Synthesis and Antimicrobial Activity of Novel Heterocyclic Chalcones

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ABSTRACT

In a wide search program toward new antimicrobial agents, a seri of heterocyclic chalcones have been synthesized by condensing benzaldehyde derivatives with heteroarylmethylcetone in potassium hydroxide methanol according to the Claisen-Schmidt condensation at room temperature. The synthetic chalcones have been determined by IR spectroscopy and ^{1}H-NMR spectroscopy. The antimicrobacterial activity of the novel products was evaluated against bacteria such as *Staphylococcus aureus*, *Pseudomonas aeruginosae*, *E. coli* and fungi such as *Candida albicans*, *dermatophytes.* The result shows that pyridine moiety may show antibacterial effect stronger than that of thiophene or furan. Heterocyclic chalcones with hydroxyl group on B ring at position 2 or 3 are considered as lead compounds for generation of new potential antimicrobial drugs in future.

Keywords: Heterocyclic chalcone derivates, Antimicrobial agents.

INTRODUCTION

At present, there is growing interest in the discovery of new antibacterial agents to bate against pathogenic microorganism, especially the bacteria resistant to the current antibiotics. Chalcones (1,3-diphenyl-propene-1-one) pertaining to the flavonoid family both natural as well as synthetic products have been revised for their wide biological activities such as antibacterial[1], anti-tumor[2], anti-inflammatory[3], antioxidant[4]…

Studies on the bioavailability of heterocyclic chalcones from natural sources are limited, but synthetic heterocyclic chalcones have been reported to have a wide range of biological properties, especially antibacterial[5,6,7] and antifungal activities[8].

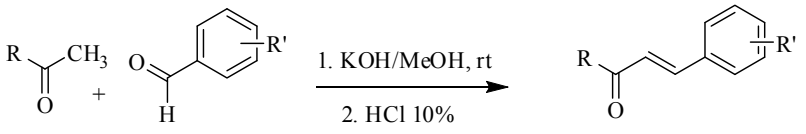
In an effort to develop potent anti-microbial agents a series of heterocyclic chalcones we have reported the process of synthseis of heterocyclic chalcones as well as their inhibitory activity against microbial germs.

MATERIALS AND METHODS

Chemistry

The melting points (mp) were taken in open capillary tubes using Galenkampt apparatus and are uncorrected. The IR spectra were recorded on Schimadzu FTIR 8201 PC Spectrophotometers. ^{1}H-NMR spectra were determined on a Bruker Ultrashield 500 spectrometers using tetramethylsilan (TMS) as an internal reference. All the starting materials are commercially available.

A number of known and novel chalcone derivatives were prepared by a Claisen–Schmidt condensation[9] of the appropriate aldehyde and acetophenone derivatives (Schemes 1). General Procedure for the synthesis of chalcones: a solution of substituted acetophenone (5mM) and aromatic aldehyde (5mM) in methanol (15mL) was cooled to 5-10°C in an ice bath. The cooled solution was treated with adding a small portion of pulverized potassium hydroxide (10mM). The reaction mixture was magnetically stirred for 60 minutes and then left overnight or longer, monitored by thin layer chromatography using developing solvent *n*-hexane – acetone (5:1). The resulting dark solution was diluted with ice water and carefully acidified using dilute hydrochloric acid. The chalcone which separated as a yellow solid was collected by filtration after washing with water and further purified by crystallization from methanol.



Ch1-21

R: Ch_{1-7}: piridinyl; Ch_{8-14}: thiophenyl; Ch_{15-21}: furanyl; R': $N(CH_3)_2$; OH; OCH_3

Scheme 1. Claisen–Schmidt condensation. (1) KOH (1.5 eq.), substituted acetophenone (1 eq.), substituted benzaldehyde (1 eq.); MeOH, room temperature; (2) 10% HCl solution.

Antimicrobial activity

Antimicrobial screening was conducted using cup-plate method[10] at a concentration of 100µg/mL. All the compounds were assessed for their *in vitro* antimicrobial activity against different strains of bacteria such as *Pseudomonas aeruginosa* ATCC 27853, *Escherichia coli* ATCC 25922, *Staphylococcus aureus* ATCC 43300, *Staphylococcus aureus resistant methicilin* ATCC 43300 (MRSA) and fungi

such as *Microsporum gypseum*, *Trichophyton mentagrophyte* and *Candida albicans*. Solvent DMSO was used as solvent control, Mueller-Hinton agar (MHA) broth was used as media for antibacterial test and Sabouraud Dextrose Agar (SDA) broth was used for antifungal test. Standard drugs like gentamicin and ketoconazole were used for comparison purpose. After incubation at 37 ^{o}C in 24h for bacteria and in 48h for *C. albicans* and 7 days for *dermatophytes*, the zones of inhibition were measured. The bioactivity data of the screening test of synthesized compounds is given in Table 1.

The minimum inhibitory concentration (MIC) values of the active compounds screened from the Table-1 were determined following the micro-diluted method described in the National Committee for Clinical Laboratory Standards[11]. The concentrations of tested substance were prepared within the range from 1024 to 0.125 µg/ml. Put 2 mL of the diluted solutuions into small wells of plate. To the diluted solution on the 96-well plates, 1-2 µL of test organism suspension (10^4 CFU/ml) was added. Incubate in incubator at 37 °C in 24h for bacteria and in 48h for *C. albicans* and in 7 days for *Dermatophytes*. The MIC was the lowest concentration of test compound that was able to inhibit visible growth of the bacteria and was determined in triplicates. The MIC results were displayed in Table-2.

RESULTS AND DISCUSSION

Process of condensation was conducted from 6h to 36h as above mentioned process. After recrystallized from methanol all new chalcones were obtained in 60–75% yield. The ^{1}H-NMR analysis of all the obtained chalcones showed that the *E*-isomer was formed.

Tablet-1. Antimicrobial activity of Synthesized Compounds (diameter of zone of inhibition in mm)

Compounds	Bacteria				Fungi		
	S.aureus	*MRSA*	*P.aeruginosa*	*E.coli*	*C.albicans*	*M.gypseum*	*T.mentagrophytes*
Ch1	-	-	-	-	-	-	-
Ch2	-	-	-	-	-	-	-
Ch3	-	-	-	-	-	14	12
Ch4	-	-	-	-	-	-	-
Ch5	8	8	-	-	11	26	21
Ch6	16	13	-	14	14	30	34
Ch7	-	-	-	-	-	22	26
Ch8	-	-	-	-	-	-	-
Ch9	-	-	-	-	-	-	-
Ch10	-	-	-	-	-	17	13
Ch11	-	-	-	-	-	-	-
Ch12	15	14	-	11	20	28	29
Ch13	10	11	-	10	9	26	27
Ch14	-	-	-	-	-	24	23
Ch15	-	-	-	-	-	-	-
Ch16	-	-	-	-	-	-	-
Ch17	-	-	-	-	-	25	21
Ch18	-	-	-	-	-	-	-
Ch19	10	7	-	8	9	26	22
Ch20	-	8	-	-	6	32	27
Ch21	-	-	-	-	-	16	15
Gentamicin	27	23	-	22	-	-	-
Ketoconazole	-	-	-	-	16	ND	ND

(-): inactive at concentration 100µg/mL

Tablet-2. Antibacterial activity of synthetic compounds (minimum inhibitory concentration in µg/mL)

Compounds	MICs on tested Bacteria (µg/mL)			MICs on tested Fungi (µg/mL)		
	S. aureus	*MRSA*	*E. coli*	*C. albicans*	*M. gypseum*	*T. mentagrophytes*
Ch3	-	-	-	-	64	64
Ch5	32	32	32	6	16	32
Ch6	32	64	64	8	4	8
Ch7	-	-	-	-	32	64
Ch10	-	-	-	-	32	32
Ch12	-	-	-	16	8	8
Ch13	-	-	-	-	6	16
Ch14	-	-	-	-	8	16
Ch17	-	-	-	-	16	32
Ch19	32	32	64	8	8	16
Ch20	-	-	-	-	4	16
Ch21	-	-	-	-	8	32
Gentamicin	2	4	1	ND	ND	ND
Ketoconazole	ND	ND	ND	16	8	4

(-): inactive at concentration 64 µg/mL; ND: not determined

Chemical data

Ch1: 1-(pyridine-2-yl)-3-(3-nitrophenyl)-2-propen-1-one

Mp: 180 ^{o}C; IR (νcm^{-1}, KBr): 1674 ($\upsilon_{C=O}$) and 1616 ($\upsilon_{C=C}$). ^{1}H-NMR (DMSO-$_{d6}$, δppm): 8.83 (d, *J*=4.5Hz, 1H, $H_{6'}$); 8.63 (s, 1H, H_2); 8.40 (d, *J*=16Hz, 1H, H_β); 8.31 (d, *J*=7,5Hz, 1H, H_4); 8.29 (d, *J*=2Hz, 1H, $H_{3'}$); 8.14 (d, *J*=8Hz, 1H, H_6); 8.10 (m, 1H, $H_{4'}$); 7.98 (d, *J*=16Hz, 1H, H_α); 7.77 (t, 1H, H_5); 7.74 (m, 1H, $H_{5'}$).

Ch2: 1-(pyridine-2-yl)-3-(4-dimethylaminophenyl)-2-propen-1-one

Mp: 138 ^{o}C; IR: (νcm^{-1}, KBr): 1656 ($\upsilon_{C=O}$), 1604 ($\upsilon_{C=C}$). ^{1}H-NMR (DMSO-$_{d6}$, δ ppm): 8.73 (s, 1H, $H_{5'}$); 8.31 (d, *J*=8Hz, 1H, H_4); 8.27 (d, *J*=2Hz, 8Hz, 1H, H_6); 8.10 (t, 1H, H_2); 7.94 (d, *J*=3,5Hz, 1H, $H_{3'}$); 7.91 (d, *J*=16Hz, 1H, H_β); 7.85 (d, *J*=16Hz, 1H, H_α); 7.76 (t, 1H, H_5); 6.83 (m, 1H, $H_{4'}$).

Ch3: 1-(pyridin-2-yl)-3-(3,4-dimethoxyphenyl)-2-propen-1-one

Mp: 105 ^{o}C; IR (νcm^{-1}, KBr): 1666 ($\upsilon_{C=O}$); 1596($\upsilon_{C=C}$); 1271(υ_{C-O-}). ^{1}H-NMR (DMSO-$_{d6}$, δppm): 8.80 (m, 1H, $H_{6'}$); 8.13 (d, *J*=16Hz; 1H, H_β); 8.09 (d, *J*=7,5Hz, 1H, $H_{3'}$); 8.04 (m, 1H, $H_{4'}$);); 7.82 (d, *J*=16Hz, 1H, H_α); 7.68 (m, 1H, $H_{5'}$); 7.40 (d, *J*=8Hz, 1H, H_2); 7.37 (d, *J*=1,5Hz, 1H, H_6); 7.04 (d, *J*=8Hz, 1H, H_5); 3.83 (s, 6H, 2x-OCH_3).

Ch4: 1-(pyridin-2-yl)-3-(3,4,5-trimethoxyphenyl)-2-propen-1-one

Mp: 160 ^{o}C; IR (νcm^{-1}, KBr): 1668 ($\upsilon_{C=O}$), 1610 ($\upsilon_{C=C}$), 1124 (υ_{C-O-}). ^{1}H-NMR (500 MHz, $CDCl_3$, δ ppm): 8.76 (m, 1H, $H_{6'}$); 8.20 (s, 1H, $H_{3'}$); 8.17 (d, *J*=16 Hz, 1H, H_β); 7.89 (t, 1H, $H_{4'}$); 7.87 (d, *J*=16 Hz, 1H, H_α); 7.51 (m, 1H, $H_{5'}$); 6.96 (s, 2H, H_2 and H_6); 3.94 (s, 6H, 2 x -OCH_3); 3.91 (s, 3H, -OCH_3).

Ch5: 1-(pyridin-2-yl)-3-(2-hydroxyphenyl)-2-propen-1-one

Mp: 140 ^{o}C; IR (νcm^{-1}, KBr): 1660 ($\upsilon_{C=O}$), 1591 ($\upsilon_{C=C}$), 3375 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 10.32 (s, 1H, -OH); 8.79 (m, 1H, $H_{6'}$); 8.30 (d, *J*=16, 1H, H_β); 8.10 (d, *J*=1Hz, 6,5Hz, 1H, $H_{3'}$); 8.08 (m, 1H, $H_{4'}$); 8.04 (m, 1H, $H_{5'}$); 7.70 (d, *J*=1Hz, 7,5Hz, 1H, H_3); 7.67 (d, *J*=16Hz, 1H, H_α); 7.29 (m, 1H, H_4); 6.96 (d, *J*=1Hz, 8Hz, 1H, H_6); 6.90 (t, 1H, H_5).

Ch6: 1-(pyridin-2-yl)-3-(3-hydroxyphenyl)-2-propen-1-one

Mp: 131 ^{o}C; IR (νcm^{-1}, KBr): 1660 ($\upsilon_{C=O}$), 1566 ($\upsilon_{C=C}$), 3359 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 9.70 (s, 1H, OH); 8.80 (d, *J*=4.5Hz, 1H, $H_{6'}$); 8.19 (d, *J*=16.5Hz, 1H, H_β); 8.10 (d, *J*=8Hz, 1H, $H_{3'}$); 8.05 (t, 1H, $H_{4'}$); 7.75 (d, *J*=16Hz, 1H, H_α); 7.69 (m, 1H, $H_{5'}$); 7.27 (t, 1H, H_5); 7.23 (d, *J*=8Hz, 1H, H_6); 7.18 (s, 1H, H_2); 6.88 (d, J= 1Hz, 7.5Hz, 1H, H_4).

Ch7: 1-(pyridin-2-yl)-3-(4-hydroxyphenyl)-2-propen-1-one

Mp: 137 ^{o}C; IR (νcm^{-1}, KBr): 1664 ($\upsilon_{C=O}$), 1579 ($\upsilon_{C=C}$), 3100 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δ ppm): 10.12 (s, 1H, -OH); 8.77 (d, *J*=5.5Hz, 1H, $H_{6'}$); 8.08 (d, *J*=16Hz, 1H, H_β); 8.03 (m, 2H, $H_{3'}$, $H_{4'}$); 7.77 (d, *J*=16Hz, 1H, H_α); 7.71 (m, 1H, $H_{5'}$); 7.69 (d, 2H, H_2, H_6); 6.84 (d, 2H, H_3, H_5).

Ch8: 1-(furan-2-yl)-3-(3-nitrophenyl)-2-propen-1-one

Mp: 185 ^{o}C; IR (νcm^{-1}, KBr): 1656 ($\upsilon_{C=O}$), 1604 ($\upsilon_{C=C}$). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 8,73 (s, 1H, $H_{5'}$); 8,31 (d, *J*=8Hz, 1H, H_4); 8,27 (d, *J*=2 Hz, 8 Hz, 1H, H_6); 8,10 (t, 1H, H_2); 7,94 (d, *J*=3,5 Hz, 1H, $H_{3'}$); 7,91 (d, *J*=16 Hz, 1H, H_β); 7,85 (d, *J*=16 Hz, 1H, H_α); 7,76 (t, 1H, H_5); 6,82 (m, 1H, $H_{4'}$).

Ch9: 1-(furan-2-yl)-3-(4-dimethylaminophenyl)-2-propen-1-one

Mp: 104 ^{o}C; IR (νcm^{-1}, KBr): 1643 ($\upsilon_{C=O}$), 1612 ($\upsilon_{C=C}$). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 8.00 (d, *J*=1 Hz, 1H, $H_{5'}$); 7.67 (d, *J*=16Hz, 1H, H_β); 7.66 (d, *J*=9 Hz, 3H, H_2, H_6 and $H_{3'}$); 7.40 (d, *J*=16Hz, 1H, H_α); 6.76 (m, 3H, H_3, H_5 and $H_{4'}$); 3.00 (s, 6H, 2 x -CH_3).

Ch10: 1-(furan-2-yl)-3-(3,4-dimethoxyphenyl)-2-propen-1-one

Mp: 105 ^{o}C; IR (νcm^{-1}, KBr): 1651 ($\upsilon_{C=O}$); 1595 ($\upsilon_{C=C}$);1263(υ_{C-O-}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 8.04 (d, *J*=1Hz, 1H, $H_{5'}$); 7.77 (d, *J*=3Hz; 1H, $H_{3'}$); 7.70 (d, *J*=16Hz, 1H, H_β); 7.56 (d, *J*=16Hz, 1H, H_α); 7.47 (s, 1H, H_2); 7.37 (m, 1H, H_6); 7.02(d, *J*=8.5 Hz, 1H, H_5); 6.78 (m, 1H, $H_{4'}$); 3.83 (s, 6H, 2x-OCH_3).

Ch11: 1-(furan-2-yl)-3-(3,4,5-trimethoxyphenyl)-2-propen-1-one

Mp: 158 ^{o}C; IR (νcm^{-1}, KBr): 1654 ($\upsilon_{C=O}$), 1604 ($\upsilon_{C=C}$), 1122 (υ_{C-O-}). ^{1}H-NMR (500 MHz, $CDCl_3$, δppm): 7.80 (d, *J*=16Hz, 1H, H_β); 7.66 (d, *J*=1Hz, 1H, $H_{5'}$); 7.34 (d, *J*=16Hz, 1H, H_α); 7.34 (d, *J*=3,5 Hz, 1H, $H_{3'}$); 6.88 (s, 2H, H_2 and H_6); 6.61 (m, 1H, $H_{4'}$); 3.93 (s, 6H, 2 x -OCH_3); 3.90 (s, 3H, -OCH_3).

Ch12: 1-(furan-2-yl)-3-(2-hydroxyphenyl)-2-propen-1-one

Mp: 138 ^{o}C; IR (νcm^{-1}, KBr): 1643 ($\upsilon_{C=O}$), 1577 ($\upsilon_{C=C}$), 3124 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 10.24 (s, 1H, -OH); 8.03 (d, *J*=16Hz, 1H, H_β); 8.03 (d, *J*=1Hz, 7.5Hz, 1H, $H_{5'}$); 7.78 (d, *J*=1,5Hz, 6Hz, 1H, H_6); 7.67 (d, *J*=3.5Hz, 1H, $H_{3'}$); 7.64 (d, *J*=16Hz, 1H, H_α); 7.27 (m, 1H, H_4); 6.93 (d, *J*=0.5Hz, 8Hz, 1H, H_3); 6.87 (t, 1H, $H_{4'}$); 6.76 (m, 1H, H_5).

Ch13: 1-(furan-2-yl)-3-(3-hydroxyphenyl)-2-propen-1-one

Mp: 141 ^{o}C; IR (νcm^{-1}, KBr): 1643 ($\upsilon_{C=O}$), 1577 ($\upsilon_{C=C}$), 3309 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 9.61 (s, 1H, -OH); 8.05 (d, 1H, $H_{5'}$); 7.79 (d, 1H, $H_{3'}$); 7.65 (d, *J*=16Hz, 1H, H_β); 7.58 (d, *J*=16Hz, 1H, H_α); 7.25 (t, 1H, $H_{4'}$); 7.25 (d, 1H, H_6); 7.19 (s, 1H, H_2); 6.87 (m, 1H, H_5); 6.78 (m, 1H, H_4).

Ch14: 1-(furan-2-yl)-3-(4-hydroxyphenyl)-2-propen-1-one

Mp: 142 ^{o}C; IR (νcm^{-1}, KBr): 1647 ($\upsilon_{C=O}$), 1610 ($\upsilon_{C=C}$), 3200 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 10.09 (s, 1H, -OH); 8.02 (s, 1H, $H_{5'}$); 7.72 (s, 1H, $H_{3'}$); 7.71(d, *J*=7.5Hz, 2H, H_2 and H_6); 7.66 (d, *J*=17Hz, 1H, H_β); 7.48 (d, *J*=16.5Hz, 1H, H_α); 6.83 (m, 2H, H_3 and H_5); 6.76 (m, 1H, $H_{4'}$).

Ch15: 1-(thiophen-2-yl)-3-(3-nitrophenyl)-2-propen-1-one

Mp: 159 ^{o}C; IR (νcm^{-1}, KBr): 1651 ($\upsilon_{C=O}$), 1600 ($\upsilon_{C=C}$). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 8.77 (d, *J*=1.5Hz, 1H, $H_{5'}$); 8.43 (d, *J*=5Hz, 1H, $H_{3'}$); 8.33 (d, *J*=8Hz, 1H, H_4); 8.27 (d, *J*=2Hz, 8 Hz, 1H, H_6); 8.09

(d, *J*=16Hz, 1H, H_β); 8.10 (d, *J* = 1 Hz, 1H, H_2); 7.85 (d, *J* = 15.5 Hz, 1H, H_α); 7.77 (t, 1H, H_5); 7.54 (m, 1H, $H_{4'}$).

Ch16: 1-(thiophen-2-yl)-3-(4-dimethylaminophenyl)-2-propen-1-one

Mp: 131 ^oC; IR (νcm^{-1}, KBr): 1633 ($\upsilon_{C=O}$), 1612 ($\upsilon_{C=C}$). ^{1}H-NMR (500 MHz, $CDCl_3$, δppm): 7.83 (d, *J*=15.5Hz, 1H, H_β); 7.83 (d, *J*=9Hz, 1H, $H_{5'}$); 7.62 (d, *J* =6Hz, 1H, $H_{3'}$); 7.55 (d, *J*=9Hz, 2H, H_2 and H_6); 7.23 (d, *J*=15.5 Hz, 1H, H_α); 7.16 (t, 1H, $H_{4'}$); 6.70 (d, *J*=8.5Hz, 2H, H_3 and H_5); 3.04 (s, 6H, 2 x -CH_3).

Ch17: 1-(thiophen-2-yl)-3-(3,4-dimethoxyphenyl)-2-propen-1-one

Mp: 99 ^oC; IR (νcm^{-1}, KBr): 1639 ($\upsilon_{C=O}$); 1573 ($\upsilon_{C=C}$); 1265 (υ_{C-O-}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 8.32 (m, 1H, $H_{5'}$); 8.03 (m, 1H, $H_{3'}$); 7.75 (d, *J*=15.5Hz, 1H, H_β); 7.68 (d, *J*=15.5Hz, 1H, H_α); 7.52 (s, 1H, H_2); 7.40 (m, 1H, H_6); 7.31 (m, 1H, $H_{4'}$); 7.02 (d, *J*=8.5Hz, 1H, H_5); 3.83 (s, 6H, 2x-OCH_3).

Ch18: 1-(thiophen-2-yl)-3-(3,4,5-trimethoxyphenyl)-2-propen-1-one

Mp: 156 ^oC; IR (ν, cm-1, KBr): 1645 ($\upsilon_{C=O}$), 1596 ($\upsilon_{C=C}$), 1124 (υ_{C-O-}). ^{1}H-NMR (500 MHz, $CDCl_3$, δ ppm): 7.88 (m, 1H, $H_{5'}$); 7.77 (d, *J* = 15.5 Hz, 1H, H_β); 7.69 (d, *J*=1Hz, 5 Hz, 1H, $H_{3'}$); 7.30 (d, *J*=15.5 Hz, 1H, H_α); 7.19 (t, 1H, $H_{4'}$); 6.87 (s, 2H, H_2 and H_6); 3.93 (s, 6H, 2x-OCH_3); 3.91 (s, 3H, -OCH_3).

Ch19: 1-(thiophen-2-yl)-3-(2-hydroxyphenyl)-2-propen-1-one

Mp: 158 ^oC; IR (νcm^{-1}, KBr): 1637 ($\upsilon_{C=O}$), 1560 ($\upsilon_{C=C}$), 3332 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 10,30 (s, 1H, -OH); 8.20 (d, *J*=1Hz, 3Hz, 1H, $H_{5'}$); 8.04 (d, *J*=16Hz, 1H, H_β); 8.03 (d, 1H, H_6); 7.86 (d, *J*=1.5Hz, 8Hz, 1H, H_3); 7.77 (d, *J*= 15.5Hz, 1H, H_α); 7.26-7.30 (m, 2H, H_4, H_5); 6.94 (d, *J*=1Hz, 4Hz, H_3); 6.88 (t, 1H, $H_{4'}$).

Ch20: 1-(thiophen-2-yl)-3-(3-hydroxyphenyl)-2-propen-1-one

Mp: 130 ^oC; IR (νcm^{-1}, KBr): 1643 ($\upsilon_{C=O}$), 1577 ($\upsilon_{C=C}$), 3334 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 9.62 (s, 1H, -OH); 8.31 (d, *J*=4Hz, 1H, $H_{5'}$); 8.05 (d, *J*=5Hz, 1H, $H_{3'}$); 7.77 (d, *J*=15.5Hz, 1H, H_β); 7.63 (d, *J*=16Hz, 1H, H_α); 7.31 (t, 1H, $H_{4'}$); 7.30 (d, 1H, H_6); 7.27 (t, 1H, H_5); 7.23 (s, 1H, H_2); 6.87 (m, 1H, H_4).

Ch21: 1-(thiophen-2-yl)-3-(4-hydroxyphenyl)-2-propen-1-one

Mp: 151 ^oC; IR (νcm^{-1}, KBr): 1643 ($\upsilon_{C=O}$), 1610 ($\upsilon_{C=C}$), 3172 (υ_{O-H}). ^{1}H-NMR (500 MHz, DMSO-$_{d6}$, δppm): 10.09 (s, 1H, -OH); 8.25 (m, 1H, $H_{5'}$); 8.01 (m, 1H, $H_{3'}$); 7.73 (m, 2H, H_2 and H_6); 7.65 (s, 2H, H_β and H_α); 6.83 (m, 2H, H_3 and H_5).

CONCLUSIONS

Our results suggest that synthetic heterocyclic chalcones may possess antimicrobial effects in which the pyridine moiety may show antibacterial effect stronger than that of thiophene or furan. The heterocyclic chalcones with hydroxyl group on B ring at position 2 or 3 are considered as lead compounds for generation of new potential antimicrobial drugs in future.

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