



## Synthesis, Antibacterial and Antifungal Activity of Flavanones and Chalcones Derived From 2-Hydroxy-Acetonaphthone

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### ABSTRACT

Eleven new flavanones **1a-1k** and three new chalcones **1l-n** were prepared from commercially available 2-Hydroxy-acetonaphthone. All the newly synthesized compounds were characterized by <sup>1</sup>H NMR, MS and IR data. These compounds were screened for their antibacterial and antifungal activity against Gram-positive (*Bacillus subtilis* and *Staphylococcus aureus*), Gram-negative (*Escherichia coli* and *Salmonella typhii*), *Aspergillus niger* and *Candida albicans* organisms by measuring zone of inhibition. Among the synthesized flavanones and chalcones **1c**, **1d**, **1e**, **1f**, **1k**, **1l** and **1m** compounds bearing substitutions such as fluorine, trifluoromethyl, trifluoromethoxy, di-fluoro and pyridine ring has shown good activity against all the tested bacteria and fungal strains.

**Keywords:** Flavanones, Chalcones, 2-Hydroxy-Acetonaphthone, Synthesis, Anti-bacterial activity.

### INTRODUCTION

Flavonoids, a class of plant secondary metabolites, are polyphenols based around a phenylbenzopyrone structure [1,2]. According to their different skeletons, they are categorized into flavones, flavanones, chalcones, flavonols, isoflavones and aurones, *etc.* [3]. Flavanone is an important polyphenolic compound which is widely used to manufacture varied medicines [4-9]. The flavanones are mainly distributed in citrus fruits and have attracted considerable attention because they possess antioxidant effect, potent inhibition of cancer cell proliferation, and cytotoxic activity [10-13].

Chalcones, analogs of 1,3-diarylprop-2-en-1-one, of plant origin are known. Chalcones present great interest as compounds exhibiting antimalarial [14], antibacterial [15], antifibrogenic [16], anticancer [17], antitrichomonal [18], anti-inflammatory [19], antileishmanial [20], cytotoxic and antitrypanosoma cruzi [21-22] activities.

In spite of the large number of antibiotics and chemotherapeutics available for medical use, the emergence of old and new antibiotic resistant bacterial strains in the last decades constitutes a substantial need for development of new antibacterial and antifungal agents with divergent and unique structure and with a mechanism of action possibly different from that of existing antimicrobial agents [23, 24]. Encouraged by

the various biological activities associated with chalcones and flavanone derivatives we describe herein the synthesis, characterization and antibacterial activity of some new flavanone and chalcone derivatives derived from 2-Hydroxy- Acetonaphthone.

## MATERIALS AND METHODS

Chemical and solvents used were purchased either from Fluka or Merck. All the reagents were of analytical grade. Thin-layer chromatography (TLC) was performed on E.Merck AL silica gel 60 F254 plates and visualized under UV light. The IR spectra were recorded on a Perkin Elmer FT-IR spectrometer. The  $^1\text{H}$  NMR spectra were recorded in  $\text{CDCl}_3$  on a Varian EM-360 spectrometer (400MHz). The  $^{13}\text{C}$  NMR spectra recorded in  $\text{CDCl}_3$  on a Varian EM-360 spectrometer operating at 100MHz. All the chemical shifts were reported in  $\delta$  (ppm) using TMS as an internal standard. The mass spectra were recorded on Agilent ion trap MS.

**General Procedure For The Preparation of 2,3-dihydro-1H-benzo[f]chromen-1-one derivatives (1a-1k) and chalcone derivatives (1l – 1n):** To a ethanol solution containing 2-Hydroxy-acetonaphthone (200 mg, 0.538 mmol) was added appropriate aldehydes (**a-n**, 0.538 mmol) followed by aqueous; 60% KOH (w/v) (0.5 mL). The contents were stirred at room temperature for 24h under nitrogen atmosphere. The reaction mixture was poured into water, cooled to 10-15 °C and acidified to pH = 1-2 and extracted with ethyl acetate. The organic layer was washed with brine solution, dried over anhydrous sodium sulphate, filtered and concentrated under reduced pressure, to obtain the crude compounds. The crude compounds were purified by column chromatography using silica gel (100-200 mesh). Yields of the products varied between 70 and 93%.

**3-(4-bromophenyl)-2,3-dihydrobenzo[f]chromen-1-one (1a):** Pale yellow solid, Yield: 84%; m.p: 88-89 °C; IR (KBr):  $\nu_{\text{max}}$  3053, 2973, 1740, 1653, 1619, 1596, 1565, 1513, 1489, 1460, 1437, 1398, 1373, 1339, 1279, 1234, 1206, 1150, 1124, 1072, 1046, 1006, 957, 934, 898, 830, 807, 753, 695, 710, 679, 660, 641  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.50 (s, 1H), 7.96 (d, 1H,  $J = 16.0$  Hz), 7.78 (d, 1H,  $J = 8.2$  Hz), 7.66 (t, 1H,  $J = 7.8$  Hz), 7.58-7.56 (m, 2H), 7.46 (t, 1H,  $J = 7.6$  Hz), 7.40 (d, 2H,  $J = 5.8$  Hz), 7.18 (d, 2H,  $J = 5.8$  Hz), 5.62 (dd, 1H,  $J = 2.0, 8.0$  Hz), 3.15 (dd, 1H,  $J = 8.0, 16.0$  Hz), 2.94 (dd, 1H,  $J = 2.0, 8.0$  Hz); ESI-MS: m/z, 351, 353 (M-1).

**3-(4-chlorophenyl)-2,3-dihydrobenzo[f]chromen-1-one (1b):** Pale yellow solid, Yield: 84%; m.p: 88-89 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.48 (s, 1H), 7.94 (d, 1H,  $J = 16.0$  Hz), 7.76 (d, 1H,  $J = 8.2$  Hz), 7.66 (t, 1H,  $J = 7.8$  Hz), 7.56-7.52 (m, 2H), 7.46 (t, 1H,  $J = 7.6$  Hz), 7.40 (d, 2H,  $J = 5.8$  Hz), 7.18 (d, 2H,  $J = 5.8$  Hz), 5.62 (dd, 1H,  $J = 2.0, 8.0$  Hz), 3.15 (dd, 1H,  $J = 8.0, 16.0$  Hz), 2.94 (dd, 1H,  $J = 2.0, 8.0$  Hz); ESI-MS: m/z, 309.2 (M+1).

**3-(4-fluorophenyl)-2,3-dihydrobenzo[f]chromen-1-one (1c):** Yellow solid, Yield: 82%; m.p: 92-94 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.48 (s, 1H), 7.94 (d, 1H,  $J = 16.0$  Hz), 7.76 (d, 1H,  $J = 8.2$  Hz), 7.66 (t, 1H,  $J = 7.8$  Hz), 7.56-7.52 (m, 2H), 7.46 (t, 1H,  $J = 7.6$  Hz), 7.40 (d, 2H,  $J = 5.8$  Hz), 7.18 (d, 2H,  $J = 5.8$  Hz), 5.62 (dd, 1H,  $J = 2.0, 8.0$  Hz), 3.15 (dd, 1H,  $J = 8.0, 16.0$  Hz), 2.94 (dd, 1H,  $J = 2.0, 8.0$  Hz); ESI-MS: m/z, 309.2 (M+1).

**3-(4-(trifluoromethyl)phenyl)-2,3-dihydrobenzo[f]chromen-1-one (1d):** Yellow solid, Yield: 80%; m.p: 102-104 °C; IR (KBr):  $\nu_{\text{max}}$  3081, 1665, 1620, 1597, 1566, 1513, 1462, 1438, 1424, 1399, 1372, 1324, 1280, 1237, 1208, 1155, 1120, 1064, 1007, 950, 900, 848, 830, 756, 730, 699, 658, 614  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.48 (d, 1H,  $J = 8.0$  Hz), 7.98 (d, 1H,  $J = 7.8$  Hz), 7.74-7.72 (m, 2H), 7.68-7.64 (m, 3H), 7.46 (t, 1H,  $J = 8.0$  Hz), 7.20 (d, 1H,  $J = 8.0$  Hz), 5.64 – 5.62 (m, 1H), 3.24 - 3.18 (m, 1H), 3.06-3.0 (m, 1H); ESI-MS: m/z, 341.1 (M+1).

**2,3-dihydro-3-(4-(trifluoromethoxy)phenyl)benzo[f]chromen-1-one (1e):** Yellow solid, Yield: 88%; m.p: 111 - 112 °C; IR (KBr):  $\nu_{\max}$  3118, 3079, 1663, 1618, 1595, 1564, 1511, 1459, 1435, 1392, 1370, 1261, 1199, 1145, 1121, 1069, 1045, 1017, 1001, 953, 922, 898, 853, 829, 783, 735, 677, 630  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.62 (d, 1H,  $J = 8.2$  Hz), 7.98 (d, 1H,  $J = 8.8$  Hz), 7.78 (d, 1H,  $J = 8.4$  Hz), 7.66 (t, 1H,  $J = 7.8$  Hz), 7.58 - 7.54 (m, 2H), 7.46 (t, 1H,  $J = 7.8$  Hz), 7.36-7.34 (m, 1H), 7.18 (d, 1H,  $J = 7.8$  Hz), 5.18 (dd, 1H,  $J = 2.0, 8.2$  Hz), 3.22 - 3.20 (m, 1H), 3.02 - 2.98 (m, 1H); ESI-MS: m/z, 357.1 (M-1).

**2,3-dihydro-3-(3-(trifluoromethyl)phenyl)benzo[f]chromen-1-one (1f):** Pale yellow solid, Yield: 92%; m.p: 78-79 °C; IR (KBr):  $\nu_{\max}$  3086, 1661, 1598, 1556, 1512, 1437, 1453, 1400, 1327, 1371, 1286, 1240, 1212, 1150, 1117, 1074, 1050, 1021, 1008, 977, 907, 885, 825, 803, 786, 758, 740, 699, 660, 618  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.64 (d, 1H,  $J = 8.2$  Hz), 7.98 (d, 1H,  $J = 8.8$  Hz), 7.86- 7.78 (m, 2H), 7.74-7.66 (m, 3H), 7.58 (t, 1H,  $J = 7.8$  Hz), 7.46 (t, 1H,  $J = 7.8$  Hz), 7.20 (d, 1H,  $J = 7.8$  Hz), 5.52 (dd, 1H,  $J = 2.0, 7.8$  Hz), 3.20 (dd, 1H,  $J = 2.0, 8.6$  Hz), 3.0 (dd, 1H,  $J = 2.0, 8.0$  Hz); ESI-MS: m/z, 341.1 (M-1).

**2,3-dihydro-3-(4-methoxyphenyl)benzo[f]chromen-1-one (1g):** Off white solid; Yield: 84%; m.p: 98 - 99 °C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.38 (s, 1H), 7.94 (d, 1H,  $J = 16.0$  Hz), 7.72 (d, 1H,  $J = 8.2$  Hz), 7.62 (t, 1H,  $J = 7.8$  Hz), 7.54-7.50 (m, 2H), 7.44 (t, 1H,  $J = 7.6$  Hz), 7.38 (d, 2H,  $J = 5.8$  Hz), 7.12 (d, 2H,  $J = 5.8$  Hz), 5.62 (dd, 1H,  $J = 2.0, 8.0$  Hz), 3.15 (dd, 1H,  $J = 8.0, 16.0$  Hz), 2.94 (dd, 1H,  $J = 2.0, 8.0$  Hz); ESI-MS: m/z, 351, 353 (M-1).

**3-(4-ethoxy-3-methoxyphenyl)-2,3-dihydro-1H-benzo[f]chromen-1-one (1h):** Yellow oily liquid; Yield: 140 mg, 75%;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  1.35 (t, 3H,  $J = 6.8$  Hz), 2.85 (dd, 1H,  $J = 2.0, 16.0$  Hz), 3.45 (t, 1H,  $J = 16$  Hz), 3.80 (s, 3H), 4.05 (q, 2H,  $J = 6.6$  Hz), 5.70 (dd, 1H,  $J = 2.0, 16.0$  Hz), 6.95 (d, 1H,  $J = 16.0$  Hz), 7.05 (d, 1H,  $J = 8.0$  Hz), 7.20 (s, 1H), 7.25 (d, 1H,  $J = 16.0$  Hz), 7.45 (t, 1H,  $J = 20.0$  Hz), 7.65 (t, 1H,  $J = 20.0$  Hz), 7.90 (d, 1H,  $J = 8.0$  Hz), 8.15 (d, 1H,  $J = 16.0$  Hz), 9.38 (d, 1H,  $J = 8.0$  Hz);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  14.61, 56.21, 42.18, 64.86, 82.77, 109.03, 111.38, 112.66, 117.74, 118.84, 124.48, 125.03, 128.26, 128.73, 129.53, 129.74, 131.18, 134.62, 149.53, 150.13, 155.71, 196.73; EI MS: m/z (rel.abund.%) 349.0 ( $\text{M}^+$ , 100).

**2,3-dihydro-3-(3-methoxy-4-propoxyphenyl)benzo[f]chromen-1-one (1i):** Yellow viscous liquid; Yield: 151 mg, 78%;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  1.00 (t, 3H,  $J = 6.8$  Hz), 1.75 (q, 2H,  $J = 6.8$  Hz), 2.85 (dd, 1H,  $J = 2.0, 16.0$  Hz), 3.45 (t, 1H,  $J = 16$  Hz), 3.80 (s, 3H), 3.95 (t, 2H,  $J = 6.6$  Hz), 5.70 (dd, 1H,  $J = 2.0, 16.0$  Hz), 6.95 (d, 1H,  $J = 16.0$  Hz), 7.05 (d, 1H,  $J = 8.0$  Hz), 7.20 (s, 1H), 7.25 (d, 1H,  $J = 16.0$  Hz), 7.45 (t, 1H,  $J = 20.0$  Hz), 7.65 (t, 1H,  $J = 20.0$  Hz), 7.90 (d, 1H,  $J = 8.0$  Hz), 8.15 (d, 1H,  $J = 16.0$  Hz), 9.38 (d, 1H,  $J = 8.0$  Hz);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  10.37, 22.06, 42.18, 56.03, 70.54, 82.86, 109.03, 112.68, 114.32, 117.76, 118.83, 124.47, 125.06, 128.33, 128.73, 129.58, 129.68, 131.16, 134.74, 149.53, 150.08, 155.86, 196.69. EI MS: m/z (rel.abund.%) 363.0 ( $\text{M}^+$ , 100).

**3-(4-tert-butylphenyl)-2,3-dihydrobenzo[f]chromen-1-one (1j):** Brown solid, Yield: 70%; m.p: 69-70 °C; IR (KBr):  $\nu_{\max}$  3118, 3035, 2957, 2901, 2865, 1964, 1923, 1895, 1851, 1815, 1665, 1615, 1593, 1561, 1511, 1456, 1432, 1392, 1366, 1277, 1234, 1204, 1141, 1119, 1068, 1044, 1018, 997, 953, 899, 870, 828, 751, 672, 652  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.54 (d, 1H,  $J = 8.4$  Hz), 7.96 (d, 1H,  $J = 8.6$  Hz), 7.76 (d, 1H,  $J = 8.4$  Hz), 7.66 (t, 1H,  $J = 7.8$  Hz), 7.52 - 7.46 (m, 5H), 7.18 (d, 1H,  $J = 7.8$  Hz), 5.66 (m, 1H), 3.30 - 3.20 (m, 1H), 2.98 (dd, 1H,  $J = 2.0, 8.0$  Hz); ESI-MS: m/z, 329.1 (M+1).

**2,3-dihydro-3-(pyridin-4-yl)benzo[f]chromen-1-one (1k):** Pale yellow solid, Yield: 78%; m.p: 76-77 °C; IR (KBr):  $\nu_{\max}$  3046, 2973, 1660, 1619, 1594, 1569, 1511, 1480, 1459, 1434, 1398, 1370, 1344, 1279, 1234, 1207, 1157, 1143, 1121, 1081, 1047, 1020, 965, 949, 897, 857, 821, 798, 755, 709, 644, 614  $\text{cm}^{-1}$ ;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.50 (d, 1H,  $J = 8.6$  Hz), 8.82 (br.s, 1H), 8.52 (br.s, 1H), 8.0-7.96 (m, 1H), 7.92-7.90 (m, 1H), 7.84-7.80 (m, 1H), 7.70-7.65 (m, 1H), 7.50-7.48 (m, 2H), 7.44-7.42 (m, 1H), 5.66 (dd, 1H,  $J = 2.0, 7.8$  Hz), 3.30 - 3.18 (m, 1H), 3.10 - 3.06 (m, 1H); ESI-MS: m/z, 276.1 (M-1).

**(E)-3-(2-(trifluoromethyl)phenyl)-1-(2-hydroxynaphthalen-1-yl)prop-2-en-1-one (1l):** White solid, Yield: 92%; m.p: 118-119 °C; IR (KBr):  $\nu_{\max}$  2971, 1740, 1662, 1637, 1618, 1598, 1566, 1512, 1483, 1460, 1407, 1371, 1336, 1312, 1286, 1239, 1167, 1105, 1057, 1035, 995, 957, 899, 838, 789, 758, 726, 712, 726, 649  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  12.60 (s, 1H), 8.26 (d, 1H,  $J = 16.2$  Hz), 8.04 (d, 1H,  $J = 8.4$  Hz), 7.94 (d, 1H,  $J = 8.4$  Hz), 7.84 (d, 1H,  $J = 8.2$  Hz), 7.78 (d, 1H,  $J = 7.8$  Hz), 7.60-7.50 (m, 3H), 7.46-7.40 (m, 1H), 7.20 (d, 1H,  $J = 16.0$  Hz); ESI-MS: m/z, 341.1 (M+1).

**(E)-3-(2,4-difluorophenyl)-1-(2-hydroxynaphthalen-1-yl)prop-2-en-1-one (1m):** White solid, Yield: 84%; m.p: 100-101 °C; IR (KBr):  $\nu_{\max}$  3076, 1658, 1602, 1570, 1504, 1468, 1426, 1369, 1341, 1275, 1232, 1207, 1183, 1141, 1101, 1043, 1022, 998, 970, 885, 820, 756, 730, 694, 647, 612  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  12.66 (s, 1H), 8.08-8.04 (m, 1H), 7.98-7.92 (m, 2H), 7.84-7.82 (m, 1H), 7.62-7.56 (m, 3H), 7.44-7.38 (m, 1H), 7.18 (d, 1H,  $J = 15.8$  Hz), 6.98-6.88 (m, 2H); ESI-MS: m/z, 309.1 (M+1).

**(E)-3-(2,4-dimethoxyphenyl)-1-(2-hydroxynaphthalen-1-yl)prop-2-en-1-one (1n):** White solid, Yield: 82%; m.p: 121-122 °C; IR (KBr):  $\nu_{\max}$  3005, 1659, 1629, 1595, 1497, 1464, 1410, 1370, 1332, 1315, 1279, 1233, 1172, 1127, 1107, 1039, 1002, 989, 966, 942, 888, 844, 816, 801, 735, 715, 649  $\text{cm}^{-1}$ ;  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  12.58 (s, 1H), 8.22-8.12 (m, 2H), 7.94 (d, 1H,  $J = 8.4$  Hz), 7.82 (d, 1H,  $J = 8.4$  Hz), 7.64 (d, 1H,  $J = 15.2$  Hz), 7.54-7.50 (m, 1H), 7.42-7.40 (m, 1H), 7.20 (d, 1H,  $J = 8.2$  Hz), 7.01 (d, 1H,  $J = 7.8$  Hz), 6.96-6.88 (m, 2H), 3.94 (s, 3H), 3.78 (s, 3H); ESI-MS: m/z, 333.1 (M+1).

**Antibacterial and Antifungal Bioassay:** The antibacterial activity of all the synthesized compounds (**1a-1n**) were examined against Gram-positive (*Bacillus subtilis* and *Staphylococcus aureus*) and Gram-negative (*Escherichia coli* and *Salmonella typhi*) organisms by measuring zone of inhibition. The antibacterial activity was performed by Agar diffusion method at the concentration level of 250 $\mu\text{g/mL}$ . Ciprofloxacin was used as standard drug at a concentration of 250 $\mu\text{g/mL}$ . Nutrient agar was used as culture media and DMSO was used as solvent control [25-27]. The results of the antibacterial activity are shown in table 1.

The antifungal activity of all the synthesized compounds (**1a-1n**) were examined against *Aspergillus niger* and *Candida albicans* by measuring zone of inhibition. The antifungal activity was performed by Agar diffusion method at the concentration level of 250 $\mu\text{g/mL}$ . Ketoconazole was used as standard drug at a concentration of 250 $\mu\text{g/mL}$ . Sabouraud dextrose agar was used as culture media and DMF was used as solvent control [27,28]. The results of the antifungal activity are shown in table 1.

**Table 1:** Results of Antibacterial and Antifungal activity of Compounds 1a-1n

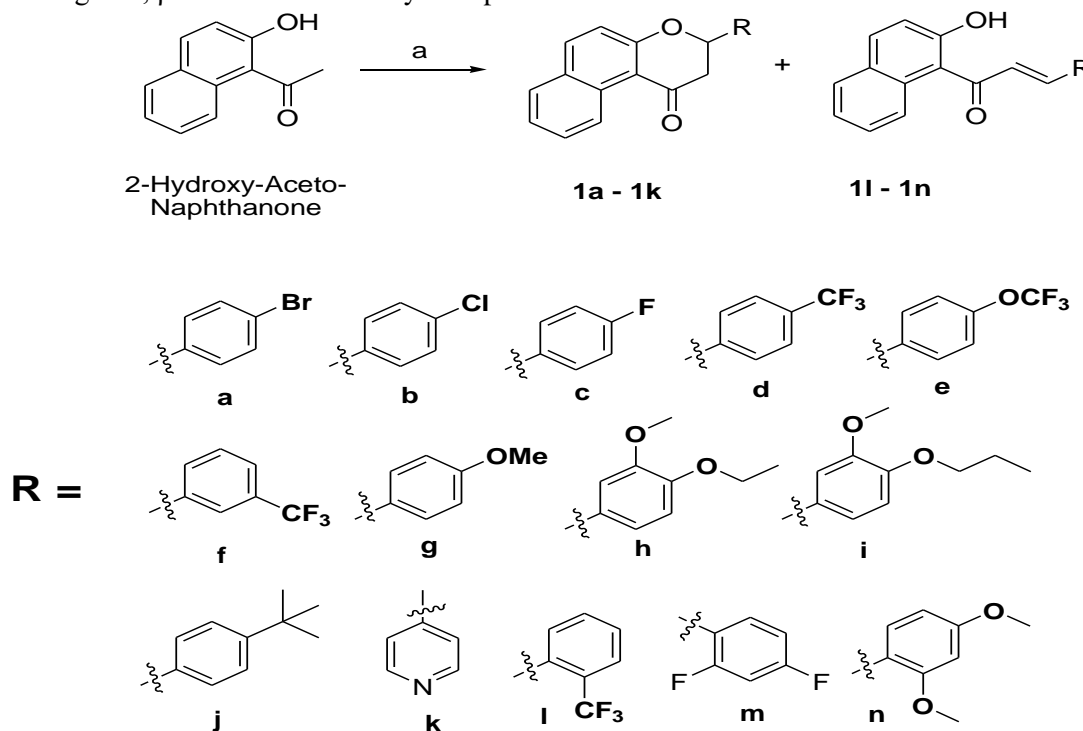
Compound no.	Gram negative bacteria		Gram positive bacteria		Fungi	
	<i>E. coli</i>	<i>S.typhi</i>	<i>B.subtilis</i>	<i>S.aureus</i>	<i>A. niger</i>	<i>C. albicans</i>
	Zone of inhibition expressed in mm					
<b>1a</b>	-	-	-	-	-	-
<b>1b</b>	-	-	-	-	-	-
<b>1c</b>	17	14	16	15	16	15
<b>1d</b>	17	14	15	14	16	15
<b>1e</b>	18	13	15	14	18	16
<b>1f</b>	18	12	16	15	18	14
<b>1g</b>	11	10	10	10	12	9
<b>1h</b>	11	10	10	10	12	10
<b>1i</b>	12	9	9	9	10	9
<b>1j</b>	12	9	10	9	11	8
<b>1k</b>	17	13	14	12	16	13
<b>1l</b>	18	13	15	13	15	14
<b>1m</b>	16	12	13	13	15	14

<b>1n</b>	10	8	11	9	11	8
Ciprofloxacin	19	15	16	15		
Ketoconazole					18	16

Standard drug concentration: 250 $\mu$ g mL<sup>-1</sup>; "--\_ No Activity

## RESULTS AND DISCUSSION

The synthesis of new flavanone and chalcone derivatives is depicted in **scheme 1**. All the synthesized flavanone compounds **1a-n** were purified by successive recrystallisation using ethanol. The reaction of 2-Hydroxy-acetonaphthone with various benzaldehydes (**a-n**) in presence of aqueous 60% ethanolic KOH (w/v) at room temperature for 24 h resulted in the formation of flavanone derivatives **1a – 1k**. It is observed that the reaction of 2-Hydroxy-acetonaphthone with benzaldehydes such as 2-trifluoromethyl benzaldehyde, 2,4-difluoro benzaldehyde and 2,4-dimethoxy benzaldehyde produced corresponding chalcone derivatives **1l – 1n**. The purity of the synthesized compounds was checked by performing TLC. The structures of the synthesized compounds were determined on the basis of their <sup>1</sup>H NMR, FTIR and MS data. In general, the <sup>1</sup>H NMR spectrum of the flavanone compounds **4a-k**, the proton signal at 5.62 (dd, *J* = 4.0, 8.0 Hz), 3.15 (dd, *J* = 8.0, 16.0 Hz), and 2.94 (dd, *J* = 2.0, 8.0 Hz) showed a characteristic for H-2, H-3ax, and H-3eq a typical ABX system for a flavanone structure. The remaining aromatic proton signals appeared in the expected region. As a representative example, the <sup>1</sup>H NMR spectra of the synthesized chalcone compounds **4l**, the protons of  $\alpha$ ,  $\beta$ -unsaturated carbonyl compounds have given two doublets in the range of 8.26 ( $\delta$ , ppm) for H $\alpha$  and 7.20 ( $\delta$ , ppm) for H $\beta$  indicating the formation of chalcone derivative. The formation of the chalcone derivatives was further confirmed by IR spectra, compounds showed the presence of  $\nu$ C=O stretching bands at 1647-1664 cm<sup>-1</sup> and  $\nu$ C=C stretching frequencies at 1579-1600 cm<sup>-1</sup> corresponding to  $\alpha$ ,  $\beta$ -unsaturated carbonyl compounds.



**Scheme 1:** Reagents and Conditions: a) aqueous 60% KOH (w/v), Ethanol, room temp. 24h

The results of the antimicrobial and antifungal activity from table 1 is as follows- All the synthesized flavanones **1a-k** and chalcones **1l-n** have shown mild to good activity against the tested bacterial and fungal strains. Among the synthesized flavanones and chalcones **1c, 1d, 1e, 1f, 1k, 1l** and **1m**



compounds bearing substitutions such as fluorine, trifluoromethyl, trifluoromethoxy, di-fluoro and pyridine ring has shown good activity against all the tested bacteria and fungal strains.

### APPLICATIONS

The newly synthesized flavanones and chalcones (**1a-n**) were screened for their antibacterial and antifungal activity and the results revealed that most of the compounds showed mild to good activity. Thus, a further structural modification of this scaffold may lead to a promising antibacterial and fungal pharmacophore.

### CONCLUSIONS

In summary, the present paper describes the synthesis, characterization, antibacterial and antifungal activity of flavanone and chalcone derivatives (**1a-n**). Among the synthesized flavanones and chalcones **1c**, **1d**, **1e**, **1f**, **1k**, **1l** and **1m** compounds bearing substitutions such as fluorine, trifluoromethyl, trifluoromethoxy, di-fluoro and pyridine ring has shown good activity against all the tested bacteria and fungi.

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