Available online at www.joac.info

ISSN: 2278-1862

Journal of Applicable Chemistry

2013, 2 (6): 1705-1710

(International Peer Reviewed Journal)

Synthesis, Antibacterial and Antifungal Activity of New Chalcone Analogues Derived From 2-Hydroxy-Acetonaphthone

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Received on 8th November and finalized on 15th November 2013

ABSTRACT

The wide variety of pharmacological activities associated with the chalcone derivatives includes antimalarial, anti-inflammatory, cytotoxic, anticancer, antituberculosis, antifungal, antileish-manicidal, and antioxidant properties. The present paper describes the synthesis of new chalcone derivatives ***4a-4h*** *from commercially available 2-Hydroxy-acetonaphthone as starting material. The synthesized compounds* ***4a–4h*** *were evaluated for antimicrobial and antifungal activity by disc diffusion method. The antimicrobial and antifungal activity was evaluated against, A. niger, C.albicans (fungal strains), E. coli and P. aeruginosa (Gram negative bacteria), S. aureus and S. pyogenes (Gram positive bacteria) using Nystatin (for fungi) and ciprofloxacin (for bacteria) as the standard drugs. In general it is observed that compounds* ***4d*** *(R = 3,4,5-tri-Methoxy),* ***4e*** *(R = 3-OMe-4-OEt),* ***4f*** *(R = 4-CF_3),* ***4g*** *(R = 4-OCF_3) and* ***4h*** *(R = 4-Cl) displayed good antibacterial and antifungal activity.*

Keywords: Antibacterial Activity, Antifungal Activity, Chalcone, 2-Hydroxy-Acetonapthone, Ciprofloxacin, Nystatin.

INTRODUCTION

The chalcone derivatives or 1,3-diphenyl-2-propen-1-ones are known for their multiple anti-infective activities [1, 2]. The wide variety of pharmacological activities reported for these compounds include antimalarial, anti-inflammatory, cytotoxic, anticancer, and antioxidant properties [3–7], recently, the antitumor agents [8], antimicrobial agents [9], as inhibitors of breast cancer [10] were also reported. In addition to the above therapeutics, they have also been receiving great attention towards the following biological activities [11-12] such as inhibition of mycobacterial FAS-II, and PkNg [13], antituberculosis [14], antifungal [15], antileish-manicidal [16].

The development of antimicrobial agents to treat infectious diseases has been one of the most notable achievements of the past century. Despite the many antibiotics and chemotherapeutics available on the market, there is a critical need for the development of a new generation of antimicrobial agents that exhibit improved pharmacological properties and drug-resistance profiles [17, 18]. In spite of a large number of

antibiotics and chemotherapeutics available for medical use, the antimicrobial resistance has created a substantial need for design of new class of antimicrobials and this field will always remain an area of immense significance. The present paper describes the synthesis of new chalcone derivatives **4a-4h** from commercially available 2-Hydroxy-acetonaphthone as starting material. The synthesized compounds **4a–4h** were evaluated for antimicrobial and antifungal activity by disc diffusion method. The antimicrobial and antifungal activity was evaluated against, *A. niger*, *C.albicans* (fungal strains), *E. coli* and *P. aeruginosa* (Gram negative bacteria), *S. aureus* and *S. pyogenes* (Gram positive bacteria) using Nystatin (for fungi) and Ciprofloxacin (for bacteria) as the standard drugs.

MATERIALS AND METHODS

Chemical and solvents used were purchased either from Fluka or Merck. All the reagents were of analytical grade. Thin-layer chromatography (TLC) was performed on E.Merck AL silica gel 60 F254 plates and eluants were visualized under UV light. The IR spectra were recorded on a Perkin Elmer FT-IR spectrometer. The ^{1}H-NMR spectra were recorded in $CDCl_3$ on a Varian EM-360 spectrometer (400 MHz). The ^{13} C NMR spectra were recorded in $CDCl_3$ on a Varian EM-360 spectrometer operating at 100 MHz. All the chemical shifts were reported in δ (ppm) using TMS as an internal standard. The mass spectra were recorded on an Agilent ion trap MS. All the reactions were carried out under argon atmosphere.

Synthesis of 1-(2-(2-bromoethoxy)naphthalen-1-yl)ethanone 2: To a solution of 2-Hydroxy-acetonapthone (5 g, 26.90 mmol) in DMF (30 mL) was added potassium carbonate (4.5 g, 32.30 mmol) followed by 1,2-dibromoethane (5.35 mL, 80.70 mmol) at room temperature. The reaction mixture was heated to 90 ^{o}C for 1.5 h and was cooled to room temperature, diluted with ethylacetate (100 mL), the organic layer was washed with water (3 x 25 mL) followed by brine solution (25 mL). The organic layer was separated, dried over anhydrous sodium sulphate, filtered and evaporated under reduced pressure to obtain the crude compound. The crude compound was purified by flash column chromatography (6 % EtOAc in n-Hexane), yielding bromide derivative **2**. Pale yellow solid; Yield: 82%; M.p. 96- 98 ^{o}C; : ^{1}H NMR (400 MHz, $CDCl_3$): 8.02 (d, *J* = 9.2 Hz, 1H), 7.92 (d, *J* = 9.2 Hz, 1H), 7.63 (d, *J* = 8.4 Hz, 1H), 7.44-7.42 (m, 2H), 4.58 (t, *J* = 5.6 Hz, 2 H), 3.86 (t, *J* = 5.6 Hz, 2H), 2.64 (s, 3 H). ESI-MS: m/z 293.2 (M+1).

Synthesis of 1-(2-(2-azidoethoxy)naphthalen-1-yl)ethanone 3: To a solution of bromide derivative **2** (2 g , 6.85 mmol) in DMF (7 mL) was added sodium azide (0.70 g, 10.30 mmol) and the mixture was heated to 100 ^{o}C for 1 h. The reaction mixture was cooled to room temperature and diluted with ethylacetate (2 x 25 mL). The organic layer was washed with water (2 x 25 mL) followed by brine solution (2 x 15 mL). The organic layer was separated, dried over anhydrous sodium sulphate, filtered and evaporated under reduced pressure to obtain the crude compound. The crude compound was purified by flash column chromatography (10 % EtOAc in n-Hexane), furnishing azide derivative **2.** Yellow liquid; Yield**: 7**8%; IR (KBr): υ_{max} 3412, 3368, 3080, 3050, 2177, 2108, 1958, 1691, 1619, 1591, 1508, 1458, 1433, 1415, 1381, 1344, 1307, 1275, 1242, 1215, 1174, 1149, 1131, 1081, 1007, 867, 833, 806, 779, 750, 724, 677, 643 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$): 7.86 (d, *J* = 8.0 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.48 (dt, *J* = 1.2, 8.4 Hz, 1H), 7.38 (dt, *J* = 1.2,8.4 Hz, 1H), 7.25 (d, *J* = 8.0 Hz, 1H), 4.26 (t, *J* = 5.2 Hz, 2 H), 3.66 (t, *J* = 5.2 Hz, 2H), 2.68 (s, 3 H).

General Experimental Procedure for the Synthesis of Chalcone Derivatives 4a-4h: To a stirred solution of compound **3** (100 mg, 0.40 mmol) in methanol (1.5 mL) was added a suitable benzaldehyde (**a- h**, 0.44 mmol), followed by sodium hydroxide (1.60 mmol). The resulting mixture was stirred at room temperature for 4 h. The reaction mixture was diluted with cold water and the precipitated solids were filtered and dried at the pump to afford respective chalcone derivatives **4a – 4h** in 78- 95% yield.

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(4-methoxyphenyl)prop-2-en-1-one (4a): Yield: 82%; M.p. 73-77 ^{o}C; IR (KBr): υ_{max} 3429, 3058, 2928, 2877, 2091, 1703, 1625, 1596, 1509, 1469, 1431, 1382, 1337, 1229, 1245, 1171, 1134, 1108, 1072, 1026, 977, 907, 864, 825, 809, 748, 726, 696, 679, 638 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$): 7.94 (d, *J* = 8.2 Hz, 1H), 7.84 (d, *J* = 8.2 Hz, 1H), 7.72 (d, *J* = 8.2 Hz, 1H), 7.48-7.44 (m, 3 H), 7.42-7.38 (m, 2H), 7.32-7.28 (m, 2H), 6.84 (d, *J* = 7.2 Hz, 2H), 4.25 (t, *J* = 5.4 Hz, 2H), 3.84 (s, 3H), 3.54 (t, *J* = 5.2 Hz, 2H). ESI-MS: m/z 374.1 (M+1)

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(2,6-dimethoxyphenyl)prop-2-en-1-one (4b): Yield: 77%; M.p. 106-107 ^{o}C; IR (KBr): υ_{max} 3434, 3226, 3064, 2941, 2837, 2123, 2097, 1623, 1592, 1575, 1495, 1461, 1435, 1414, 1384, 1340, 1322, 1284, 1266, 1249, 1218, 1181, 1166, 1157, 1137, 1106, 1078, 1040, 1016, 971, 958, 873, 856, 813, 780, 759, 730, 709, 684 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$): 7.94 (d, *J* = 8.2 Hz, 1H), 7.85 (d, *J* = 8.0 Hz, 1H), 7.76 (d, *J* = 8.0 Hz, 1H), 7.68 (d, *J* = 16.0 Hz, 1H), 7.48 (t, *J* = 7.2 Hz, 1H), 7.40 (t, *J* = 7.2 Hz, 1H), 7.32 (d, *J* = 9.2 Hz, 1H), 7.22 (d, *J* = 16.0 Hz, 1H), 7.08(d, *J* = 2.8 Hz, 1H), 6.92 (dd, *J* = 1.2, 5.4 Hz, 1H), 6.80 (d, *J* = 7.8 Hz, 1H), 4.28 (t, *J* = 5.4 Hz, 2H), 3.76 (s, 3H), 3.74 (s, 3H), 3.55 (t, *J* = 5.4 Hz, 2H). ESI-MS: m/z 404.2 (M+1)

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(2,6-dimethoxyphenyl)prop-2-en-1-one (4c): Yield: 87%; M.p. 120- 121 ^{o}C; IR (KBr): υ_{max} 3436, 3100, 3057, 3013, 2962, 2938, 2837, 2137, 2102, 1684, 1681, 1626, 1612, 1591, 1575, 1508, 1474, 1460, 1432, 1381, 1334, 1246, 1204, 1179, 1149, 1108, 1093, 1069, 1027, 988, 963, 914, 882, 866, 812, 781, 757, 741, 726, 688, 634 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$): 7.92-7.82 (m, 2H), 7.80-7.76 (m, 2H), 7.60 (d, *J* = 16.0 Hz, 1H), 7.45 (t, *J* = 6.8 Hz, 1H), 7.38 (t, *J* = 7.2 Hz, 1H), 7.30-7.20 (m, 2H), 6.50 (d, *J* = 8.0 Hz, 2H), 4.25 (t, *J* = 5.8 Hz, 2H), 3.78 (s, 6H), 3.55 (t, *J* = 5.8 Hz, 2H). ESI-MS: m/z 404.2 (M+1)

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (4d): Yield: 75%; M.p. 88- 89 ^{o}C; IR (KBr): υ_{max} 3431, 3059, 2924, 2875, 2842, 2092, 1734, 1705, 1624, 1592, 1507, 1459, 1418, 1379, 1336, 1279, 1240, 1183, 1152, 1125, 1183, 1152, 1125, 1072, 1003, 976, 919, 861, 810, 749, 727,682, 638, 601 cm^{-1}; ^{1}H NMR (400 MHz, $CDCl_3$): 7.95 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.50 (t, *J* = 6.8 Hz, 1H), 7.40 (t, *J* = 6.8 Hz, 1H), 7.30 (d, *J* = 7.2 Hz, 1H), 7.20 (s, 1 H), 7.05 (d, *J* = 16.0 Hz, 1H), 6.75 (s, 2H), 4.28 (t, *J* = 5.4 Hz, 2H), 3.88 (s, 3H), 3.84 (s, 6H), 3.54 (t, *J* = 5.4 Hz, 2H). ESI-MS: m/z 434.2 (M+1)

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(4-ethoxy-3-methoxyphenyl)prop-2-en-1-one (4e): Yield: 88%; M.p. 119-122 ^{o}C; IR (KBr): υ_{max} 3432, 3057, 2954, 2924, 2837, 2093, 1730, 1624, 1592, 1519, 1462, 1425, 1386, 1267, 1236, 1136, 1108, 1072, 1030, 974, 918, 859, 806, 748, 679, 641, 592 cm^{-1};^{1}H NMR (400 MHz, $CDCl_3$): 7.94 (d, *J* = 8.2 Hz, 1H), 7.84 (d, *J* = 8.2 Hz, 1H), 7.70 (d, *J* = 8.2 Hz, 1H), 7.48-7.38 (m, 2H), 7.30 (d, *J* = 7.4 Hz, 1H), 7.24 (d, *J* = 7.2 Hz, 1H), 7.08 (d, *J* = 7.2 Hz, 2H), 7.02 (d, *J* = 7.0 Hz, 1H), 6.80 (d, *J* = 6.8 Hz, 1H), 4.26 (t, *J* = 5.4 Hz, 2H), 4.14 (q, *J* = 5.2 Hz, 2H), 3.86 (s, 3H), 3.55 (t, *J* = 5.2 Hz, 2H), 1.60 (d, *J* = 7.2 Hz, 1H),. ESI-MS: m/z 418.2 (M+1)

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(4-(trifluoromethyl)phenyl)prop-2-en-1-one (4f): Yield: 90%; M.p. 130-132 ^{o}C; IR (KBr): υ_{max} 3416, 3257, 3071, 3056, 2949, 2152, 2114, 1664, 1572, 1511, 1466, 1435, 1415, 1377, 1325, 1284, 1241, 1214, 1166, 1136, 1111, 1064, 1014, 998, 955, 866, 840, 804, 785, 746, 705, 653, 609 cm^{-1};^{1}H NMR (400 MHz, $CDCl_3$): 7.95 (d, *J* = 8.4 Hz, 1H), 7.84 (d, *J* = 8.4 Hz, 1H), 7.74 (d, *J* = 8.2 Hz, 1H), 7.62 (m, 4H), 7.48 (t, *J* = 6.8 Hz, 1H), 7.42 (t, *J* = 6.8 Hz, 1H), 7.38 (d, *J* = 16.0 Hz, 1H), 7.30 (d, *J* = 8.4 Hz, 1H), 7.24 (d, *J* = 16.0 Hz, 1H), 4.28 (t, *J* = 5.4 Hz, 2H), 3.75 (t, *J* = 5.2 Hz, 2H). ESI-MS: m/z 411.1 (M-1)

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(4-(trifluoromethoxy)phenyl)prop-2-en-1-one (4g): Yield: 88%; M.p. 126-128 ^{o}C; IR (KBr): υ_{max} 3410, 3256, 3068, 3058, 2956, 2156, 2120, 1668, 1578, 1510, 1462, 1445, 1415, 1376, 1328, 1280, 1240, 1218, 1168, 1138, 1110, 1068, 1014, 992, 950,

862, 840, 804, 785, 746, 705, 653, 609 cm^{-1}; ^1H NMR (400 MHz, $CDCl_3$): 7.98 (d, *J* = 8.4 Hz, 1H), 7.88 (d, *J* = 8.4 Hz, 1H), 7.78 (d, *J* = 8.2 Hz, 1H), 7.66 (m, 4H), 7.50 (t, *J* = 6.8 Hz, 1H), 7.46 (t, *J* = 6.8 Hz, 1H), 7.38 (d, *J* = 16.0 Hz, 1H), 7.32 (d, *J* = 8.4 Hz, 1H), 7.26 (d, *J* = 16.0 Hz, 1H), 4.24 (t, *J* = 5.4 Hz, 2H), 3.78 (t, *J* = 5.2 Hz, 2H); ESI-MS: m/z 429.1 (M+1).

Synthesis of (E)-1-(2-(2-azidoethoxy)naphthalen-1-yl)-3-(4-chlorophenyl)prop-2-en-1-one (4h): Yield: 79%; M.p. 126-128^oC; ^1H NMR (400 MHz, $CDCl_3$): 8.02 (d, *J* = 9.2 Hz, 1H), 7.92 (d, *J* = 9.2 Hz, 1H), 7.86 (d, *J* = 16.0 Hz, 1H), 7.63 (d, *J* = 8.4 Hz, 1H), 7.44-7.42 (m, 2H), 7.38 (d, *J* = 8.2 Hz, 2H), 7.22 (m, 4H); ESI-MS: m/z 378.2 (M+1).

Antimicrobial and Antifungal Bioassay

The test compounds **4a–4h**, in measured quantities, was dissolved in dimethyl sulphoxide (DMSO) in a final concentration of 50 µg mL^{-1}. The synthesized compounds **4a–4h** were evaluated for antimicrobial and antifungal activity by disc diffusion method [19] . The bacterial (24 h) and fungal (48 h) cultures from the slants were diluted with sterile water and mixed thoroughly to prepare a clear homogeneous suspension. These suspensions were spread on solidified agar, potato dextrose agar for fungi and nutrient agar for bacteria medium. The filter paper disks prepared by only DMSO (as a negative control) and with solution of 50 µg/L concentrations of test compounds **4a–4h** as well as standard compounds (Ciprofloxacin and Nystatin as positive control) were carefully placed over the spread cultures and incubated at 37 ^oC for 24 h for bacteria and at 28–30 ^oC for 48 h for fungi. After the incubation period, the plates were examined for the zone of inhibition. The diameter for the zones of inhibition was measured including the diameter of disk also. All determinations were made in triplicate for each of the compounds and the average value was taken. The antibacterial and antifungal activity was evaluated against *A. niger, C. albicans* (fungal strains), *E. coli* and *P. aeruginosa* (Gram negative bacteria), *S. aureus and S. pyogenes* (Gram positive bacteria) using Nystatin (for fungi) and ciprofloxacin (for bacteria) as the standard drugs.

RESULTS AND DISCUSSION

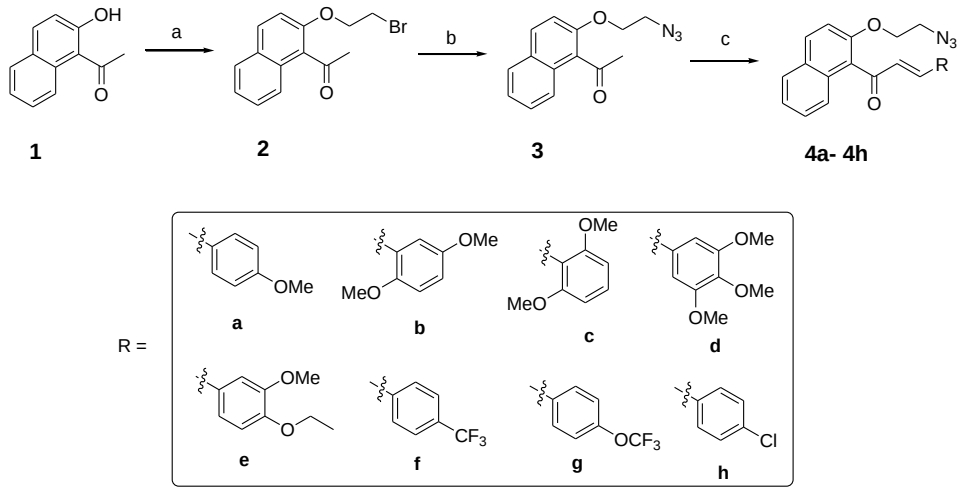
Synthesis: The synthesis of new chalcone derivatives **4a-4h** is presented in **Scheme-1**. The reaction of 2-Hydroxy-acetonaphthone **1** with 1,2-dibromoethane in presence of potassium carbonate in DMF at 90 ^oC gave bromide derivative **2**. Treatment of bromide derivative **2** with sodium azide in DMF at 100 ^oC afforded azide derivative **3**. Claisen-Schmidt condensation of azide **3** with various benzaldehydes (**a –h**) in presence of sodium hydroxide in methanol at room temperature produced new chalcone derivative **4a-4h**. All the synthesized chalcone derivatives **4a-4h** are characterized by ^1H NMR, Mass and IR data. In general the IR spectral data of all the chalcone derivatives **4a-4h** indicated the presence of distinctive functional groups such as –C=O, -CH=CH, $-N_3$ str in the range 1700-1640 and 1644-1618 and 2100-2220 cm^{-1}. As a representative example, the ^1H NMR spectrum of chalcone derivative **4a** indicated the following signals: the singlet at 3.80 ppm indicated the presence of a methoxy group and the presence of two triplet signals at 3.54 and 4.25 ppm confirms the methylene bridge ($-CH_2-CH_2-$). All the other aromatic protons were observed at expected regions. The ^1H NMR data for the remaining chalcone derivatives and its associated intermediates were also are in consistent with the assigned structures.

Antibacterial and Antifungal Activity : The antibacterial activity and antifungal activity of the synthesized chalcone derivatives **4a-4h** (50 µg mL^{-1} concentration) was compared with the standard drug Ciprofloxacin and the results of the investigation have been presented in **table 1.** In general it is observed that the compounds **4d** (R = 3,4,5-tri-Methoxy), **4e** (R = 3-OMe-4-OEt), **4f** (R = 4-CF_3), **4g** (R = 4-OCF_3) and **4h** (R = 4-Cl) displayed good antibacterial activity (zone of inhibition: 17-26 mm) with reference to the Ciprofloxacin drug (zone of inhibition: 21-28 mm) when tested against all the bacterial strains (viz., *E.coli, P. aeruginosa, S. aureus, S. pyogenes*), while the compounds **4a** (R = 4-OMe), **4b** (R = 2,5-di-OMe) and **4c** (R = 2,6-di-OMe) showed moderate antibacterial activity (zone of inhibition: 13- 20 mm).

The results of the antifungal activities of synthesized compounds **4a-4h** are as follows: most of the compounds displayed significant level of activity in comparison with standard antifungal (Nystatin, 50 µg/L concentration). Compounds **4d** (R = 3,4,5-tri-Methoxy), **4e** (R = 3-OMe-4-OEt), **4f** (R = 4-CF_3), **4g**

(R = 4-OCF_3) and **4h** (R = 4-Cl) displayed good antifungal activity (zone of inhibition: 19-22 mm), while the compounds **4a** (R = 4-OMe), **4b** (R = 2,5-di-OMe) and **4c** (R = 2,6-di-OMe) showed moderate antifungal activity (zone of inhibition: 13- 20 mm). The preliminary *in vitro* antimicrobial and antifungal screening of the chalcone derivatives **4a-4h** revealed that most of the compounds in the series showed potent activity. Therefore, the present study is valuable for finding the new drugs against bacterial and fungal diseases.

Scheme 1:



Scheme 1. Synthesis of Chalcone derivatives **4a – 4h**

Experimental Conditions: a) 1,2-dibromoethane, K_2CO_3, DMF, 90 ^oC, 1.5 hours; b) NaN_3, DMF, 100 ^oC, 1 hours; c) benzaldehydes, R = (**a-h**), NaOH, methanol, 4 hours.

Table 1: Results of Antibacterial and Antifungal activity of Compounds 4a-4h

Compound no.	*Gram negative bacteria*		*Gram positive bacteria*		*Fungi*	
	***E. coli* MTCC 443**	***P. aeruginosa* MTCC 424**	***S.aureus* MTCC 96**	***S.pyogenes* MTCC 442**	***A. niger* MTCC 282**	***C. albicans* MTCC 227**
	Zone of inhibition expressed in mm					
4a	19	17	14	12	13	14
4b	20	18	13	12	16	16
4c	22	18	14	17	17	16
4d	26	23	17	18	20	21
4e	25	23	17	17	20	20
4f	27	24	21	20	21	22
4g	27	24	21	20	21	22
4h	25	22	19	18	20	19
SD*	28	24	21	21		
SD*					24	24

SD*: Standard drug: Ciprofloxacin (Conc. 50 µg mL^{-1}) was used as a standard drug for antibacterial activity; Nystatin (Conc. 50 µg mL^{-1}) was used as a standard drug for antifungal activity.

APPLICATIONS

The chalcones **4a – 4h** synthesized in the present paper have been evaluated for antimicrobial and antifungal activity, the results revealed that these chalcone derivatives were found to be promising as

active pharmacophore. Further SAR studies are undergoing to explore the scope of the various biological activities.

CONCLUSIONS

The present paper describes the synthesis of new chalcone derivatives **4a-4h** from commercially available 2-Hydroxy-acetonaphthone as starting material. The chalcones thus derived have been evaluated for antimicrobial and antifungal, viz., *A. niger*, *C.albicans* (fungal strains), *E. coli* and *P. aeruginosa* (Gram negative bacteria), *S. aureus* and *S. pyogenes* (Gram positive bacteria) using Nystatin (for fungi) and ciprofloxacin (for bacteria) as the standard drugs. In general it is observed that compounds **4d** (R = 3,4,5-tri-Methoxy), **4e** (R = 3-OMe-4-OEt), **4f** (R = 4-CF_3), **4g** (R = 4-OCF_3) and **4h** (R = 4-Cl) displayed good antibacterial and antifungal activity.

ACKNOWLEDGEMENTS

One of the authors (NSR) thanks the Director, Veerabhadra Swamy, and analytical team of Laxai Avanti Life Sciences, ICICI Knowledge Park, for the analytical support and is also thankful to the Head of the Department of Chemistry, Osmania University.

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