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Synthesis, Characterization and Biological Study of Some (*E*)-3-(5-Bromothiophen-2-yl)-1-phenylprop-2-en-1-ones

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Abstract: About eleven substituted (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones have been synthesized by Crossed-Aldol condensation using simple stirring of 5-bromo-2-thiophen aldehyde and various substituted acetophenones at room temperature. The obtained yields of this condensation was more than 89%. They are characterized by their analytical, UV, FT-IR and NMR spectral data. The antimicrobial activities of all synthesized chalcones have been evaluated by Bauer-Kirby disc diffusion method using gram positive and gram negative bacterial and fungal strains. From the mm of zone of inhibition values the anti-bacterial and antifungal activities of all ketones have been discussed.

Keywords: (*E*)-3-(5-Bromothiophen-2-yl)-1-phenylprop-2-en-1-Ones, IR Spectra, NMR Spectra, Antibacterial and Antifungal Activities

1. Introduction

Chalcones are well known intermediate compounds for synthesizing various pyrazoles such as pyrimidines. The presence of unsaturated keto functional group is responsible for the various activities, such as anti-bacterial and anti-malarial and antifungal activities. Synthetic and naturally occurring aryl chalcones has been mostly studied and recognized as one of the medicinal significant molecules. Various synthetic methods available for synthesizing chalcones such as Aldol, Crossed-Aldol, Claisen-Schmidt, Knovenagal reactions, Greener methods-Grinding of reactants, solvent free and oxides of nanoparticles with microwave heating. Chemists are paying much more interest in the application of solvent free synthetic methods [1]. Also microwave assisted solvent free Aldol and Crossed-Aldol condensation [2-4] was useful for synthesis of carbonyl compounds. Recently, John Joseph et. al., [5] synthesized some 2, 4-dimethoxy phenyl chalcones using fly ash is catalyst for green synthetic methodology. Many catalysts were used for proceedings the above said reactions namely, $MgCl_2$ [6], silica-sulphuric acid [7], anhydrous zinc chloride, ground chemistry catalysts-grinding the reactants with sodium hydroxide [8], aqueous alkali in lower temperature, solid sulphonic acid from bamboo [9], barium hydroxide [10] anhydrous sodium bicarbonate [11], microwave assisted synthesis [12], flyash:water [13], fly-ash: H_2SO_4 [14], fly-ash: PTS [15], NaOH-CTABr [16], SiO_2-H_3PO_4 [17], $SOCl_2$ [18] and sulfated titania [19]. These chalcones also used as corrosion inhibitors in iron and steel utensil and equipment manufacturing steel industries [20]. These chalcones are also precursor key intermediate for synthesis of important biologically active higher organic heterocycles such as flavones, flavonoids, chromones, aurones, isoxazole, quinlinones, thiodiazine, benzodiazepine and azole related compounds [21, 22].

Chalcones from the natural products with distribution in fruits, vegetable, spices, tea and soy based food stuff, have been recently subjects of great interest for their interesting pharmacological activities [23]. Chalcones have many useful activities like anti-inflammatory [24-26], anti-microbial [27, 28] anti-fungal [29], Anti-oxidant [30], cytotoxic [30], anti-

tumor [31] and anti-cancer activities [32, 33] in their own. Most of chalcone derivatives are inhibit several important enzymes in cellular systems, including aldose reductase epoxide hydrdose [34], xanthine oxidate [35], rotein tyrosine linase [36] and guinosa reductase [37]. For developing organic synthesis of the non-conventional technique get the popularity, because the microwave induced enrichment of organic reaction. This technique is easy to access higher yields, elevated temperature, ultimate control and rapid synthesis of organic compounds. Within the above view there is no report available in the literature for the synthesis of substituted (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones and the study of their antimicrobial activities. Hence, the authors have taken efforts to synthesis the titled compounds for evaluating the antimicrobial activities.

2. Experimental

2.1. Methods and Materials

All chemicals procured from Aldrich chemical company Bangalore. Uncorrected Suntex melting point apparatus are detect the melting points of all aryl chalcones used by the open glass capillaries. The UV spectra of the chalcones synthesized have been noted using double beam ELICO-BL222 Bio-Spectrophotometer. Infrared spectra (KBr, 4000-400cm^{-1}) have been recorded on FT-IR AVATAR-300 spectrophotometer. BRUKER-500MHz Nuclear Magnetic Resonance spectrometers have been used for noted proton and ^{13}C spectra in $CDCl_3$ solvent using internal standard is TMS. The micro analyses of these aryl chalcone compounds were performed in Thermofinnigan analyzer

2.2. General Procedure for Synthesis of (E)-3-(5-Bromothiophen-2-yl)-1- phenylprop -2-en-1-ones

An appropriate mixture of 5-bromothiophene-2-carbaldehyde (100 mmol) and *ortho, meta* and *para* substituted acetophenones (100mmol) and aqueous sodium hydroxide (200 ml 0.5M) in presence of absolute ethyl alcohol (Figure 1) are taken in the conical flask. The above reactants are vigorously stirred for 30 minutes at room temperature [38]. After complete conversion of the aldehydes as examined by TLC method, the mixture was permitted to stand 20 minutes. The obtained solid was separated by simple filtration. The crude product was recrystallized using absolute ethyl alcohol and the products are well dried and keep in a desiccator.

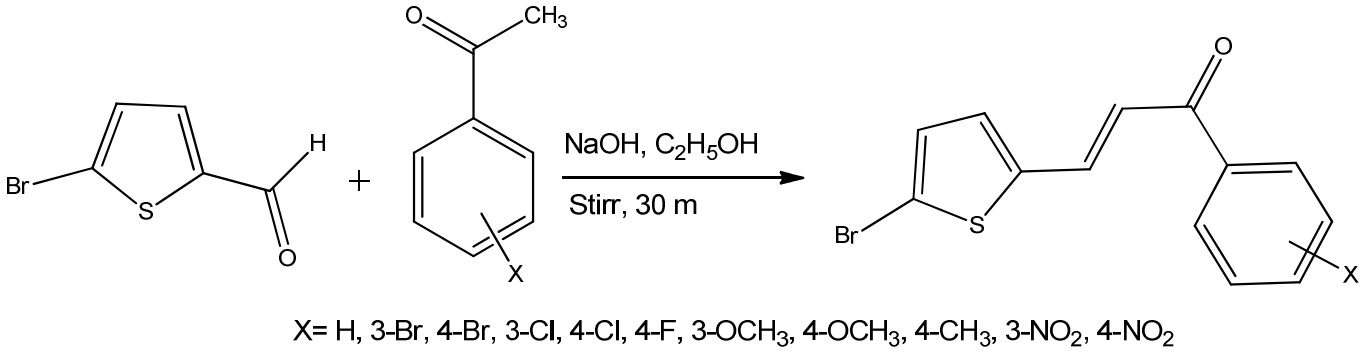

Figure 1. *Synthesis of (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

2.3. Antimicrobial Activities

All the (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds possess a wide range of microbial activities these multi-prolonged activities are associated with different substituents and the unsaturation of C=C moiety in between the aryl rings. Hence, it is intended to study their anti-microbial activities against their respective microbes-bacterial and fungal strains.

Table 1. *The physical constants, yield and analytical data of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

Entry	X	MF	MW	Yield (%)	Mp (°C)	Found (Calcd.)(%)		
						C	H	N
1	H	$C_{13}H_9BrOS$	293	91	120-121	59.68 (59.80)	3.42 (3.47)	---
2	3-Br	$C_{13}H_8Br_2OS$	372	90	123-124	45.85 (45.92)	2.28 (2.37)	---
3	4-Br	$C_{13}H_8Br_2OS$	372	92	130-131	45.86 (45.92)	2.30 (2.37)	---
4	3-Cl	$C_{13}H_8BrClOS$	327	90	118-119	52.76 (52.83)	2.66 (2.73)	---
5	4-Cl	$C_{13}H_8BrClOS$	327	89	110-111	52.66 (52.83)	2.56 (2.73)	---
6	4-F	$C_{13}H_8BrFOS$	311	93	124-125	55.84 (55.94)	2.78 (2.89)	---
7	3-OCH_3	$C_{14}H_{11}BrO_2S$	323	97	128-129	57.64 (57.76)	3.78 (3.81)	---

Entry	X	MF	MW	Yield (%)	Mp (°C)	Found (Calcd.)(%)		
						C	H	N
8	4-OCH_3	$C_{14}H_{11}BrO_2S$	323	94	132-133	57.42 (57.76)	3.56 (3.81)	---
9	4-CH_3	$C_{14}H_{11}BrOS$	307	89	120-121	60.98 (61.11)	3.88 (4.01)	---
10	3-NO_2	$C_{13}H_8BrNO_3S$	338	91	145-146	50.96 (51.01)	2.58 (2.63)	4.48 (4.58)
11	4-NO_2	$C_{13}H_8BrNO_3S$	338	92	142-143	50.92 (51.01)	2.60 (2.63)	4.54 (4.58)

Table 2. *The ultraviolet absorption maxima ($\lambda_{max,}$ nm), and infrared absoptions (ν, cm^{-1}) spectral values of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

Entry	X	UV ($\lambda_{max,}$ nm)	IR ν(cm^{-1})					
			CO*s-cis*	CO*s-trans*	CH*ip*	CH*op*	CH=CH*op*	C=C*op*
1	H	265	1658	1587	1197	781	1039	522
2	3-Br	328	1654	1577	1199	790	1041	530
3	4-Br	322	1654	1589	1111	794	1068	524
4	3-Cl	323	1653	1583	1199	798	1016	538
5	4-Cl	322	1656	1591	1176	790	1016	532
6	4-F	348	1666	1595	1163	798	1045	576
7	3-OCH_3	333	1658	1579	1193	719	1022	582
8	4-OCH_3	313	1656	1597	1166	790	1020	596
9	4-CH_3	316	1658	1577	1188	790	1024	567
10	3-NO_2	310	1656	1597	1166	790	1020	582
11	4-NO_2	315	1654	1581	1107	798	1028	555

Table 3. *The NMR spectral chemical shifts (δ, ppm) of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

Entry	X	^{1}H NMR		^{13}C NMR		
		Hα	Hβ	CO	Cα	Cβ
1	H	7.220	7.804	188.68	128.11	136.62
2	3-Br	7.141	7.816	188.05	126.88	132.82
3	4-Br	7.162	7.820	188.41	128.11	136.79
4	3-Cl	7.152	7.824	188.16	126.45	137.01
5	4-Cl	7.171	7.817	188.20	120.32	132.69
6	4-F	7.085	7.817	187.80	120.38	134.22
7	3-OCH_3	7.200	7.806	189.19	119.45	136.23
8	4-OCH_3	7.240	7.800	187.76	115.15	135.50
9	4-CH_3	7.220	7.793	188.94	120.99	132.17
10	3-NO_2	7.241	7.895	187.11	127.17	133.98
11	4-NO_2	7.165	7.860	187.97	123.92	137.99

2.3.1. Measurement of Antibacterial Sensitivity Assay

Kirby Bauer disc diffusion method [39] used to study the anti-bacterial sensitivity. Using sterile glass spreader the test bacterial sample (0.5 cm^3) is spread uniformly over the solidified Mueller Hinton [40] agar for each Petri plate. The sterile forceps are used to impregnating the Whatmann No.1 filter paper with the solution of the compound in 5mm diameter discs.

To prevent the collection of water droplets over the medium to keep the plates are incubated for 24 hours at 37°C temperature. The plates are visually examined after 24 hours the inhibition zone values of diameter are measured. The above procedure is followed to evaluate by triplicate results.

The antibacterial sensitivity of all the synthesized aryl chalcones have been analysed against four gram positive pathogenic strains *S. Aureus* [41], *S. Pyogenes* [42], *M. Luteus* [43, 44], *B. Substilis* [45, 46] *and* six gram negative strains *K. Pneumoniae* [47], *V. Cholerae* [48], *K. Oxytoca* [49], *P. Mirabilis* [50], *E. Coli* [44, 51], *P. Aeruginosa* [52, 53]. The disc diffusion method was followed by 250μg/mL concentration with Ciprofloxacin taken as the standard.

2.3.2. Measurement of Antifungal Sensitivity Assay

Antifungal sensitivity have been analysed using Kirby Bauer [39] disc diffusion technique, PDA [54] medium was prepared and pasteurized as above. About 1 ml of the fungal species taken in a Petri-plate then PDA medium is poured (ear bearing heating condition).

The fungal sensitivities of all the synthesized (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds have been analysed against fungal species *A.niger* [55], *M.specie* [56] and *T.viride* [57].

The species are spreading uniformly over the plates using clockwise and anti-clockwise rotations. The test compound solution has been prepared by dissolving 15mg of the chalcones in 1ml of DMSO solvent. The discs have been impregnated by test compound solution. The medium have been permitted to solidify and kept for 24 hours [58].

3. Results and Discussion

The authors have synthesized some (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones using simple Crossed-Aldol condensation by stirring 5-bromothiophene-2-carbaldehyde (100 mmol) and *ortho, meta* and *para* substituted acetophenones (100mmol) and aqueous sodium hydroxide (200 ml 0.5M) in presence of absolute ethyl alcohol for 30 minutes. In this synthetic method, there is no product obtained stirring of the reactants less than 30 minutes. The obtained yield is more than 89%. The effect of substituents such as electron donating substituted acetophenones gave more yields than electron-withdrawing substituted acetophenones. The authors have obtained maximum yield (97%) for 3-methoxy substituents and minimum (89%) for 4-chloro substituents. These synthesised chalcones have been confirmed by their physical constants, elemental analysis and spectral data. The physical constants, analytical and micro analysis data of these (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one were showed in Table (1). The spectral data of synthesized substituted (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones are showed in Table (2) and Table (3). From infrared spectra, the carbonyl stretching band of all ketones appears at 1850-1650 cm^{-1}. The CO *cis* frequencies obtained within the range of 1653-1666 cm^{-1}. Thee CO*trans* frequencies obtained within the range of 1581-1597 cm^{-1}. The proton NMR chemical shift(δ, ppm) values are obtained for H_α and H_β in 7 ppm to 8 ppm. The ^{13}C NMR Chemical shift values are obtained for CO in 170 ppm to 190 ppm, C_α and C_β in 120 ppm to 140 ppm. These data are supported for confirmation of synthesized chalcones.

3.1. Antibacterial Activity

The antibacterial screening effect of synthesized (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds are gives in Figure 2 (Plates 1 – 20). The inhibition zone values are used to compare in Table 4 and the corresponding clustered column chart is give in Figure 3.

Chalcone 9 showed good antibacterial activity against *S. aureus* strain. The unsaturated ketones 2-4, 7, 8 and 11 showed highly satisfactory activity against *S. aureus* strain. The chalcones 1, 5, 6 and 10 have no antibacterial activity against the *S. aureus* strain. Here the methyl group substituent enhances the antibacterial activity. The electron with-drawing halogens and electron donating methyl groups were slightly reduced the antibacterial activity against *S. aureus* strain. The polar and inductive effects of parent ketone and the electron-withdrawing 4-Cl, 4-F and 3-NO_2 groups not showed the antibacterial activity against *S. aureus* strain.

The parent chalcone 1 showed good antibacterial activity against *S. Pyogenes* strain. Here the electronic effects such as polar, inductive, field and resonance effects of parent compound enhance the antibacterial activity against *S. Pyogenes* strain.

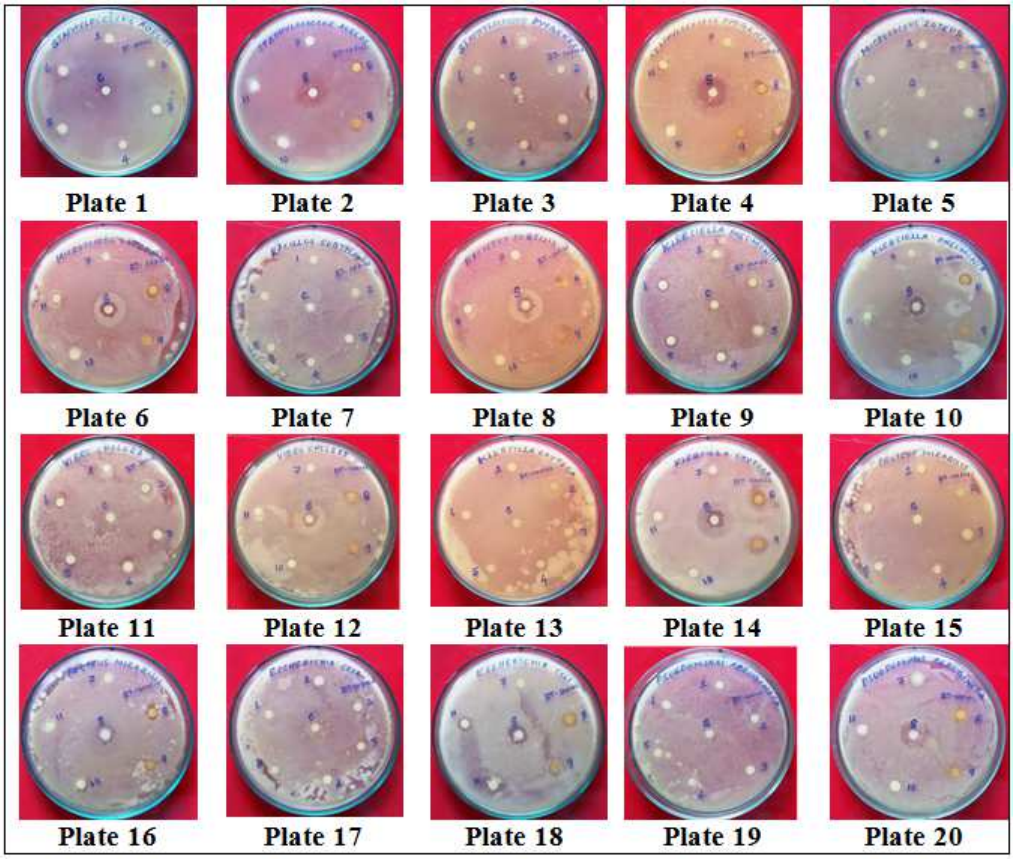

Figure 2. *Antibacterial sensitivities of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

Table 4. *Antibacterial activity of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

Entry	X	mm zone of inhibition			
		Gram +ve bacteria			
		S. Aureus	***S. Pyogenes***	***M. Luteus***	***B Substilis***
1	H	0	8	6	7
2	3-Br	6	7	0	6
3	4-Br	6	7	6	6
4	3-Cl	6	6	6	6
5	4-Cl	0	0	0	0
6	4-F	0	0	0	0
7	3-OCH_3	6	7	6	7
8	4-OCH_3	6	7	7	0
9	4-CH_3	7	6	6	6
10	3-NO_2	0	0	6	6
11	4-NO_2	6	6	6	6
Standard	Ciprofloxacin	8	12	13	13
Control	DMSO	0	0	0	0

Table 4. *Continue.*

Entry	mm zone of inhibition					
	Gram +ve bacteria					
	K. Pneumoniae	***V. Cholerae***	***K. Oxytoca***	***P. Mirabilis***	***E. Coli***	***P. Aeruginosa***
1	7	6	6	6	7	6
2	7	8	8	6	0	0
3	6	6	7	7	0	6
4	8	6	6	7	0	7
5	7	6	0	0	6	6
6	6	6	0	6	6	0
7	6	0	6	6	6	7
8	7	7	7	7	8	6
9	6	0	8	6	7	7
10	6	6	6	0	6	0
11	6	6	6	6	7	6
Standard	10	8	13	9	11	11
Control	0	0	0	0	0	0

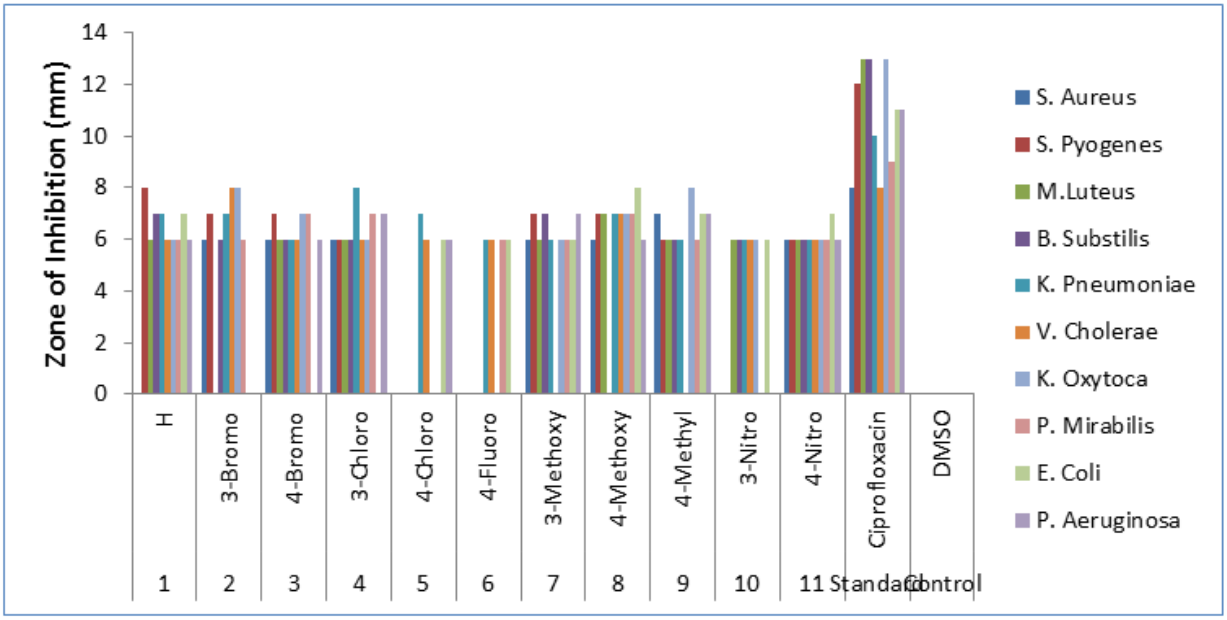

Figure 3. *Antibacterial activities of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds-clustered column chart.*

The inductive and field effects of ketones containing electron with-drawing 3-Br, 4-Br (2, 3) and the resonance and conjugation effect of electron donating methoxy substituents 8 showed satisfactory antibacterial activity against *S. Pyogenes* strain. The chalcones 4, 9 and 11 have shown minimum antibacterial activity against *S. Pyogenes* strain. Here the inductive, field, polar, resonance and conjugative effects of electron withdrawing 3-Cl, 4-NO_2 and

methyl substituents reduced to minimum activity. The ketones 5, 6 and 10 have no antibacterial activity against *S. Pyogenes* strain. The polar, inductive, field and resonance effects of 4-Cl, 4-F and 3- NO_2 substituents completely vanished the antibacterial activity against *S. Pyogenes* strain.

The chalcone (8) 4-OCH_3 substituted shows satisfactory antibacterial activity against *M. Luteus* strain. The ketones (1, 3, 4, 7, 9, 10 and 11) containing electron with-drawing and electron donating substituents such as H, 4-Br, 3-Cl, 3-OCH_3, 4-CH_3, 3-NO_2 and 4-NO_2 substituents shows less satisfactory antibacterial activity against *M. Luteus* strain. Here the electronic effects such as polar, inductive, field and resonance effects of parent compound reduces the antibacterial activity against antibacterial activity against *M. Luteus* strain. The unsaturated ketones (2, 5 and 6) containing electron withdrawing substituents such as 3-Br, 4-Cl and 4-F had no antibacterial activity against *M. Luteus* strain. The inductive, polar and field effects of ketones completely vanished the antibacterial activity against *M. Luteus* strain.

The chalcones (1 and 7) H and 3-OCH_3 substituted shows satisfactory antibacterial activity against *B. Substilis* strain. The parent and the resonance effects of the electron-donating methoxy groups shows satisfactory activity. The ketones (2-4, and 9-11) containing electron with-drawing and electron donating substituents such as 3-Br, 4-Br, 3-Cl, 3- NO_2, 4-NO_2, and 4-CH_3 substituents shows less satisfactory antibacterial activity against *B. Substilis* strain. Here the electronic effects such as polar, inductive, field and resonance effects of parent compound reduces the antibacterial activity against antibacterial activity against *B. Substilis* strain. The unsaturated ketones (5, 6 and 8) containing electron with-drawing and electron donating substituents such as 4-Cl, 4-F and 4-OCH_3 had no antibacterial activity against *B. Substilis* strain. The inductive, polar, resonance and field effects of ketones completely vanished the antibacterial activity against *B. Substilis* strain.

All synthesized chalcones (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones showed good antibacterial activity against the gram negative *K. Pneumoniae* bacterial strain. Here the electronic effects such as polar, inductive, field, conjugation and resonance effects of compounds operates normal antibacterial activity against *K. Pneumoniae* strain.

The ketone (2) containing 3-Br substituent showed excellent antibacterial activity against *V. Cholerae* strain. The inductive, polar, field and inductive effect of the substituent enhances the antibacterial activity against *V. Cholerae* strain. The (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones (1, 3-6, 8, 10 and 11) containing electron-withdrawing and electron donating substituents such as H, 4-Br, 3-Cl, 4-Cl, 4-F, 4-OCH_3, 3-NO_2 and 4-NO_2 showed good antibacterial activity against *V. Cholerae* bacterial strain. Here the electronic effects such as polar, inductive, field, conjugation and resonance effects of substituents operates normal antibacterial activity against *V. Cholerae* strain. The unsaturated ketones (7 and 9) shows no antibacterial activity against *V. Cholerae* strain. The absence of resonance and hyper conjugative effects of 3-OCH_3 and 4-CH_3 substituents completely reduced the antibacterial activity against *V. Cholerae* bacterial strain.

The ketones (2, 3, 8 and 9) containing electron with-drawing and electron donating 3-Br, 4-Br, 3-OCH_3 and 4-CH_3, substituents showed good antibacterial activity against *K. Oxytoca* strain. The inductive, polar, field, resonance and hyperconjugative effects of the substituent enhance the antibacterial activity against *K. Oxytoca* strain. The (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones (1, 4, 7, 10 and 11) containing electron-withdrawing and electron donating substituents such as H, 3-Cl, 3-OCH_3 and 3-NO_2 showed satisfactory antibacterial activity against *K. Oxytoca* bacterial strain. Here the electronic effects such as polar, inductive, field and resonance effects of substituents operates normal antibacterial activity against *K. Oxytoca* strain. The unsaturated ketones (5 and 6) show no antibacterial activity against *K. Oxytoca* strain. The absence of inductive and polar effects of 4-Cl and 4-F substituents completely reduced the antibacterial activity against *K. Oxytoca* bacterial strain.

The chalcones (1-4, 6-9 and 11) containing electron with-drawing and electron donating H, 3-Br, 4-Br, 3-Cl, 4-F, 3-OCH_3, 4-OCH_3, 4-CH_3 and 4-NO_2 substituents showed good antibacterial activity against *P. Mirabilis* strain. The inductive, polar, field, resonance and hyperconjugative effects of the substituent enhance the antibacterial activity against *P. Mirabilis* strain. The (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones (5 and 10) containing electron-withdrawing and electron donating substituents such as 4-Cl, and 3-NO_2 showed no antibacterial activity against *P. Mirabilis* bacterial strain. The absence of inductive and polar effects of the substituents completely reduced the antibacterial activity against *P. Mirabilis* bacterial strain.

The (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones (1 and 5-11) containing electron with-drawing and electron donating H, 4-Cl, 4-F, 3-OCH_3, 4-OCH_3, 4-CH_3, 3-NO_2 and 4-NO_2 substituents showed good antibacterial activity against *E. Coli* strain. The inductive, polar, field, resonance and hyperconjugative effects of the substituent enhance the antibacterial activity against *E. Coli* strain. The chalcones (2-5) containing electron-withdrawing substituents such as 3-Br, 4-B4, and 3-Cl showed no antibacterial activity against *E. Coli* bacterial strain. The absence of inductive, field and polar effects of the substituents completely reduced the antibacterial activity against *E. Coli* bacterial strain.

The (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones (1, 3-5, 7-9 and 11) containing electron with-drawing and electron donating H, 4-Br, 3-Cl, 4-Cl, 3-OCH_3, 4-OCH_3, 4-CH_3 and 4-NO_2 substituents showed good antibacterial activity against *P. Aeruginosa* strain. The inductive, polar, field, resonance and hyperconjugative effects of the substituent enhance the antibacterial activity against *P. Aeruginosa*. The chalcones (2, 6 and 5) containing electron-withdrawing substituents such as 3-Br, 4-F, and 3-NO_2 showed no antibacterial activity against *P. Aeruginosa* bacterial strain. The absence of inductive, field and polar effects of the substituents completely reduced the

antibacterial activity against *P. Aeruginosa* bacterial strain.

3.2. Antifungal Activity

The inhibition zone value of the plates has been examined and measured the diameters. The results have been recorded by the triplicate and repeating the same procedure. The antifungal sensitivities of substituted (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds have been analyzed and are shown in Table 5. The disc diffusion Plates (21-26) are shown in Figure 4 and the inhibition zone values of the effect is given in the clustered column chart, shown in Figure 5.

Table 5. *Antifungal activity of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones.*

Entry	X	mm of zone of inhibition		
		A. Niger	*M. Species*	*T.viride*
1	H	6	6	0
2	3-Br	7	7	6
3	4-Br	7	6	0
4	3-Cl	0	0	0
5	4-Cl	0	0	0
6	4-F	6	0	0
7	3-OCH_3	0	6	0
8	4-OCH_3	0	6	0
9	4-CH_3	0	6	6
10	3-NO_2	6	7	7
11	4-NO_2	6	6	0
Standard	Ciprofloxacin	8	9	8
Control	DMSO	0	0	0

The substituted (*E*)3-(5-bromo thiophen-2-yl)-1-phenylprop-2-en-1-ones (1-3, 6, 10 and 11) containing electron with-drawing H, 3-Br, 4-Br, 4-F, 3-NO_2 and 4-NO_2 substituents showed good antifungal activity against *A. Niger* strain. The inductive, polar and field effects of the substituent enhance the antifungal activity against *A. Niger* strain. The chalcones (4, 5 and 7-9) containing electron-withdrawing and electron donating substituents such as 3-Cl, 4-Cl and methoxy showed no antifungal activity against *A. Niger* strain. The absence of inductive, field, resonance and polar effects of the substituents completely reduced the antifungal activity against *A. Niger* strain.

The chalcones (1-3, and 7-11) containing electron with-drawing H, 3-Br, 4-Br, 3-OCH_3, 4-OCH_3, 4-CH_3, 3-NO_2 and 4-NO_2 substituents showed good antifungal activity against *M. Species* strain. The inductive, polar, resonance, field and hyperconjugative effects of the substituent enhance the antifungal activity against *M. Species* strain.

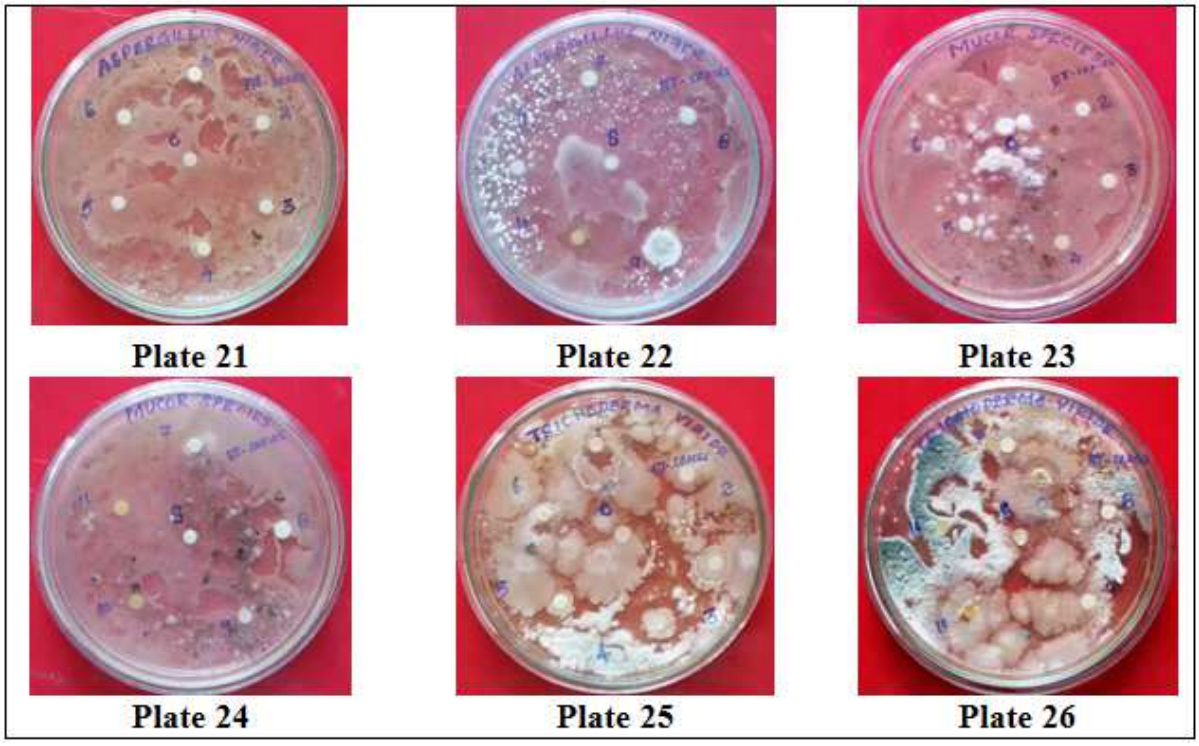

Figure 4. *Antifungal sensitivities of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds.*

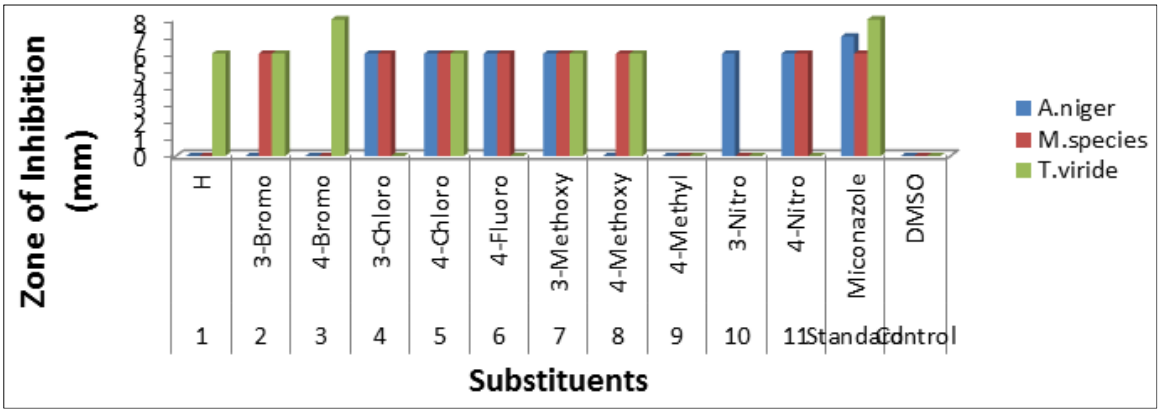

Figure 5. *Antifungal sensitivities of substituted (E)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-one compounds-clustered column chart.*

The chalcones (4-9) containing electron-withdrawing substituent such as 3-Cl, 4-Cl and 4-F shows no antifungal activity against *M. Species* strain. The absence of inductive, field and polar effects of the substituents completely reduced the antifungal activity against *M. Species* strain.

The unsaturated ketones (2, 9 and 10) containing electron with-drawing 3-Br, 4-CH_3 and 3-NO_2 substituents showed good antifungal activity against *T. viride* fungal strain. The inductive, polar, resonance, field and hyperconjugative effects of the substituent enhance the antifungal activity against *T.viride* strain. The chalcones (1, 3-8 and 11) containing electron-withdrawing substituents such as H, 4-Br, 3-Cl, 4-Cl, 4-F, 3-OCH_3, 4-OCH_3 and 4-NO_2 substituents shows no antifungal activity against *T.viride* strain. The absence of inductive, field, resonance and polar effects of the substituents completely reduced the antifungal activity against *T.viride* strain.

4. Conclusions

There are eleven substituted (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones have been synthesized. They are characterized by their analytical, UV, FT-IR and NMR spectral data. The antimicrobial activities of all chalcones have been evaluated by disc diffusion method using antibacterial antifungal strains. Chalcone 9 showed good antibacterial activity against *S. aureus* strain. The parent chalcone 1 showed good antibacterial activity against *S. Pyogenes* strain. All synthesized chalcones (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones showed good antibacterial activity against the gram negative *K. Pneumoniae* bacterial strain. The ketone (2) containing 3-Br substituent showed excellent antibacterial activity against *V. Cholerae* strain. The ketones (2, 3, 8 and 9) containing electron with-drawing and electron donating 3-Br, 4-Br, 3-OCH_3 and 4-CH_3, substituents showed good antibacterial activity against *K. Oxytoca* strain. The chalcones (1-4, 6-9 and 11) containing electron with-drawing and electron donating H, 3-Br, 4-Br, 3-Cl, 4-F, 3-OCH_3, 4-OCH_3, 4-CH_3 and 4-NO_2 substituents showed good antibacterial activity against *P. Mirabilis* strain. The (*E*)-3-(5-bromothiophen-2-yl)-1-phenyl prop-2-en-1-ones (1 and 5-11) containing electron with-drawing and electron donating H, 4-Cl, 4-F, 3-OCH_3, 4-OCH_3, 4-CH_3, 3-NO_2 and 4-NO_2 substituents showed good antibacterial activity against *E. Coli* strain. The (*E*)-3-(5-bromothiophen-2-yl)-1-phenylprop-2-en-1-ones (1, 3-5, 7-9 and 11) containing electron with-drawing and electron donating H, 4-Br, 3-Cl, 4-Cl, 3-OCH_3, 4-OCH_3, 4-CH_3 and 4-NO_2 substituents showed good antibacterial activity against *P. Aeruginosa* strain. The substituted (*E*)3-(5-bromo thiophen-2-yl)-1-phenylprop-2-en-1-ones (1-3, 6, 10 and 11) containing electron with-drawing H, 3-Br, 4-Br, 4-F, 3-NO_2 and 4-NO_2 substituents showed good antifungal activity against *A. Niger* strain. The chalcones (1-3, and 7-11) containing electron with-drawing H, 3-Br, 4-Br, 3-OCH_3, 4-OCH_3, 4-CH_3, 3-NO_2 and 4-NO_2 substituents showed good antifungal activity against *M. Species* strain. The unsaturated ketones (2, 9 and 10) containing electron with-drawing 3-Br, 4-CH_3 and 3-NO_2 substituents showed good antifungal activity against *T. viride* fungal strain.

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