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DESIGN, SYNTHESIS, CHARACTERIZATION OF SOME NEW SUBSTITUTED CHALCONES AND STUDIES THEIR ANTIMICROBIAL ACTIVITIES

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ABSTRACT

Eight designed chalcones, named [1(p-benzenesulphonamidophenyl)-3-p-chloro-2-propene-1-one][2], [1(p-benzenesulphonamidophenyl)-3-p-nitro-2-propene-1-one][3], [1(4-Ureido)phenyl-3-p-chlorophenyl-2-propene-1-one][5], [1(4-Ureido)phenyl-3-p-nitroophenyl-2-propene-1-one][6], [1(4(p-N-methylaminophenyl)azophenyl-3-p-chlorophenyl-2-propene-1-one][8], [1(4(p-N-methylaminophenyl)azophenyl-3-p-nitroophenyl-2-propene-1-one][9], [1(p-aminophenyl)-3-p-chlorophenyl-2-propene-1-one][10] and [1(p-aminophenyl)-3-p-nitrophenyl-2-propene-1-one][11], were synthesised by condensation of synthesised p-acetylphenylbenzene- sulphonamide, p-acetylphenylurea and p-acetyl-p'-(N-methylamino)azobenzene, with p-chlorobenzaldehyde and p nitrobenzaldehyde in basic media respectively.

All synthesised compounds are characterized by its melting points, FTIR, 1H NMR, 13C NMR and Mass spectral analysis.

All synthesised compounds are examined their antimicrobial activities against Gram-Ve bactria (Serratia marcescens, Pseudmonas aeroginosa) and Gram+Ve bacterial (Stphylococcus aureus, Streptococcus pyogenes), and Candida albicans fungi.

Result showed good to moderate inhibition effect against some bacteria and fungi, in comparison with some pharmaceutical antibiotic and antifungal treatments like Cephalexin, Amoxicillin, Tetracycline, Lincomycine, Nystatine and Fluconazole respectively.

KEYWORDS: p-substituted acetophnone, chalcone, antimicrobial activity.

INTRODUCTION

Chalcones or 1,3-diaryl-2-propene-1-one, are α,β-unsaturated ketone system (-C=C-C=O). Chalcones are largely distributed in plants, fruits and vegetables. They are precursor in biosynthesis of flavones and anthocyanin, enzymatic cyclization of 6-hydroxy chalcones[1,2].

Traditionally chalcones can be synthesised by Claisen– Schmidt condensation of acetophenone or substituted acetophenones with aldehydes. Under the effect of basic or acid catalysis, at Room temperature or Micro Wave assist[3].

Scheme (1): Claisen-Schmidt synthesis of chalcone

Chalcone is a unique template that is associated with several biological activities and is well known intermediates for synthesizing various heterocyclic compounds[4].

Chalcones and their derivatives, either synthetic or naturally occurring are an interesting and significant group of molecules as they possess a wide range of pharmacological activities such as anti-inflammatory, anti-microbial, anti-fungal, anti-bacterial, anti-oxidant, cytotoxic, antitumor, anticancer, antimitotic, anti-leishmanial, anti-malarial, antitubercular, antiviral[5-18] insecticidal, anti-mutagenic[19], anti-HIV[20]. Analgesic, antiulcerative, antiprotozoal, antihistaminic, antifedent, immunomodulatory, anticonvulsant, antihyperglycemic, antihyperlipidemic and antiplatelet activities[21].

In this work, we designed to synthesised some new chalcones contenting some biological active group like sulphonamido, uriedo and p(N-metylamino)phenylazophenyl, groups in order to examination their antimicrobial activities.

EXPERIMENTAL

Materials:

All the chemicals were supplied by BDH and Fluka and used with out further purification.

Measurement:

- The (TLC) was performed, using aluminium plates coated with (0.25 mn) layer of silical gel F254 (Fluka), spots were detected by iodine vapar.
- Melting point of compounds was measured with an electrothermal Stuart melting point apparatus.
- Infrared spectra were recorded using (8300) (FTIR) shimadzu spectrophotometer in the range (4000- 400) cm^{-1}, as (KBr discs).
- ^{1}H NMR and ^{13}C NMR spectra were carried out by: Ultra shield 300 MHZ, Bruker, Switzerland at University of Al-Albayt (in Jordan), and are reported in ppm, DMSO $-d_6$ was used as solvent with TMS as an internal standard.
- The Mass spectra recorded on Varian Saturn 2000 GC-MS-MS system, electron impact (EI) or chemical ionisation (Cl) modes, Molecular mass, range 45- 650 Dalton, at Institute of Organic and Pharmaceutical Chemistry (IOPC), National Hellenic Research Foundation, Athens, Greece.
- Antimicrobial activity are examined against Gram-Ve bactria (*Serratia marcescens*, *Pseudmonas aeroginosa*) and Gram+Ve bacterial (*Staphylococcus aureus*, *Streptococcus pyogenes*), were spread on Muller- Hinton agar plates using sterile cotton swabs. At a concentralion (4 mg/mol). The antifungal activity (*Candida albicons*) using Sabouraud Dextrose agar plates using sterile cotton swabs. At a con centration (4 mg/ml). DMSO used as a solvent. The antimicrobial activity was performed in Ibn Al-Haitham Advisory office, the central service laboratory, University of Baghdad.

Preparation of N-(4-acetyl)phenyl benzene sulphonamide[1]

To (0.25g, 0.003mol) of p-aminoacetophenone and (0.23ml) pyridine in (10ml) absolute methanol, (0.4ml, 0.0031mol) benzenesulfonylchloride was added in small portion, reaction mixture was reflexed for 3hrs. Then reaction mixture was poured slowly into a stirred ice cold water, and kept it in refrigerator for 30 min. The formed precipitate was filter, washed with water and dried. Recrystallized from (water-methanol) mixture. Physical properties are show in Table (1).

Preparation of 1(p-benzenesulphonamido)phenyl-3-p-chlorophenyl-2-propene-1-one[2] and 1(p benzenesulphonamido)phenyl-3-p-nitrophenyl-2-propene-1-one[3]

A mixture of equimolar amounts of (0.26g, 0.001mol) N(4-acetylphenyl-benzenesulfonamide [1] and (0.001mol) of p-substituted benzaldehyde was dissolved in minimum amount of absolute ethanol (30ml). Sodium hydroxide (0.08g, 8%) was added and the mixture was reflexed for 8 hrs., (in case of *p*-chlorobenzadehyde), while stirred for 12hrs (in case of *p*-nitrobenzaldehyde). Reactions was monitored by TLC using (3:2) [petroleum ether-ethylacetate] eluent. Then reaction mixture was poured into ice cold water with constant stirring and kept in refrigerator for 30 min. The precipitate was obtained, filtered, washed with water and dried. Recrystallized from ethanol. Physical properties are show in Table (1).

Preparation of p-acetylpheylurea[4]

To a stirred ice-bath cooling solution of (2.7g, 0.02mol) of p-aminoacetophenone in 5ml glacial acetic acid, a solution of (1.8g, 0.022mol) of potassium cyanate in 2-3ml H_2O was added slowly. Reaction mixture stirred for 12hrs. Precipitate was formed, filtered and washed with H_2O, recrystallized from H_2O. Physical properties are show in Table (1).

Preparation of 1(4-Ureido)phenyl-3-p-chlorophenyl-2-propene-1-one[5] and 1(4-Ureido)phenyl-3-p-nitroophenyl-2-propene-1-one[6]

A mixture of equimolar amounts (0.30g, 0.0021mol) of p-acetylphenylurea [4] and (0.002mol) of p-substitutedbenzaldehyde was mixed and dissolved in minimum amount of absolute ethanol (30ml). Sodium hydroxide (0.09g, 0.0023mol) was added and the mixture was reflexed for 8hrs (in case of *p*-chlorobenzadehyde), while stirried for 12hrs (in case of *p*-nitrobenzaldehyde). Reaction was monitored by TLC using (3:2) [petroleum ether-ethylacetate] eluent. Then reaction mixture was poured into ice cold water with constant stirring and kept in refrigerator for 30 min. The precipitate was obtained, filtered, washed with water and dried. Recrystallized from ethanol and drops of water. Physical properties are show in Table (1).

Preparation of p-acetyl- p'(N-methylaminophenyl)azobenzene [7]

A stirred solution of (1.12g, 0.008mol) of p-aminoacetophenone in 2ml conc. hydrochloric acid, cooled to 5°C in ice-bath, a chilled solution (0.65g, 0.009mol) of sodium nitrite in 3ml water was added in small portion within 30 minute[22]. Then a solution of 1ml of N-methylaniline in 0.5ml of acetic acid, was added to diazotized reaction mixture, allowed to stand for 20 minute. The precipitate was formed, filtered, washed with water. Recrystallized from ethanol-water mixture. Physical properties are show in Table (1).

Preparation of 1(4(p-N-methylaminophenyl)azophenyl-3-p-chlorophenyl-2-propene-1-one[8] and 1(4(p-N methylaminophenyl)azophenyl-3-p-nitroophenyl-2-propene-1-one[9]

A mixture of equimolar amount of (0.2g, 0.001mol) of p-acetyl-p'(N-methylaminophenyl)azobenzene [7] and (0.001mol) of *p*-substitutedbenzaldehyde were dissolved in minimum amount of absolute ethanol (30ml). Sodium hydroxide (0.07g, 8%) was added and the mixture was reflexed for 8hrs (in case of *p*-chlorobenzadehyde), while stirried for 12hrs (in case of *p*-nitrobenzaldehyde). Reaction was monitored by TLC using (3:2) [petroleum ether-ethylacetate] eluent. Then reaction mixture was poured into ice cold water with constant stirring and kept in refrigerator for 30 min. The precipitate was obtained, filtered, washed with water and dried. Recrystallized from ethanol– water mixture. Physicals properties are show in Table (1).

Preparation of 1(p-aminophenyl)-3-p-chlorophenyl-2-propene-1-one[10] and 1(p-amino-phenyl)-3-p-nitrophenyl-2-propene-1-one[11]

A mixture of equimolar amount of (0.3g, 0.002mol) of *p*-aminoacetophenone and (0.0022mol) of *p*-substitutedbenzaldehyde were dissolved in minimum amount of absolute ethanol (30ml). Sodium hydroxide (0.009g, 0.0024mol) was added and the mixture was reflexed for 8hrs (in case of *p*-chlorobenzadehyde), while stirried for 12hrs (in case of *p*-nitrobenzaldehyde). Reaction was monitored by TLC using (3:2) [petroleum ether-ethylacetate] eluent. Then reaction mixture was poured into ice cold water with constant stirring and kept in refrigerator for 30 min. The precipitate was obtained, filtered, washed with water and dried. Recrystallized from ethanol- water mixture. Physicals properties are show in Table (1).

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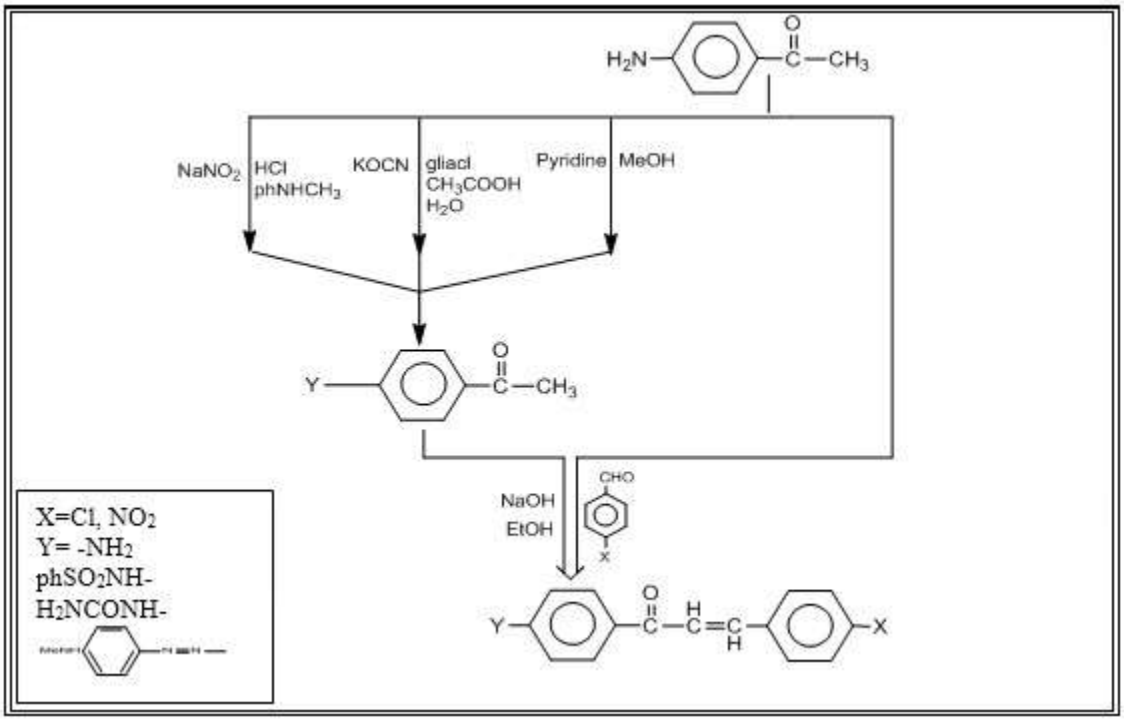

RESULT AND DISCUSSION

A. Synthesis of 1(4-benzenesulphonamido)phenyl-3-p-chloro or p-nitrophenyl-2-propene-1-one [2] and [3].
These two chalcones were synthesised in two steps:
First: By condensation of p-aminoacetophenene with benzenesulphonylchloride in presence of pyridine to give 4-acetylbenzenesulphonamide [1].

FTIR spectrum of this compound, showed appearance of band (N–H) amide, as well as amid- II and amide-I of sulphonamido group, and the stretching band of carbonyl group. All these bands are summarized in Table (2). ^{1}H NMR spectrum of this compound, showed signals of methyl protons, two phenyl protons and sulphonamido (–SO_2–NH–) proton. All these signals are summarized in Table (3). While ^{13}C NMR spectrum of compound [1] showed signals of methyl carbon, two phenyl carbons and carbonyl carbon groups. All these signals are summarized in Table (4). Mass spectrum analysis of compound [1] showed $(M+H)^+$ ion at m/z (276) and the base peak is the same.

Second: Condensation of 4-acetylbenzenesulphonamide with p-chlorobenzaldehyde and p-nitrobenzaldehyde alcoholic sodium hydroxide solution to give chalcones [2] and [3].

FITR spectral analysis of compounds [2] and [3], showed sulphonamido group (N–H) stretching bands, amide II and amide I bands of sulphonamide group, Ketonic (C=O) stretching bands, ethylenic stretching bands conjugated with carbonyl ( ), as well as asymmetric and symmetric stretching bands of (–NO_2) group in case of compound [3]. All these bands are summarized in Table (2). ^{1}H NMR spectral analysis of compounds [2] and [3], showed ethylenic protons (–CH=CH–), three phenyl protons, and sulphonamide (–SO_2–NH–) protons. All these signals are summarized in Table (3).

^{13}C NMR spectral analysis for compounds [2] and [3], showed carbonyl carbons (C=O), ethylenic carbons (–CH=CH–) and aromatic carbons. All these signals are summarized in Table (4). Mass spectrum of compound [2], showed base peak at (m/z) (259) and M^+ and $(M+H)^+$ ions at (m/z) (397), (398) respectively.

B- Synthesis of 1(4-ureido)phenyl-3-*p*-chloro or *p*-nitrophenyl-2-propene-2-one[5] and [6]

These two chalones were synthesised in two steps:

First: Reaction of p-aminoacetophenone with potassium cyanate in water- acetic acid solution gave 4-acetylphenylurea[4].

FTIR spectrum of compound [4], showed asymmetric and symmetric (NH_2) stretching bands and (–NH) stretching, as well as to two carbonyl stretching bands of ketonic carbonyl (CH_3CO–) and amide carbonyl (NH_2–CO). All these bands are summarized in Table (5). ^{1}H NMR spectrum, showed signals of (–NH_2) protons, as well as of methyl protons, and phenyl protons, all these signals are summarized in Table (6). ^{13}C NMR spectrum, showed methyl carbon, two carbonyl carbons, which is belong to amide and ketonic carbonyl carbons, and phenyl carbons. All these signals are summarized in Table (7). Mass spectrum analysis of compound [4], showed M^+ and $(M+H)^+$ ions (m/z) (178), (179) respectively,and the base peak is (m/z) (179).

Second: Condensation of 4-acetylphenyl urea [4] with p-chlorobenzaldehyde or p-nitrobenzaldelyhde in alcoholic alkaline solution of sodium hydroxide gave chalones [5] and [6].

FITR spectral analysis of compounds [5] and [6], showed ketonic stretching (C=O), ethylenic (CH=CH) stretching bands, amide carbonyl stretching bands, asymmetric and symmetric stretching bands of (–NH_2) group, and amide (–NH) stretching bands, beside of (–NO_2) group of compound [6], all these bands are summarized in Table (5). ^{1}H NMR of compounds [5] and [6], showed signals of (–NH_2) protons, (–NH) proton, as well as protons of aromatic and ethylenic protons. All these signals are summarized in Table (6). ^{13}C NMR spectrum of compounds [5] and [6], showed signals of two carbonyl carbons of unsaturated ketone and amide carbonyl carbon, beside to the aromatic and ethylenic carbons. All these signals are summarized in Table (7). Mass spectrum analysis of compound [5], showed base peak at (m/z) (413) and $(M+H)^+$ ions (m/z) (301).

C- Synthesis of 1(4\(P, N-methyl amino phenyl) azophenyl-3-p-chloro or nitrophenyl-2- propene-1 one) [8] and [9]

These two chalcones were synthesised in two steps:

First: Reaction of p-amino acetophenone with a sodium nitrite in hydrochloric acid solution to give, the diazonium salt which was coupled with N-methyl aniline to give p-acetyl, p'-(N-methylaminophenyl)azobenzene [7].

FTIR spectrum of compound [7], showed (–NH) stretching band, (–N=N–) of azo stretching band, beside ketonic carbonyl stretching band. All these bands are summarized in Table (8). ^{1}H NMR spectrum, showed signals of (CH_3–C=O) methyl protons, (N–H) amine proton, (N–CH_3) methyl proton beside two phenyl protons. All these signals are summarized in Table (9). ^{13}C NMR spectrum, showed signals of (CH_3CO–) methyl protons, (–N–CH_3) methyl protons, as well as aromatic carbons, beside (C=O) carbonyl carbon. All these signals are summarized in Table (10).

Second: Condensation of p-acetyl, p'-(N-methylaminophenyl)azobenzene [7] with p-chlorobenzaldehyde or p-Nitrobenzaldehyde in alcoholic alkaline solution of sodium hydroxide gave chalcones [8] and [9].

FITR spectral analysis of compounds [8] and [9], showed ketonic stretching (C=O), ethylenic (CH=CH) stretching bands, beside (–N=N–) azo stretching bands. All these bands are sammurized in Table (8). ^{1}H NMR of compounds [8] and [9], showed signals of (–NH) protons, (–N–CH_3) methyl protons, (–CH=CH–) ethylenic protons and Aromatic protons. All these signals are summarized in Table (9). ^{13}C NMR spectrum of compounds [8] and [9], showed signals of (–N–CH_3) methyl carbon, (–CH=CH–) ethylenic and aromatic carbons, and ketonic unsaturated carbonyl (C=O) carbon. All these signals are summarized in Table (10).

D- Synthesis of 1(P-aminophenyl)-3-*p*-chloro or *p*-nitrophenyl-2-propene-1-one [10] and [11]

These two chalcones were synthesised, by condensation of *p*-aminoacetophenone with *p*-chlorobenzaldehyde or *p*-nitrobenzaldehyde in alcoholic alkaline solution of sodium hydroxide to give chalcones [10] and [11].

FTIR spectrum analysis of compound [10] and [11], showed two bands of (–NH_2) stretching, ketonic stretching (C=O), ethylenic (CH=CH) stretching bands and (–NO_2) stretching bands. All these bands are summarized in Table (11). ^{1}H NMR of compounds [10] and [11], showed signals of (–NH_2) protons, ethylenic (–CH=CH–) protons and aromatic

protons. All these signals are sammurized in Table (12). ^{13}C NMR of compounds [10] and [11], showed signals of ethylenic and aromatic carbons, beside a ketonic unsaturated carbonyl carbon[23-25]. All these signals are summarized in Table (13).

Antimicrobial Activity:

Antimicrobial examination of synthesized compounds (1, 4, 7) and chalcones (2, 3, 5, 6, 8, 9, 10 and 11), showed good to moderate activities against some bactria, special, good effect against Gram-Ve bacteria like *Serratia marcescens* moderate activities against, *pseudomonas aeroginosa* and *Candida albicans* fungi, in comparison to some common pharmaceutical antibiotic and antifungal treatment, (Table 14). Result also showed very good antimicrobial activities of chalcones, specially that contanins azo and nitro group (compound 9) against Gram-Ve bacteria like *Serratia marcescens* and *candida albicance* fungi.

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Table (1): physical data of Chalcones

Comp. No.	Formula weight	M.wt.	m.p.°C	Wight of product	Yield%	Colour
1	$C_{14}H_{13}N_1O_3$	275	129-133	0.4	48	yellow
2	$C_{21}H_{16}N_1O_3Cl$	397.5	201-202	0.26	65	yellow
3	$C_{21}H_{16}N_2O_5$	408	212-214	0.25	61	Orange
4	$C_9H_{10}N_2O_2$	412	212-216	2.8	33	white
5	$C_{16}H_{13}N_2O_2Cl_1$	300.5	170-173	0.4	66	Light brown
6	$C_{16}H_{13}N_3O_4$	311	232-235	0.36	57	yellow
7	$C_{14}H_{15}N_3O_1$	253	87-89	1.5	74	Light-orange
8	$C_{22}H_{18}N_3O_1Cl_1$	375.5	115-118	0.3	79	orange
9	$C_{22}H_{18}N_4O_3$	386	184-178	0.28	72	Red-orange
10	$C_{15}H_{12}N_1O_1Cl_1$	257.5	155-158	0.42	81	Green-yellow
11	$C_{15}H_{12}N_3O_3$	269	190-193	0.38	70	orange

Table (2) FITR Spectral Data for compounds [1], [2] and [3]

Comp. No.	N–H Amide	C=O Kctone	–SO_2– amide II amide I	–C=C– aroma.	–CH=CH– ethylene	–NO_2
1	3267	1681	1330 1157	1600	-	-
2	3267	1654	1342 1157	1566	1604	-
3	3309	1685	1342 1161	1604	1604	1516 1404

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Table (3) ^{1}H NMR Spectral Data for compounds [1], [2] and [3]

Comp. No.	–CH_3	Aramatic-H	N–H amide	–CH=CH– ethylene
1	2.5, s	7.2- 7.8, m	10.9, s	-
2	-	7.4- 8.0, m	10.95, s	7.25, d
3	-	7.5- 8.2, m	10.9, s	7.25, d

S= singlet d= doublet m= multiple

Table (4) ^{13}C NMR Spectral Data for compounds [1], [2] and [3]

Comp. No.	–CH_3	Aramatic–C	C=O	–CH=CH– ethylene
1	26	117- 141	195	-
2	-	117- 142	187	117- 142
3	-	117- 148	184	117- 148

Table (5) FITR Spectral Data for compounds [4], [5] and [6]

Comp. No.	–NH_2	N–H Amide	C=O Ketone	C=O Amide	–C=C– aromatic	–CH=CH– Ethylene	–NO_2
4	3406 3305	3213	1708	1670	1612	-	-
5	3394 3360	3330	1685	1654	1513	1589	-
6	3370 3363	3190	1732	1654	1527	1589	1523 1338

Table (6) ^{1}H NMR Spectral Data for compounds [4], [5] and [6]

Comp. No.	–CH_3	aromatic–H	–NH_2	–NH amide	–CH=CH– Ethylene
4	2.5, s	7.5- 7.9, m	6.1, s	9.0, s	-
5	-	7.1- 8.1, m	6.1, s	9.0, s	7.1- 8.1, d
6	-	8.1- 8.3, m	6.0, s	9.1, s	7.6, 7.8, d

S= singlet d= doublet m= multiple

Table (7) ^{13}C NMR Spectral Data for compounds [4], [5] and [6]

Comp. No.	–CH_3	C=O Ketone	Aramatic–C	C=O amide	–CH=CH– ethylene
4	26	195	117- 145	155	-
5	-	185	118- 142	156	118- 142
6	-	184	116- 130	152	116- 130

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Table (8) FITR Spectral Data for compounds [7], [8] and [9]

Comp. No.	N–H Amide	C=O Kctone	C=O aromatic	–N=N– Azo	–CH=CH– Ethylene	$–NO_2$
7	3383	1674	1593	1500	-	-
8	3425	1658	1570	1496	1597	-
9	3406	1658	1593	1500	1593	1519 1338

Table (9) ^{1}H NMR Spectral Data for compounds [7], [8] and [9]

Comp. No.	CH_3–CO	N–H Amine	–N–CH_3	Aromatic–H	Ethylene –CH=CH–
7	2.6, s	3.4, s	3.7, s	7.1- 8.1, m	-
8	-	3.4, s	3.7, s	7.4- 8.3, m	7.2, d
9	-	3.4, s	3.7, s	7.6- 8.4, m	7.2- 7.5, d

S= singlet d= doublet m= multiple

Table (10) ^{13}C NMR Spectral Data for compounds [7], [8] and [9]

Comp. No.	CH_3–CO	N–CH_3	Aromatic–C	C=O Ketone	–CH=CH– ethylene
7	27	33	111- 153	197	-
8	-	33	117- 153	187	117- 153
9	-	33	117- 153	187	117- 153

Table (11) FITR Spectral Data for compounds [10] and [11]

Comp. No.	$–NH_2$	C=O	C=C Aromatic	Ethylene –CH=CH–	$–NO_2$
10	3460 3340	1627	1573	1604	-
11	3483 3387	1635	1581	1600	1508 1338

Table (12) ^{1}H NMR Spectral Data for compounds [10] and [11]

Comp. No.	$–NH_2$	Ethylene –CH=CH–	Aromatic–H
10	6.2, s	6.6, d	7.5- 7.6, m
11	6.2, s	6.6, d	7.2- 8.3, m

S= singlet d= doublet m= multiple

Table (13) ^{13}C NMR Spectral Data for compounds [10] and [11]

Comp. No.	C=O Ketone	Aromatic–C	–CH=CH– ethylene
10	185	112- 153	112- 153
11	185	112- 154	112- 154

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Table (14) Antimicrobial activity of Chalcones

Comp. No.	Mean of Inhibition zone Diameter (mm)				
	Staphlococcus aureus	***Serratia marcescens***	***Pseudomonas aeroginosa***	***Streptococcus pygenes***	***Candida albicans***
A	-	13	-	-	-
1	-	15	-	-	13
2	-	15	-	-	14
3	-	16	13	-	13
4	-	16	-	-	-
5	15	18	17	-	13
6	-	16	15	-	-
7	-	14	-	-	19
8	-	15	13	-	28
9	-	19	-	-	Large inhibition zone
10	17	17	-	-	17
11	-	16	-	-	13
Cephalexin	-	13	-	-	-
Amoxicillin	-	-	-	12	-
Tetracycline	25	-	12	25	-
Lincomycine	17	-	21	30	-
Nystatine	-	-	-	-	29
Fluconazole	-	-	-	-	-
Dimethyl-sulphoxide	-	-	-	-	-

A= *p*-aminoacetophenone

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تصميم، تحضير وتشخيص بعض الجالكونات المعوضة الجديدة ودراسة فعاليتها البايولوجيه

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الخلاصة

تضمن البحث تصميم ثمانية جالكونات التي هي: [1(بارا-بنزين سلفونا ميدو فينايل)-3-بارا-كلورو-2-بروبين-1-ون][2]، [1(بارا-بنزين سلفونا ميدو فينايل)-3-بارا-نايترو-2-بروبين-1-ون][3]، [1(بارايوريدو)فينايل-3-بارا-كلوروفينايل-2-بروبين-1-ون][5]، [1(بارايوريدو)فينايل-3-بارا-نايتروفينايل-2-بروبين-1-ون][6]، [1(4(بارا-ن-فينايل امينوفينايل)آزوفينايل-3-بارا-كلوروفينايل-2-بروبين-1-ون][8]، [1(4(بارا-ن-فينايل امينوفينايل)آزوفينايل-3-بارا-نايتروفينايل-2-بروبين-1-ون][9]، [1(بارا امينوفينايل)-3-بارا-كلوروفينايل-2-بروبين-1-ون][10]، [1(بارا امينوفينايل)-3-بارا-نايتروفينايل-2-بروبين-1-ون][11]، حضرت من تكاثف المركبات المحضرة وهي (بارا-اسيتايل فينايل بنزين سلفون اميد، بارا-اسيتايل فينايل يوريا وبارا اسيتايل- بارا-(ن-ميثايل امينو فينايل) آزوبنزين) مع باراكلورو بنزلديهايد وبارانايترو بنزلدهايد في وسط قاعدي على التوالي. جميع المركبات المحضرة شخصت بقياس درجة الانصهار وباستخدام الطرائق الطيفية (الاشعة تحت الحمراء، الرنين النووي المغناطيسي البروتوني، الرنين النووي المغناطيسي الكاربوني وقياس طيف الكتلة). درست الفعاليه البايولوجيه لجميع المركبات المحضره مع اصناف من البكتريا السالبة (سيريشيا مارسسنس وبسيدو مونس ارجنوزا) والبكتريا الموجبة (ستافيلوكوكس ارجنوزا وستربتوكوس بايوجينز) والفطر (كانددا البكانس).

اظهرت النتائج التي شُهدت تأثير تثبيط جيد ومعتدل ضد بعض انواع البكتريا والفطريات، بالمقارنة مع بعض المضادات الحيويه ومضادات الفطريات مثل (سيفالكسين، اموكسسلين، تتراسايكلين، لينكومايسين، نستاتين وفلوكنزول) على التوالي.

الكلمات المفتاحية: اسيتوفينون معوض في موقع بارا، جالكون، الفعالية البايولوجيه.