) in a liquid nutrient broth medium and further subcultured onto the Petri plates for the experiments. The broth cultures were diluted with sterilized saline to bring the final size of inoculum approximately to 10⁵–10⁶ CFU/ml. The compounds were diluted in acetone, DMSO and diethyl ether for biological assays. Among the three solvents, diethyl ether is taken as the best solvent than the remaining two solvents. The bacterial culture inoculum was placed on the media and incubated at 37 °C for 24–48 h along with the chemical disks dipped and placed over the media. The zones of bacterial growth inhibition were measured using the diameter of the zone as an unit to measure the antibacterial activity. All the experiments were carried out in triplicates, and the results were expressed as zone of inhibition in millimeter. The results were compared with the activity of the standard antibiotic ciprofloxacin (20 and 40 µg/ml). For disk diffusion method, the test compound was introduced onto the disk and then allowed to dry. Once the disk was completely saturated with the test compound, then it was introduced onto the upper layer of the medium containing the bacterial inoculum. The Petri dishes were incubated overnight at 37 °C for 24 h.

Antifungal assay

The antifungal activity of synthesized compounds was tested against three pathogenic fungi, *F. oxysporum*, *A. niger* and *A. flavus*, by the poison plate technique. Test compounds were dissolved in diethyl ether (10 ml) before mixing with potato dextrose agar medium (PDA, 90 ml). The final concentration of compounds in the medium was maintained to be 100 µg/ml. Above-mentioned types of fungi were incubated in PDA at 25 ± 1 °C for 3–4 days to get good mycelium growth for antifungal assay; then, a mycelia disk of approximately 0.45 cm diameter cut from the culture medium was picked up with a sterilized inoculation needle and inoculated in the center of PDA plate. The inoculated plates were incubated at 25 ± 1 °C for 5 days. Diethyl ether in sterilized distilled water was used as control, while amphotericin-B and clotrimazole were used as standards for all the treatment; three replicates were performed. The radial growth of the fungal colonies was measured on the fourth day, and the data were statistically analyzed. The in vitro inhibition effects of the test compounds on the fungi were calculated by the given formula $CV = A - B/A$, where *A* represents the diameter of fungi growth on untreated PDA, *B* represents the diameter of fungi on treated PDA and *CV* represents the rate of inhibition.

DPPH radical scavenging activity

DPPH radical scavenging activity was measured by following the method of Cotelle *et al.* (1996), after standardization with some modifications. 3 ml of reaction mixture containing 0.2 ml of DPPH (100 µM in methanol) and 2.0 ml of test solution, at various concentrations (50, 100, 200 µg/ml) of the synthesized extracts, was incubated at 37 °C for 30 min; absorbance of the resulting solution was measured at 517 nm using Beckman model DU-40 spectrophotometer. Among these three concentrations, 100 µg/ml gave significant results, and we performed the reactions in triplicate. Compounds **3c**, **3g**, **3h**, **3i**, **4a**, **4g**, **4h**, **4i**, **5c**, **5d**, **5e**, **5h** and **5i** showed promising DPPH radical scavenging activity as compared with the standard.

Conclusion

Three series of nine chalcones, nine aurones and nine flavones possessing a carbazole group in lieu of phenyl ring were synthesized and screened for their antimicrobial and antioxidant activities. For antibacterial activity, *S. aureus*, *B. subtilis*, *E. coli* and *K. pneumonia* were used. For antifungal activity, *F. oxysporum*, *A. niger* and *A. flavus* were used. The results revealed that most of the compounds

shown promising activity against tested microorganisms which may be due to the increased lipophilic character of the molecules, which facilitates the crossing through biological membrane of the microorganism and therefore inhibits their growth, and the antioxidant activity of these compounds was very close to standard. In conclusion, we report a convenient, simple and high yielding route for the synthesis of chalcones, aurones and flavones derived from carbazole.

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