Bulgarian Chemical Communications, Volume 41, Number 4 (pp. 409–413) 2009

Synthesis and antibacterial activity of a series of novel dihydrobenzofuranols

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Received April 15, 2008; Revised February 3, 2009

A facile synthesis of solely dihydrobenzofuranols was achieved by irradiation of 2-alkoxy substituted benzophenones in acetonitrile**.** The antibacterial activities of the resulting compounds were studied against 12 human pathogens. All the compounds showed significant growth inhibition at concentration of 50 μg/mL. The halogen substituted compounds were most active whereupon one of the compounds showed stronger inhibition towards all the strains than the antibitiocs bacitracin, ciprofloxacin and gentamicin.

Key words: photochemical synthesis, dihydrobenzofuranols, antibacterial activity.

INTRODUCTION

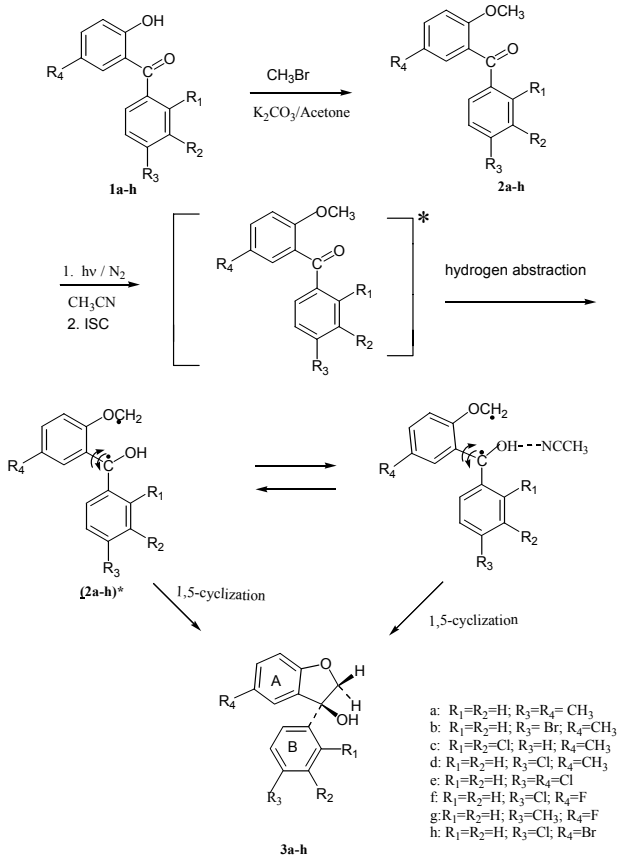
The chemistry of dihydrobenzofurans has recently drawn considerable attention from chemical, physiological and pharmacological point of view [1−4]. Intramolecular hydrogen abstractions are among some of the best studied reactions in organic photochemistry. The most prevalent example involves abstraction of a γ-hydrogen, *i.e.*, the Norrish Type II reaction [5]. However, a number of cases of both δ- and ε-hydrogen abstraction has also been reported [6]. These reactions are object of recent interest because they provide useful insight into ketone photochemistry and biradical behaviour and have potential synthetic application in the construction of five and six membered rings [7]. One example of a δ-hydrogen abstraction, which has found some applications in synthesis, is the photocyclization of 2-alkoxy substituted benzophenones to dihydrobenzofuranols (Scheme 1).

Literature survey on the structure-activity relationship among dihydrobenzofuranol analogues revealed that no efforts were directed towards the study of their antibacterial activities when different substituents were introduced in the aromatic rings. We supposed that varying the substituents in the aromatic ring might affect the antibacterial activity.

In this communication, we report a facile synthesis of a series of new dihydrobenzofuranols with different combinations of substituents in their aromatic rings and evaluation of their antibacterial activity against 12 human pathogens. The synthesis was based on cyclization of 1,5-biradicals, generated by irradiation of substituted 2-alkoxy benzophenones.

CHEMISTRY

The synthesis of the title compounds is outlined in Scheme 1.



Scheme 1

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2-Hydroxy substituted benzophenones **1a**–**h**, were synthesized as it was previously described [8]. The substrates for photocyclization, the 2-alkoxy substituted benzophenones **2a**–**h**, were synthesized in good yields by the reaction of **1a**–**h** with methyl iodide in the presence of anhydrous potassium carbonate (Scheme 1). Irradiation of degassed solutions of **2a**–**h** in acetonitrile was conducted at ambient temperatures (~ 33°C) and 365 nm, 400 W high-pressure mercury lamp with Pyrex filter. The products **3a**–**h** were characterized by IRS, NMR and elemental analysis.

RESULTS AND DISCUSSION

Widespread use of antibiotics is thought to have spurred evolutionary adaptations that enable bacteria to survive these powerful drugs. The combat with the bacterial resistance demands a search for alternative newer molecules. For this reason, the eight new dihydrobenzofuranols **3a**–**f** synthesized in this study, were screened in regard to their antibacterial activities. Their growth inhibitory activity was tested against nine Gram-positive and three Gram-negative bacteria (Table 1). Three of the compounds **3e**, **3f** and **3h** were shown to be highly potent antibacterial agents. Their antibacterial activity was much stronger than that of ciprofloxacin, which is a powerful antibiotic used to overcome bacterial infections.

CONCLUSION

Our study shows a strong evidence for the antibacterial activity of halo substituted dihydrobenzofuranols. These compounds exhibited stronger growth inhibitory activity than the reference compounds. The presence of methyl groups in ring A and B was not favourable. The compounds are very good candidates for further investigation on their therapeutic effect for management of bacterial infections.

Table 1. Antibacterial activity measured as zone of inhibition (mm) of eight newly synthesized dihydrobenzofuranols (**3a**–**h**) (50 μg/mL) against human pathogenic bacteria.

Pathogens	**3a**	**3b**	**3c**	**3d**	**3e**	**3f**	**3g**	**3h**	Bacitracin	Ciprofloxacin	Gentamicin
Bacillus subtilis MTCC441	12.50 ± 0.39	18.66 ± 0.22	28.50 ± 0.27	19.50 ± 0.25	29.50 ± 0.27	33.66 ± 0.15	22.66 ± 0.06	28.50 ± 0.25	0.00 ± 0.00	19.62 ± 0.18	12.66 ± 0.12
Escherichia coli MTCC443	15.16 ± 0.22	20.00 ± 0.00	29.50 ± 0.25	26.66 ± 0.66	31.50 ± 0.17	34.50 ± 0.27	28.50 ± 0.27	30.66 ± 0.66	0.00 ± 0.00	10.00 ± 0.00	10.25 ± 0.14
Klebsiella pneumoniae MTCC109	09.50 ± 0.28	17.33 ± 0.16	21.50 ± 0.17	17.50 ± 0.19	23.66 ± 0.15	25.38 ± 0.18	19.83 ± 0.20	22.83 ± 0.20	0.00 ± 0.00	20.25 ± 0.16	11.75 ± 0.16
Proteus mirabilis MTCC1429	18.00 ± 0.00	15.50 ± 0.27	22.66 ± 0.15	19.83 ± 0.14	21.50 ± 0.27	22.16 ± 0.12	21.66 ± 0.25	20.83 ± 0.20	0.00 ± 0.00	18.25 ± 0.16	08.50 ± 0.16
Pseudomonas aeruginosa MTCC1688	10.00 ± 0.00	16.50 ± 0.23	34.50 ± 0.13	17.50 ± 0.25	35.38 ± 0.18	36.50 ± 0.12	19.75 ± 0.16	32.66 ± 0.25	0.00 ± 0.00	34.25 ± 0.16	12.63 ± 0.16
Salmonella paratyphi A MTCC735	11.50 ± 0.18	18.50 ± 0.21	29.50 ± 0.25	20.66 ± 0.66	30.16 ± 0.12	32.66 ± 0.25	22.83 ± 0.14	29.50 ± 0.17	0.00 ± 0.00	27.75 ± 0.16	15.25 ± 0.16
Salmonella typhi MTCC733	18.83 ± 0.14	20.50 ± 0.19	27.83 ± 0.20	22.83 ± 0.20	29.50 ± 0.12	31.83 ± 0.14	24.66 ± 0.15	28.50 ± 0.19	0.00 ± 0.00	20.25 ± 0.16	17.75 ± 0.16
Salmonella typhimurium MTCC98	12.33 ± 0.15	18.50 ± 0.20	25.50 ± 0.27	19.33 ± 0.17	26.66 ± 0.25	28.66 ± 0.06	22.50 ± 0.25	25.66 ± 0.12	0.00 ± 0.00	18.75 ± 0.31	16.00 ± 0.31
Shigella flexneri MTCC1457	10.33 ± 0.16	13.50 ± 0.27	19.66 ± 0.11	14.83 ± 0.20	21.83 ± 0.14	24.50 ± 0.25	18.66 ± 0.66	20.66 ± 0.11	0.00 ± 0.00	27.63 ± 0.18	11.38 ± 0.18
Shigella sonnei MTCC 2957	08.50 ± 0.27	12.66 ± 0.14	21.50 ± 0.17	13.66 ± 0.25	23.66 ± 0.06	29.83 ± 0.14	23.83 ± 0.20	22.50 ± 0.13	0.00 ± 0.00	21.75 ± 0.16	15.25 ± 0.16
Staphylococcus aureus MTCC 737	19.00 ± 0.00	24.00 ± 0.22	35.33 ± 0.18	23.50 ± 0.17	34.50 ± 0.25	35.50 ± 0.13	25.83 ± 0.20	33.66 ± 0.15	26.75 ± 0.84	18.13 ± 0.48	24.63 ± 0.48
Streptococcus faecalis MTCC459	15.50 ± 0.33	21.50 ± 0.30	33.66 ± 0.11	20.66 ± 0.66	32.83 ± 0.14	33.12 ± 0.19	27.66 ± 0.25	31.83 ± 0.14	10.00 ± 0.00	10.00 ± 0.00	12.50 ± 0.00

Zone of inhibition (Mean of six replicates ± standard error). $p < 0.05$.

EXPERIMENTAL

Chemistry

Chemicals were purchased from Aldrich Chemical Co. Thin layer chromatography (TLC) was performed on silica gel plates with visualization under UV-light. Melting points were determined on a Thomas Hoover capillary melting point apparatus with a digital thermometer and were not corrected. The IR spectra were recorded in nujol on FT-IR Shimadzu 8300 spectrometer. ^{1}H NMR and ^{13}C NMR spectra were recorded in $CDCl_3$ at 300 and 100 MHz, respectively. Chemical shifts were in parts per million downfield from tetramethylsilane. *J* constants were in Hz. Elemental analysis data are within 0.4% deviation of the calculated value.

*General procedure for synthesis of substituted 2-alkoxybenzophenones **2a**–**2h***

Bromomethane (1.9 g, 0.02 mol) was added to a solution of (2-hydroxy-5-methylphenyl)-4-methyl phenyl methanone **1a** (5.21 g, 0.02 mol) and anhydrous potassium carbonate (2.8 g, 0.02 mol) in dry acetone (50 ml). The solution was refluxed for 18 h, cooled down and then evaporated to dryness. The residue was treated with ice water to remove potassium carbonate and extracted with (ethyl) ether (3×50 ml). The organic layer was washed with 10% sodium hydroxide solution (3×30ml) and water (3×30 ml), dried over anhydrous sodium sulphate and evaporated. The obtained crude solid was recrystallized from ethanol to give the pure **c**ompounds 2a-2h.

Compound **2a:** [9] yield 83%**,** m.p. 160−162°C; IRS (nujol): 1658 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 2.25 (s, 3H, Ar–CH_3), 2.32 (s, 3H, Ar–CH_3), 3.6 (s, 3H, OCH_3), 6.7−7.7 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 56.0 (q), 113.7 (d), 123.3 (s), 128.9 (d), 129.9 (s), 130 (d), 131.8 (d), 133.9 (d), 134.8 (s), 141.4 (s), 160.6 (s), 187.0 (s). Anal. Calcd for $C_{16}H_{16}O_2$ (240); C 80.0; H 6.67%. Found: C 80.12; H 6.63%.

Compound **1a**–**h:** [9] yield 75%, m.p. 55−58°C; IRS (nujol): 1660 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 2.23 (s, 3H, Ar–CH_3), 3.55 (s, 3H, OCH_3), 6.65−7.5 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 56.0 (q), 113.7 (d), 123.3 (s), 126.8 (s), 129.7 (s), 131.5 (d), 131.8 (d), 132.3 (d), 133.9 (d), 136.8 (s), 160.6 (s), 187.0 (s). Anal. Calcd for $C_{15}H_{13}BrO_2$ (305); C 59.02; H 4.26; Br 26.23%. Found: C 58.95; H 4.25; Br 26.21%.

Compound **2c:** [9] yield 71%, m.p. 150−152°C; IRS (nujol): 1659 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 2.22 (s, 3H, Ar–CH_3), 3.5 (s, 3H, OCH_3), 6.6−7.8 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 56.0 (q), 113.7 (d), 123.3 (s), 127.7 (d), 129.6 (d),129.7 (s), 131.8 (d), 133.9 (s), 133.9 (d), 134.0 (d), 135.8 (s), 139.6 (s), 160.6 (s), 187.0 (s). Anal. Calcd for $C_{15}H_{12}Cl_2O_2$ (295); C 61.02; H 4.07; Cl 24.07%. Found: C 60.89; H 4.02; Cl 24.05%.

Compound **2d:** yield 83%, m.p. 151−153°C; IRS (nujol): 1665 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 2.33 (s, 3H, Ar–CH_3), 3.65 (s, 3H, OCH_3), 6.75−7.6 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 56.0 (q), 113.7 (d), 123.3 (s), 128.9 (d), 129.9 (s), 130 (d), 131.8 (d), 133.9 (d), 134.8 (s), 141.4 (s), 160.6 (s), 187.0 (s). Anal. Calcd for $C_{15}H_{13}ClO_2$ (260.72); C 69.10; H 5.03; Cl 13.60%. Found: C 69.12; H 5.01; Cl 13.59%.

Compound **2e:** yield 83%, m.p. 138−141°C; IRS (nujol): 1668 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 3.7 (s, 3H, OCH_3), 6.77−7.64 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 56.0 (q), 115.2 (d), 124.8 (s), 125.8 (s), 128.6 (d), 131.5 (d), 131.6 (d), 133.6 (d), 135.9 (s), 137.5 (s), 161.7 (s), 187.0 (s). Anal. Calcd for $C_{14}H_{10}Cl_2O_2$ (281.13); C 59.81; H 3.59; Cl 25.22%. Found: C 59.79; H 3.63; Cl 25.20%.

Compound **2f:** yield 83%, m.p. 132−134°C; IRS (nujol): 1670 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 3.68 (s, 3H, OCH_3), 6.85−7.65 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 56.0 (q), 115.4 (d), 118.1 (d), 120.2 (d), 125.0 (s), 128.6 (d), 131.5 (d), 135.9 (s), 137.5 (s), 154.1 (s), 159.2 (s), 187.0 (s). Anal. Calcd. for $C_{14}H_{10}ClFO_2$ (264.68); C 63.53; H 3.81; Cl 13.39; F 7.18%. Found: C 63.55; H 3.83; Cl 13.37; F 7.16%.

Compound **2g:** yield 83%, m.p. 143−145°C; IRS (nujol): 1667 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 2.3 (s, 3H, Ar–CH_3), 3.67 (s, 3H, OCH_3), 6.71–7.47 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 56.0 (q), 115.4 (d), 118.1 (d), 120.2 (d), 125.0 (s), 128.9 (d), 130.0 (d), 134.8 (s), 141.4 (s), 154.1 (s), 159.2 (s), 187.0 (s). Anal. Calcd for $C_{15}H_{13}FO_2$ (244.26); C 73.76; H 5.36; F 7.78%. Found: C 73.78; H 5.33; F 7.76%.

Compound **2h:** yield 79%, m.p. 149−151°C; IRS (nujol): 1675 cm^{-1} (C=O); ^{1}H NMR ($CDCl_3$) δ 3.6 (s, 3H, OCH_3), 6.7−7.7 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 56.0 (q), 115.1 (s), 116.0 (d), 125.6 (s), 128.6 (d), 131.5 (d), 134.4 (d), 135.9 (s), 136.5 (d),137.5 (s), 162.6 (s), 187.0 (s). Anal. Calcd for $C_{14}H_{10}BrClO_2$ (325.59); C 51.65; H 3.10; Br 25.54.18; Cl 10.89%. Found: C 51.63; H 3.12; Br 25.55, Cl 10.87%.

General procedure for the synthesis of dihydrobenzofuranols **3a**–**3h**

The starting compounds **2a**–**2h** (15 mmol) were dissolved in acetonitrile (50 mL) and deoxygenated by bubbling nitrogen gas for 1 h and then irradiated

for 4−20 h. After the completion of the reaction (monitored by TLC), the solvent was evaporated under reduced pressure at 40°C. The residue was subjected to column chromatography on silica gel with eluent mixture hexane:chloroform:acetone (7:3:1) to give pure compounds **3a**–**3h**.

Compound **3a:** [9] yield 77%, m.p. 156−157°C; IRS (nujol): 3410 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 2.4 (s, 3H, Ar–CH_3), 2.5 (s, 3H, Ar–CH_3), 3.9 (s, 1H, C_2–H), 4.3 (s, 1H, C_2–H), 6.0−6.2 (bs, 1H, OH), 7.2–8.0 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 21.2 (q), 80.5 (t), 88.3 (s), 114.6 (d), 127.3 (d), 128.3 (d), 128.6 (s), 129.7 (d), 129.8 (s), 135.2 (s), 140.0 (s), 155.7 (s). Anal. Calcd for $C_{16}H_{16}O_2$ (240); C 80.0; H 6.67%. Found: C 79.60; H 6.62%.

Compound **3b:** [9] yield 72%, m.p. 155−157°C; IRS (nujol): 3420 cm^{-1} (OH);^1H NMR ($CDCl_3$): δ 2.4 (s, 3H, Ar–CH_3), 3.8 (s, 1H, C_2–H), 4.4 (s, 1H, C_2–H), 6.0−6.2 (bs, 1H, OH), 7.2−8.0 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 21.2 (q), 80.5 (t), 88.3 (s), 114.6 (d), 120.6 (s), 127.3 (d), 128.3 (d), 128.6 (d), 129.7 (d), 129.8 (s), 155.7 (s). Anal. Calcd for $C_{15}H_{13}BrO_2$ (305); C 59.02; H 4.26; Br 26.23%. Found: C 59.0; H 4.21; Br 26.18%.

Compound **3c:** [9] yield 81%, m.p. 158−159°C; IRS (nujol): 3415 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 2.4 (s, 3H, Ar–CH_3), 3.9 (s, 1H, C_2–H), 4.4 (s, 1H, C_2–H), 6.0−6.3 (bs, 1H, OH), 7.2−8.0 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 21.2 (q), 78.7 (s), 80.0 (t), 88.3 (s), 114.6 (d), 127.3 (d), 127.8 (d), 127.9 (d), 128.5 (d), 128.6 (s), 129.7 (d), 129.8 (s), 134.1 (s), 134.7 (s), 144.8 (s), 155.7 (s). Anal. Calcd for $C_{15}H_{12}Cl_2O_2$ (295); C 61.02; H 4.07; Cl 24.07%. Found: C 61.0; H 4.08; Cl 23.04%.

Compound **3d:** yield 75%, m.p. 160−162°C; IRS (nujol): 3430 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 2.35 (s, 3H, Ar–CH_3), 3.8 (s, 1H, C_2–H), 4.2 (s, 1H, C_2–H), 6.1−6.3 (bs, 1H, OH), 7.0−7.8 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 21.2 (q), 80.5 (t), 88.3 (s), 114.6 (d), 127.3 (d), 128.6 (s), 129.4 (d), 129.7 (d), 129.8 (s), 131.4 (s),141.1 (s), 155.7 (s). Anal. Calcd for $C_{15}H_{13}ClO_2$ (260.72); C 69.10; H 5.03; Cl 13.60%. Found: C 69.35; H 5.01; Cl 13.59%.

Compound **3e:** yield 78%, m.p. 165−167°C; IRS (nujol): 3425 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 3.9 (s, 1H, C_2–H), 4.3 (s, 1H, C_2–H), 6.2−6.4 (bs, 1H, OH), 7.3−7.9 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 80.5 (t), 87.5 (s), 116.1 (d), 125.9 (s), 127.0 (d), 129.4 (d), 129.5 (d), 129.8 (d), 130.1 (s), 131.3 (s), 141.1 (s), 156.8 (s). Anal. Calcd for $C_{14}H_{10}Cl_2O_2$ (281.13); C 59.81; H 3.59; Cl 25.22%. Found: C 59.79; H 3.57; Cl 25.21%.

Compound **3f:** yield 81%, m.p.. 151−153°C; IRS (nujol): 3435 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 3.75 (s, 1H, C_2–H), 4.1 (s, 1H, C_2–H), 6.05−6.3 (bs, 1H, OH), 7.2−7.85 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 80.5 (t), 88.0 (s), 113.6 (d), 116.0 (d), 116.3 (d), 129.4 (d), 129.5 (d), 129.8 (d), 130.3 (s), 131.3 (s), 141.1 (s), 154.2 (s), 154.3 (s). Anal. Calcd for $C_{14}H_{10}ClFO_2$ (264.68); C 63.53; H 3.81; Cl 13.39; F 7.18%. Found: C 63.55; H 3.84; Cl 13.31; F 7.17%.

Compound **3g:** yield 69%, m.p. 147−149°C; IRS (nujol): 3415 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 3.85 (s, 1H, C_2–H), 4.3 (s, 1H, C_2–H), 6.0−6.25 (bs, 1H, OH), 7.1−7.8 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 20.9 (q), 80.5 (t), 88.0 (s), 113.6 (d), 116.0 (d), 116.3 (d), 128.3 (d), 129.7 (d), 130.3 (s), 135.2 (s), 140.1 (s), 154.2 (s), 154.3 (s). Anal. Calcd for $C_{15}H_{13}FO_2$ (244.26); C 73.76; H 5.36; F 7.78%. Found: C 73.73; H 5.38; F 7.76%.

Compound **3h:** yield 67%, m.p. 159−160°C; IRS (nujol): 3420 cm^{-1} (OH); ^1H NMR ($CDCl_3$): δ 3.75 (s, 1H, C_2–H), 4.3 (s, 1H, C_2–H), 6.05−6.3 (bs, 1H, OH), 7.25−8.05 (bm, 7H, Ar–H); ^{13}C NMR ($CDCl_3$): δ 80.5 (t), 87.3 (s), 115.2 (s), 116.9 (d), 129.4 (d), 129.8 (d), 129.9 (d), 130.9 (s), 131.2 (s), 132.3 (d), 141.1 (s), 157.7 (s). Anal. Calcd for $C_{14}H_{10}BrClO_2$ (325.59); C 51.65; H 3.10; Br 24.54; Cl 10.89%. Found: C 51.63; H 3.08; Br 24.56; Cl 10.87%.

Antibacterial activity assay

The antibacterial activity was determined by agar diffusion method. The sterile medium (20 mL) was poured onto a 9 cm Petri plates. The medium was allowed to cool down in a sterile condition and plates were then inculcated with 1×10^5 cfu cultures of the tested bacteria. The concentration of bacterial cells in the suspension was adjusted to a minimum of 1×10^5 cfu/ml in nutrient broth solution. Agar cups of 5 mm diameter were made in the plates. Each test sample was dissolved in dimethyl formamide (DMF), 50 μL of the test solution containing 50 μg/mL of the test compound were placed in each cup. The plates were left to stay for an hour in order to facilitate the diffusion of the drug solution. Negative control samples were prepared using the same solvent (DMF) employed to dissolve the examined compounds [10]. Then the plates were incubated at 37°C for 24 h. The zone of inhibition (if any) against the used bacteria was measured in mm. Bacitracin and ciprofloxacin were used as positive reference to determine the sensitivity of each bacterial strain tested.

Acknowledgements: *The authors express their sincere gratitude to University of Mysore, Mysore, for the laboratory facilities.*

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СИНТЕЗ И АНТИМИКРОБНА АКТИВНОСТ НА НОВИ СЕРИИ ДИХИДРОБЕНЗОФУРАНОЛИ

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Постъпила на 15 април 2009 г.; Преработена на 3 февруари 2009 г.

(Резюме)

Лесна синтеза само на дихидробензофураноли е постигната чрез облъчване на 2-алкокси заместени бензофенони в ацетонитрил. Изследвана е антимикробната активност на получените съединения срещу 12 човешки патогени. Всички съединения показват значително задържане на растежа при концентрация от 50 μg/mL. Халоген-заместените съединения са по-активни, докато едно от съединенията показа по-силно инхибиране към всичките форми от антибиотиците бацитрацин, ципрофлоксацин и гентамицин.