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Piperidine mediated synthesis of new series of prenyloxy chalcones and flavanones as antibacterial agents

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ABSTRACT

The prenylated chalcones 3a-g, 5a-b and 6a-d were synthesized by piperidine mediated condensation of an ethanolic solution of a 4-prenyloxy 2-hydroxy acetophenone 1 with corresponding aromatic or hetero aldehydes. The structures have been established on the basis of elemental (C, H, O) analysis, IR, 1H NMR, Ms spectral data. The compounds 3a-g, 5a-b and 6a-d were screened for antimicrobial activities against a variety of bacterial agent.

Keywords: prenylated chalcones; prenylated flavanones; antimicrobial activity.

INTRODUCTION

Prenylated chalcones are well known naturally occurring pigments which serve as valuable intermediate in organic synthesis of flavonoid compounds [1]. It has found significant role in pharmaceutical effects [2], including antioncogenic, anti-inflammatory, anti ulcerative, analgesic, antiviral, anti malarial and antibacterial activities. The prenylated chalcones and flavanones are a unique class of naturally occurring flavonoid characterized by the presence of a prenylated side chain in the flavonoid skeleton. It was reported that one phenolic group and certain degree of lipophilicity are required for the activity of the flavonoids [3]. Substitution of the flavonoid ring system with prenyl groups would increase their lipophilicity and consequently enhance their interaction with cellular membranes [4]. A number of chalcones having hydroxy, methoxy groups in different position have been reported to possess anti-bacterial [5], antiulcer[6], antifungal[7], anti coagulating [8], vasodilatory [9], anti peptic ulcer[10], anti mitotic [11], narcosis potentiation [12] and antileshmanial [13], activities. In the claisen-schemidt condensation of chalcones synthesis, 2'– hydroxyl functional group may cycles to the corresponding flavanones under higher concentration of alkali, also, side reactions such as multiple condensation polymerizations and rearrangements are common, these undesirable side reaction decreases the yields of the target adduct and render their purification difficult[14] so, it was planned to use a weaker base like piperidine instead of using strong base to enhance the better yields.

In present communication, we report piperidine mediated synthesis of new prenyloxy chalcones **3a-g** and **5a-b** from 4-prenyloxy 2-hydroxyl acetophenone and aromatic aldehyde or hetero aldehydes respectively. The structures of the compound **3a-g** and **5a-b** have been established on the basis of elemental (C, H, and O) analysis, IR, ^{1}HNMR, MS spectral data and they were screened for antibacterial activities.

EXPERIMENTAL SECTION

Melting points were determined in open capillary tubs and were not corrected. IR spectra (KBr, λ_{max} in cm^{-1}) were recorded on a Bruker IFS 66V spectrometer, ^1H NMR spectra (chemical shifts in δ, Ppm) on a Gemini-400 MHz spectrometer in $CDCl_3$ using tetramethyl silane as the internal standard and MS spectra on a VG 7070H spectrometer. The purity of the compounds was verified by TLC (benzene/ethyl acetate, 9:1), using Merck brand Silica Gel-G plates and spotting was done using iodine. The major compound **1** was prepared from adopting the published procedure with the same melting point.

4'-prenyloxy, 2'-hydroxyacetophenone 1.
A solution of β-resacetophenone (0.5g) in acetone (10ml) was refluxed with prenyl bromide (0.4ml) and anhydrous potassium carbonate (2gms) for 3hrs. The product crystallized from light petroleum ether at low temperature as colorless thick needles (0.5gms) m.p. 45-47°C, red ferric reaction; R_f 0.30, solvent (benzene-lightpetroleum1:1) *Vmax* 1640 cm-1.

General procedure for synthesis of 4'-prenyloxy, 2'-hydroxy chalcones 3. To a mixture of 4'-prenyloxy, 2'-hydroxy aceto phenone **1** (0.01mole) and aromatic aldehyde **2a-g** (0.01 moles) were dissolved in EtOH (50mL). Piperidine (1 mL) was added and refluxed. After the completion of reaction, which was monitored by TLC, ethanol was distilled off and residue was poured on ice water (100mL). It was kept overnight in the refrigerator. The resulting solid was collected by filtration, washed with distilled water and crystallized from methanol to give corresponding chalcones **3a-g**.

Synthesis of 1-[2-Hydroxy 4-(3-methyl-but-2-enyloxy)-phenyl]-3-(4-methoxy-phenyl) -proenone(3a). Yellow solid (0.68 g, 48.8%); mp.87°C IR (KBr,cm^{-1}), 3420 (ν_{OH}), 1630 ($\nu_{C=O}$), 1130 ($\nu_{=CH-O-}$), ^1H NMR (400 MHz, $CDCl_3$); 1.75 (s, 6H, =C $(CH_3)_2$), 3.82 (s, 3H, -OCH_3), 4.61 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 7.95 (d, 1H, 6'-H), 6.34 (d, 1H, 5'-H), 6.54 (s, 1H, 3'-H), 7.92 (d, 1H, C_α H, *J* = 15.3Hz), 8.12 (d, 1H, C_β H, *J* = 15.3Hz), 13.62 (s, 1H, 2'-OH), 7.33 (m, 2H, 1,5-H), 7.46 (m, 2H, 2,4-H); Ms M/z 338; Anal. Calcd for C_{21} H_{22} O_4 (338.43); C, 74.71; H, 6.65; O, 18.98. Found: C, 74.52; H, 6.56; O, 18.90.

Synthesis of 1-[2-Hydroxy4-(3-methyl-but-2-enyloxy)-phenyl]-3-p-tolyl-proenone(3b). Yellow solid (0.61 g, 42.6%); mp. 91 °C; IR (KBr, cm^{-1}) 3440 (ν_{OH}), 1640 ($\nu_{C=O}$), 1160 ($\nu_{=CH-O-}$), ^1H NMR (400 MHz, $CDCl_3$); 1.72 (s, 6H, =C $(CH_3)_2$), 2.40 (s, 3H, -CH_3), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 7.68 (d, 1H, 6'-H), 6.42 (d, 1H, 5'-H), 6.52 (s, 1H, 3'-H), 6.92 (d, 1H, C_α H, *J* = 15.3Hz), 7.82 (d, 1H, C_β H, *J* = 15.3Hz), 13.54 (s, 1H, 2'-OH), 7.13 (m, 2H, 1, 5-H), 7.26 (m, 2H, 2,4-H); Ms /z 322; Anal. Calcd for C $_{21}H_{22}$ O_3(322.16); C, 78.48; H, 6.98; O, 14.98. Found: C, 78.23; H, 6.84; O, 14.88.

Synthesis of 1-[2-Hydroxy 4-(3-methyl-but-2-enyloxy)-phenyl]-3-(4-chloro-phenyl)-proenone(3c). Light yellow solid (0.53 g, 40.8%); mp. 185°C IR(KBr, cm^{-1}) 3500 (ν_{OH}), 1650 ($\nu_{C=O}$), 1210 ($\nu_{=CH-O-}$), ^1H NMR (400 MHz, $CDCl_3$), 1.75 (s, 6H, =C $(CH_3)_2$), 4.52 (d, 2H, =CH-CH_2-), 5.45 (t, 1H, =CH-CH_2-), 7.65 (d, 1H, 6'-H), 6.52 (d, 1H, 5'-H), 6.65 (s, 1H, 3'-H), 6.82 (d, 1H, C_α H, *J* = 15.3Hz), 7.64 (d, 1H, C_β H, *J* = 15.3Hz), 13.70 (s, 1H, 2'-OH), 7.03 (m, 2H, 1,5-H), 7.29 (m, 2H, 2,4-H); Ms M/z 342; Anal. Calcd for $C_{20}H_{19}ClO_3$ (342.12), C, 70.11; H, 5.95; O, 14.18. Found: C, 70.07; H, 5.57; O, 14.04.

Synthesis of 3-(4-Dimethylamino-henyl)-1-[2-hydroxy-4-(3-methyl-but-2-enyloxy)-phenyl]-propnone (3d). Dork red solid (0.38 g, 24.6%); mp. 95 °C; IR (KBr, cm^{-1}): 3420 (ν_{OH}), 1630 ($\nu_{C=O}$), 1130 ($\nu_{=CH-O-}$); ^1H NMR(400 MHz, $CDCl_3$), 1.78 (s, 6H, =C $(CH_3)_2$), 2.83 (s, 6H, -$N(CH_3)_2$), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 7.90 (d, 1H, 6'-H), 6.34 (d, 1H, 5'-H), 6.54 (s, 1H, 3'-H), 6.92 (d, 1H, C_α H, *J* = 15.3Hz), 7.82 (d, 1H, C_β H, *J* = 15.3Hz), 13.48 (s, 1H, 2'-OH), 7.13 (m, 2H, 1,5-H), 7.26 (m, 2H, 2,4-H); Ms M/z 351; Anal. Calcd for $C_{22}H_{25}NO_3$ (351.18); C, 75.19; H, 7.17; O, 13.66, N, 3.99. Found: C, 75.10; H, 6.95; O, 13.76, N; 3.87

Synthesis of 1-[2-Hydroxy-4-(3-methyl-but-2-enyloxy)-phenyl]-3-(2-chloro-phenyl)-proenone (3e). Yellow solid (0.54 g, 41.6%); mp.89 °C; IR (KBr, cm^{-1}): 3420 (ν_{OH}), 1630 ($\nu_{C=O}$), 1130 ($\nu_{=CH-O-}$); ^1H NMR (400 MHz, $CDCl_3$); 1.78 (s, 6H, =C $(CH_3)_2$), 4.50 (d, 2H, =CH-CH_2-), 5.42 (t, 1H,=CH-CH_2-), 7.83 (d, 1H, 6'-H), 6.85 (d, 1H, 5'-H), 6.95 (s, 1H, 3'-H), 7.02 (d, 1H, C_α H, *J* = 15.3Hz), 7.62 (d, 1H, C_β H, *J* = 15.3Hz), 13.50 (s, 1H, 2'-OH), 7.03 (m, 2H, 3,6-H), 7.28 (m, 2H, 4,5-H); Ms M/z 323; Anal. Calcd for $C_{20}H_{19}ClO_3$ (342.10); C, 70.11; H, 5.65; O, 14.28. Found: C, 70.09; H, 5.58; O, 14.01.

Synthesis of 1-[2-Hydroxy-4-(3-methyl-but-2-enyloxy)-phenyl]-3-(3-nitro-phenyl)-proenone (3f). Light yellow solid (0.45 g, 36.6%); mp.103 ^{o}C; IR (KBr, cm^{-1}): 3420 (ν_{OH}), 1630 ($\nu_{C=O}$), 1130 ($\nu_{=CH-O-}$); ^{1}H NMR (400 MHz, $CDCl_3$); 1.78 (s, 6H, =C $(CH_3)_2$), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 7.76 (d, 1H, 6'-H), 6.40 (d, 1H, 5'-H), 6.52 (s, 1H, 3'-H), 6.92 (d, 1H, C_α H, *J* = 15.3Hz), 7.82 (d, 1H, C_β H, *J* = 15.3Hz), 13.45 (s, 1H, 2'-OH), 7.13 (m, 2H, 1,5-H), 7.26 (m, 2H, 2,4-H); Ms M/z 353; Anal. Calcd for C_{20} H_{19}N O_5 (353.13): C, 67.98; H, 5.45; O, 22.69 N, 3.96. Found: C, 67.85; H, 5.42; O, 22.54; N, 3.86.

Synthesis of 1-[2-Hydroxy-4-(3-methyl-but-2-enyloxy)-phenyl]-3-(4-nitro-phenyl)-proenone *(*3g). Yellow solid (0.75 g, 26.6%); mp.161 ^{o}C; IR (KBr, cm^{-1}): 3420 (ν_{OH}), 1630 ($\nu_{C=O}$), 1130 ($\nu_{=CH-O-}$); ^{1}H NMR (400 MHz, $CDCl_3$); 1.78 (s, 6H, =C $(CH_3)_2$), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 7.95 (d,1H,6'-H), 6.34 (d,1H,5'-H), 6.54 (s, 1H, 3'-H), 6.92 (d, 1H, C_α H, *J* = 15.3Hz), 7.82 (d, 1H, C_β H, *J* = 15.3Hz), 13.62 (s, 1H, 2'-OH), 7.13 (m, 2H,1,5-H), 7.26 (m,2H, 2,4-H); Ms M/z 353; Anal. Calcd for C_{20} H_{19}N O_5 (353.14); C, 67.92; H, 5.54; O, 22.86 N, 3.98. Found: C, 67.52; H, 5.50; O, 22.46; N, 3.86.

General procedure for synthesis of 4'-prenyloxy, 2'-hydroxy hetero chalcones (5). To a mixture of 4'-prenyloxy, 2'-hydroxyacetophenone **1** (0.01mole) and hetero aldehydes **4a-b** (0.01 mole) were dissolved in EtOH (50mL). Piperidine (1 mL) was added and refluxed. After the completion of reaction, which was monitored by TLC, ethanol was distilled off and residue was poured on ice water (100mL). It was kept overnight in the refrigerator. The resulting solid was collected by filtration, washed with distilled water and crystallized from methanol to give corresponding chalcones **5a-b**.

Synthesis of 3-Furan-2-yl-1-[2-hydroxy-4-(3-metyl-but-2-enyloxy)-phenyl] –propenone (5a). Yellow solid (0.85 g, 52.2%); mp.78 ^{o}C; IR (KBr, cm^{-1}): 3510 (ν_{OH}), 1650 ($\nu_{C=O}$), 1160 ($\nu_{=CH-O-}$); ^{1}H NMR (400 MHz, $CDCl_3$); 1.72 (s, 6H, =C $(CH_3)_2$), 4.51 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 7.05 (d, 1H, 6'-H), 6.38 (d, 1H, 5'-H), 6.48 (s, 1H, 3'-H), 7.60 (d, 1H, C_α H, *J* = 15.3Hz), 7.78 (d, 1H, C_β H, *J* = 15.3Hz), 13.4 (s, 1H, 2'-OH), 6.73 (d, 1H,furan), 6.60 (t, 1H, furan) 7.40 (d, 1H, furan); Ms M/z 298; Anal. Calcd for C_{18} H_{18} O_4 (298.12); C, 72.51; H, 6.13; O, 21.52. Found: C, 72.47; H, 6.09; O, 21.47.

Synthesis of 1-[2-Hydroxy-4-(3-methyl-but-2-enyloxy)-phenyl]-3-thiohen-2-yl-proenone(5b). Dork yellow solid (0.89 g, 92.5%); mp.92 ^{o}C; IR (KBr, cm^{-1}): 3480 (ν_{OH}), 1640 ($\nu_{C=O}$), 1120 ($\nu_{=CH-O-}$), ^{1}H NMR (400 MHz, $CDCl_3$); 1.73 (s, 6H, =C $(CH_3)_2$), 4.52 (d, 2H, =CH-CH_2-), 5.45 (t, 1H, =CH-CH_2-), 6.71(d,1H,6'-H), 6.5 (d, 1H, 5'-H), 6.24 (s, 1H, 3'-H), 7.60 (d, 1H, C_α H, *J* = 15.3Hz), 7.90 (d, 1H, C_β H, *J*=15.3Hz), 13.4 (s, 1H, 2'-OH), 6.50 (t, 1H, thiophene), 6.52 (d, 1H, thiophene), 6.58 (d,1H,thiophene); Ms M/z 323; Anal. Calcd for C_{18} H_{18} S O_3 (314.14); C, 68.83; H, 5.87; O, 15.28. Found: C, 68.76; H, 5.78; O, 15.26.

General procedure for synthesis of 4'-prenyloxy flavanone 6a-d.
To the prenyloxy chalcone **3a** (800 mg) in ethanol (30 mL), Sodium acetate (6.0 g) was added. The mixture was maintained at 60-70 ˚C for 3-4 hrs and then left at room temperature for three days. The product which was a mixture of the chalcone and flavanone was subjected to column chromatography over silica-gel. The flavanone was obtained as a yellow liquid on elution with pet- ether- acetone (45: 5), which on keeping solidified. Yield (520 mg) (42.5 %) mp. 185 -187 ˚C; ir: 1660, 1600 cm^{-1}

Synthesisof2-(4-Methoxy-phenyl)-7-(3-methyl-but-2-enyloxy)chroman-4-one 6a. Yellow solid (0.520 g, 39.3%); mp. 129-131 ^{o}C; IR (KBr, cm^{-1}); 1630 ($\nu_{C=O}$), 1510 ($\nu_{>C=C<}$); 1130 ($\nu_{=CH-O-}$); ^{1}H NMR (400 MHz, $CDCl_3$); 1.78 (s, 6H, =C$(CH_3)_2$), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 3.82 (s, 3H, -OCH_3), 2.78 (dd, 1H, *J* = 17.4 Hz and *J* = 3.3Hz, H-3a), 3.20 (1H, dd, *J* = 17.4 and *J* = 12.9, H-3b), 5.62 (dd, 1H,*J* = 10.0 Hz and *J* = .0 Hz, H-2), 7.95 (d,1H, 6-H), 6.34 (d,1H, 5-H), 6.54 (s, 1H, 3-H), 7.13 (m, 2H, 3, 5-H), 7.26 (m, 2H, 2, 6-H); Ms M/z 338; Anal. Calcd for $C_{21}H_{22}O_4$ (338): C, 74.54; H, 6.55; O, 18.99. Found: C, 74.35; H, 6.41; O, 18.87.

Synthesis of 2-(4-Methyl-phenyl)-7-(3-methyl-but-2-enyloxy)-chroman-4-one6b. Dork yellow solid (0.46 g, 38.9 %); mp. 167 ^{o}C; IR(KBr,cm^{-1}): 1650 ($\nu_{C=O}$), 1540 ($\nu_{>C=C<}$), 1120 ($\nu_{=CH-O-}$), ^{1}H NMR (400 MHz, $CDCl_3$); 1.73 (s, 6H, =C $(CH_3)_2$), 4.61 (d, 2H, =CH-CH_2-), 5.43 (t, 1H, =CH-CH_2-), 2.40 (s, 3H, -CH_3), 2.82 (dd, 1H, *J* = 17.4 Hz and *J* = 3.3Hz,H-3a), 3.25 (1H, dd, *J* = 17.4 and *J* = 12.9, H-3b), 5.68 (dd, 1H, *J* = 10.0 Hz and J = 4.0 Hz, H-2), 7.82 (d,1H, 6-H), 6.40 (d, 1H, 5-H), 6.64 (s,1H, 3-H), 7.10 (m, 2H, 3, 5-H), 7.28 (m, 2H, 2, 6-H); Ms M/z 322; *Anal*. Calcd for $C_{21}H_{22}O_3$ (322): C, 78.71; H, 6.88; O, 14.99. Found: C, 78.25; H, 6.78; O, 14.87.

Synthesis of 2-(4-chloro pheny)–7-(3-methyl-but-2-enyloxy)chroman-4-one 6c.
Light yellow solid (0.47 g, 40.5%); mp. 183 ^{o}C; IR (KBr, cm^{-1}), 1645 ($\nu_{C=O}$), 1535 ($\nu_{>C=C<}$); 1080 ($\nu_{=CH-O-}$); ^{1}H NMR (400 MHz, $CDCl_3$); 1.76 (s, 6H, =C $(CH_3)_2$), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 2.62 (dd, 1H, *J* = 17.4 Hz and *J* = 3.3 Hz, H-3a), 3.15 (1H, dd, *J* = 17.4 and *J* = 12.9, H-3b), 5.68 (dd, 1H, *J* = 10.0 Hz and *J* = 4.0 Hz, H-2), 7.95 (d, 1H, 6-H), 6.34 (d, 1H, 5-H), 6.54 (s, 1H, 3-H), 7.13 (m, 2H, 1, 5-H), 7.26 (m, 2H, 2, 4-H); Ms M/z 342; Anal. Calcd for $C_{20}H_{19}ClO_3$ (342): C, 70.11; H, 5.59; O, 14.09. Found: C, 70.05; H, 5.54; O, 14.07.

Synthesis of 2-(4-Dimethylamino-pheny)-7-(3-methyl-but-2-enyloxy)-chroman-4-one 6d. Red solid (0.50 g, 41.2%); mp. 181 ^{o}C; IR (KBr, cm^{-1}): 1635 ($\nu_{C=O}$), 1540 ($\nu_{>C=C<}$); 1070 ($\nu_{=CH-O-}$); ^{1}H NMR (400 MHz, $CDCl_3$); 1.78 (s, 6H, =C $(CH_3)_2$), 4.58 (d, 2H, =CH-CH_2-), 5.46 (t, 1H, =CH-CH_2-), 2.78 (dd, 1H, *J* = 17.4 Hz and *J* = 3.3 Hz, H-3a), 3.20 (1H, dd, *J* = 17.4 and *J* = 12.9, H-3b), 5.62 (dd, 1H, *J* = 10.0 Hz and *J* = 4.0 Hz, H-2), 7.95 (d, 1H, 6-H), 6.34 (d, 1H, 5-H), 6.54 (s, 1H, 3-H), 7.13 (m, 2H, 1, 5-H), 7.26 (m, 2H, 2, 4-H); Ms M/z 323; Anal. Calcd for $C_{22}H_{25}NO_3$ (351): C, 75.19; H, 7.17; O, 13.66. Found: C, 75.05; H, 7.14; O, 13.47.

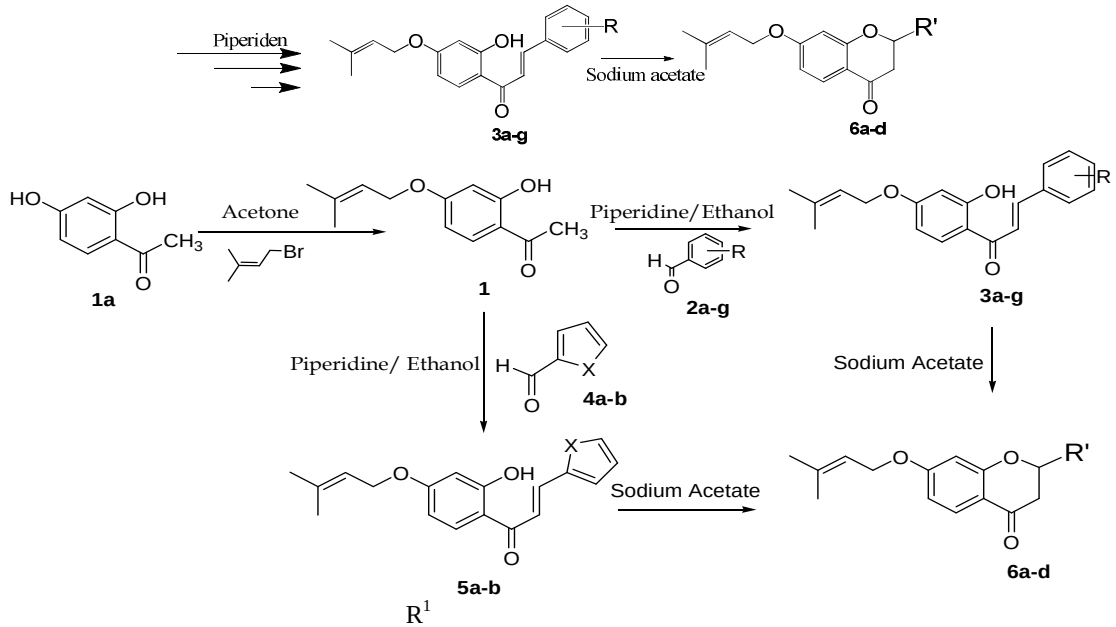
Antibacterial activities
The antibacterial activity of the compounds thus prepared has been evaluated following the filter paper disc technique of Vincent and Vincent. (Gram-negative) bacteria namely *Escherichia coil* (Gram-positive) bacteria, namely *S. aureus* have been used as test organisms. 30mg of different prenylated chalcone and flavanone Compounds **3a-g, 5a-b**, **6a-d** were dissolved in 15ml of acetone. They were apportioned into 6ml to 9ml into china dishes. The walkman filter paper disc (mm diameter) was added and shaken thoroughly. They were allowed to dry. The amount of substance per paper disc was calculated (600 and 900 µg /mL). Paper discs treated without chemical agent served as control. The filter paper discs with chemical substances were implanted onto a log phase bacterial seeded nutrient, agar plates, Petri plates thus prepared were incubated at 37°c for 72 hours and the zone of inhibition of bacterial growth was measured. Then, the antimicrobial activity of the test agents was determined by measuring the diameter of zone of inhibition expressed in mm. the experiment was carried out in triplicate.

RESULTS AND DISCUSSION

The synthesis of **3a** and **5a** was carried out by condensation with an ethanolic solution of an 4-renyloxy 2-hydroxy acetophenone **1** in the presence of piperidine with *P*–methoxy benzaldehyde **2a** or furfuraldehyde **4a** as shown in the scheme-I. The compounds **3a** and **5a** gave violet colouration with alcoholic $Fecl_3$ test indicating the presence of chelated hydroxyl group in it. IR spectra of compounds **3a** showed a broad band centered at 3420 cm^{-1} assignable to chelated hydroxyl (-OH) group. An intense absorption observed at 1630 cm^{-1} is for hydrogen bonded carbonyl (>C=O) function in the chalcone. These two absorptions indicate the presence of a 2'- hydroxyl system in 4'-O-prenylated chalcone. Vinylic stretching of Tran's double bond (>C=C<) is observed at 1575 cm^{-1} and 1360 cm^{-1}. The presence of Gem-dim ethyl function in the compound is indicated by the absorption at 1360 cm^{-1} and (=CH-O-) group absorption at 1130 cm^{-1}. Other aromatic skeletal vibrations were also observed in the spectrum.

The ^{1}HNMR Spectra exhibited as a broad singlet due to Gem-dim ethyl function of 4'-O-prenyl group appeared at 1.75 δ integrating for six hydrogens. A doublet recorded at 4.62 δ (*J*=3Hz) is ascribed to one methylene group of prenyl substitution attached to hydroxyl group of aromatic ring. A triplet with intensity corresponding to two hydrogens is split due to coupling with Vinylic hydrogen in isopentenyl group which appear at 5.41δ. This completes the set of peaks characteristic of 4'-prenyloxy group. Acetophenone ring of chalcone as A-ring has down field absorption observed at 7.95δ. It is justifiable only if the hydrogen is present near carbonyl group since it can appear at a low field due to deshielding effect of carbonyl function and the remaining two hydrogens appeared at 6.54δ, 6.34δ. The characteristic signals for C_{α}, C_{β} hydrogens of chalcone double bond appears as doublets which are observed at 7.92 δ (d, 1H, *J*=15.3Hz) and 8.12 δ (d, 1H, *J*=15.3Hz) respectively. 4'-Prenyloxy chalcone has *p*-methoxy phenyl as B-ring. The hydrogens of phenyl ring have appeared peaks in between 7.20δ - 7.55δ range, and three hydrogens of methoxy group appeared as broad singlet at 3.82δ and 2'-hydroxy group appeared as broad singlet at 13.54 δ

Confirmative proof for the structure proposed for 4'-prenyloxy chalcone **3a** came from the fragmentation pattern observed in mass spectrum which is well supported by elemental composition offered by accurate mass 338 M/z measurement system. Some Important fragmentation peaks 307 m/z, 254 m/z, 145 m/z, 69(68%) m/z, 41(100%) m/z. It is found to be in agreement with the literature.



R R^1

3a, P- OCH_3 5a, X= O 6a, Ar-OCH_3
3b, P-CH_3 5b, X= S 6b, Ar-CH_3
3c, p-Cl 6c, Furan
3d, P-$N(CH3)_2$ 6d, Thiophen
3e, O-Cl
3f, M-NO_2
3g, P-NO_2

Scheme 1

All the prenyloxy chalcones were screened for their antibacterial activity against *Escherichia coli* and *staphylococcus aureus* using *streptomycin* as standard drug. Nutrient Agar was used as culture medium. Test solution and standard drug having 400and 600 µg/ml concentrations were prepared in acetone and used for testing growth inhibition by filter paper disc echique of Vincent and Vincent [15].

The results revealed that majority of the synthesized compounds showed varying degrees of inhibition against the tested microorganisms. In general, the inhibitory activity against the Gram-negative bacteria was higher than that of the Gram-positive bacteria. The **3a, 3b, 5a, 5b** showed excellent activity against Gram-negative bacteria, *E. coli* and **6a, 6b** showing good activity against Gram-positive bacteria *S. aureus*. And **3f, 3g, 3h** showed weak activities against *E. coli* and *S. aureus* respectively. The preliminary result confirms the importance of prenyloxy nucleus and hetero nucleus with respect to antibacterial activity. The results of the compounds of preliminary antibacterial testing are shown in **Table-1.**

Table1. Antibacterial activity of compound (3a-g, 4a-d, 6a-b)

C.No	Antibacterialactivity Inhibition(mm)		C.No	Antibacterialactivity Inhibition(mm)	
	E.Coli (-)	*S.aures(+)*		*E.Coli (-)*	*S.aures(+)*
3a	7.8	8.5	5a	8.9	7.1
3b	7.6	7.8	5b	8.8	5.6
3c	6.4	6.5	6a	7.8	6.7
3d	4.8	4.3	6b	7.9	6.6
3e	3.6	5.0	6c	7.2	6.4
3f	3.8	4.0	6d	7.5	7.2
3g	7.7	4.6	*Streptomycin*	9.0	6.0

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