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Design, synthesis, characterization and biological evaluation, of some novel (*E*)-6-(benzyloxy)-2-(4-bromobenzylidene)-7-methylbenzofuran-3(2*H*)-one derivatives

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Synthesis of aurones and their derivatives have attracted considerable attention due to their significant biological effects investigated along with their corresponding chalcones against some bacterial as well as fungal strains. Title compound 6-(benzyloxy)-2-[(substitutedphenyl)methylidene]-7-methyl-1-benzofuran-3(2*H*)-one (**2a-i**) have been synthesized from 1-[4-benzyloxy-2-hydroxy-3-methyl phenyl]-3-(substituted phenyl)prop-2-en-1-one. The structural assignment of the compounds was based on elemental analysis and IR, ^{1}H NMR, LC Mass, and ^{13}C NMR spectral data. All the synthesized compounds have been screened for their antimicrobial activity to gram-positive and gram-negative bacterial strains and antifungal activity. The antimicrobial activities of the synthesized compounds have been compared with standard drugs like Gentamycin and K. Nystatin. Purity of synthesized compounds has been checked by TLC.

Keywords: Chalcones, Aurones, Polyphenols, Flavonoids, Anti-microbial activity

In the early twentieth century, Gustav Klein coined the term *anthochlor* (*anthos* = flower, *chlōrós* = yellowish) to define a class of water-soluble pigments conferring color to plants and able to synthesize them as secondary metabolites[1]. It included a restricted group of derivatives known as aurones (*aurum* = gold), due to the bright yellow/gold color that these compounds give to plants in which they are located.

Aurones **1** (Fig. 1) are part of the wide family of polyphenols. More specifically, they may be acknowledged as the lower structural counterparts of the best-known flavones **2** (Fig. 1), a subclass of flavonoids. Actually, as has been observed in detail, the basic structure of an aurone consists of a main 6:5 benzofuranone core, instead of the 6:6 chromane ring of flavone, but shares a 2-aryl decoration with the higher homologues[2].

Flavonoids belong to the recently popular photochemical, with potential beneficial effects on human health. Flavonoid plays an important role in plant growth, development and in defenses against micro-organisms and pests. The therapeutic effects of many traditional medicines may be related in many cases to the presence of these polyphenols. Benzalcoumaranone derivatives termed aurone occurs naturally along with the other plant pigments termed under the general head flavonoids. Aurones have numerous pharmacological effects including antibacterial[3-5], anti oxidant[6], antimalerial[7], Cytotoxic activity[8], anticancer[9] and several pharmacological activities[10-13], *etc*. Agrawal and Soni *et al.*[14] focused on 2-hydroxycalcones, achieving their cyclization to aurones in oxidant conditions by means of $Hg(OAc)_2$ in the presence of pyridine, $CuBr_2$ in DMSO was also used to induce alternative cyclization conditions, leading to aurones in comparable yields. Keeping this in view, it was thought worthwhile to synthesize new aurone derivatives for their biological potential (Fig. 2).

All the synthesized compounds were screened for their *in vitro* antibacterial activity by broth dilution method[15-17] and evaluated MIC against gram positive bacterial strains *Staphylococcus aureus* [MTCC 96], *Streptococcus pyogenes* [MTCC 442] and gram negative bacterial strains *Escherichia coli* [MTCC 443], *Pseudomonas aeruginosa* [MTCC 1688] at a concentration of 6.25µg/mL. The compounds were also screened for their anti-fungal activity and evaluated MIC against *Aspergillus niger* [MTCC 282] at a concentration of 6.25 µg/mL. The MIC values of synthesized compounds were compared with standard drugs like Gentamycin and K. Nystatin. The minimal inhibitor concentrations (MIC) of synthesized compounds are represented in Table 1.

Experimental Section

All the melting points were measured by open capillary method and are uncorrected. The IR absorption spectra (ν max in cm^{-1}) were recorded on a Shimadzu FTIR 8400 Spectrophotometer, ^1H NMR (δppm) and ^{13}C NMR spectra were recorded on a BRUKER (300 MHz) Spectrometer using TMS as internal standard. LC Mass spectra analysis performed on Agilent Technologies/6120 quadrupole LC/MS.

General procedure for the preparation of 1 - (3 - (4 - (benzyloxy) - 2 - hydroxy - 3 - methylphenyl) - 5 - (substituted phenyl) - 4, 5 - dihydro - 1*H* - pyrazol - 1 - yl) ethanone, 2a-i

A mixture of 1-[4-benzyloxy-2-hydroxy-3-methyl phenyl]-3-(substituted phenyl)prop-2-en-1-one (0.01 mol) was dissolved in DMSO (20 mL), mercuric acetate (3.0 gm, 0.01 mole) was added to it and the reaction mixture was refluxed on a water bath at 75-85°C for 5-6 hrs. The progress of reaction mass was monitored by TLC [Solvent system - Acetone: Toluene (3.5:6.5)]. The reaction mass was diluted with 400 mL of water with constant stirring. It was filtered, washed with water and the crude product was purified and recrystallized by ethanol filtrated, washed with ethanol, dried.

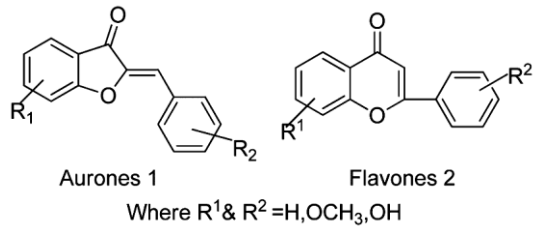


Fig. 1 — General structures of Aurones 1, and Flavones, 2.

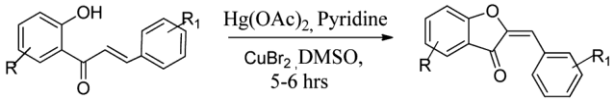


Fig. 2 — 2-Hydroxycalcones cyclization to yield Aurones

Synthesis of 6-(benzyloxy)-2-[(substituted phenyl) methylidene]-7-methyl-1-benzofuran-3(2*H*)-one, 2a-i

The reaction scheme for the synthesis of 6-(benzyloxy)-2-[(substituted phenyl)methylidene]-7-methyl-1-benzofuran-3(2*H*)-one is shown in Fig. 3.

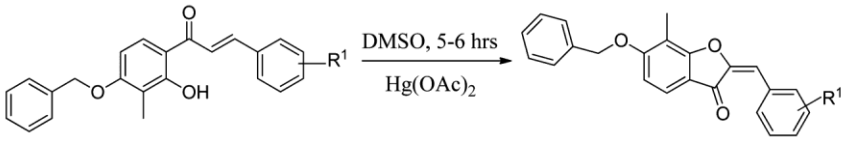
Where: R^1 = 3, 5(Cl)$_2$, 4-(OCH$_3$)$_2$, 3, 5-(OCH$_3$)$_2$, 2-Br,3-Br,4-Br,4-OH,3, 5- (OCH$_3$)$_2$, 3-OH, 4- OCH$_3$,3-OCH$_2$CH$_3$, 4- OCH$_3$, 2-Br 4, 5-(OCH$_3$)$_2$.

Similarly, all the other compounds [2a-i] were synthesized. Their physical constant and antimicrobial activity is recorded below:

(*E*)-6-(Benzyloxy)-2-(3,5-dichloro-4-methoxybenzylidene)-7-methylbenzofuran-3(2*H*)-one 2a: Yellow powder, Yield: 67%. m.p.120-125°C; Reaction time: 5.0 Hrs. Rf value: 0.46; Molecular Weight: 441.30. Anal. Calcd for $C_{24}H_{18}Cl_2O_4$: C-65.32, H-4.11, X-16.07; Found:C-65.35, H-4.13, X-16.12%.IR (cm^{-1}): 3028 (C-H str. arom.), 2979 (C-H

Table 1 — Antibacterial and Antifungal activities of 6-(benzyloxy)-2-[(substitutedphenyl) methylidene] -7-methyl-1-benzofuran-3(2*H*)-one(2-a-i)

		Minimal Inhibition Concentrations of Bacterial Strains (MIC) in µg/mL				Minimal Inhibition Concentrations of Fungal Strain (MIC) in µg/mL
Sr. No.	R^1	*S. aureus* MTCC 96	*S. pyogenus* MTCC 443	*E. coli* MTCC 442	*P. aeruginosa* MTCC 441	*C. albicans* MTCC 227
2a	3, 5(Cl)$_2$, 4-(OCH$_3$)$_2$	12.5	12.5	250	100	200
2b	3, 5-(OCH$_3$)$_2$	500	500	100	500	500
2c	2-Br	50	100	500	500	500
2d	3-Br	25	100	12.5	500	500
2e	4-Br	50	500	50	50	100
2f	4-OH,3, 5- (OCH$_3$) $_2$	100	50	50	500	500
2g	3-OH, 4- OCH$_3$	500	100	250	500	100
2h	3-OCH$_2$CH$_3$, 4- OCH$_3$	500	100	100	100	100
2i	2-Br 4, 5-(OCH$_3$)$_2$	25	25	12.5	6.25	100
Std. Drug	Gentamycin	0.05	1.0	0.25	0.5	-
	K.Nystatin	-	-	-	-	100



Where: R^1 = 3,5(Cl)$_2$, 4-(OCH$_3$)$_2$, 3,5-(OCH$_3$)$_2$, 2-Br,3-Br,4-Br,4-OH,3,5- (OCH$_3$)$_2$, 3-OH, 4-OCH$_3$,3-OCH$_2$CH$_3$, 4-OCH$_3$, 2-Br 4,5-(OCH$_3$)$_2$

Fig. 3 — Scheme for synthesis of 6-(benzyloxy)-2-[(substituted phenyl)methylidene]-7-methyl-1-benzofuran-3(2*H*)-one (2-a-i).

str. (asym) alkyl), 2851 (C-H str. (sym) alkyl), 1624 (C=O str., Aurone), 1564 (C=C str.),.1508 (C=C str. arom.), 1416 (C-H def (asym) alkyl), 1381 (C-H def (sym) alkyl), 1339 (C-O-C, five mem. Aurone), 1270 (C-O-C (sym) ether), 1146 (C-H i.p. def. arom.), 1052 (C-O-C (asym) ether), 817 (C-H o.o.p. def. arom.), ^{1}H NMR ($CDCl_3$) δppm: 2.49 (s, 3H, CH_3), 3.81 (s, 3H, OCH_3), 5.23 (s, 2H $CH_2C_6H_5$), 6.74 (s,1H, olefinic H), 7.067 (d, 1H, J=8.8Hz), 7.34-7.52 (m, 5H), 7.89 (d, 1H, J=1.6Hz), 7.79 (d, 1H, J=7.8Hz), 8.06 (d, 1H, J=8.8Hz). ^{13}C NMR ($CDCl_3$) δppm: 13.15, 63.50, 73.20, 110.58, 115.28, 116.80, 113.15, 122.26, 127.14, 127.45, 128.31, 128.73, 130.25, 131.55, 132.38, 133.67, 138.76, 148.52, 154.50, 160.12, 167.35, 188.86, MS: m/z: 443.30(M^{+2}), (M^+) 441.32.

(*E*)-6-(Benzyloxy)-2-(3,5-dimethoxybenzylidene)-7-methylbenzofuran-3(2*H*)-one 2b: Yellow solid, Yield: 70%. m.p. 135-140°C; Reaction time: 5.10 Hrs Rf value: 0.45; Molecular Weight: 402.15. Anal. Calcd for $C_{25}H_{22}O_5$: C-74.61, H-5.51; Found: C-74.64, H-5.52%; IR (cm^{-1}): 3042 (C-H str. arom.), 2986 (C-H str. (asym) alkyl), 2845 (C-H str. (sym) alkyl), 1624 (C=O str., Aurone), 1564 (C=C str.), 1512 (C=C str. arom.), 1412 (C-H def (asym) alkyl), 1380 (C-H def (sym) alkyl), 1341 (C-O-C, five mem. Aurone), 1278 (C-O-C (sym) ether), 1149 (C-H i.p. def arom.), 1062 (C-O-C (asym) ether), 807, 680-715 (C-H o.o.p. def. arom.), ^{1}H NMR ($CDCl_3$) δppm: 2.35 (s, 3H, CH_3), 3.80 (s, 6H, 2×OCH_3), 5.23 (s, 2H $CH_2C_6H_5$), 6.70 (s,1H, olefinic H), 6.70 (d, 1H, J=8.8Hz), 6.85 (d, 1H, J=8.8Hz), 7.02 (d, 1H, J=7.8Hz), 7.02 (d, 1H, J=7.8Hz), 7.36-7.55 (m, 5H), 7.92 (d, 1H, J=1.6Hz),^{13}C NMR (C DCl_3) δppm:8.46, 56.89, 70.11, 106.02, 109.10, 113.15, 114.85, 127.28, 127.82, 128.22, 129.93, 136.56, 137.67, 147.90, 159.08, 162.27, 166.17, 184.05.

(*Z*)-6-(Benzyloxy)-2-(2-bromobenzylidene)-7-methylbenzofuran-3(2*H*)-one 2c: Yellow palates, Yield: 70%. m.p. 150-155°C; Reaction time: 5.15 Hrs Rf value: 0.38; Molecular Weight: 421.28. Anal. Calcd for $C_{23}H_{17}$ BrO_3: C-65.57, H-4.72, Br-18.97; Found: C-65.55, H-4.71, Br-18.96%. IR (cm^{-1}): 3052 (C-H str. arom.), 2990 (C-H str. (asym) alkyl), 2851 (C-H str. (sym) alkyl), 1632 (C=O str., Aurone), 1571(C=C str.).1518 (C=C str. arom.), 1407 (C-H def (asym) alkyl), 1378(C-H def (sym) alkyl), 1345 (C-O-C, five mem. Aurone), 1281 (C-O-C (sym) ether), 1151 (C-H i.p. defarom.), 1070 (C-O-C (asym) ether), 740-768 (C-H o.o.p. def. arom.), ^{1}H NMR ($CDCl_3$) δppm: 2.27 (s, 3H, CH_3), 5.19 (s, 2H $CH_2C_6H_5$), 7.29 (s,1H, olefinic H), 6.68 (d, 1H, J=1.9Hz), 7.78 (d, 1H, J=2.1Hz), 7.20 (d, 1H, J=1.8Hz), 7.34 (d, 1H, J=2.2Hz), 7.37-7.53 (m, 5H), 7.29 (d, 1H, J=1.6Hz), 7.59 (d, 1H, J=1.9Hz), ^{13}C NMR ($CDCl_3$) δppm: 10.15, 73.28, 108.36, 112.90, 114.78, 115.91, 122.34, 127.15, 127.27, 128.23, 128.63, 129.52, 132.83, 136.20, 137.45, 146.95, 159.10, 166.05, 183.78.

(*E*)-6-(Benzyloxy)-2-(3-bromobenzylidene)-7-methylbenzofuran-3(2*H*)-one 2d: Pinkish yellow solid, Yield: 72%. m.p. 132-138°C; Reaction time: 5.25 Hrs Rf value: 0.55; Molecular Weight: 421.28. Anal. Calcd for $C_{23}H_{17}$ BrO_3: C-65.57, H-4.72, Br-18.97; Found: C-65.53, H-4.69, Br-18.92%. IR (cm^{-1}): 3057 (C-H str. arom.), 2992 (C-H str. (asym) alkyl), 2855 (C-H str. (sym) alkyl), 1637 (C=O str., Aurone), 1576 (C=C str.), 1520 (C=C str. arom.), 1411 (C-H def (asym) alkyl), 1381 (C-H def (sym) alkyl), 1347 (C-O-C, five mem. Aurone), 1285 (C-O-C (sym) ether), 1154 (C-H i.p. def arom.), 1072 (C-O-C (asym) ether), 822 (C-H o.o.p. def. arom.),^{1}H NMR ($CDCl_3$) δppm: 2.27 (s, 3H, CH_3), 5.19 (s, 2H $CH_2C_6H_5$), 7.29 (s,1H, olefinic H), 6.68 (d, 1H, J=1.9Hz), 7.78 (d, 1H, J=2.1Hz), 7.20 (d, 1H, J=1.8Hz), 7.34 (d, 1H, J=2.2Hz), 7.37-7.53 (m, 5H), 7.29 (d, 1H, J=1.6Hz), 7.59 (d, 1H, J=1.9Hz), ^{13}C NMR ($CDCl_3$) δppm: 10.25, 72.85, 109.15, 112.72, 114.85, 115.35, 123.78, 126.96, 127.35, 127.70, 128.15, 128.95, 129.45, 129.92, 131.15, 136.52, 137.15, 146.95, 159.15, 166.45, 184.15.

(*E*)-6-(Benzyloxy)-2-(4-bromobenzylidene)-7-meth mylbenzofuran-3(2*H*)-one 2e: Yellow solid, Yield: 73%. m.p. 145-152°C; Reaction time: 5.30 Hrs Rf value: 0.30; Molecular Weight: 421.28. Anal. CalcdforC$_{23}$H$_{17}$BrO$_3$: C-65.57, H-4.72, Br-18.97; Found:C-65.61, H-4.71, Br-18.95%. IR (cm^{-1}): 3058 (C-H str. arom.), 2987 (C-H str. (asym) alkyl), 2855 (C-H str. (sym) alkyl), 1637 (C=O str., Aurone), 1574 (C=C str.).1522 (C=C str. arom.), 1411 (C-H def (asym) alkyl), 1379 (C-H def (sym) alkyl), 1346 (C-O-C, five mem. Aurone), 1281 (C-O-C (sym) ether), 1151 (C-H i. p. def arom.), 1070 (C-O-C (asym) ether),795- 828 (C-H o.o.p. def. arom.), ^{1}H NMR (CDCl$_3$) δppm: 2.27 (s, 3H, CH$_3$), 5.19 (s, 2H CH$_2$C$_6$H$_5$), 7.29 (s,1H, olefinic H), 6.68 (d, 1H, J=1.9Hz), 7.78 (d, 1H, J=2.1Hz), 7.20 (d, 1H, J=1.8Hz), 7.34 (d, 1H, J=2.2Hz), 7.37-7.53 (m, 5H), 7.29 (d, 1H, J=1.6Hz), 7.59 (d, 1H, J=1.9Hz), ^{13}C NMR (CDCl$_3$) δppm: 9.26, 72.27, 109.21, 112.95, 115.11, 115.34, 122.53, 127.15, 127.73, 128.53, 128.84, 128.95, 131.25, 131.70, 136.83, 147.25, 158.65, 162.25, 184.15.

(*E*)-6-(Benzyloxy)-2-(4-hydroxy-3,5-dimethoxybenzylidene)-7-methylbenzofuran-3(2*H*)-one 2f: Light yellow solid, Yield: 63%. m.p. 157-160°C; Reaction time: 5.0 Hrs Rf value: 0.65; Molecular Weight: 418.43. Anal. Calcd forC$_{25}$H$_{22}$O$_6$: C-71.76, H-5.30; Found: C-71.72, H-5.33%. IR (cm^{-1}): 3052 (C-H str. arom.), 2990 (C-H str. (asym) alkyl), 2851 (C-H str. (sym) alkyl), 1632 (C=O str., Aurone), 1571 (C=C str.). 1518 (C=C str. arom.), 1407 (C-H def (asym) alkyl), 1378 (C-H def (sym) alkyl), 1345 (C-O-C, five mem. Aurone), 1281 (C-O-C (sym) ether), 1151 (C-H i. p. Def arom.), 1070 (C-O-C (asym) ether), 684-715, 817 (C-H o.o.p. def. arom.), ^{1}H NMR (CDCl$_3$) δppm: 2.27 (s, 3H, CH$_3$), 3.81 (s, 6H, 2×OCH$_3$), 5.23 (s, 2H CH$_2$C$_6$H$_5$), 6.74 (s,1H, olefinic H), 6.92 (d, 1H, J=1.7Hz), 7.95 (d, 1H, J=2.8Hz), 7.34-7.52 (m, 5H), 7.89 (d, 1H, J=1.6Hz), 7.79 (d, 1H, J=7.8Hz), 8.06 (d, 1H, J=8.8Hz). ^{13}C NMR (CDCl$_3$) δppm: 9.22, 57.62, 73.33, 103.62, 109.21, 111.15, 113.82, 115.66, 126.92., 127.23, 128.32, 129.80, 135.32, 147.63, 148.90, 158.12, 164.24, 183.81.

(*E*)-6-(Benzyloxy)-2-(3-hydroxy-4-methoxybenzylidene)-7-methylbenzofuran-3(2*H*)-one 2g: Dark yellow solid, Yield: 60%. m.p. 138-140°C; Reaction time: 5.10 Hrs Rf value: 0.32; Molecular Weight: 388.41. Anal. Calcd for C$_{24}$H$_{20}$O$_5$: C-74.21, H-5.19; Found: C-74.20, H-5.17%. IR (cm^{-1}): 3061 (C-H str. arom.), 2985 (C-H str. (asym) alkyl), 2857 (C-H str. (sym) alkyl), 1635 (C=O str., Aurone), 1573(C=C str.).1520. (C=C str. arom.), 1410 (C-H def (asym) alkyl), 1381 (C-H def (sym) alkyl), 1347 (C-O-C, five mem. Aurone), 1282 (C-O-C (sym) ether), 1155 (C-H i.p. def arom.), 1070 (C-O-C (asym) ether), 750, 821 (C-H o.o.p. def. arom.), ^{1}H NMR (CDCl$_3$) δppm: 2.27 (s, 3H, CH$_3$), 3.81 (s, 3H, OCH$_3$), 5.21 (s, 2H CH$_2$C$_6$H$_5$), 5.45 (s, 1H ArOH) 6.39 (s,1H, olefinic H), 6.88 (d, 1H, J=1.7Hz), 7.93(d, 1H, J=2.8Hz), 7.35-7.58 (m, 5H), 7.32 (d, 1H, J=1.6Hz),7.89 (d, 1H, J=1.6Hz), 7.85 (d, 1H, J=7.8Hz), ^{13}C NMR (CDCl$_3$) δppm: 10.03, 57.13, 72.83, 109.13, 111.88, 112.11, 112.93, 114.82, 124.82, 125.63, 126.92, 127.13, 128.12, 128.90, 135.80, 145.32, 147.52, 151.34, 159.82, 166.32, 183.12.

(*E*)-6-(Benzyloxy)-2-(3-ethoxy-4-methoxybenzyl - idene)-7-methylbenzofuran-3(2*H*)-one 2h: Yellow palates, Yield: 65%. m.p. 122-128°C; Reaction time: 5.20 Hrs Rf value: 0.45; Molecular Weight: 416.46. Anal. Calcd for C$_{26}$H$_{24}$O$_5$: C-74.98, H-5.81; Found: C-74.90, H-5.79%; IR (cm^{-1}) : 2979 (C-H str. (asym) alkyl), 231 (C-H str. (sym) alkyl), 1416 (C-H def (asym) alkyl), 1381 (C-H def (sym) alkyl), 3028 (C-H str. arom.), 1508 (C=C str. arom.), 1146 (C-H i.p. def. arom.), 817 (C-H o.o.p. def. arom.), 1270 (C-O-C (sym) ether), 1052 (C-O-C (asym) ether), 1339 (C-O-C, five mem. Aurone), 1624 (C=O str., Aurone), 1564 (C=C str.). ^{1}H NMR (CDCl$_3$) δppm: 1.35 (s, 3H, CH$_3$), 2.15 (s, 3H, CH$_3$), 3.87 (s, 3H, OCH$_3$), 4.27 (q, 2H, CH$_2$), 5.19 (s, 2H CH$_2$C$_6$H$_5$), 6.37 (s,1H, olefinic H), 6.66 (d, 1H, J=1.7Hz), 6.82 (d, 1H, J=1.7Hz), 6.96 (d, 1H, J=1.9Hz), 7.19 (d, 1H, J=1.9Hz), 7.39-7.61 (m, 5H), 7.87 (d, 1H, J=7.8Hz), ^{13}C NMR (CDCl$_3$) δppm: 9.92, 15.63, 57.81, 66.72, 72.82, 109.42, 112.69, 113.15, 115.81, 116.32, 125.62, 126.32, 127.51, 128.32, 137.52, 147.15, 150.42, 157.38, 164.46, 183.02.

(*Z*)-6-(Benzyloxy)-2-(2-bromo-4,5-dimethoxybenzylidene)-7-methylbenzofuran-3(2*H*)-one 2i: Yellow solid, Yield: 64%. m.p.130-132°C; Reaction time: 5.15 Hrs Rf value: 0.48; Molecular Weight: 481.33. Anal. Calcd For C$_{25}$H$_{21}$BrO$_5$: C-62.38, H-4.40, X-16.60; Found: C-62.36, H-4.38, X-16.59%. IR (cm^{-1}): 3055 (C-H str.

arom.), 2995 (C-H str. (asym) alkyl), 2858 (C-H str. (sym) alkyl), 1636 (C=O str., Aurone), 1573 (C=C str.), 1519 (C=C str. arom.), 1408 (C-H def (asym) alkyl), 1381 (C-H def (sym) alkyl), 1347 (C-O-C, five mem. Aurone), 1283 (C-O-C (sym) ether), 1151 (C-H i.p. def arom.), 1070 (C-O-C (asym) ether), 750, 820 (C-H o.o.p. def. arom.),^{1}H NMR ($CDCl_3$) δppm: 2.23 (s, 3H, CH_3), 3.81 (s, 6H, OCH_3), 5.21 (s, 2H $CH_2C_6H_5$), 6.58 (d, 1H, J=1.7Hz), 6.75 (s, 1H,Ar-H), 7.18 (s,1H, Ar-H), 7.35 (s,1H, olefinic H), 7.87(d, 1H, J=1.8Hz), 7.33-7.55 (m, 5H), ^{13}C NMR ($CDCl_3$) δppm: 10.82, 57.77, 73.93, 109.22, 112.62, 112.75, 114.13, 115.60, 116.08, 117.98, 126.62, 127.53, 128.15, 128.58, 137.62, 148.42, 150.55, 157.47, 166.23, 182.12.

Results and Discussion

Spectral Results

The IR spectra of IR spectra of compound **2h** revealed that the formation of cyclic C-O-C and C=O group indicating involvement of this functionality in the formation of five membered aurone nucleus confirms the absence of 2-hyroxy group. Spectra showed stretching band at 1624 cm^{-1} due to C=O of aurone ring. The stretching band observed at 1270 cm^{-1} indicates five membered oxygen containing ring (cyclicC-O-C). The spectra also showed absorption band at 1564 cm^{-1}, which is a characteristic of ethelinic -C=CH stretching band. ^{1}H NMR spectra of **2a** showed olefinic singlet at 6.74 δppm which is attached to aurone ring. The signal appeared at 2.49δppm (s, 3H) confirmed the presence of methyl group. The singlet at δ 5.23 indicates the presence of $CH_2C_6H_5$ group. Aromatic protons showed in the δ 7.067-8.06 range. ^{13}C NMR spectra of **2b** showed olefinic aurone carbons resonated at δ 139.709 (C-4, CH=CH, Aurone) and δ 137.678 (C-5, CH=CH, Aurone) respectively. C=O group of five membered aurone ring displayed at δ 184.52 (C-6, C= O). The LC mass spectra of **2b** showed strong molecular ion peak at 443.2 *m/z*.

Biological Activities

The MIC values which have been observed for test compounds **2a-i** exhibited significant antibacterial activity however with a degree of variation. The observation of inhibition data suggested that the tested compounds 2a and 2h substituted with halogen group at phenyl nucleus exhibited excellent activity against both gram-positive bacterial strainsand gram-negative bacterial strainswhen compared to reference agent Gentamycin. Compound 2h displayed good activity against both gram-positive bacterial strains. Compound 2d showed promising activity against *E.coli* and good antibacterial activity against *S.aureus*. Compounds **2c, 2e** showed moderate activity against both the bacterial strains.

The MIC values of screened compounds suggest that the test compound 2e showed excellent activity against fungal strain *C. Albicans* comparable to reference agent K Nystatin. Compound **2i** showed good activity against the tested organism *A. niger*.

Conclusion

In summary, we have developed a simple but powerful synthetic strategy that permits the assembly of novel (*E*)-6-(benzyloxy)-2-(4-bromobenzylidene)-7-methylbenzofuran-3(2*H*)-one derivatives serving as antimicrobial activities against S.*aureus MTCC 96 , S. Pyogenus MTCC 443, EColi, MTCC442, P. aeruginosa MTCC441, C. albicans MTCC 227*. Compound **2i** showed good activity against the tested organism A. niger. Compounds **2c, 2e** showed moderate activity against both the bacterial strains.

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