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SYNTHESIS AND EVALUATION OF CHALCONES CARRYING 1,2,3 TRIAZOLE MOIETY FOR ANTIBACTERIAL AND ANTIOXIDANT ACTIVITY

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Abstract
Chalcones are 1,3-diphenyl-2-propene-1-one where the two aromatic nuclei are joined by a three carbon α-β unsaturated carbonyl bridge. Presence of this α-β unsaturated carbonyl bridge makes compounds biologically active. Here a novel series of substituted chalcones (3-(4-(benzyloxy)phenyl)-1-(5-methyl-1-aryl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one) has been synthesized by condensing 4-benzyloxy benzaldehyde with different triazole ketone derivatives using a conventional base catalyzed Claisen-Schmidt condensation reaction. Structural elucidation of newly synthesized compounds has been made on the basis of Elemental analysis, Mass Spectrometry, FTIR and ^{1}H NMR spectral studies. All the synthesized compounds were tested for Antibacterial activity by cup plate method and Antioxidant activity by DPPH assay and nitric oxide radical scavenging activity.

Keywords: Triazole ketone, 4-benzyloxy benzaldehyde, chalcones, antibacterial activity, antioxidant activity

1. Introduction:

In recent years, it has fascinated researchers worldwide to synthesize hundreds of nitrogen containing heterocycles and they are screened for their pharmacological activities. Triazole is one among them and act as a centre core for many moieties. It is the presence of heteroatoms or groupings which makes compounds biologically active. Triazole exhibits wide range of activities like antimicrobial[i,ii], anticancer[iii,iv], anti-inflammatory, analgesic[v], antiviral[vi], anticonvulsant and antitubercular.

On the other hand chalcones have emerged as a core of considerable interest among chemists /biologists in the past few years because of their diverse chemical reactivity, accessibility and wide range of interesting biological activities like antimicrobial[vii-ix], anti-inflammatory, anticancer[x], anti-oxidant[xi],antifungal[xii], anti-tubercular[xiii], antitumor[xiv] etc.. Chalcones are chemically 1,3-diphenyl-2-propene-1-one where the two aromatic nuclei are joined by a three carbon α-β unsaturated carbonyl bridge. The most important classes of natural products across the plant kingdom contains benzylideneacetophenone scaffold which is the parent member of chalcone series. Chalcones are aromatic ketones which exists in many conjugated forms in nature as precursors of flavanoids[xv] and isoflavanoids. Chalcones act as a very good synthons for wide range of heterocyclic compounds like pyrazolines, isoxazolines, pyramidines, cyanopyridines etc. Thus an attempt has been made to synthesize fused triazole with chalcone moiety inorder to check the biological activities. Many methods are available for the synthesis of chalcone. The most convenient method is Claisen- Schmidt condensation in which equimolar quantities of benzaldehyde and acetophenone, yields chalcone in the presence of alcoholic alkaline solution. Herein, the synthesis of series of simple heterocyclic chalcone analogues using a conventional base catalyzed Claisen-Schmidt condensation reaction between 4-benzyloxy benzaldehydes and 1,2,3 triazole ketone derivatives and their possible antibacterial activity and antioxidant activities are reported.

2. Experimental Section

2.1 Materials and methods

Solvents and chemicals for the experimental work were purchased from sigma Aldrich and spectrochem with highest quality and are used directly without any purification. All the melting points of newly synthesized compounds were determined by open capillary method and are uncorrected. The IR spectra of the compounds were analyzed by dispersing the compounds in potassium bromide pellets on a Schimadzu FT-IR 157 spectrophotometer. Nuclear Magnetic Resonance 1H spectra were recorded on a 400MHz Bruker Advance II NMR spectrometer using TMS as an internal standard. Coupling constant (J) values are expressed in Hertz (Hz) and the chemical shift (δ) values are reported in (ppm), proton signals are indicated as s -singlet, d -doublet, t -triplet, q-quartet, m -multiplet. The mass spectra were recorded on LCMS (SHIMADZU LCMS-8030)-70eV. Elemental analysis was performed on CHN analyser. Purity and the reaction progress were monitored using thin layer chromatography coated with silica gel using mobile phase ethyl acetate : hexane (2:8) and are visualized under ultraviolet chamber.

2.2 Synthesis of *4-benzyloxybenzaldehyde*:

A mixture of 4-hydroxybenzaldehyde (0.1mol), DMF (20mL) and potassium carbonate (0.2mol) were stirred for 10 mins. To this, benzyl bromide (0.1mol) were added at room temperature and heated for about 3h at 40^{o}C with stirring. The solvent was removed on a rotary evaporator. To this cold water was added and then extracted with DCM (20mL). Then it is washed with brine, dried over anhydrous sodium sulphate and concentrated under reduced pressure. The residue thus obtained was purified by column chromatography using silica gel. Yield 70% (Scheme 1)

2.3 Synthesis of azide *compound 2a-j*:

10g of substituted aniline was dissolved in a mixture of conc. HCl (50mL) and water (30mL).It is cooled and then kept in an ice bath at 0-5 ºC. To this, a solution of sodium nitrite (0.08mol) and sodium azide (0.07 mol) added drop wise with continuous stirring. The reaction mixture was left for 1hr for the completion of diazotization and kept aside for a day. It was then extracted with

chloroform and washed with salt water. The organic layer was then dried over anhydrous sodium sulphate. The resultant solution was kept for evaporation of chloroform .Yield: 75-85%

2.4 Synthesis of triazole ketones *compound 3*a-j;

To the solution of each compound ***2*a-j** (0.05 mol) dissolved in methanol, Sodium methoxide (0.06 mol) in methanol and Acetyl acetone (0.05 mol) in methanol were added and agitated for overnight. Completion of the reaction was monitored by TLC. The reaction mixture was poured into ice cold water to get precipitate of compounds 3a-j. The precipitate of compound 3a-j were filtered off, washed thoroughly with water, dried and recrystallized from ethanol. Yield: 70-80%

2.5 Synthesis of chalcones *compound 2A-J*

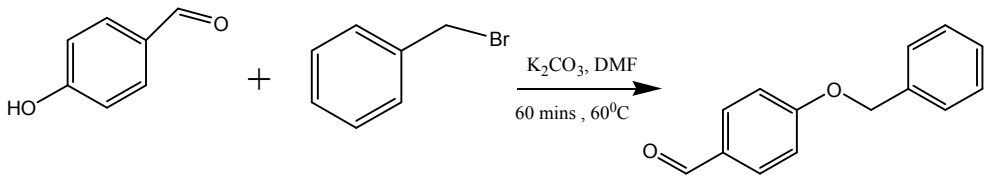
Compound 3a-j (0.01 mol) in ethanol were treated with 4-benzyloxy benzaldehydes (0.01 mol) and 20% 10 ml KOH was added drop wise, the reaction mixture was stirred for overnight at room temperature. Completion of reaction was monitored by TLC. The mixture was quenched in ice to get precipitate of compounds 2A-J. It was then filtered, washed thoroughly with water, dried and are recrystallized from ethanol. Yield: 68-79% (Scheme 2)

Table 1: Physical and elemental data of the compounds 2A-J

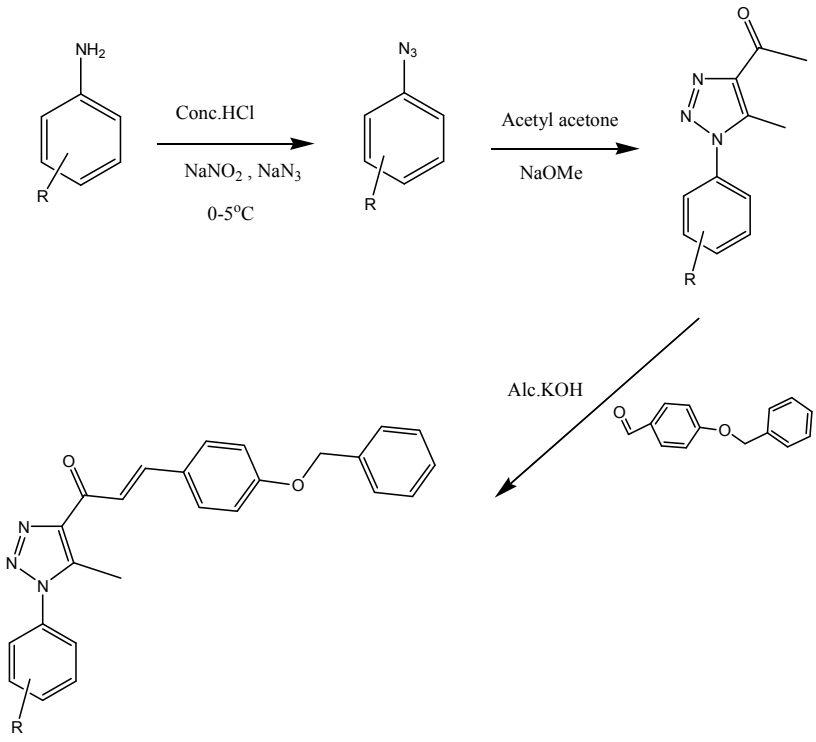
Sample Code	R	Yield (%)	Melting point ^{o}C	Molecular formula	Formula weight	Elemental Analysis Calculated (Found)		
						C	H	N
2A	4-Cl	70	159-162	$C_{25}H_{20}ClN_3O_2$	429.8982	69.85 (69.88)	4.69 (4.70)	9.77 (9.80)
2B	4-NO_2	72	205-208	$C_{25}H_{20}N_4O_4$	440.4507	68.17 (68.19)	4.58 (4.62)	12.72 (12.74)
2C	4-CH_3	68	161-163	$C_{26}H_{23}N_3O_2$	409.47972	76.26 (76.28)	5.66 (5.66)	10.26 (10.29)
2D	4-OCH_3	81	135-138	$C_{26}H_{23}N_3O_3$	425.47912	73.39 (73.41)	5.45 (5.49)	9.88 (9.88)
2E	4-Br	67	148-150	$C_{25}H_{20}BrN_3O_2$	474.3492	63.30 (63.34)	4.25 (4.26)	8.86 (8.88)
2F	4-F	60	146-149	$C_{25}H_{20}FN_3O_2$	413.4436032	72.63 (72.66)	4.88 (4.89)	10.16 (10.19)
2G	-3-Cl 4-F	62	136-139	$C_{25}H_{19}ClFN_3O_2$	447.8886632	67.04 (67.07)	4.28 (4.28)	9.38 (9.41)

2H	3-Cl	69	135-137	$C_{25}H_{20}ClN_3O_2$	429.8982	69.85 (69.88)	4.69 (4.70)	9.77 (9.80)
2I	3-NO_2	65	163-165	$C_{25}H_{20}N_4O_4$	440.4507	68.17 (68.19)	4.58 (4.62)	12.72 (12.74)
2J	2,3 dichloro	74	153-155	$C_{25}H_{19}Cl_2N_3O_2$	464.34326	64.66 (64.68)	4.12 (4.13)	9.05 (9.07)

2.6 Synthetic Route:



SCHEME 1: Synthesis of 4-benzyloxybenzaldehyde



R : -Cl, -NO_2, -CH_3, -OCH_3,- Br, -F

SCHEME 2: Synthesis of chalcones (2A-2J)

2.7 Spectral characteristics of newly synthesized compounds 2A-J

3-(4-(benzyloxy)phenyl)-1-(1-(4-chlorophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one(2A) : **IR** (KBr,cm^{-1}) : 3073 (Ar C-H), 1659 (C=O), 1581 (C=C), 827 (C-Cl) ; **^{1}HNMR** ($CDCl_3$,400MHz): δ 2.66 (s,3H,triazole ring CH_3), δ 5.11 (s,2H,Ph-O-CH_2-Ph), δ 6.99 (d,2H,*J*=8.76Hz, Ar-H), δ 7.31 (m,7H,Ar-H), δ 7.53 (d,2H,*J*=8.68Hz,Ar-H), δ 7.66 (d,2H,*J*=8.72Hz,Ar-H), δ 7.85 (d,1H, *J*=15.92Hz chalcone proton), 7.94 (d,1H,*J*=15.88Hz chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 10.35, 70.09, 115.24, 120.66. 126.52, 127.49, 127.86, 128.16, 129.96, 130.68, 133.89, 136.17, 136.41, 138.28, 143.66, 144.19, 160.91, 184.27; **LC-MS**: m/z: 430(M^+), 432(M^++2)

3-(4-(benzyloxy)phenyl)-1-(5-methyl-1-(4-nitrophenyl)-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2B): IR (KBr,cm^{-1}) : 3074 (Ar C-H), 1657 (C=O), 1585 (C=C), 1331 (C-NO_2); **^{1}H NMR** ($CDCl_3$,400Hz) : δ 2.63 (s,3H,triazole ring CH3), δ 5.10 (s,2H,Ph-O-CH2-Ph), δ 6.98 (d,2H,*J*=8.76Hz,Ar-H), δ 7.23 (m,9H,Ar-H), δ 7.64 (d,2H,*J*=8.72Hz,Ar-H); δ 7.85 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.94 (d,1H,*J*=15.86 Hz Chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 10.45, 71.20, 117.24, 122.66. 125.62, 127.39, 127.76, 129.16, 129.99, 130.58, 133.52, 136.27, 136.51, 138.69, 143.66, 148.19, 160.91, 184.27; **LC-MS**: m/z: 441.5 (M^++1)

3-(4-(benzyloxy)phenyl)-1-(5-methyl-1-*p*-tolyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2C): **IR** (KBr,cm^{-1}) : 3090 (Ar C-H), 1657 (C=O), 1580 (C=C); **^{1}H NMR**($CDCl_3$,400Hz) : δ 2.48 (s,3H,p-tolyl CH_3), δ 2.67 (s,3H,triazole ring CH_3), δ 5.13 (s,2H,Ph-O-CH_2-Ph), δ 7.02 (d,2H,*J*=8.76Hz,Ar-H), δ 7.35 (m,9H,Ar-H), δ 7.69 (d,2H,*J*=8.76Hz,Ar-H), δ 7.89 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.99 (d,1H,*J*=15.88Hz Chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 10.35, 21.29, 70.08, 115.22, 120.85, 125.12, 127.49, 127.96, 128.15, 128.66, 130.21, 130.58, 132.92, 136.45, 138.35, 140.30, 143.38, 144.02, 160.83, 184.45; **LC-MS**: m/z: 410.1 (M^++1)

3-(4-(benzyloxy)phenyl)-1-(1-(4-methoxyphenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2D): **IR** (KBr,cm^{-1}) : 2922 (Ar C-H), 1655 (C=O), 1568 (C=C), 1176 (OCH_3); **^{1}H NMR** ($CDCl_3$,400Hz) : δ 2.63 (s,3H,triazole ring CH_3), δ 3.89 (s,3H,OCH_3 group), δ 5.12 (s,2H,Ph-O-CH_2-Ph), δ 7.00 (d,2H,*J*=8.6Hz, Ar-H), δ 7.05 (d,2H,*J*=8.8Hz, Ar-H), δ 7.26 (m,7H,Ar-H), δ 7.67 (d,2H,*J*=8.62Hz,Ar-H), δ 7.86 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.97 (d,1H,*J*=15.88Hz Chalcone proton), **^{13}C NMR** ($CDCl_3$,100MHz): 10.35, 55.68, 70.09, 114.77, 115.23, 120.85, 126.72, 127.50, 127.97, 128.15, 128.24, 128.67, 130.59, 136.45, 138.40, 143.37, 160.66, 160.83, 184.45; **LC-MS**: m/z: 426 (M^++1)

3-(4-(benzyloxy)phenyl)-1-(1-(4-bromophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2E): **IR** (KBr,cm^{-1}) : 3090 (Ar C-H), 1657 (C=O), 1587 (C=C), 685 (C-Br); **^{1}H NMR** ($CDCl_3$,400Hz) : δ 2.66 (s,3H,triazole ring CH_3), δ 5.10 (s,2H,Ph-O-CH_2-Ph), δ 6.99 (d,2H,*J*=8.72Hz,Ar-H), δ 7.26 (m,7H,Ar-H), δ 7.65 (d,2H,*J*=8.72Hz,Ar-H), δ 7.70 (d,2H,*J*=8.68Hz,Ar-H), δ 7.86 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.94 (d,1H,*J*=15.92Hz Chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 10.11, 10.36, 70.09, 115.25, 120.66, 124.20, 126.70, 126.74, 127.49, 127.86, 128.16, 128.53, 128.66, 130.62, 132.94, 134.39, 136.41, 138.24,143.67, 144.22, 160.91, 184.26; **LC-MS**: m/z: 474 (M^+), 476 (M^++2)

3-(4-(benzyloxy)phenyl)-1-(1-(4-flurophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2F): **IR** (KBr,cm^{-1}) : 3072 (Ar C-H), 1657 (C=O), 1582 (C=C), 1240 (C-F); **^{1}H NMR** ($CDCl_3$,400Hz) : δ 2.63 (s,3H,triazole ring CH_3), δ 5.09 (s,2H,Ph-O-CH_2-Ph), δ 6.99 (d,2H,*J*=8.72Hz,Ar-H), δ 7.23 (m,2H,Ar-H), δ 7.30 (m,7H,Ar-H), δ 7.65 (d,2H,*J*=8.72Hz, Ar-H), δ 7.85 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.94 (d,1H,*J*=15.88Hz Chalcone proton); ^{13}C

NMR ($CDCl_3$,100MHz): **^{13}C NMR** ($CDCl_3$,100MHz): 10.15, 10.46, 70.15, 116.25, 121.66, 125.20, 126.75, 126.64, 127.40, 127.86, 128.26, 128.54, 128.68, 131.62, 132.94, 134.39, 136.45, 138.34,143.77, 144.62, 160.95, 185.16; **LC-MS**: m/z: 414 (M^++1)

3-(4-(benzyloxy)phenyl)-1-(1-(3-chloro-4-flurophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2G): **IR** (KBr,cm^{-1}) : 3072 (Ar C-H), 1659 (C=O), 1580 (C=C), 1240 (C-F), 827 (C-Cl); **^{1}H NMR**($CDCl_3$,400Hz) : δ 2.60 (s,3H,triazole CH_3), δ 5.04 (s,2H,Ph-O-CH_2-Ph), δ 6.93 (d,2H,*J*=8.72Hz, Ar-H), δ 7.19 (m,7H,Ar-H), δ 7.52 (dd,1H, *J*=1.72Hz, 6.48Hz, Ar-H), δ 7.60 (d,2H,*J*=8.72Hz,Ar-H), δ 7.79 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.87 (d,1H,J=15.88Hz Chalcone proton), **^{13}C NMR** ($CDCl_3$,100MHz): 10.32, 70.10, 115.26, 117.53, 117.75, 120.56, 122.56, 122.75, 125.21, 125.29, 127.50, 127.82, 127.91, 128.18, 128.68, 130.66, 131.91, 136.40, 138.39, 143.83, 144.16, 157.59, 160.11, 160.96, 184.19; **LC-MS**: m/z: 448 (M^+), 450 (M^++2)

3-(4-(benzyloxy)phenyl)-1-(1-(3-chlorophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2H): **IR** (KBr,cm^{-1}) : 3073 (Ar C-H), 1659 (C=O), 1580 (C=C), 827 (C-Cl); **^{1}H NMR** ($CDCl_3$,400Hz) : δ 2.67 (s,3H,triazole ring CH_3), δ 5.10 (s,2H,Ph-O-CH_2-Ph), δ 6.99 (d,2H,*J*=8.76Hz,Ar-H), δ 7.32 (m,6H,Ar-H), δ 7.50 (t,3H,Ar-H), δ 7.65 (d,2H,*J*=8.72 Hz,Ar-H), δ 7.85 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.94(d,1H,*J*=15.88Hz Chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 10.36, 70.10, 115.25, 120.56. 126.54, 127.49, 127.86, 128.26, 129.96, 130.69, 133.49, 136.17, 136.31, 138.29, 143.56, 144.17, 160.95, 186.19; **LC-MS**: m/z: 430 (M^+), 432 (M^++2)

3-(4-(benzyloxy)phenyl)-1-(5-methyl-1-(3-nitroophenyl)-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one (2I): **IR** (KBr,cm^{-1}) : 3090 (Ar C-H), 1657 (C=O), 1579(C=C), 1344 (C-NO_2); **^{1}H NMR** ($CDCl_3$,400Hz): δ 2.65 (s,3H,triazole ring CH_3), δ 5.09 (s,2H,Ph-O-CH_2-Ph), δ 6.98 (d,2H,*J*=8.72Hz,Ar-H), δ 7.32 (m,7H,Ar-H), δ 7.52 (t,2H,Ar-H), δ 7.65 (d,2H,*J*=8.72Hz), δ 7.85 (s,1H,*J*=15.92Hz Chalcone proton), δ 7.94 (s,1H,*J*=15.88Hz Chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 10.45, 70.20, 116.24, 121.66. 125.52, 128.39, 128.76, 129.16, 129.97, 130.98, 133.92, 136.27, 136.51, 138.69, 143.66, 148.09, 160.91, 184.27; **LC-MS**: m/z: 441.5 (M^++1)

3-(4-(benzyloxy)phenyl)-1-(1-(2,3,dichlorophenyl)-5-methyl-1*H*-1,2,3-triazol-4-yl)prop-2-en-1-one. 2J: **IR** (KBr,cm^{-1}) : 2926 (Ar C-H), 1654 (C=O), 1579 (C=C), 823 (C-Cl); **^{1}H NMR** ($CDCl_3$,400Hz) : δ 2.63 (s,3H,triazole CH_3), δ 5.09 (s,2H,Ph-O-CH_2-Ph), δ 6.98 (d,2H,*J*=8.72Hz), δ 7.26 (m,8H,Ar-H), δ 7.65 (d,2H,*J*=8.72Hz), δ 7.85 (d,1H,*J*=15.92Hz Chalcone proton), δ 7.94 (d,1H,*J*=15.88Hz Chalcone proton); **^{13}C NMR** ($CDCl_3$,100MHz): 9.74, 70.08, 70.25, 115.13, 120.60, 127.42, 127.49, 127.86, 128.03, 128.16, 128.66, 128.72, 130.63, 131.04, 132.00, 132.80, 134.63, 134.80, 136.41, 140.07, 143.56, 143.75, 160.92, 184.18; **LC-MS**: m/z: 464 (M^+),466 (M^++2), 468 (M^++4)

3. Results and Discussion:

The chalcones bearing 1,2,3 triazole moiety were synthesized by Claisen-Schmidt condensation (Scheme 2) using 4 benzyloxy benzaldehyde (scheme 1) in a good yield as shown in Table 1. The structures of all the compounds were confirmed by elemental analysis, FTIR, Mass and ^{1}H NMR spectral analysis .The FT-IR Spectrum of chalcones showed sharp band at 2922-3090 cm^{-1} which corresponds to aromatic C-H stretching frequencies. The absorption band at 1176 cm^{-1} corresponds to C-H stretching of -OCH_3 and the absorption band which appears at 1655-1659 cm^{-1} was due to α-β unsaturated carbonyl system. C=C absorption band appeared at 1564-1580 cm^{-1} and C-Cl absorption band appeared at 827 cm^{-1} , C-F at 1240 cm^{-1} , C-Br band at 685 cm^{-1}. The 400MHz ^{1}HNMR spectrum of the all the compound showed a singlet at δ 2.60-2.67 integrating for three protons of CH_3 group attached to triazole ring and the compound 2C showed

singlet at δ 2.48 integrating for three protons of CH_3 group of *p*-tolyl ring. The compound 2D showed singlet at δ 3.89 integrating for three protons of OCH_3 group. The CH_2 group of Ph-O-CH_2-Ph showed doublet at δ 5.04-5.13.The α-β unsaturated protons of chalcones appeared as two doublets at δ 7.85 and δ 7.94 each with coupling constant *J*=15.88 Hz. This shows that chalcone protons are trans in nature. ^{13}C NMR spectrum of all compounds showed δ 10.35, δ 70.09, δ 143.66, 184.27 which corresponds to carbons of triazole ring CH_3, O-CH_2 group, chalcone C=C and C=O The mass spectrum of compound 2A and 2H showed isotopic peak at m/z 430 (M^+), 432 (M^++1) in the ratio 3:1 consistent with molecular formula $C_{25}H_{20}ClN_3O_2$. The compound 2E showed isotopic peak at m/z 474 (M^+), 476 (M^++2) in the ratio 1:1 consistent with molecular formula $C_{25}H_{20}BrN_3O_2$ and the disubstituted compound 2G showed isotopic peak at m/z 448 (M^+), 450 (M^++2) in the ratio 3:1 whereas 2J showed isotopic peak at m/z 464 (M^+), 466 (M^++2), 468 (M^++4) in the ratio 9:6:1. All the other compounds of this chalcone series showed M^++1 peak consistent with their molecular formulas.

3.1 Biological activities: The newly synthesized compounds were checked for their antibacterial and antioxidant activity.

3.1.1 Antibacterial activity:

The newly synthesized compounds were screened for their antibacterial activity[xvi] against two gram positive bacterial strains namely *Staphylococcus aureus, Eschericia coli* and gram negative strains namely *Pseudomonas aeruginosa* , *Eschericia coli* by cup-plate method.

100 ml of nutrient agar media (sterilized) was distributed in two of the conical flask (250ml) and are allowed to cool at room temperature. To these media, 18-24 h grown bacterial sub-cultures were added and shaken thoroughly to ensure uniform distribution of organisms throughout the medium. Then, this agar medium was poured into each sterilized petridishes in equal portions, ensuring that each petridish should contains about 45-50 mL of the medium. The medium was then kept aside for solidification. The cups each of 6 mm diameter were made by scooping out medium with a sterile cork borer in a petri dish which was streaked with the organisms. The solutions of each test compounds (100 µg/mL) in required concentrations were prepared by dissolving the compounds in Dimethyl Sulphoxide and it is then filled into the cups with 1mL of respective solution. Then, the petridishes containing solutions were incubated for 24 - 48 h at 37^0 C in an inverted position. Ciprofloxacin was used as standard drug. Once the growth inhibition zones were developed around each cup, then the diameter of zone of inhibition was measured in mm and the entire tests were performed in triplicates and then compared with that of the standard drugs .The results of studies are illustrated in the fig 1.

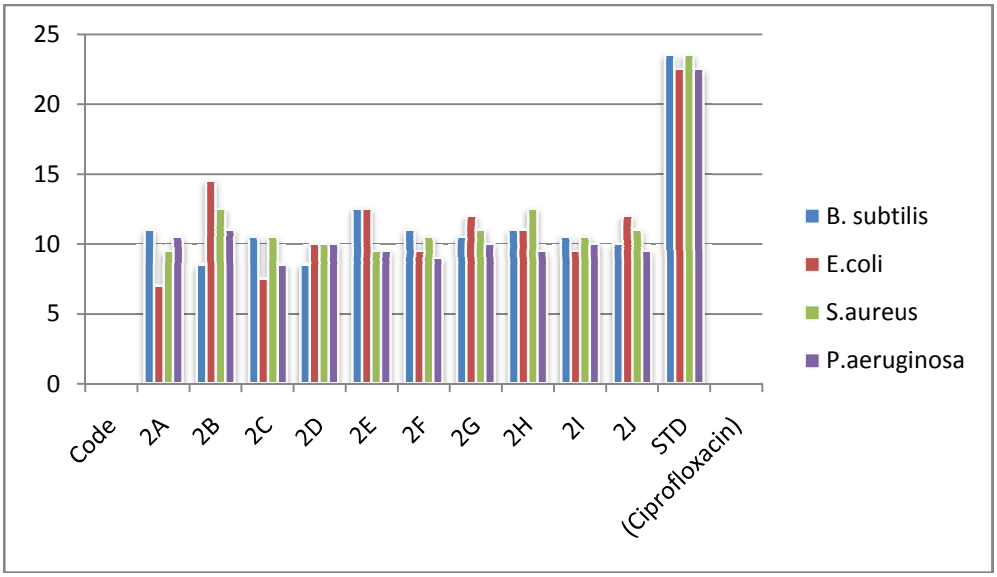


Fig 1: Antibacterial studies against four bacterial species

In the present study, the antibacterial activity of triazole chalcone indicated that all the novel derivatives synthesized have shown positive activity on both gram positive and gram negative bacteria. The largest zone of inhibition has been observed in 2B for *E.coli* (14.5±0.5) and for *S.aureus* (12.5±0.5). The compounds 2E, 2J, 2G showed moderate inhibition zone for *E.coli* (12.5±0.5,12±1,12±0). So these compounds can be considered as potential antibacterial agents.

3.1.2 Antioxidant activity: The percentage of antioxidant activities of these derivatives were assessed by two models namely DPPH Assay and Nitric oxide radical scavenging activity.

3.1.2.1 DPPH Assay model: Free radical scavenging assay[xvii] of chalcones was carried out in terms of hydrogen donating or radical scavenging ability using the stable free radical DPPH (2, 2-diphenyl-1-picrylhydrazyl).150 µg/mL of each test sample and standard BHA was taken in different test tubes and the volume was made upto to 1mL using MeOH. To each test tube 1mL of 0.1mM DPPH was added vortexed thoroughly and left in dark for 30 min. The DPPH control was prepared by same procedure. The absorbance was measured at 517 nm. The percentage inhibition of free radical DPPH was determined based on control reading by following equation and are illustrated graphically in fig 2

$$\text{Radical scavenging activity (\%)} = \frac{\text{Abs Control} - \text{Abs Sample}}{\text{Abs control}} \times 100 \qquad \ldots\ldots \text{ eqn (1)}$$

Abs control is the absorbance of the control
Abs sample is the absorbance of tested sample

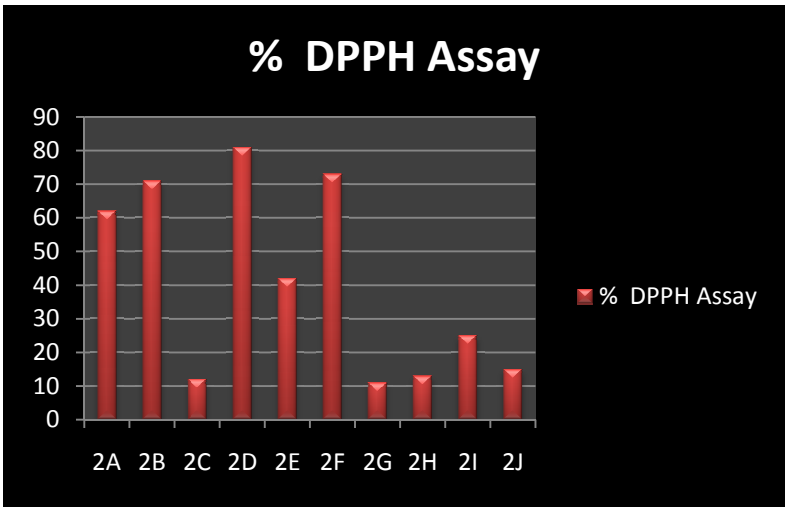


Fig 2: DPPH Assay of compounds 2A-J

The DPPH Scavenging Assay of chalcones showed activity ranging from 81-11% while standard BHA showed 88% activity. It is seen that some compounds exhibited good activity whereas some showed less activity. 2D exhibited relatively maximum activity which is closer to standard whereas 2A, 2B, 2E shows moderately good activity. Hence 2D can be considered as good antioxidant agent which showed the potential activity.

3.1.2.2 Nitric oxide radical scavenging activity:

Nitric oxide (NO) radicals[xviii] are produced from sodium nitroprusside solution at physiological pH. The mixture is prepared by taking Sodium nitroprusside (1ml of 10mM) and 1ml of test compounds at different concentration (10-50 mg/ml) in phosphate buffer (pH 7.4).The above mixture is incubated at 25°C for 150 min. To 1ml of the incubated solution, 1ml of Griess's reagent (1% sulphanilamide, 2% o-phosphoric acid and 0.1% naphthyl ethylene diamine dihydrochloride) is added. Griess reagent was prepared by mixing 1% sulphanilamide, 2% phosphoric acid and 0.1% naphthylethylene diamine dihydrochloride just before in use.. Standard drug used here is ascorbic acid. Absorbance of test and standard sample was recorded at 546 nm. Percentage inhibition was calculated using the equation 1 and illustrated in fig 3.

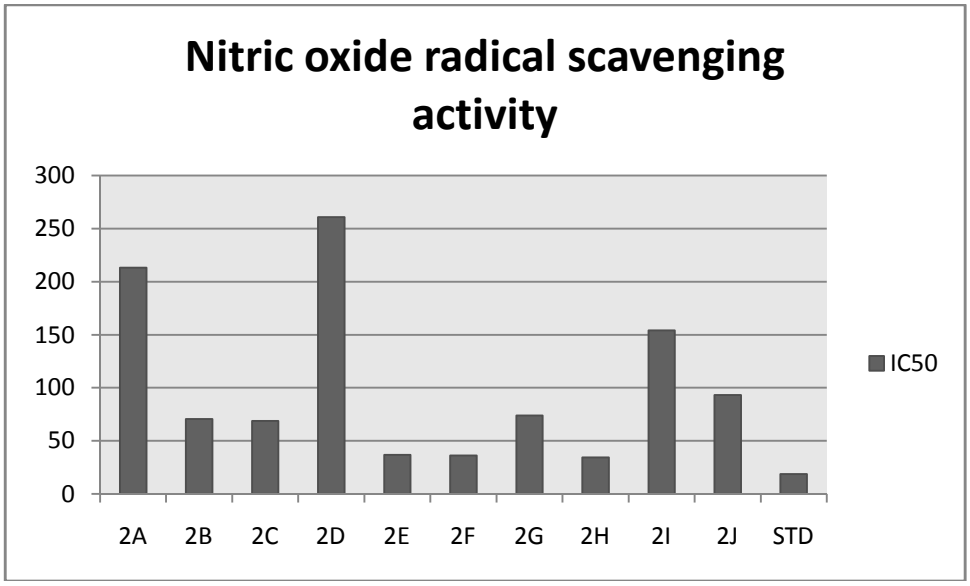


Fig 3: Nitric oxide radical scavenging activity

Standard sample showed inhibition constant 18.57. When compared with the standard (Ascorbic acid), compounds 2E, 2F and 2H showed moderate activity. Rest of the compounds also showed activity but not that of the expected level.

4. Conclusion:

In this study, a novel series of chalcones carrying triazole moiety were synthesized by base catalyzed Claisen Schmidt condensation in a good yield and were characterized by elemental analysis, Mass, FT-IR and ^{1}H NMR spectral studies. These compounds were further evaluated for their antibacterial and antioxidant activity. The results showed that compounds with electron donating group (methoxy group) showed good antioxidant activity for DPPH assay and electron withdrawing groups Bromo, Fluro and Chloro showed moderate activity to Nitric oxide radical scavenging activity compared to standard. The largest zone of inhibition is seen in Nitro substituent for bacterial stains *E.coli* and *S.aureus*. Since these compounds shows good antioxidant and antibacterial activity, there is an ample scope for further studies and more chalcone derivatives of this kind need to be synthesized for the same.

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