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Synthesis, Biological Evaluation of Novel 1-[4-(1H-Pyrrol-1-yl) Phenyl] Ethanone Chalcones as Potential Antimicrobial and Cytotoxic Agents

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Abstract
Novel 1-[4-(1H-pyrrol-1-yl) phenyl] ethanone chalcones (**CH 01-15**) were synthesized by the condensation of 1-[4-(1H-pyrrol-1-yl) phenyl] ethanone with different aromatic aldehydes and characterized using FT-IR, Mass, NMR and elemental analysis. These compounds were evaluated for their antibacterial activity against four micro-organisms, namely Staphylococcus aureus (MTCC 96), Bacillus subtilis (MTCC 441), Escherichia coli (MTCC 443), Pseudomonas vulgaris (MTCC 2421). The synthesized compounds also evaluated for cytotoxic activity against human cancer cell lines. The human tumor cell line panel constituted three cancer cell lines including breast (MCF-7), colon (HT-29) and prostate (DU-145). Among all, compound **CH-13** showing greater inhibitory activity against all tested organisms employed with zones inhibition of 33 to 21 mm at a concentration of 150µg/ml. Compounds **CH-06 & CH-09** have been found as the next in the order of its antimicrobial potency. In cytotoxic studies, compound **CH-02** found to the potent one with IC_{50} values of 24 µg/mL, 26 µg/mL and 14 µg/mL against MCF-7, HT-29 & DU-105 cell lines respectively. Compound **CH-05** was next in order with IC_{50} values of 28 µg/mL, 27 µg/mL and 16 µg/mL against MCF-7, HT-29& DU-105 cell lines respectively.

Keywords
Chalcone, Condensation, Antimicrobial, Cytotoxic.

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INTRODUCTION:
Chalcones (1,3-diaryl-2-propen-1-ones) represent an important group of natural products belonging to the flavonoids family.[1,2] Chemically, these are open-chained molecules bearing two aromatic rings that are joined by a three-carbon enone fragment. These molecules possess interesting biological activities including cytotoxic[3,4] antimalarial,[5] antileishmanial,[6] anti-inflammatory,[7] anti-HIV,[8] antifungal[9] and as tyrosine kinase inhibition.[10] Natural and synthetic chalcones have been reported to possess strong antiproliferative effects in primary as well as established ovarian cancer cells[11] and in gastric cancer (HGC-27) cells.[12] Hydroxyl chalcones and isoliquiritigenin have been shown to be potent inhibitors of skin carcinogenesis.[13] The remarkable biological potential of these chalcones is due to their possible interactions with various proteins related to cell apoptosis and proliferation. Recent studies have shown that these chalcones induce apoptosis in a variety of cell types, including breast cancers.[14–15] The 3,4,5-trimethoxyphenyl ring is thought to be essential for retaining the anticancer activity of chalcones. Some of the recent advances in the development of anticancer agents involve structural modification of chalcones to improve their bioavailability and to study the role of various substituents on aryl or heteroaryl rings.[16] In addition,

chalcone derivatives wherein the B-ring is replaced by a heterocyclic ring have been systematically investigated.[17]

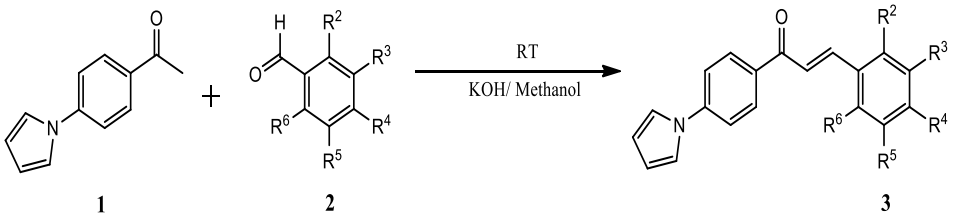
MATERIALS AND METHODS:

Melting points were determined using Boethius Apparatus by capillary method and are uncorrected. FT-IR spectra were taken on Bruker FT-IR Opus Spectroscopic Software Version 2.0 (Bruker Instruments Inc., USA) from 4000-400 cm-1 using KBr discs. ^{1}H-NMR spectra were recorded at 400 MHz in $CDCl_3$ using a Bruker/Avance 400 instrument (Bruker Instruments Inc., USA). Chemical shifts were measured in δ (ppm) unit relative to tetramethylsilane (TMS). ESI-MS spectra were recorded on a Jeol SX 102/DA-6000 Mass Spectrometer (Jeol Ltd. Akishima, Tokyo, Japan). Elemental analysis was performed on Vario EL III Elemental Analyzer (Elementar, Germany) using Sulfanilamide as standard. All chemicals were purchased from Aldrich, E Merck, Spectrochem, CDH, Himedia, Finar or AvraIndia. Solvents were of reagent grade and were purified and dried by standard procedure. Reactions were monitored using Thin-layer chromatography on Silica Gel F 254 plates (Merck) with visualization by UV (254 nm) chamber.

EXPERIMENTAL:

Chemistry

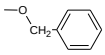
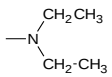
Scheme



Synthesis of 1-[4-(1H-pyrrol-1-yl) phenyl] ethenone chalcones (CH 01-15):

A mixture of 1-[4-(1*H*-pyrrol-1-yl) phenyl] ethenone (**1**) (0.001moles) and substituted aromatic aldehydes (**2**) (0.001moles) were dissolved in alcohol(20ml) and to it 15%KOH was added[18]. The mixture was stirred for 24hours. Progress of the reaction was monitored by the TLC. After completion of the reaction, it was acidified with 50% HCl. The precipitated solid was separated, dried and recrystallized. The obtained solid purified by column chromatography from a mixture of ethyl acetate and hexane (1:1). The structure, physicochemical characterization of compounds (CH 01-15) was presented in Table 1.

Table 1: Physical data of 1-[4-(1H-pyrrol-1-yl) phenyl] ethenone chalcones (CH 01-15).

S. No	Compound	Substituents					Molecular Formula	Mol. Wt	M.P. (°C)	Yield (%)
		R^2	R^3	R^4	R^5	R^6				
1	**CH-01**	-O-CH_3	-H	-O-CH_3	-H	-O-CH_3	$C_{22}H_{21}NO_4$	363.41	134-137	88
2	**CH-02**	-H	-O-CH_3	-O-CH_3	-O-CH_3	-H	$C_{22}H_{21}NO_4$	363.41	87-90	87
3	**CH-03**	-H	-H	-S-CH_3	-H	-H	$C_{20}H_{17}NOS$	319.42	121-124	88
4	**CH-04**	-CH_3	-H	-CH_3	-H	-CH_3	$C_{22}H_{21}NO$	315.41	130-133	79
5	**CH-05**	-O-CH_3	-O-CH_3	-O-CH_3	-H	-H	$C_{22}H_{21}NO_4$	363.41	110-113	75
6	**CH-06**	-H	-H	-CF_3	-H	-H	$C_{20}H_{14}F_3NO$	341.33	93-96	92
7	**CH-07**	-H	-H		-H	-H	$C_{26}H_{21}NO_2$	379.45	115-116	85
8	**CH-08**	-CF_3	-H	-H	-H	-H	$C_{20}H_{14}F_3NO$	341.33	125-126	87
9	**CH-09**	-Cl	-H	-H	-H	-F	$C_{19}H_{13}ClFNO$	325.76	128-129	83
10	**CH-10**	-H	-H		-H	-H	$C_{23}H_{24}N_2O$	344.45	135-137	85
11	**CH-11**	-H	-H	-OH	-H	-H	$C_{19}H_{15}NO_2$	289.33	124-137	88
12	**CH-12**	-H	-H	-C_2H_5	-H	-H	$C_{21}H_{19}NO$	301.38	127-129	87
13	**CH-13**	-H	-H	-Cl	-H	-H	$C_{19}H_{14}ClNO$	307.77	123-126	88
14	**CH-14**	-OH	-H	-H	-H	-H	$C_{19}H_{15}NO_2$	289.33	131-136	79
15	**CH-15**	-H	-H	-O-CH_3	-H	-H	$C_{20}H_{17}NO_2$	303.35	124-125	75

1-[4-(1H-pyrrol-1-yl) phenyl]-3-(2,4,6-trimethoxy phenyl) prop-2-en-1-one (CH-01):
IR (KBr, cm^{-1}): 3105, 3048.5, 2936.16, 1660.76, 1602.33,1583.83, 1461.77, 1371.05; H^1 NMR($CDCl_3$, δ, ppm):7.05 (2H, d, C-2,5 of pyrrole), 7.58 (2H, d, C-3,4 of pyrrole), 7.44-7.90 (6H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 3.83 (9H, s, 3-OCH_3)MS m/z: 364 [M+1]. Analysis Calculated for $C_{22}H_{21}NO_4$: C, 72.71; H, 5.82; N, 3.85. Found: C, 72.67; H, 5.86; N, 3.81.

1-[4-(1H-pyrrol-1-yl) phenyl]-3-(3,4,5-trimethoxy phenyl) prop-2-en-1-one (CH-02):
IR (KBr, cm^{-1}): 3364.23, 3119.04, 2937.74, 2834.04, 1661.12, 1588.75, 1486.59, 1420.91, 828.23; H^1 NMR($CDCl_3$, δ, ppm):7.15 (2H, d, C-2,5 of pyrrole), 7.39 (2H, d, C-3,4 of pyrrole), 7.42-7.87 (6H, m, Ar-H), 7.61 (1H, d, α-H), 8.08 (1H, d, β-H), 3.79 (9H, s, 3-OCH_3) MS m/z: 364 [M+1]. Analysis Calculated for $C_{22}H_{21}NO_4$: C, 72.71; H, 5.82; N, 3.85. Found: C, 72.75; H, 5.78; N, 3.81.

1-[4-(1H-pyrrol-1-yl) phenyl]-3-[4-(methyl sulfanyl) phenyl] prop-2-en-1-one (CH-03):
IR (KBr, cm^{-1}):3144.43, 3051.37, 2926.41, 1657.87, 1600.46, 1588.82, 1491.32, 1491.32, 1333.18; H^1 NMR($CDCl_3$, δ, ppm): 7.12 (2H, d, C-2,5 of pyrrole), 7.36 (2H, d, C-3,4 of pyrrole), 7.41-7.86 (8H, m, Ar-H), 7.57 (1H, d, α-H), 8.05 (1H, d, β-H), 2.53 (3H, s, -CH_3); MS m/z: 320 [M+1]. Analysis Calculated for $C_{20}H_{17}NOS$: C, 75.20; H, 5.36; N, 4.39; S, 10.04. Found: C, 70.83; H, 3.95; N, 14.96; S,10.02.

1-[4-(1H-pyrrol-1-yl) phenyl]-3-(2,4,6-trimethyl phenyl) prop-2-en-1-one (CH-04):
IR (KBr, cm^{-1}): 3137.68, 3043, 2962.79, 1649.38, 1601.15, 1598.05, 1469.96, 1376.52, , 1379.91, 1304.04; H^1 NMR($CDCl_3$, δ, ppm): 7.11 (2H, d, C-2,5 of pyrrole), 7.35 (2H, d, C-3,4 of pyrrole), 7.40-7.85 (6H, m, Ar-H), 7.16 (1H, d, α-H), 8.01 (1H, d, β-H), 2.34-(3H, S, CH_3) 2.48 (6H, s, 3-CH_3); MS m/z: 316 [M+1]. Analysis Calculated for $C_{22}H_{21}NO$: C, 83.78; H, 6.71; N, 4.44. Found: C, 83.73; H, 6.75; N, 4.41.

1-[4-(1H-pyrrol-1-yl) phenyl]-3-(2,3,4-trimethoxy phenyl) prop-2-en-1-one (CH-05):
IR (KBr, cm^{-1}):3123.41, 2942.19, 2829.8, 1658.95, 1602.2, 1594.05, 1587.10, 756.23; H^1 NMR($CDCl_3$, δ, ppm): 7.17 (2H, d, C-2,5 of pyrrole), 7.37 (2H, d, C-3,4 of pyrrole), 7.40-7.88 (6H, m, Ar-H), 7.42 (1H, d, α-H), 8.33 (1H, d, β-H), 3.83 (9H, s, 3-OCH_3); MS m/z: 364 [M+1]. Analysis Calculated for $C_{22}H_{21}NO_4$: C, 72.71; H, 5.82; N, 3.85. Found: C, 72.67; H, 5.86; N, 3.81.

(2E)-1-[4-(1H-pyrrol-1-yl) phenyl] -3- [4-(trifluoro methyl) phenyl] prop-2-en-1-one (CH-06): IR (KBr, cm^{-1}): 2971.04, 2922.05, 2866.04, 1661.12, 1603.23, 1588.75, 1455.99, 1325.03, 828.23; H^1 NMR($CDCl_3$, δ, ppm): 7.19 (2H, d, C-2,5 of pyrrole), 7.36 (2H, d, C-3,4 of pyrrole), 7.40-7.85 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H) MS m/z: 342 [M+1]. Analysis Calculated for $C_{20}H_{14}F_3NO$: C, 70.38; H, 4.13; N, 4.10. Found: C, 70.42; H, 4.09; N, 4.07.

3-[4-(benzyloxy) phenyl]-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-07):
IR (KBr, cm^{-1}): 3115.98, 3034, 2931.65, 1657.87, 1600.46, 1595.88 1451.59, 1422.70, 1347.88, 1333.18; H^1 NMR($CDCl_3$, δ, ppm): 7.16 (2H, d, C-2,5 of pyrrole), 7.34 (2H, d, C-3,4 of pyrrole), 7.38-7.90 (13H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 3.83 (2H, s, -OCH_2-) MS m/z: 380 [M+1]. Analysis Calculated for $C_{26}H_{21}NO_2$: C, 82.30; H, 5.58; N, 3.69. Found: C, 82.27; H, 5.61; N, 3.73.

1-[4-(1H-pyrrol-1-yl) phenyl]-3-[2-(trifluoromethyl) phenyl] prop-2-en-1-one (CH-08):
IR (KBr, cm^{-1}):2971.98, 2834.04, 1649.38, 1598.05, 1587.08, 1486.59, 1420.91, 1376.52, 1370.02, 1326.02; H^1 NMR($CDCl_3$, δ, ppm): 7.12 (2H, d, C-2,5 of pyrrole), 7.28 (2H, d, C-3,4 of pyrrole), 7.31-7.89 (8H, m, Ar-H), 7.42 (1H, d, α-H), 8.33 (1H, d, β-H); MS m/z: 342 [M+1]. Analysis Calculated for $C_{20}H_{14}F_3NO$: C, 70.38; H, 4.13; N, 4.10. Found: C, 70.45; H, 4.08; N, 4.08.

3-(2-chloro-6-fluorophenyl)-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-09):
IR (KBr, cm^{-1}):3116.5, 3025.22, 2969.61, 1649.38, 1598.05, 1479.27, 1376.52, 1370.88, 1308.03; H^1 NMR ($CDCl_3$, δ, ppm): 7.25 (2H, d, C-2,5 of pyrrole), 7.35 (2H, d, C-3,4 of pyrrole), 7.39-7.84 (7H, m, Ar-H), 7.42 (1H, d, α-H), 8.33 (1H, d, β-H); MS m/z: 326 [M+1]. Analysis Calculated for $C_{19}H_{13}ClFNO$: C, 70.05; H, 4.02; N, 4.30. Found: C, 70.02; H, 4.03; N, 4.25.

3-[4-(diethylamino) phenyl]-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-10):
IR (KBr, cm^{-1}):2972.21, 2867.73,2844.02, 1649.38, 1598.05, 1591.62, 1468.47, 1430.37, 1376.52, 1349.69; H^1 NMR($CDCl_3$, δ, ppm): 7.14 (2H, d, C-2,5 of pyrrole), 7.34 (2H, d, C-3,4 of pyrrole), 7.42-7.89 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 1.15 (6H, t, 2-CH_3), 3.41 (4H, q, 2-CH_2-); MS m/z: 345 [M+1]. Analysis Calculated for $C_{23}H_{24}N_2O$: C, 80.20; H, 7.02; N, 8.13. Found: C, 80.17; H, 7.05; N, 8.09.

3-(4-hydroxyphenyl)-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-11):
IR (KBr, cm^{-1}): 3265.01, 3135, 3028.5, 2946.16, 1660.76, 1602.33, 1583.83, 1461.77, 1371.05, 1318.09, H^1 NMR($CDCl_3$, δ, ppm):7.12 (2H, d, C-2,5 of pyrrole), 7.34 (2H, d, C-3,4 of pyrrole), 7.39-7.85 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 5.35 (1H, s, -OH); MS m/z: 290 [M+1]. Analysis Calculated for $C_{19}H_{15}NO_2$: C, 78.87; H, 5.23; N, 4.84. Found: C, 78.93; H, 5.24; N, 4.80.

3-(4-ethylphenyl)-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-12):
IR(KBr, cm^{-1}):3119.04, 2937.74, 2834.04, 1661.12, 1588.75, 1486.59, 1420.91, 828.23; H^1 NMR($CDCl_3$, δ, ppm):7.15 (2H, d, C-2,5 of pyrrole), 7.30 (2H, d, C-3,4 of pyrrole), 7.44-7.91 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 1.25 (3H, t, $-CH_3$), 2.60 (2H, q, $-CH_2-$); MS m/z: 302 [M+1]. Analysis Calculated for $C_{21}H_{19}NO$: C, 83.69; H, 6.35; N, 4.65. Found: C, 83.72; H, 6.38; N, 4.61.

3-(4-chlorophenyl)-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-13):
IR (KBr, cm^{-1}): 1657.87, 1600.46, 1333.18, 3144.43, 3051.37, 2926.41 1588.82, 1491.32, 1491.32, 1426.08; H^1 NMR($CDCl_3$, δ, ppm):7.18 (2H, d,C-2,5 of pyrrole), 7.36 (2H, d, C-3,4 of pyrrole), 7.44-7.89 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H); MS m/z: 308 [M+1]. Analysis Calculated for $C_{19}H_{14}ClNO$: C, 74.15; H, 4.58; N, 4.55. Found: C, 74.12; H, 4.56; N, 4.57.

3-(2-hydroxyphenyl)-1-[4-(1H-pyrrol-1-yl) phenyl] prop-2-en-1-one (CH-14):
IR (KBr, cm^{-1}):3410.25, 3137.68, 3043.23, 2962.79, 1649.38, 1598.05, 1601.15, 1469.96, 1379.91, 1304.04; H^1 NMR($CDCl_3$, δ, ppm):7.15 (2H, d, C-2,5 of pyrrole), 7.16 (2H, d, C-3,4 of pyrrole), 7.42-7.90 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 5.35 (1H, s, -OH); MS m/z: 290 [M+1]. Analysis Calculated for $C_{19}H_{15}NO_2$: C, 78.87; H, 5.23; N, 4.84. Found: C, 78.84; H, 5.24; N, 4.80.

1-[4-(1H-pyrrol-1-yl) phenyl]-3-(4-methoxyphenyl) prop-2-en-1-one (CH-15):
IR (KBr, cm^{-1}): 3123.41, 2942.19, 2829.8, 1658.95, 1602.12, 1594.05, 1486.58; H^1 NMR($CDCl_3$, δ, ppm):7.11 (2H, d, C-2,5 of pyrrole), 7.34 (2H, d, C-3,4 of pyrrole), 7.44-7.91 (8H, m, Ar-H), 7.59 (1H, d, α-H), 8.06 (1H, d, β-H), 3.83 (3H, s, $-CH_3$);MS m/z: 304 [M+1]. Analysis Calculated for $C_{20}H_{17}NO_2$: C, 79.19; H, 5.65; N, 4.62. Found: C, 79.15; H, 5.69; N, 4.64.

Antimicrobial activity
The MIC for antibacterial activity of synthesized compounds was determined by disc diffusion method by determining zone of inhibition (mm) for each compound using nutrient agar medium, and streptomycin was used as standard[19]. The stock solution of test compounds was prepared in dimethyl sulfoxide (DMSO) and sterilized by membrane filtration method using 0.22-µm pore size polycarbonate sterile membrane (Nucleopore) filters. The stock solution diluted to produce a concentration of 50µg/disc, 100µg/disc, 150µg/disc and used for the study. The total four bacterial strains used for screening antibacterial activity were Staphylococcus aureus (MTCC 96), Bacillus subtilis (MTCC 441), E. coli (MTCC 443), Pseudomonas vulgaris (MTCC 2421). A standard protocol was followed to evaluate antibacterial activity. The sterile filter papers (6 mm) having a capacity to hold 10 ul of solution were immersed in compounds under study and dried. The dried sterile filter papers (6mm) with varying concentrations of the test compounds placed over the solidified agar media, incubated at 37^0C for 24 hours. After incubation zone of inhibition measured for test and standard compounds.

Cytotoxic studies
The invitro cytotoxicity assay was carried out according to the procedures described in Ramesh et al. using MTT assay[20]. Stock solutions of the drugs were prepared in DMSO and diluted to produce a final concentration of < 2% DMSO (V/V), a concentration which is non-toxic to cell proliferation. The human tumor cell line panel constituted three cancer cell lines including breast (MCF-7), colon (HT-29) and prostate (DU-145). Cell lines were obtained from National Centre for Cell Science (NCCS), Pune, India. Cells were grown in RPMI-1640 containing fetal bovine serum (5%) and L-glutamine (2 mM). These cell lines were incubated with five concentrations of final compounds in a humidified atmosphere at 37^0C containing 5% CO_2. After 24 h incubation, the absorbances were read at 540 nm and used to plot dose-response curve. Three response parameters, TGI (total growth inhibition), LC_{50} and IC_{50} were calculated for each cell line.

RESULTS AND DISCUSSION

Chemistry
Target compounds, Compounds **CH 01-15** were synthesized following the reaction sequence outlined in **Scheme 1**. By the condensation reaction of 1-[4-(1*H*-pyrrol-1-yl) phenyl] ethenone with different aromatic aldehydes. The structure of the products, **CH 01-15** was established by physico-chemical and spectroscopic analysis. The IR spectra

of **CH 01-15** showed bands at 3150-2900 cm^{-1} (=C-H& -C-H), 1670-1600 (C=O) cm^{-1}, 1300-1500 cm^{-1} (C-C) and 1640-1550 cm^{-1} (C-N). The ^{1}H-NMR spectra of the synthesized compounds gave further support for the chalcone structure, The ^{1}H NMR spectrum (400 MHz, $CDCl_3$) showed the characteristic signals of CO-CH= and =CH-Ar at δ 7.23 and 7.73 ppm as doublets (*J* =17 HZ) respectively confirming the *trans* geometry at the ethylenic double bond of the molecule. The characteristic doublets were observed at δ 7.10 and 7.36 ppm indicates the presence of pyrrole ring protons; the above statement confirms the formation of 1-[4-(1H-pyrrol-1-yl) phenyl] substituted chalcones. Other aromatic proton signals were appeared at δ 6.0-8.0 ppm. The parent ion peak appeared on the positive mode in the mass spectrum of all the compounds further confirms the structure of 1-[4-(1H-pyrrol-1-yl) phenyl] substituted chalcones.

Antimicrobial activity

All the fifteen derivatives (**CH 01–15**) were evaluated for their *in vitro* antimicrobial activity by using disc diffusion method against non-pathogenic strains of Gram-positive bacteria (*Bacillus subtilis & Staphylococcus aureus*) and Gram-negative bacteria (*Escherichia coli & Pseudomonas vulgaris*). The results are showed in **Table 2**. From the results, the data reveals that amongst all the synthesized compounds (**CH 01–15**), compounds**CH-06, 09 and CH-13**were exhibited good activity against Gram positive bacteria (*Bacillus subtilis & Staphylococcus aureus*) and Gram-negative bacteria (*Escherichia coli & Pseudomonas vulgaris*) when compared to standard (streptomycin), which was statistically significant. Among all, compound **CH-13** showing greater inhibitory activity against all tested organisms employed with zones inhibition of 33 to 21 mm at a concentration of 150µg/ml.

Table 2: Antimicrobial activity of 1-[4-(1H-pyrrol-1-yl) phenyl] ethenone chalcones (CH 01-15)

Compound	Zone of Inhibition (at ug/ml; mm)											
	Bacillus subtilis			***Staphylococcus aureus***			***Escherichia coli***			***Pseudomonas vulgaris***		
	50	**100**	**150**	**50**	**100**	**150**	**50**	**100**	**150**	**50**	**100**	**150**
CH-01	15	18	22	16	17	23	12	16	23	13	17	22
CH-02	18	20	24	17	19	25	16	17	25	15	19	24
CH-03	7	9	13	7	10	11	8	13	15	7	11	14
CH-04	12	17	20	11	15	18	10	15	18	10	14	12
CH-05	16	19	23	15	18	24	14	17	24	14	18	23
CH-06	21	24	26	23	26	28	22	25	30	21	23	30
CH-07	10	14	17	10	14	16	10	15	18	9	14	19
CH-08	15	18	22	16	17	23	12	16	23	12	17	22
CH-09	18	20	24	17	19	25	16	17	25	15	19	24
CH-10	7	9	13	7	10	11	8	13	15	7	11	14
CH-11	12	17	20	11	15	19	10	15	19	10	14	19
CH-12	16	19	23	15	18	24	14	17	24	14	18	23
CH-13	23	25	28	23	26	29	25	21	33	22	24	31
CH-14	10	14	17	10	14	16	10	15	18	9	14	19
CH-15	9	13	16	10	14	14	10	15	17	9	14	17
STREPTOMYCIN	26	28	32	28	30	36	28	32	38	28	34	36

Cytotoxic studies

Final compounds (**CH 01–15**)) were screened for their *invitro* cytotoxicity against three human cancer cell lines including breast (MCF-7), colon (HT-29) and prostate (DU-145). MTT assay utilized for the screening. All the compounds synthesized displayed significant cytotoxic activity in micromolar range, compounds **CH-02** found to the potent one with IC_{50} values of 24 µg/mL, 26 µg/mL and 14 µg/mL against MCF-7, HT-29 & DU-105 cell lines respectively. Compound **CH-05** was next in order with IC_{50} values of 28 µg/mL, 27 µg/mL and 16 µg/mL against MCF-7, HT-29 & DU-105 cell lines respectively. The results are showed in **Table 3.**

Table 3: Cytotoxic activity of 1-[4-(1H-pyrrol-1-yl) phenyl] ethenone chalcones (CH 01-15)

S. No	Compound	Cell line		
		Breast cancer (MCF-7)	Colon cancer (HT-29)	Prostate cancer (DU-145)
1	**CH-01**	42±1	48±2	46±2
2	**CH-02**	24±2	26±2	14±2
3	**CH-03**	42±2	42±2	33±2
4	**CH-04**	148±2	188±1	108±2
5	**CH-05**	28±2	27±2	16±2
6	**CH-06**	155±2	190±1	110±2
7	**CH-07**	36±2	28±2	16±2
8	**CH-08**	115±2	106±1	75±2
9	**CH-09**	182±1	196±1	148±2
10	**CH-10**	105±2	78±2	68±2
11	**CH-11**	132±1	168±1	98±2
12	**CH-12**	180±2	192±2	122±2
13	**CH-13**	192±1	198±1	188±2
14	**CH-14**	128±1	140±2	93±2
15	**CH-15**	92±1	74±1	65±2
16	**ADRIAMYCIN**	12 ± 1	9 ± 1	5 ± 1

CONCLUSION

The 1-[4-(1H-pyrrol-1-yl) phenyl] ethanone chalcones (CH 01-15) with different aromatic aldehydes, were synthesized & characterized by spectral methods (IR, NMR & MS) and the evaluation for antimicrobial activity. From the results, the compound (CH-02 & CH-13) exhibited significant biological activity with reference to standard drugs.

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