Synthesis of chalcone derivatives of benzo[b]furan as potential antibacterial agents

Krishna Reddy V\textsuperscript{a,b}, Venkateswara Rao J\textsuperscript{a}, Bhaskar Reddy L\textsuperscript{b}, Ram B\textsuperscript{c} & Balram B\textsuperscript{c}

\textsuperscript{a}Department of Chemistry, Bapatla Engineering College, Bapatla 522 101, Guntur (Dist), India
\textsuperscript{b}Medicinal Chemistry Laboratory, GVK Biosciences Pvt. Ltd., IDA, Nacharam, Hyderabad 500 016, India
\textsuperscript{c}Green Evolution Laboratories, Wangapally Village, Nalgonda 500 085, India
E-mail: drjvraobec2013@gmail.com

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Encouraged by the interesting biological activities associated with chalcones and benzo[b]furan derivatives, herein are reported the synthesis, spectroscopic identification and antibacterial activity of benzo[b]furan chalcone derivatives 6a-o derived from 1-(7-methoxy-2-(2,4,6-trimethoxyphenyl)benzofuran-5-yl)ethanone 5 in a few high yielding steps from commercially available 1,3,5-trimethoxybenzene and 5-iodovanillin and various benzaldehydes. The synthesized targets have been screened for their antibacterial activity against Escheria coli, Pseudomonas aeruginosa, Staphylococcus aureus and Streptococcus pyogenes, while using Norfloxacin as the standard drug. Among all the compounds 6a-o, the compounds 6n, 6o, 6l and 6m exhibit excellent to equipotent activity while the compounds having the alkoxy substituent in the series display good to moderate activity.

Keywords: Synthesis, Benzo[b]furan, Norfloxacin, Antibacterial activity

Benzo[b]furans and their derivatives are ubiquitous in the realms of pharmacologically active agents and are isolated from natural products which have important biological properties\textsuperscript{1}. The 2-arylbenzo[b]furan structure is prevalent in a wide variety of biologically active natural and unnatural compounds\textsuperscript{2}. Many 2-arylbenzo[b]furan derivatives are known to exhibit a broad range of biological activities including anticancer\textsuperscript{3}, antiproliferative\textsuperscript{4}, anti-inflammatory\textsuperscript{5}, antiviral\textsuperscript{6}, antifungal\textsuperscript{7}, immunosuppressive\textsuperscript{8}, antiplatelet\textsuperscript{9}, antioxidative\textsuperscript{10}, antifeedant\textsuperscript{11}, and insecticidal activities\textsuperscript{12}. The investigation of structure-activity relationships for 2-arylbenzo[b]furan substituent is still attractive due to a variety of biological activities. Chalcones, 1,3-diarylprop-1-enones, are a class of compounds consisting of two aryl rings linked by an \(\alpha,\beta\)-unsaturated ketone moiety. Chalcones exhibit various biological activities, including antimalarial\textsuperscript{13}, antioxidant\textsuperscript{14}, antitumor\textsuperscript{15}, antifungal\textsuperscript{16}, antihyperglycemic\textsuperscript{17}, antibacterial\textsuperscript{18} and anticanicar activities\textsuperscript{19}. The treatment of bacterial infections still remains an important and challenging therapeutic problem because of factors that include emerging infectious diseases and the increasing number of multi-drug resistant microbial pathogens. In spite of the large number of antibiotics and chemotherapeutics available for medical use, the emergence of old and new antibiotic resistant bacterial strains in the last few decades has raised a substantial need for new classes of antibacterial agents\textsuperscript{20}.

Encouraged by these interesting biological activities associated with chalcones and benzo[b]furan derivatives, herein is reported the synthesis, spectroscopic identification and antibacterial activity of benzo[b]furan chalcone derivatives 6a-o derived from 1-(7-methoxy-2-(2,4,6-trimethoxyphenyl)benzofuran-5-yl)ethanone 5 in a few high yielding steps from commercially available 1,3,5-trimethoxybenzene, 5-iodovanillin and various benzaldehydes (Scheme I). The synthesized targets were screened for their antibacterial activity against Escheria coli, Pseudomonas aeruginosa, Staphylococcus aureus and Streptococcus pyogenes, while using Norfloxacin as the standard drug.

Results and Discussion

The benzo[b]furan chalcone derivatives 6a-o described in this paper were prepared according to the synthetic Scheme I. The structures of the synthesized intermediates and chalcone derivatives were confirmed by \(^1\)H NMR, mass and IR data. Iodination of 1,3,5-trimethoxy benzene 1 was carried out using N-iodosuccinimide in acetonitrile at RT to give the iodinated compound 2, which upon coupling with TMS-acetylene,
using the Sonogashira protocol Pd(PPh₃)₂Cl₂/CuI/Et₃N, afforded silylated compound 3. Desilylation of compound 3 was carried out using K₂CO₃ in methanol to produce phenyl acetylene derivative 4. Compound 4 was reacted with 5-iodovanillin in presence of Pd(PPh₃)₂Cl₂/CuI/Et₃N, in DMF to furnish benzofuran derivative 5. Claisen-Schmidt condensation of compound 5 with various benzaldehydes a-o in presence of aq.60% KOH gave chalcone derivatives 6a-o.

Scheme I — Synthesis of benzo[b]furan chalcone derivatives 6a-o

(i) N-Iodosuccinamide, acetonitrile, RT, 2 h; (ii) TMS-acetylene, Pd(PPh₃)₂Cl₂, CuI, triethylamine, DMF, 70°C, 2 h; (iii) K₂CO₃, MeOH, RT, 16 h; (iv) 5-iodovanillin, Pd(PPh₃)₂Cl₂, CuI, triethyl amine, DMF, 70°C, 1.5 h; (v) Ar-CHO, aq. 60% KOH, MeOH, RT, 24-72 h.

Antibacterial Activity

Preliminary anti-microbial evaluation with fifteen new benzo[b]furan chalcone derivatives 6a-o (Table I) has established some interesting structure-activity relationships. The obtained data reveals that compounds 6g, 6n and 6o exhibit excellent activity against all the tested bacterial strains when compared to the standard drug Norfloxacin, while the compounds 6l and 6m displayed equipotent activity. Compounds 6c, 6d, 6e, 6h, 6i showed noticeably good activity and compounds 6b and 6f displayed moderate activity against all the tested bacterial strains. Compounds 6a, 6j and 6k were found to be inactive against all the tested bacterial strains. In general, it is observed that the compounds incorporating following substituents viz., benzo[b]furan, -4-OCF₃, -4-CF₃ and 4-fluoro exhibited excellent to equipotent activity when compared to the standard drug Norfloxacin and the compounds having the alkoxy substituent in the series displayed good to moderate activity. From the above findings it can be concluded that altering the suitable R in the chalcone derivative may lead to a promising antibacterial agent for all the tested bacterial stains such as Escherichia coli, Pseudomonas aeruginosa, Streptococcus pyogenes and Staphylococcus aureus bacterial strains.

Experimental Section

The solvents were purified according to standard procedures prior to use, and all commercial chemicals were used as received. For thin-layer chromatography (TLC) analysis, Merck pre-coated plates (silica gel 60 F254) were used and spots were visualized with UV light. Merck silica gel 60 (230-400 mesh) was used for flash column chromatography and the eluting solvents are indicated in the procedures. Melting point (m.p.) determinations were performed by using Mel-temp apparatus and are uncorrected. ¹H NMR spectra were recorded in Varian MR-400 MHz instrument.
Chemical shifts are reported in $\delta$ (ppm) downfield from tetramethylsilane (TMS) with reference to internal standard and the signals were reported as s (singlet), d (doublet), dd (doublet of doublet), t (triplet), q (quartet), m (multiplet) and coupling constants are in Hz. The mass spectra were recorded on Agilent ion trap MS. Infrared (IR) spectra were recorded on a Perkin Elmer FT-IR spectrometer. All the aromatic aldehydes used for the preparation of 6a-o were purchased from commercial sources.

### Anti-microbial activity assay

Benzo[b]furan chalcone derivatives (6a-o) were dissolved in dimethyl sulphoxide at 25 $\mu$g/mL concentration. The composition of nutrient agar medium was yeast extract (5 g), NaCl (10 g), Bactotryptone (10 g), final pH 7.4. Benzo[b]furan chalcone derivatives 6a-o were tested against two Gram negative strains viz., (i) Escherichia coli (MTCC443), (ii) Pseudomonas aeruginosa (MTCC424) and two Gram positive strains viz., (iii) Staphylococcus aureus (MTCC96) strains iv) Streptococcus pyogenes (MTCC442) using agar well diffusion method according to the literature protocol$^{21-23}$. After 18 h the exponentially growing cultures of the four bacteria in nutrient broth at 37°C were diluted in sterile broth. From each of these diluted cultures, 1 mL was added to 100 mL sterilized and cooled nutrient agar media to give a final bacterial count of $1 \times 10^6$ cell/mL. The plates were set at RT and later dried at 37°C for 20 h. Paper discs (6 mm, punched from Whatmann no 1 paper) were ultraviolet sterilized and used for the assays. Discs were soaked in different concentration of the test solution and placed on the inoculated agar media at regular intervals of 6-7 cm, taking care to ensure that excess solution was not left on the discs. The plates were incubated at 37°C in an inverted fashion. Activity was determined by zones showing complete inhibition (mm). Growth inhibition was calculated with reference to positive control. All the samples were taken in triplicate.

### Synthesis of 2-iodo-1,3,5-trimethoxybenzene, 2

To a stirred solution of 1,3,5-trimethoxy benzene (10 g, 59.45 mmol) in acetonitrile (60 mL) was added NIS (14.70 g, 65.38 mmol) in five portions over a period of 15 min. The reaction mixture was allowed to stir at RT for 2 h to obtain a white solid. The precipitated solids were filtered, washed with n-hexane and dried at the pump to afford compound 2. White solid. Yield 14.5g, 82%; m.p. 85-87°C; IR (KBr): 2964, 2933, 1583, 1467, 1404, 1433, 1342, 1226, 1208, 1159, 1125, 952, 805, 747, 622 cm$^{-1}$; $^1$H NMR (400 MHz, CDCl3): $\delta$ 6.50 (s, 2 H), 3.86 (s, 6 H), 3.82 (s, 3 H); ESI-MS: m/z 295.0 (M+1).

### Table I — Antimicrobial activity of the synthesized compounds

<table>
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<tr>
<th>Compd</th>
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<th>Zone of inhibition in mm*</th>
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<td>Norfloxacin</td>
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*Diameter of well (bore size)-6 mm*
Synthesis of (2-(2,4,6-trimethoxyphenyl)ethynyl)-trimethylsilane, 3

To a stirred solution of DMF (25 mL) containing compound 2 (5 g, 17 mmol), were added sequentially, trimethyl silyl acetylene (2.85 mL, 20.40 mmol), dichlorobis(triphenylphosphine) palladium (II) (1.2 g, 1.7 mmol), copper iodide (330 mg, 1.7 mmol) and triethylamine (25 mL) which were injected through the septum. The reaction mixture was heated for 2 h at 80°C in a sealed tube. The reaction mixture was cooled to RT and diluted with diethylether (50 mL), washed with water (4 × 50 mL) followed by brine solution, the organic layer was dried over anhydrous sodium sulphate, filtered and the solvent evaporated under reduced pressure to obtain crude compound 3. Purification was performed by flash chromatography (eluant: 10% EtOAc: n-hexane), to obtain amorphous brown solid. Yield 1.3 g, 67%; m.p. 89-91°C; IR (KBr): 2926, 2842, 1673, 1615, 1592, 1494, 1456, 1415, 1360, 1333, 1225, 1206, 1157, 1125, 1071, 1034, 1004, 805, 749 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 7.94 (d, J = 1.6 Hz, 1H), 7.39 (d, J = 1.6 Hz, 1H), 6.89 (s, 1 H), 6.35 (s, 2 H), 3.98 (s, 3 H), 3.85 (s, 3 H), 3.74 (s, 6 H), 2.85 (s, 3 H); ¹³C NMR (CDCl₃): δ 29.32, 55.5 (2C), 55.91, 56.22, 93.25 (2C), 102.81, 104.24, 107.26, 113.83, 124.72, 144.88, 149.54, 156.78, 159.41 (2C), 162.77, 199.82; ESI-MS: m/z 357.1 (M+1).

General procedure for the synthesis of benzo[b]-furan chalcone derivatives, (6a-o)

To a stirred solution of compound 5 (100 mg, 0.28 mmol) in methanol (1.5 mL) were added various benzaldehydes (a-o, 0.3 mmol) followed by aq. 60% KOH (0.5 mL) and stirred at RT for 24 h. The reaction mixture was diluted with cold water and the precipitated solids were filtered, dried and purified by recrystallisation from absolute ethanol to afford respective chalcone derivatives 6a-o in 78-95% yield.

(E)-1-(7-Methoxy-2-(2,4,6-trimethoxyphenyl)benzofuran-5-yl)-3-o-tolylprop-2-en-1-one, 6a: Yellow solid. Yield 84%; m.p. 110-11°C; IR (KBr): 2939, 2839, 1655, 1587, 1463, 1337, 1227, 1205, 1157, 1127, 1035, 1005, 812, 762, 735 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 8.13 (d, J = 15.5 Hz, 1H), 7.94 (d, J = 1.5 Hz, 1H), 7.79-7.69 (m, 1H), 7.59 (s, 1H), 7.54 (d, J = 1.5 Hz, 2H), 7.35-7.28 (m, 1H), 7.24 (s, 1H), 6.87 (s, 1H), 6.20 (s, 2H), 4.09 (s, 3H), 3.87 (s, 3H), 3.80 (s, 6H), 2.50 (s, 3H); ESI-MS: m/z 459.2 (M+1).

(E)-1-(7-Methoxy-2-(2, 4, 6-trimethoxyphenyl)benzofuran-5-yl)-3-(4-methoxyphenyl)benzofuran-5-yl)-3-o-tolylprop-2-en-1-one, 6b: Yellow solid. Yield 88%; m.p. 129-31°C; IR (KBr): 2925, 2849, 2350, 1626, 1580, 1517, 1458, 1412, 1351, 1337, 1227, 1194, 1155, 1130, 1109 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 8.36-8.24 (m, 2H), 7.94 (d, J = 1.5 Hz, 1H), 7.86-7.79 (m, 3H), 7.78 (s, 1H), 7.53 (d, J = 1.5 Hz, 1H), 6.89 (s, 1H), 6.20 (s, 2H), 4.10 (s, 3H), 3.88 (s, 3H), 3.81 (s, 9H); ¹³C NMR (CDCl₃): δ 55.6 2(2C), 55.93 (2C), 56.22, 93.25 (2C), 1035, 1005, 812, 762, 735 cm⁻¹. ¹³C NMR (CDCl₃): δ 55.6 2(2C), 55.93 (2C), 56.22, 93.25 (2C), 1035, 1005, 812, 762, 735 cm⁻¹.
114.19 (2C), 114.88, 121.38, 125.46, 127.37 (2C), 127.58, 132.44, 145.21, 145.55, 150.88, 156.84, 159.41 (2C), 159.93, 162.74, 189.71; ESI-MS: m/z 475.2 (M+1).

(E)-1-(7-Methoxy-2-(2, 4, 6-trimethoxyphenyl)benzofuran-5-yl)-3-(2,4-dimethoxyphenyl)prop-2-en-1-one, 6c: Pale yellow solid. Yield 79%; m.p. 119-21°C; IR (KBr): 2925, 2853, 1650, 1581, 1503, 1467, 1417, 1338, 1266, 1227, 1207, 1158, 1033 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 8.13 (d, J = 1.5 Hz, 1H), 8.02 (d, J = 15.7 Hz, 1H), 7.96 (d, J = 8.5 Hz, 1H), 7.86 (d, J = 15.7 Hz, 1H), 7.51 (d, J = 1.5 Hz, 1H), 6.91 (s, 1H), 6.66 (t, J = 2.0 Hz, 2H), 6.36 (s, 2H), 4.02 (s, 3H), 3.92 (s, 3H), 3.86 (s, 6H), 3.76 (s, 6H); ¹³C NMR (400 MHz, CDCl₃): δ 55.66 (2C), 55.89 (2C), 56.31 (2C), 93.22 (2C), 100.38, 102.88, 104.25, 106.55, 107.32, 108.20, 114.86, 121.44, 125.28, 128.41, 132.38, 145.19, 145.51, 150.89, 156.84, 158.74, 159.38 (2C), 160.90, 162.68, 189.70; ESI-MS: m/z 505.09 (M+1).

(E)-1-(7-Methoxy-2-(2, 4, 6-trimethoxyphenyl)benzofuran-5-yl)-3-(2,5-dimethoxyphenyl)prop-2-en-1-one, 6d: Yellow solid. Yield 92%; m.p. 126-28°C; IR (KBr): 2937, 2841, 1656, 1586, 1503, 1463, 1417, 1359, 1333, 1271, 1228, 1206, 1155, 1035, 1126 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 8.21 (d, J = 1.5 Hz, 1H), 7.91 (d, J = 15.5 Hz, 1H), 7.72 (d, J = 15.4 Hz, 1H), 7.54 (dd, J = 9.8, 1.8 Hz, 2H), 7.39 (d, J = 2.1 Hz, 1H), 7.02 (d, J = 8.3 Hz, 1H), 6.92 (s, 1H), 6.36 (s, 2H), 4.09 (q, J = 6.8 Hz, 2H), 4.03 (s, 3H), 3.88 (s, 3H), 3.86 (s, 3H), 3.76 (s, 6H), 1.36 (t, J = 6.9 Hz, 3H); ¹³C NMR (CDCl₃): δ 14.82, 55.61 (2C), 55.96, 56.23 (2C), 65.48, 93.21 (2C), 102.83, 104.23, 108.33, 111.26, 114.94, 115.32, 119.34, 121.43, 125.36, 127.88, 132.44, 145.57, 145.19, 145.78, 149.51, 150.97, 156.84, 159.44 (2C), 162.75, 189.73; ESI-MS: m/z 535.09 (M+1).

(E)-3-(4-Ethoxy-3-methoxyphenyl)-1-(7-methoxy-2-(2,4,6-trimethoxyphenyl)benzofuran-5-yl)prop-2-en-1-one, 6g: Yellow solid. Yield 93%; m.p. 89-91°C; IR (KBr): 2932, 2840, 1650, 1586, 1510, 1464, 1417, 1336, 1259, 1229, 1205, 1156, 1127, 1034 cm⁻¹; ¹H NMR (400 MHz, CDCl₃): δ 8.21 (d, J = 1.5 Hz, 1H), 7.91 (d, J = 15.5 Hz, 1H), 7.72 (d, J = 15.4 Hz, 1H), 7.54 (dd, J = 9.8, 1.8 Hz, 2H), 7.39 (d, J = 2.1 Hz, 1H), 7.02 (d, J = 8.3 Hz, 1H), 6.92 (s, 1H), 6.36 (s, 2H), 4.09 (q, J = 6.8 Hz, 2H), 4.03 (s, 3H), 3.88 (s, 3H), 3.86 (s, 3H), 3.76 (s, 6H), 1.36 (t, J = 6.9 Hz, 3H); ¹³C NMR (CDCl₃): δ 14.82, 55.61 (2C), 55.96, 56.23 (2C), 65.48, 93.21 (2C), 102.83, 104.23, 108.33, 111.26, 114.94, 115.32, 119.34, 121.43, 125.36, 127.88, 132.44, 145.57, 145.19, 145.78, 149.51, 150.97, 156.84, 159.44 (2C), 162.75, 189.73; ESI-MS: m/z 519.14 (M+1).
(E)-3-(4-Bromophenyl)-1-(7-methoxy-2-(2, 4, 6-trimethoxyphenyl) benzofuran-5-yl)prop-2-en-1-one, 6j: Yellow solid. Yield 76%; m.p. 79-81°C; IR (KBr): 2927, 2851, 1658, 1584, 1463, 1415, 1337, 1227, 1205, 1156, 1126, 1032, 1006, 988, 813, 737, 641, 614 cm\(^{-1}\); \(^1\)H NMR (400 MHz, CDCl\(_3\)): \(\delta\) 7.92 (d, \(J = 1.9\) Hz, 1H), 7.70 (s, 1H), 7.61–7.48 (m, 6H), 6.87 (s, 1H), 6.20 (s, 2H), 4.09 (s, 3H), 3.87 (s, 3H), 3.80 (s, 6H); \(^13\)C NMR (CDCl\(_3\)): \(\delta\) 157.67, 141.14, 136.94, 130.86, 129.73, 125.02, 124.58, 150.89, 156.62, 159.43 (2C), 162.73, 189.77; ESI-MS: \(m/z\) 573.01 (M+1).

(E)-3-(4-Chlorophenyl)-1-(7-methoxy-2-(2, 4, 6-trimethoxyphenyl) benzofuran-5-yl)prop-2-en-1-one, 6k: Yellow solid. Yield 80%; m.p. 115-16°C; IR (KBr): 2935, 2841, 1591, 1515, 1460, 1336, 1227, 1205, 1156, 1126, 812, 747 cm\(^{-1}\); \(^1\)H NMR (400 MHz, CDCl\(_3\)): \(\delta\) 7.92 (d, \(J = 1.6\) Hz, 1H), 7.78 (d, \(J = 1.56\) Hz, 1H), 7.63 (d, \(J = 5.6\) Hz, 1H), 7.59 (d, \(J = 1.7\) Hz, 2H), 7.52 (d, \(J = 1.5\) Hz, 1H), 7.44–7.37 (m, 2H), 6.87 (s, 1H), 6.20 (s, 2H), 4.09 (s, 3H), 3.87 (s, 3H), 3.80 (s, 6H); \(^13\)C NMR (CDCl\(_3\)): \(\delta\) 157.67, 141.14, 136.94, 130.86, 129.73, 125.02, 124.58, 150.89, 156.62, 159.43 (2C), 162.71, 189.77; ESI-MS: \(m/z\) 480.2 (M+1).

(E)-3-(4-Fluorophenyl)-1-(7-methoxy-2-(2, 4, 6-trimethoxyphenyl) benzofuran-5-yl)prop-2-en-1-one, 6l: Yellow solid. Yield 83%; m.p. 119-21°C; IR (KBr): 2929, 2841, 1656, 1586, 1508, 1464, 1415, 1337, 1228, 1205, 1157, 1156, 1036, 826, 789, 508, 479 cm\(^{-1}\); \(^1\)H NMR (400 MHz, CDCl\(_3\)): \(\delta\) 7.92 (d, \(J = 1.5\) Hz, 1H), 7.80 (d, \(J = 1.57\) Hz, 1H), 7.66 (dd, \(J = 7.9, 4.8\) Hz, 2H), 7.57 (d, \(J = 15.8\) Hz, 1H), 7.52 (d, \(J = 1.4\) Hz, 1H), 7.17–7.08 (m, 2H), 6.87 (d, \(J = 1.7\) Hz, 1H), 6.19 (d, \(J = 2.4\) Hz, 2H), 4.09 (s, 3H), 3.87 (s, 3H), 3.80 (d, \(J = 3.0\) Hz, 6H); \(^13\)C NMR (CDCl\(_3\)): \(\delta\) 157.67, 141.14, 136.94, 130.86, 129.73, 125.02, 124.58, 150.89, 156.62, 159.44 (2C), 162.17, 162.76, 189.72; ESI-MS: \(m/z\) 463.0 (M+1).

(E)-3-(4-Trifluoromethyl)phenyl)-1-(7-methoxy-2-(2, 4, 6-trimethoxyphenyl) benzofuran-5-yl)prop-2-en-1-one, 6m: Yellow solid. Yield 75%; m.p. 79-81°C; IR (KBr): 2939, 2842, 1662, 1583, 1465, 1416, 1322, 1226, 1206, 1156, 1032, 1066, 1124, 1006, 984, 909, 829, 820, 724, 642 cm\(^{-1}\); \(^1\)H NMR (400 MHz, CDCl\(_3\)): \(\delta\) 7.94 (d, \(J = 1.7\) Hz, 1H), 7.84 (d, \(J = 1.5\) Hz, 1H), 7.81–7.66 (m, 4H), 7.53 (d, \(J = 1.5\) Hz, 1H), 7.46 (d, \(J = 1.5\) Hz, 1H), 6.86 (d, \(J = 11.1\) Hz, 1H), 6.19 (s, 2H), 4.09 (s, 3H), 4.05 (s, 3H), 3.87 (s, 3H), 3.79 (s, 1H); \(^13\)C NMR (CDCl\(_3\)): \(\delta\) 55.67 (2C), 55.92, 56.22, 93.22 (2C), 102.86, 104.21, 108.33, 114.91, 121.42, 124.27, 125.16 (2C), 126.77 (2C), 130.21, 132.44, 138.53, 145.21, 145.53, 150.93, 156.87, 159.46 (2C), 162.71, 189.75; ESI-MS: \(m/z\) 513.01 (M+1).

Conclusion

Fifteen new benzo[b]furan chalcone derivatives 6a-o were synthesized and their structures were confirmed by \(^1\)H NMR, mass and IR spectral data. Furthermore, the synthesized chalcone derivatives were tested for microbiological activity against Escherichia coli, Pseudomonas aeruginosa, Strepotococcus pyogenes and Staphyllococcus aureus bacterial strain with reference to the standard drug, Norflaxin at a concentration of 25 µg/mL. It is observed that the compounds incorporated with substituent’s such as benzo[b]furan-4-OCF\(_3\), 4-CF\(_3\) and 4-fluoro exhibited excellent to equipotent activity, while the compounds having the alkoxy substituent in the series displayed...
good to moderate activity. From these findings it can be concluded that altering the suitable R in the chalcone derivative may lead to a promising antibacterial agent.

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