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Synthesis, structural determination, and biological activity of new 7-hydroxy-3-pyrazolyl-4*H*-chromen-4-ones and their *o*-β-D-glucosides

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A convenient route to synthesize some new medicinally important 7-hydroxy-3-pyrazolyl chromones **(3a-i)** is described. The interaction of 7-hydroxy-3-formyl chromone **(1)** with various substituted acetophenones and further cyclization with hydrazine hydrate in an aprotic solvent followed by condensation with 2, 3, 4, 6-tetra-*o*-acetyl-α-D-glucopyranosyl bromide afforded 2, 3, 4, 6-tetra-*o*-acetyl-β-D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(4a-i)**. Later deacetylation with anhydrous zinc acetate in methanol gave 7-*o*-β-D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(5a-i)**. These compounds were evaluated for their in vitro antimicrobial and anti-oxidant activity. The structures of these newly synthesized *o*-glucosides were established by IR, NMR, mass spectra, elemental analysis, and chemical analysis.

Key Words: Chromones, pyrazoles, glucopyranosyl bromide, glucosylation, *o*-β-D-glucosides.

Introduction

The flavonoids represent one of the largest groups of natural products known; several thousand derivatives have been identified.[1] In addition to the various functions of flavonoids in plants, their widespread distribution in nature, their structural variability, their relatively low toxicity, and their antioxidant activities have increased the interest in flavonoids as beneficial for human health.[2] Several therapeutically interesting biological activities of certain flavonoids have been reported including anticancer,[3–8] anti-HIV,[9–11] and antioxidant properties.[12–14]

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Similarly pyrazole is found widely as a core structure in a large variety of compounds that exhibit important biological activity.[15] It is convenient to synthesize substituted pyrazoles by the intermolecular [3+2] cycloaddition of 1, 3-dipoles with alkynes or condensation of hydrazine with 1, 3-diketones or their equivalents.[16] The use of combinatorial approaches to the high-throughput synthesis of this drug-like scaffold would be a powerful advance in helping to speed up drug discovery. On the other hand, carbohydrates are being considered as extremely useful stereo chemical building blocks for complex organic synthesis.[17] Apart from being an energy source in leaving systems, carbohydrates increasingly are being recognized as important actors in a variety of biological processes, such as signaling, cell-cell communications, and molecular and cellular targeting.[18]

The great importance of this category of heterocycles and continuation of our studies[19] on chromone based heterocycles oriented our attention to the synthesis of a series of new heterocyclic derivatives combining chromones, pyrazoles, and carbohydrate moieties in one molecular frame as new possible biological active compounds. Herein we report the synthesis of chromones bearing a pyrazole ring at position 3 and carbohydrate moiety at position 7, starting from the corresponding 7-hydroxy-3-formyl-4*H*-chromen-4-one, together with the results on their biological activities.

Experimental

Melting points were determined on a liquid paraffin bath in an open capillary tube and were uncorrected. FT-IR spectra were recorded using KBr on a Perkin-Elmer infrared spectrophotometer; ^{1}H-NMR and ^{13}C-NMR spectra were recorded on a Brucker II-400 NMR spectrometer, using TMS as an internal standard in DMSO-d_6 as a solvent; chemical shifts (δ) are measured in ppm. Mass spectra were determined on Hitachi Perkin-Elmer RMU 6D mass spectrometer. Elemental analysis was performed using a Perkin-Elmer 2400 CHN analyzer. Purity of the compounds was checked on silica gel plates using iodine vapor as visualizing agent.

General procedure for 7-hydroxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones (3a-i). A mixture of 7-hydroxy-3-(3-aryl-3-oxoprop-1-enyl)-4*H*-chromen-4-ones **(2a-i)** (0.01 mol), hydrazine hydrate (0.01 mol), and DMF (10 mL) was refluxed 18 h in an oil bath. The reaction mixture was cooled and added to crushed ice, and the solid obtained was filtered and washed with water, dried, and recrystallized from DMF.

7-Hydroxy-3-(3-phenyl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-one (3a). Yield 67%, mp 281 °C, IR (KBr) cm^{-1}: 3367.7 (br, OH), 2931.6 (N-H), 1719.9 (C=O), 1457.1 (C=N), 1034.0 (C-O-C). (EI-MS) m/z(%): 304 (100), 161 (25), 77 (24). Anal. Calcd for $C_{18}H_{12}N_2O_3$: C, 71.05; H, 3.97; N, 9.21. Found: C, 71.02; H, 3.95; N, 9.20 (%).

7-Hydroxy-3-[3-(4-chloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3b). Yield 65%, mp 312 °C, IR (KBr) cm^{-1}: 3300.4 (br, OH), 2945.1 (N-H), 1684.7 (C=O), 1451.4 (C=N), 1098.6 (C-O-C). (EI-MS) m/z (%): 338 (100), 161 (18), 112 (21). Anal. Calcd for $C_{18}H_{11}ClN_2O_3$: C, 63.82; H, 3.27; N, 8.27. Found: C, 63.80; H, 3.25; N, 8.24 (%).

7-Hydroxy-3-[3-(4-bromo phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3c). Yield 60%, mp 295 °C, IR (KBr) cm^{-1}: 3455.1 (br, OH), 2944.4 (N-H), 1714.8 (C=O), 1454.7 (C=N), 1054.6 (C-O-C). (EI-MS) m/z (%): 382 (100), 161 (11), 157 (22). Anal. Calcd for $C_{18}H_{11}BrN_2O_3$: C, 56.42; H, 2.89; N, 7.31. Found: C, 56.40; H, 2.86; N, 7.25 (%).

7-Hydroxy-3-[3-(4-methyl phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3d). Yield 67%, mp

241 °C, IR (KBr) cm^{-1}: 3411.0 (br, OH), 2934.6 (N-H), 1700.4 (C=O), 1461.1 (C=N), 1074.6 (C-O-C). (EI-MS) *m/z* (%): 318 (100), 161 (23), 90 (17). Anal. Calcd for $C_{19}H_{14}N_2O_3$: C, 71.69; H, 4.43; N, 8.80. Found: C, 71.65; H, 4.37; N, 8.78 (%).

7-Hydroxy-3-[3-(4-methoxy phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3e). Yield 55%, mp 265 °C, IR (KBr) cm^{-1}: 3443.4 (br, OH), 2934.6 (N-H), 1701.3 (C=O), 1458.9 (C=N), 1078.6 (C-O-C). Anal. Calcd for $C_{19}H_{14}N_2O_4$: C, 68.26; H, 4.22; N, 8.38. Found: C, 68.25; H, 4.20; N, 8.35 (%).

7-Hydroxy-3-[3-(2, 4-dichloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3f). Yield 63%, mp 221 °C, IR (KBr) cm^{-1}: 3391.2 (br, OH), 2947.8 (N-H), 1713.5 (C=O), 1451.2 (C=N), 1055.2 (C-O-C). (EI-MS) *m/z* (%): 372 (100), 161 (17), 77 (29). Anal. Calcd for $C_{18}H_{10}Cl_2N_2O_3$: C, 57.77; H, 2.96; N, 7.49. Found: C, 57.75; H, 2.90; N, 7.45 (%).

7-Hydroxy-3-[3-(3, 4-dichloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3g). Yield 61%, mp 211 °C, IR (KBr) cm^{-1}: 3444.0 (br, OH), 2938.9 (N-H), 1719.5 (C=O), 1459.1 (C=N), 1049.6 (C-O-C). Anal. Calcd for $C_{18}H_{10}Cl_2N_2O_3$: C, 57.77; H, 2.96; N, 7.49. Found: C, 57.75; H, 2.90; N, 7.45 (%).

7-Hydroxy-3-[3-(3-nitro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3h). Yield 45%, mp 260 °C, IR (KBr) cm^{-1}: 3389.4 (br, OH), 2945.8 (N-H), 1710.6 (C=O), 1451.0 (C=N), 1078.6 (C-O-C). Anal. Calcd for $C_{18}H_{11}N_3O_5$: C, 61.89; H, 3.17; N, 12.03. Found: C, 61.85; H, 3.15; N, 12.01 (%).

7-Hydroxy-3-[3-(4-nitro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (3i). Yield 55%, mp 220 °C, IR (KBr) cm^{-1}: 3440.3 (br, OH), 2927.8 (N-H), 1738.8 (C=O), 1451.8 (C=N), 1098.6 (C-O-C). Anal. Calcd for $C_{18}H_{11}N_3O_5$: C, 61.89; H, 3.17; N, 12.03. Found: C, 61.85; H, 3.15; N, 12.01 (%).

General procedure for 2, 3, 4, 6-tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones (4a-i). In a 25 mL round-bottomed flask, anhydrous K_2CO_3 (6.3 mmol) was added to the mixture of DMF (9 mL) and acetone (6 mL), and then 7-hydroxy-3-[3-aryl-1*H*-pyrazol-5-yl]-4*H*-chromen-4-ones (**3a-i**) (0.30 mmol), DTMAB (10 mg), and α-acetylbromoglucose (0.60 mmol) were added under stirring, and the reaction mixture was refluxed for 5-6 h (monitored by TLC). Then acetone was removed under vacuum and water (20 mL) was added to the flask. The mixture was extracted with ethyl acetate (5 × 10 mL), the organic layer was washed with 20 mL of water and brine, and dried over anhydrous $MgSO_4$, and then the solvent was removed to give the residue, which was purified by silica gel flash chromatography (ethyl acetate: petroleum ether 1 : 2 v/v) to give a brown semisolid.

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-phenyl-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4a). Yield 95%, $[\alpha]_D^{25}$ = -21.2 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3042.1 (Ar-CH), 2954.0 (N-H), 2854.3 (glucosidic-CH), 1760.8 (C=O of *o*-acetyl gps of glycone moiety), 1721.7 (C=O), 1454.4 (C=N), 1120.6 (C-O-C). Anal. Calcd for $C_{32}H_{30}N_2O_{12}$: C, 60.57; H, 4.77; N, 4.41. Found: C, 60.55; H, 4.74; N, 4.39 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(4-chloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4b). Yield 90%, $[\alpha]_D^{25}$ = -20.9 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3044.2 (Ar-CH), 2966.4 (N-H), 2857.3 (glucosidic-CH), 1754.2 (C=O of *o*-acetyl gps of glycone moiety), 1722.8 (C=O), 1451.5 (C=N), 1078.6 (C-O-C). Anal. Calcd for $C_{32}H_{29}ClN_2O_{12}$: C, 57.45; H, 4.37; N, 4.19. Found: C, 57.41; H, 4.40; N, 4.15 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(4-bromo phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4c). Yield 81%, $[\alpha]_D^{25}$ = -15.4 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3040.6 (Ar-CH), 2956.5 (N-H), 2852.1 (glucosidic-CH), 1765.4 (C=O of *o*-acetyl gps of glycone moiety), 1724.5 (C=O), 1452.1

(C=N), 1048.6 (C-O-C). Anal. Calcd for $C_{32}H_{29}BrN_2O_{12}$: C, 53.87; H, 4.10; N, 3.93. Found: C, 53.85; H, 4.09; N, 3.90 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(4-methyl phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4d). Yield 91%, $[\alpha]_D^{25}$ = -14.6 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3041.8 (Ar-CH), 2956.8 (N-H), 2856.8 (glucosidic-CH), 1761.2 (C=O of *o*-acetyl gps of glycone moiety), 1726.4 (C=O), 1454.7 (C=N), 1078.9 (C-O-C). Anal. Calcd for $C_{33}H_{32}N_2O_{12}$: C, 61.11; H, 4.97; N, 4.32. Found: C, 61.08; H, 4.93; N, 4.30 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(4-methoxy phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4e). Yield 78%, $[\alpha]_D^{25}$ = -17.5 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3037.3 (Ar-CH), 2973.1 (N-H), 2861.7 (glucosidic-CH), 1754.8 (C=O of *o*-acetyl gps of glycone moiety), 1727.9 (C=O), 1460.7 (C=N), 1100.0 (C-O-C). Anal. Calcd for $C_{33}H_{32}N_2O_{13}$: C, 59.64; H, 4.85; N, 4.21. Found: C, 59.60; H, 4.80; N, 4.20 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(2, 4-dichloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4f). Yield 87%, $[\alpha]_D^{25}$ = -16.4 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3045.4 (Ar-CH), 2964.8 (N-H), 2851.8 (glucosidic-CH), 1761.6 (C=O of *o*-acetyl gps of glycone moiety), 1700.8 (C=O), 1457.8 (C=N), 1077.8 (C-O-C). Anal. Calcd for $C_{32}H_{28}Cl_2N_2O_{12}$: C, 54.63; H, 4.01; N, 3.98. Found: C, 54.60; H, 4.00; N, 3.91 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(3, 4-dichloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4g). Yield 84%, $[\alpha]_D^{25}$ = -15.0 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3054.8 (Ar-CH), 2959.8 (N-H), 2857.4 (glucosidic-CH), 1766.1 (C=O of *o*-acetyl gps of glycone moiety), 1717.8 (C=O), 1451.4 (C=N), 1078.1 (C-O-C). Anal. Calcd for $C_{32}H_{28}Cl_2N_2O_{12}$: C, 54.63; H, 4.01; N, 3.98. Found: C, 54.60; H, 4.00; N, 3.91 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(3-nitro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4h). Yield 84%, $[\alpha]_D^{25}$ = -19.6 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3044.7 (Ar-CH), 2955.5 (N-H), 2851.6 (glucosidic-CH), 1764.5 (C=O of *o*-acetyl gps of glycone moiety), 1708.9 (C=O), 1457.7 (C=N), 1048.1 (C-O-C). Anal. Calcd for $C_{32}H_{29}N_3O_{14}$: C, 56.56; H, 4.30; N, 6.18. Found: C, 56.52; H, 4.25; N, 6.13 (%).

2, 3, 4, 6-Tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-[3-(4-nitro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (4i). Yield 80%, $[\alpha]_D^{25}$ = -19.4 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3047.4 (Ar-CH), 2954.0 (N-H), 2851.9 (glucosidic-CH), 1760.4 (C=O of *o*-acetyl gps of glycone moiety), 1714.7 (C=O), 1454.8 (C=N), 1088.1 (C-O-C). Anal. Calcd for $C_{32}H_{29}N_3O_{14}$: C, 56.56; H, 4.30; N, 6.18. Found: C, 56.52; H, 4.25; N, 6.13 (%).

General procedure for 7-*o*-β-D-glucopyranosyloxy-3-(3-aryl-1H-pyrazol-5-yl)-4H-chromen-4-ones (5a-i). The mixture of 2, 3, 4, 6-tetra-*o*-acetyl-7-*o*-β-D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(4a-i)** (0.109 mmol), dry methanol (2 mL), and anhydrous zinc acetate (0.126 mmol) was refluxed for 7-8 h (monitored by TLC). After cooling at room temperature, it was filtered through cation exchanged resin; the solvent was removed under vacuum. The residue was purified by silica gel chromatography ($CHCl_3$, MeOH, 12:1 v/v) to get a brown semisolid.

7-*o*-β-D-Glucopyranosyloxy-3-[3-phenyl-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5a). Yield 85%, $[\alpha]_D^{25}$ = -9.7 (*c* 0.1 in DMSO), IR (KBr) cm^{-1}: 3412.4 (br, OH peak of carbohydrate residue), 2945.8 (N-H),

2857.5 (glucosidic-CH), 1717.9 (C=O), 1445.7 (C=N), 1072.1 (C-O-C), 683.1 (benzene monosubstituted). (EI-MS) m/z (%): 467 [M^+] (11), 304 (100), 163 (45), 161 (20), 77 (23). Anal. Calcd for $C_{24}H_{22}N_2O_8$: C, 61.80; H, 4.75; N, 6.01. Found: C, 61.75; H, 4.74; N, 5.99 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(4-chloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5b). Yield 79%, $[\alpha]_D^{25}$ = -10.1 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3424.8 (br, OH peak of carbohydrate residue), 2946.7 (N-H), 2845.7 (glucosidic-CH), 1712.8 (C=O), 1455.1 (C=N), 1089.4 (C-O-C). (EI-MS) m/z (%): 501 [M^+] (9), 338 (100), 163 (33), 161 (15), 77 (18). Anal. Calcd for $C_{24}H_{21}ClN_2O_8$: C, 57.56; H, 4.23; N, 5.59. Found: C, 57.51; H, 4.20; N, 5.52 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(4-bromo phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5c). Yield 83%, $[\alpha]_D^{25}$ = -12.1 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3399.1 (br, OH peak of carbohydrate residue), 2978.5 (N-H), 2844.1 (glucosidic-CH), 1729.3 (C=O), 1444.7 (C=N), 1091.8 (C-O-C). Anal. Calcd for $C_{24}H_{21}BrN_2O_8$: C, 52.86; H, 3.88; N, 5.14. Found: C, 52.84; H, 3.85; N, 5.11 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(4-methyl phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5d). Yield 75%, $[\alpha]_D^{25}$ = -5.9 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3424.8 (br, OH peak of carbohydrate residue), 2912.4 (N-H), 2858.7 (glucosidic-CH), 1727.8 (C=O), 1459.1 (C=N), 1089.8 (C-O-C). (EI-MS) m/z (%): 481 [M^+](14), 318 (100), 163 (25), 161 (31), 77 (13). Anal. Calcd for $C_{25}H_{24}N_2O_8$: C, 62.49; H, H 5.03; N, 5.83. Found: C, 62.45; H, 5.04; N, 5.80 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(4-methoxy phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5e). Yield 74%, $[\alpha]_D^{25}$ = -8.4 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3419.7 (br, OH peak of carbohydrate residue), 2919.7 (N-H), 2849.7 (glucosidic-CH), 1700.2 (C=O), 1455.7 (C=N), 1092.6 (C-O-C). Anal. Calcd for $C_{25}H_{24}N_2O_9$: C, 60.48; H, 4.87; N, 5.64. Found: C, 60.45; H, 4.80; N, 5.60 (%).

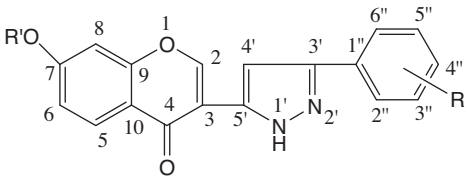
7-*o*-β-D-Glucopyranosyloxy-3-[3-(2, 4-dichloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5f). Yield 70%, $[\alpha]_D^{25}$ = -12.0 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3421.0 (br, OH peak of carbohydrate residue), 2918.9 (N-H), 2851.7 (glucosidic-CH), 1701.8 (C=O), 1445.2 (C=N), 1073.3 (C-O-C). (EI-MS) m/z (%): 535 [M^+] (21), 372 (100), 163 (37), 161 (18), 77 (23). Anal. Calcd for $C_{24}H_{20}Cl_2N_2O_8$: C, 53.85; H, 3.77; N, 5.23. Found: C, 53.80; H, 3.74; N, 5.21 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(3, 4-dichloro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5g). Yield 80%, $[\alpha]_D^{25}$ = -10.7 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3405.8 (br, OH peak of carbohydrate residue), 2943.6 (N-H), 2857.8 (glucosidic-CH), 1711.8 (C=O), 1449.8 (C=N), 1072.2 (C-O-C). Anal. Calcd for $C_{24}H_{20}Cl_2N_2O_8$: C, 53.85; H, 3.77; N, 5.23. Found: C, 53.80; H, 3.74; N, 5.21 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(3-nitro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5h). Yield 85%, $[\alpha]_D^{25}$ = -11.1 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3424.8 (br, OH peak of carbohydrate residue), 2925.9 (N-H), 2851.8 (glucosidic-CH), 1711.8 (C=O), 1449.8 (C=N), 1089.8 (C-O-C). (EI-MS) m/z (%): 512 [M^+](12), 349 (100), 163 (27), 161 (14), 77 (20). Anal. Calcd for $C_{24}H_{21}N_3O_{10}$: C, 56.36; H, 4.14; N, 8.22. Found: C, 56.32; H, 4.15; N, 8.20 (%).

7-*o*-β-D-Glucopyranosyloxy-3-[3-(4-nitro phenyl)-1*H*-pyrazol-5-yl]-4*H*-chromen-4-one (5i). Yield 83%, $[\alpha]_D^{25}$ = -9.4 (c 0.1 in DMSO), IR (KBr) cm^{-1}: 3455.4 (br, OH peak of carbohydrate residue), 2942.6 (N-H), 2849.9 (glucosidic-CH), 1720.1 (C=O), 1453.7 (C=N), 1099.1 (C-O-C). Anal. Calcd for $C_{24}H_{21}N_3O_{10}$: C, 56.36; H, 4.14; N, 8.22. Found: C, 56.30; H, 4.10; N, 8.17 (%).

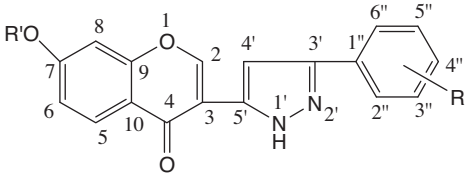
Table 1. [1]H-NMR characterization data of the compounds 3a-i*; 4a-i**; 5a-i**



(3); R'=H
(4); R'= *o*-tetracetyl-β-D-glucoside
(5); R'= β-D-glucoside
R:= (**a**) H; (**b**) Cl (*p*);(**c**) Br (*p*);(**d**) CH_3 (*p*); (**e**) OCH_3 (*p*); (**f**) Cl_2 (*o*, *p*); (**g**) Cl_2 (*m*, *p*); (**h**) NO_2 (*m*); (**i**) NO_2 (*p*)

Hydrogen/(δ)		**3a-5a**	**3b-5b**	**3c-5c**	**3d-5d**	**3e-5e**	**3f-5e**	**3g-5g**	**3h-5h**	**3i-5i**
H-2	3	7.45	7.50	7.46	7.50	7.48	7.51	7.45	7.47	7.48
(s)	4	7.46	7.54	7.46	7.44	7.45	7.48	7.47	7.49	7.44
	5	7.47	7.27	7.49	7.51	7.45	7.48	7.46	7.47	7.46
H-5	3	7.50	7.49	7.51	7.49	7.51	7.51	7.50	7.49	7.48
(d)	4	7.55	7.59	7.56	7.58	7.61	7.64	7.58	7.54	7.52
	5	7.57	7.60	7.58	7.60	7.59	7.58	7.61	7.58	7.60
H-6	3	6.47	6.45	6.41	6.40	6.48	6.42	6.43	6.45	6.44
(d)	4	6.50	6.49	6.51	6.48	6.57	6.53	6.51	6.49	6.52
	5	6.52	6.54	6.52	6.51	6.57	6.54	6.55	6.51	6.53
H-8	3	6.36	6.37	6.33	6.32	6.35	6.39	6.34	6.41	6.37
(d)	4	6.42	6.42	6.44	6.41	6.39	6.35	6.38	6.40	6.40
	5	6.40	6.39	6.40	6.40	6.41	6.39	6.41	6.48	6.40
H-1′	3	12.4	12.4	12.3	11.8	11.9	11.9	12.1	11.8	11.9
(s)	4	12.2	12.4	12.1	11.7	11.7	11.5	11.5	12.8	11.8
	5	12.4	11.9	12.1	11.8	11.8	12.1	11.7	11.4	11.8
H-4′	3	6.34	6.41	6.42	6.41	6.38	6.38	6.49	6.38	6.44
(s)	4	6.44	6.37	6.39	6.34	6.33	6.38	6.44	6.47	6.38
	5	6.44	6.39	6.38	6.44	6.41	6.39	6.38	6.55	6.47
H-2″	3	7.51, d	7.44, d	7.36, d	7.36, d	7.35, d	–	7.41, s	8.45, s	7.70, d
	4	7.49, d	7.41, d	7.40, d	7.38, d	7.37, d	–	7.40, s	8.42, s	7.73, d
	5	7.50, d	7.42, d	7.38, d	7.37, d	7.36, d	–	7.39, s	8.41, s	7.71, d
H-3″	3	7.29, t	7.32, d	7.48, d	7.10, d	6.80, d	7.30, s	–	–	8.21, d
	4	7.27, t	7.30, d	7.45, d	7.11, d	6.78, d	7.32, s	–	–	8.19, d
	5	7.28, t	7.32, d	7.43, d	7.08, d	6.81, d	7.28, s	–	–	8.20, d
H-4″	3	7.20, t	–	–	–	–	–	–	8.12, d	–
	4	7.18, t	–	–	–	–	–	–	8.10, d	–
	5	7.22, t	–	–	–	–	–	–	8.14, d	–
H-5″	3	7.29, t	7.32, d	7.48, d	7.10, d	6.80, d	7.20, d	7.24, d	7.54, t	8.21, d
	4	7.27, t	7.30, d	7.45, d	7.11, d	6.78, d	7.18, d	7.27, d	7.53, t	8.19, d
	5	7.28, t	7.32, d	7.43, d	7.08, d	6.81, d	7.23, d	7.25, d	7.59, t	8.20, d
H-6″	3	7.51, d	7.44, d	7.36, d	7.36, d	7.35, d	7.35, d	7.32, d	7.90, d	7.70, d
	4	7.49, d	7.41, d	7.40, d	7.38, d	7.37, d	7.33, d	7.30, d	7.91, d	7.73, d
	5	7.50, d	7.42, d	7.38, d	7.37, d	7.36, d	7.35, d	7.31, d	7.89, d	7.71, d

Table 1. Contunied



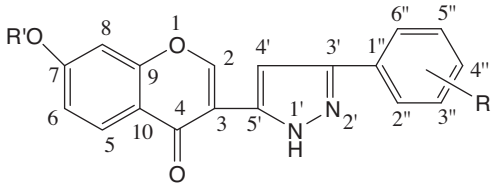
(3); R'=H
(4); R'= *o*-tetracetyl-β-D-glucoside
(5); R'= β-D-glucoside
R:= (**a**) H; (**b**) Cl (*p*);(**c**) Br (*p*);(**d**) CH_3 (*p*); (**e**) OCH_3 (*p*); (**f**) Cl_2 (*o*, *p*); (**g**) Cl_2 (*m*, *p*); (**h**) NO_2 (*m*); (**i**) NO_2 (*p*)

Hydrogen/(δ)		**3a-5a**	**3b-5b**	**3c-5c**	**3d-5d**	**3e-5e**	**3f-5e**	**3g-5g**	**3h-5h**	**3i-5i**
H-1‴	3	–	–	–	–	–	–	–	–	–
(d, 1H,	4	4.86	4.79	4.78	4.89	4.89	4.79	4.68	4.81	4.77
anomeric)	5	5.77	5.75	5.68	5.68	5.75	5.71	5.71	5.77	5.69
H-2‴	3	–	–	–	–	–	–	–	–	–
(dd)	4	4.90	4.97	4.93	4.99	4.98	4.96	4.91	4.99	4.96
	5	3.99	3.94	3.98	3.97	3.92	3.90	3.87	3.99	4.10
H-3‴	3	–	–	–	–	–	–	–	–	–
(dd)	4	5.05	4.99	5.05	5.11	5.05	4.99	5.05	5.01	5.05
	5	3.51	3.54	3.52	3.53	3.54	3.55	3.52	3.51	3.57
H-4‴	3	–	–	–	–	–	–	–	–	–
(dd)	4	4.84	4.75	4.78	4.72	4.71	4.78	4.75	4.78	4.80
	5	3.47	3.45	3.48	3.49	3.35	3.39	3.35	3.38	3.35
H-5‴	3	–	–	–	–	–	–	–	–	–
(ddd, 1H)	4	4.34	4.34	4.41	4.49	4.48	4.44	4.47	4.40	4.45
	5	3.71	3.88	3.84	3.88	3.90	3.79	3.88	3.89	3.64
	3	–	–	–	–	–	–	–	–	–
H-6‴	4	3.80-4.29	3.98-4.32	3.89-4.29	3.75-4.29	3.74-4.29	3.78-4.27	3.76-4.34	3.78-4.29	3.78-4.29
(m, 2H)	5	3.49-3.87	3.44-3.91	3.50-3.86	3.59-3.89	3.45-3.84	3.47-3.94	3.59-3.89	3.38-3.75	3.45-3.85
	3	–	–	–	–	–	–	–	–	–
Acetyl	4	2.01, 1.95 1.99, 2.05	2.02, 1.96 1.94, 2.01	1.99, 1.94 1.98, 2.01	2.02, 1.94 1.98, 2.01	1.97, 1.98 1.97, 2.00	1.97, 1.98 1.99, 2.01	2.02, 1.98 1.94, 2.01	1.98, 1.97 1.99, 2.01	2.00, 1.97 1.96, 2.01
(s, 3H, 4OAc)	5	–	–	–	–	–	–	–	–	–
	3	–	–	–	2.27 (CH_3)	3.69 (OCH_3)	–	–	–	–
Group	4	–	–	–	2.37 (CH_3)	3.65 (OCH_3)	–	–	–	–
(s, 3H)	4									
	5	–	–	–	2.29 (CH_3)	3.79 (OCH_3)	–	–	–	–
Phenolic OH	3	5.12	4.90	5.10	5.01	4.87	5.03	4.91	4.94	4.95
(s)	4	–	–	–	–	–	–	–	–	–
	5	–	–	–	–	–	–	–	–	–

*All compounds crystallized from DMF

**Majority of the compounds are syrupy in nature

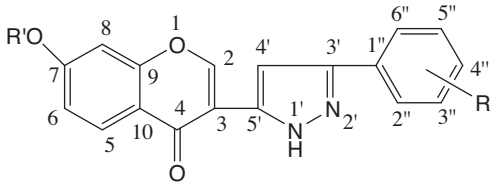
Table 2. ^{13}C-NMR characterization data of the compounds 3a-i; 4a-i; 5a-i



(3); R'=H
(4); R'= *o*-tetracetyl-β-D-glucoside
(5); R'= β-D-glucoside
R:= (**a**) H; (**b**) Cl (*p*);(**c**) Br (*p*);(**d**) CH_3 (*p*); (**e**) OCH_3 (*p*); (**f**) Cl_2 (*o*, *p*); (**g**) Cl_2 (*m*, *p*); (**h**) NO_2 (*m*); (**i**) NO_2 (*p*)

Carbon/(δ)		**3a-5a**	**3b-5b**	**3c-5c**	**3d-5d**	**3e-5e**	**3f-5e**	**3g-5g**	**3h-5h**	**3i-5i**
C-2	3	158.7	160.1	158.9	159.0	158.6	159.1	160.2	159.4	158.1
	4	158.9	159.0	158.9	159.0	159.0	159.7	159.4	158.7	158.7
	5	160.1	159.8	158.9	159.6	158.9	159.6	158.1	158.6	160.2
C-3	3	119.1	118.0	117.7	118.8	117.6	118.0	117.8	117.5	118.0
	4	118.1	119.0	118.1	118.1	119.1	117.9	119.1	117.5	119.4
	5	118.1	117.8	117.6	118.0	117.6	117.9	119.0	118.0	118.7
C-4	3	176.1	174.9	175.0	174.7	174.7	174.6	176.1	176.2	176.0
	4	176.0	176.1	176.0	176.0	174.8	174.8	176.6	174.7	176.1
	5	176.0	175.7	175.1	174.7	176.1	175.8	174.6	174.8	174.4
C-5	3	132.1	131.4	132.1	131.7	131.5	131.8	132.6	131.6	132.3
	4	130.8	130.8	131.0	130.6	130.7	130.8	130.8	130.8	131.0
	5	130.7	130.4	130.8	130.4	132.4	131.4	130.6	130.8	131.0
C-6	3	110.0	109.9	109.9	109.8	109.9	111.1	109.9	111.1	111.1
	4	108.9	109.0	108.9	108.8	108.7	108.7	109.4	108.6	109.5
	5	109.5	108.7	109.8	108.8	109.8	108.4	109.5	109.6	110.0
C-7	3	164.8	165.4	164.8	164.8	164.5	164.3	165.4	165.4	164.7
	4	163.9	164.2	163.8	164.7	163.9	163.8	163.7	163.7	164.4
	5	163.7	163.5	163.8	163.6	163.8	164.1	163.5	163.8	163.9
C-8	3	106.0	106.1	105.0	105.1	105.0	104.8	104.8	104.8	106.4
	4	103.4	103.6	103.4	103.4	103.4	103.4	104.5	103.4	103.4
	5	104.5	104.5	103.0	104.3	103.2	103.4	104.2	104.1	104.2
C-9	3	158.4	159.0	157.6	159.1	158.0	159.0	159.0	158.1	157.9
	4	157.4	157.6	158.1	157.4	157.4	158.1	158.5	157.4	157.4
	5	158.2	158.2	158.1	158.2	158.2	158.1	157.3	158.0	158.1
C-10	3	115.8	117.0	116.0	116.9	117.2	116.1	116.1	117.1	117.2
	4	115.5	116.1	115.0	115.0	115.0	115.0	116.4	114.8	116.4
	5	116.3	115.1	114.8	115.1	115.0	115.1	114.8	116.4	115.1
C-3′	3	147.0	146.8	148.1	146.8	146.1	146.9	148.0	146.9	147.2
	4	147.2	147.4	148.2	147.4	147.4	147.5	148.1	147.2	147.1
	5	146.9	147.0	148.2	146.4	148.1	148.3	147.3	148.1	147.1

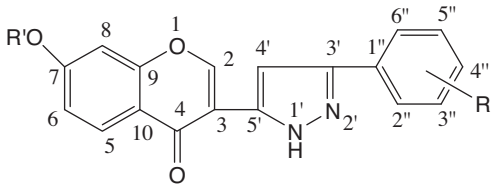
Table 2. Contunied.



(3); R'=H
(4); R'= *o*-tetracetyl-β-D-glucoside
(5); R'= β-D-glucoside
R:= (**a**) H; (**b**) Cl (*p*);(**c**) Br (*p*);(**d**) CH_3 (*p*); (**e**) OCH_3 (*p*); (**f**) Cl_2 (*o*, *p*); (**g**) Cl_2 (*m*, *p*); (**h**) NO_2 (*m*); (**i**) NO_2 (*p*)

Carbon/(δ)		**3a-5a**	**3b-5b**	**3c-5c**	**3d-5d**	**3e-5e**	**3f-5e**	**3g-5g**	**3h-5h**	**3i-5i**
C-4′	3	102.1	102.0	102.8	102.4	102.1	101.7	102.0	102.1	102.0
	4	102.1	102.6	102.5	102.0	102.0	102.0	102.1	102.3	102.2
	5	101.9	103.6	102.0	103.1	102.3	102.1	102.0	102.0	102.0
C-5′	3	144.1	143.0	144.0	142.7	143.5	143.2	143.1	144.0	143.1
	4	143.4	143.1	143.1	143.1	143.1	143.2	143.2	143.0	143.2
	5	143.1	142.9	144.2	143.0	143.1	144.2	143.0	142.9	143.2
C-1″	3	133.6	131.6	131.7	131.5	124.8	127.6	132.1	133.3	139.5
	4	133.6	133.2	131.6	131.4	128.7	127.5	131.0	133.1	139.4
	5	133.8	133.2	131.7	131.6	128.8	127.6	131.1	133.1	139.2
C-2″	3	128.0	128.1	129.1	126.7	127.9	132.9	129.0	121.7	129.4
	4	127.1	127.0	128.9	126.4	127.6	132.9	129.1	121.6	129.3
	5	127.0	128.0	128.5	126.5	127.6	132.7	129.0	121.6	129.5
C-3″	3	129.0	128.6	131.5	128.4	115.1	130.5	134.1	149.2	120.9
	4	128.8	128.9	131.4	128.0	115.4	130.4	134.1	149.0	120.8
	5	128.6	128.9	131.2	128.4	115.1	130.2	134.0	149.1	120.9
C-4″	3	128.1	135.1	123.0	138.0	161.1	135.2	132.9	120.6	147.6
	4	128.1	133.6	122.8	138.1	161.3	135.0	132.5	120.5	147.3
	5	127.9	134.9	123.0	137.8	161.1	135.6	132.8	120.3	147.5
C-5″	3	129.0	128.6	131.5	128.4	115.1	127.0	131.0	130.0	120.9
	4	128.8	128.9	131.4	128.2	115.1	127.2	131.0	130.3	120.6
	5	128.6	128.9	131.3	128.4	115.0	126.9	130.8	130.2	120.7
C-6″	3	128.0	128.1	129.1	126.7	127.9	130.0	127.4	133.0	129.4
	4	127.1	127.1	129.4	126.6	127.6	130.2	127.4	133.4	129.2
	5	127.0	128.0	129.3	126.4	127.8	130.1	127.2	133.2	129.4
C-1‴	3	–	–	–	–	–	–	–	–	–
	4	101.8	102.4	102.5	102.6	101.7	101.7	101.7	101.7	102.5
	5	104.7	106.1	106.2	104.9	104.8	104.0	104.8	106.0	104.6
C-2‴	3	–	–	–	–	–	–	–	–	–
	4	72.1	72.2	72.3	72.1	72.1	72.1	72.1	72.1	72.2
	5	75.7	75.2	75.0	75.0	75.2	75.3	75.1	75.2	75.3

Table 2. Contunied.



(3); R'=H
(4); R'= *O*-tetracetyl-β-D-glucoside
(5); R'= β-D-glucoside
R:= (**a**) H; (**b**) Cl (*p*);(**c**) Br (*p*);(**d**) CH_3 (*p*); (**e**) OCH_3 (*p*); (**f**) Cl_2 (*o*, *p*); (**g**) Cl_2 (*m*, *p*); (**h**) NO_2 (*m*); (**i**) NO_2 (*p*)

Carbon/(δ)		**3a-5a**	**3b-5b**	**3c-5c**	**3d-5d**	**3e-5e**	**3f-5e**	**3g-5g**	**3h-5h**	**3i-5i**
C-3‴	3	–	–	–	–	–	–	–	–	–
	4	70.5	71.0	70.9	71.0	70.4	71.4	71.0	70.8	71.0
	5	77.3	77.0	77.0	77.8	77.2	77.2	77.1	77.3	77.0
C-4‴	3	–	–	–	–	–	–	–	–	–
	4	70.8	71.4	71.0	71.1	70.9	71.7	71.2	71.1	71.1
	5	73.0	73.1	73.1	73.1	73.1	73.2	73.2	73.0	73.4
C-5‴	3	–	–	–	–	–	–	–	–	–
	4	74.8	75.2	75.4	75.4	74.7	75.4	74.7	75.4	75.2
	5	81.1	82.0	82.2	81.1	81.4	81.2	81.4	82.1	81.4
C-6‴	3	–	–	–	–	–	–	–	–	–
	4	64.9	66.1	66.1	65.7	66.1	66.4	66.0	65.1	65.4
	5	66.4	64.8	64.6	66.0	64.8	64.7	64.8	64.8	66.6
Acetyl (CH_3)	3	–	–	–	–	–	–	–	–	–
	4	20.4	20.5	21.1	20.7	20.7	21.8	20.4	21.8	20.8
	5	–	–	–	–	–	–	–	–	–
Acetyl (CO)	3	–	–	–	–	–	–	–	–	–
	4	169.6	170.3	170.0	170.6	170.4	171.0	171.0	170.0	170.0
	5	–	–	–	–	–	–	–	–	–
Group	3	–	–	–	23.4 (CH_3)	56.2 (OCH_3)	–	–	–	–
	4 4	–	–	–	23.7 (CH_3)	56.2 (OCH_3)	–	–	–	–
	5	–	–	–	23.7 (CH_3)	56.1 (OCH_3)	–	–	–	–

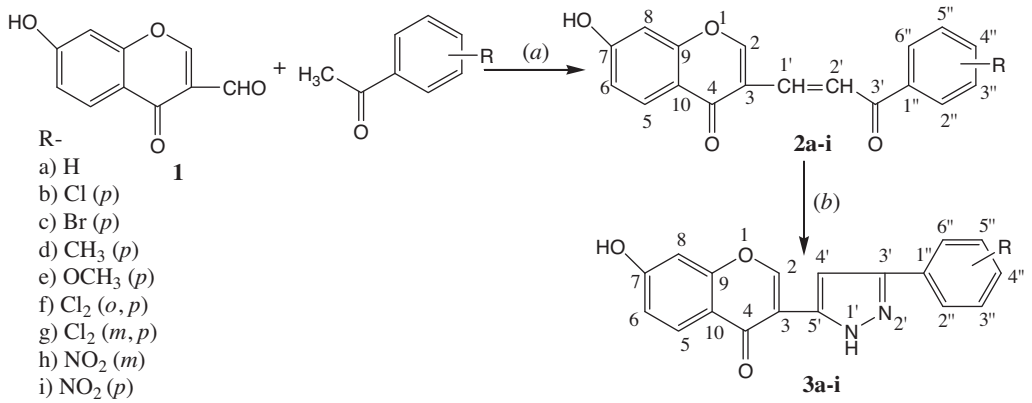
*All compounds crystallized from DMF

**Majority of the compounds are syrupy in nature

Results and discussion

During the course of our present investigation 7-hydroxy-3-formyl-4*H*-chromen-4-one **(1)** was synthesized by Vilsmeier-Haack reaction from resacetophenone.[19,20] The reaction of **1** and substituted acetophenones in the presence of freshly distilled piperidine in dry absolute alcohol for about 2 h resulted in the formation of 7-

hydroxy-3-(3-oxo-3-arylprop-1-enyl)-4*H*-chromen-4-ones **(2a-i)**.[19c,21] These compounds underwent on cyclization with hydrazine hydrate in aprotic solvent like DMF afforded 7-hydroxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(3a-i)**.[22] (Scheme 1).



Scheme 1. Synthesis of 7-hydroxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(3a-i)** (*a*) $C_5H_{11}N$, dry absolute C_2H_5OH; (*b*) $NH_2NH_2.H_2O$, DMF.

o-Glucosydation[23] of **3a-i** (via its potassium salt) was carried out under anhydrous conditions using 2, 3, 4, 6-tetra-*o*-acetyl-α-D-glucopyranosyl bromide (TAGBr) as glucosyl donor in the presence of dodecyltrimethylammonium bromide (DTMAB) as a phase transfer catalyst. This reaction was carried out using anhydrous K_2CO_3 in the mixture of DMF and acetone (3:2 v/v). This gave rise to 2, 3, 4, 6-tetra-*o*-acetyl-α-D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(4a-i)**. The main advantage of this reaction was that the distereoselectivity was high in favor of β-anomer, and improved the overall yield and regioselectivity. Deacetylation of **4a-i** with anhydrous zinc acetate in methanol afforded the desired 7-*o*-$\beta-$D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(5a-i)** (Scheme 2). The ^{1}H-NMR and ^{13}C-NMR characterization data of the compounds **3a-i**, **4a-i,** and **5a-i** are given in Tables 1 and 2, respectively.

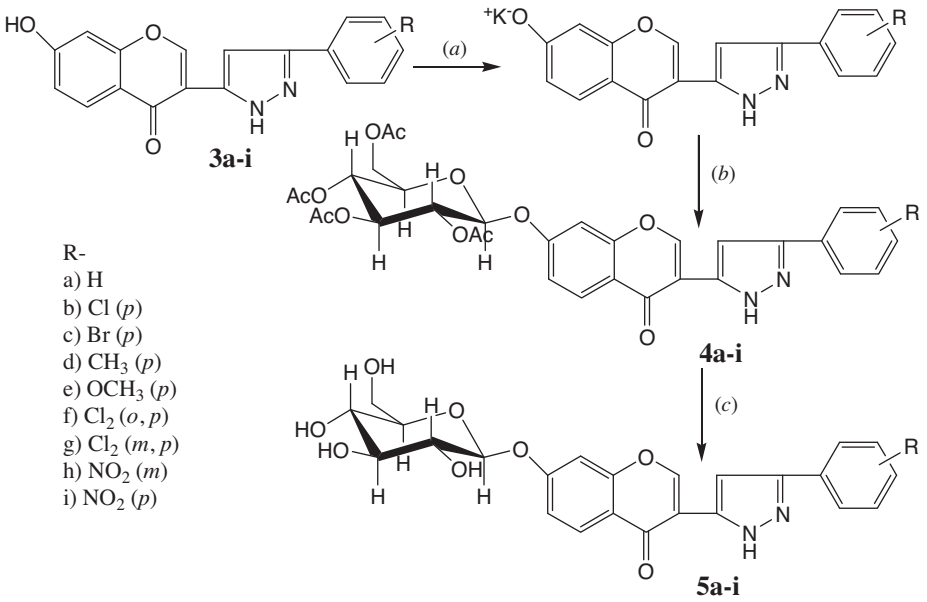
Biological activity

The title compounds were evaluated for their in vitro antibacterial activity against *Escherichia coli, Klebsiella aerogenes, Staphylococcus aureus*, and *Bacillus subtilis* and in vitro antifungal activity against *Aspergillus niger* and *Candida albicans* fungi by the cup plate diffusion method. The comparative study of the 7-hydroxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones and 7-*o*-$\beta-$D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones was performed using the standard drugs ciprofloxacin and sulphacetamide for bacteria and gentamycin and clotrimazole (100 ppm) for fungi. The test compounds were dissolved in DMSO at a concentration of 100 ppm. The results of antimicrobial (antibacterial and antifungal) activity and anti-oxidant activity are shown in Table 3. Most of the compounds exhibited mild to moderate antibacterial activity as well as antifungal activity against all the microbes tested. In vitro free radical scavenging activities of glycosides were evaluated by DPPH assay method and most of the compounds were found to be active at 1 mg/mL concentration. Percentage scavenging of DPPH radical was calculated using the formula: % Scavenging of DPPH = [(Control - Test) / Control] $\times$ 100.

Table 3. Antimicrobial and antioxidant activity of **3a-i** and **5a-i.**

Zone of Inhibition (mm) (Activity Index) [std]							% Inhibition Antioxidant activity
Compds No	Antibacterial Activity				Antifungal Activity		
	Gram-positive		Gram-negative				
	S. aureus	*B. subtilis*	*E. coli*	*K. aerogenes*	*C. albicans*	*A. niger*	DPPH
3a	18 (0.56)* (0.58)#	20 (0.69)* (0.76)#	10 (0.29)* (0.34)#	07 (0.32)* (0.33)#	19 (0.90)* (0.90)#	11 (0.44)* (0.46)#	69.15 (0.70)*
3b	19 (0.56)* (0.61)#	16 (0.55)* (0.62)#	16 (0.46)* (0.55)#	11(0.50)* (0.52)#	13 (0.62)* (0.57)#	9 (0.36)* (0.37)#	66.35 (0.68)*
3c	14 (0.41)* (0.45)#	10 (0.34)* (0.38)#	13 (0.37)* (0.45)#	10 (0.45)* (0.48)#	19 (0.90)* (0.83)#	11(0.44)* (0.46)#	58.56 (0.60)*
3d	09 (0.26)* (0.29)#	08 (0.28)* (0.30)#	12 (0.34)* (0.41)#	09 (0.41)* (0.43)#	10 (0.48)* (0.43)#	10 (0.40)* (0.42)#	69.45 (0.71)*
3e	14 (0.41)* (0.45)#	11(0.38)* (0.42)#	13 (0.37)* (0.45)#	12 (0.55)* (0.57)#	18 (0.86)* (0.78)#	13 (0.52)* (0.54)#	64.12 (0.65)*
3f	11(0.32)* (0.35)#	15 (0.52)* (0.58)#	16 (0.46)* (0.55)#	10 (0.45)* (0.48)#	14 (0.66)* (0.60)#	12 (0.48)* (0.50)#	69.89 (0.71)*
3g	14 (0.41)* (0.45)#	21 (0.72)* (0.80)#	21 (0.60)* (0.72)#	12 (0.55)* (0.57)#	18 (0.86)* (0.78)#	13 (0.52)* (0.54)#	67.12 (0.68)*
3h	20 (0.59)* (0.65)#	18 (0.62)* (0.69)#	20 (0.57)* (0.69)#	14 (0.64)* (0.67)#	17 (0.80)* (0.74)#	11 (0.44)* (0.46)#	67.89 (0.69)*
3i	18 (0.53)* (0.58)#	20 (0.69)* (0.77)#	19 (0.54)* (0.66)#	13 (0.59)* (0.62)#	19 (0.90)* (0.83)#	12 (0.48)* (0.50)#	62.51 (0.64)*
5a	31 (0.91)* (1.00)#	24 (0.83)* (0.92)#	22 (0.63)* (0.76)#	22 (1.00)* (1.04)#	27 (1.29)* (1.17)#	22 (0.88)* (0.92)#	82.45 (0.84)*
5b	33 (0.97)* (1.06)#	22 (0.76)* (0.85)#	20 (0.57)* (0.69)#	27 (1.23)* (1.29)#	25 (1.19)* (1.07)#	23 (0.92)* (0.96)#	88.73 (0.90)*
5c	30 (0.88)* (0.97)#	27 (0.93)* (1.04)#	19 (0.54)* (0.66)#	24 (1.09)* (1.14)#	30 (1.43)* (1.30)#	22 (0.88)* (0.92)#	86.12 (0.88)*
5d	25 (0.74)* (0.81)#	19 (0.66)* (0.73)#	17 (0.49)* (0.59)#	17 (0.77)* (0.81)#	20 (0.95)* (0.87)#	16 (0.64)* (0.66)#	91.65 (0.93)*
5e	31 (0.91)* (1.00)#	23 (0.79)* (0.88)#	23 (0.66)* (0.79)#	20 (0.91)* (0.95)#	23 (1.09)* (1.00)#	21 (0.84)* (0.88)#	86.56 (0.88)*
5f	29 (0.85)* (0.94)#	22 (0.76)* (0.85)#	23 (0.66)* (0.79)#	20 (0.91)* (0.95)#	26 (1.24)* (1.13)#	20 (0.80)* (0.83)#	80.94 (0.83)*
5g	30 (0.88)* (0.97)#	24 (0.83)* (0.92)#	21 (0.60)* (0.72)#	24 (1.09)* (1.14)#	22 (1.05)* (0.96)#	26 (1.04)* (1.08)#	89.78 (0.92)*
5h	28 (0.84)* (0.90)#	26 (0.90)* (1.00)#	28 (0.80)* (0.97)#	21 (0.95)* (1.00)#	27 (1.29)* (1.17)#	19 (0.76)* (0.79)#	87.45 (0.89)*
5i	23 (0.68)* (0.74)#	20 (0.69)* (0.77)#	21 (0.60)* (0.72)#	21 (0.95)* (1.00)#	18 (0.85)* (0.78)#	22 (0.88)* (0.92)#	90.12 (0.92)*
Std.1	34	29	35	22	21	25	98.03
Std. 2	31	26	29	21	23	24	

(Activity index) = Inhibition zone of the sample / Inhibition zone of the standard, * = Activity index against Std. 1, # = Activity index against Std. 2. For antibacterial activity: Std. 1 = Ciprofloxacin and Std. 2 = Sulphacetamide. For antifungal activity: Std. 1 = Gentamycin and Std. 2 = Clotrimazole. For anti-oxidant activity: Std. 1= Ascorbic Acid.



Scheme 2. Synthesis of 7-*o*-$\beta-$D-glucopyranosyloxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones **(5a-i)** (a) K_2CO_3, DMF, Acetone; (b) α-Acetobromoglucose, DTMAB; (c) $Zn(OAc)_2$, MeOH.

Conclusion

In the present work, we synthesized and evaluated the in vitro antimicrobial and anti-oxidant activity of the newly synthesized glucosides of 7-hydroxy-3-(3-aryl-1*H*-pyrazol-5-yl)-4*H*-chromen-4-ones. Biological results indicated that the new glucosides of 7-hydroxy-3-pyrazolyl chromones show greater pharmacological significance than that of aglycons. Hence the compounds **(5a-i)** might be promising new antimicrobial as well as anti-oxidant agents.

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