

Synthesis of 2-Aryl-4,5-diphenyl-1-(N-β-D-glucopyranosyl)-imidazoles

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2-Aryl-4,5-diphenyl-1H-imidazoles (**1a-g**) were prepared by the reaction between aromatic α-diketone (benzil), ammonium acetate and substituted arylaldehyde. These imidazoles were glucosylated using α-acetobromoglucose to form 2-aryl-4,5-diphenyl-1-(N-β-D-2,3,4,6-tetra-O-acetylglucopyranosyl)imidazoles (**2a-g**) which were deacetylated by sodium methoxide to afford 2-aryl-4,5-diphenyl-1-(N-β-D-glucopyranosyl)imidazoles (**3a-g**). All synthesized compounds were characterized spectroscopically.

Keywords: Imidazole, α-acetobromoglucose, deacetylation, N-glucosides.

Introduction

A vast number of imidazoles have been reported as potential pharmacologically active compounds with antibacterial,^[1,2] antifungal,^[3] anti-inflammatory,^[4] antihistaminic^[5] and hypertensive^[6] properties. At the same time, the imidazole fragment appears in a number of naturally occurring products, among which the most important are amino acid histidine,^[7] purines,^[8] biotin,^[9] hydantoin,^[10] pilocarpine.^[11] The cellular level carbohydrates plays a key role in signaling and targeting of the organic molecule to act on the enzyme's active site. Thus, N-glucosides, i.e. nucleosides, has wide variety of biological activity such as antiviral,^[12] antibiotic^[13] and antineoplastic.^[14] So, in continuation of our previous works^[15-20] and keeping view of various biological activities of imidazoles and the importance of glucose moiety in the metabolism, we try to synthesize several compounds containing imidazole and glucose moiety in one framework. Herein, we reported on the synthesis of 2-aryl-4,5-diphenyl-1H-imidazoles and 2-aryl-4,5-diphenyl-1-(N-β-D-glucopyranosyl)imidazoles.

Experimental

The melting points (m.p.) were determined using open capillary method and are uncorrected. The FT-IR spectra were recorded on Perkin-Elmer spectrophotometer using KBr disc. The NMR spectra recorded on Bruker DRX-300 (300 MHz FT-NMR) instrument using DMSO-*d*₆ as a solvent and TMS as internal standard; the chemical shifts are expressed in ppm values. EI-mass-spectra were recorded by direct insertion technique with a Hitachi Perkin Elmer RMU 6D mass spectrophotometer. Elemental analysis was carried out using the FLASH EA 1112 CHN analyzer, Thermo Finigin, Italy.

General procedure for the preparation of 2-aryl-4,5-diphenyl-1H-imidazoles, 1a-g. A mixture of substituted benzaldehyde (5 mmol), benzil (5 mmol), ammonium acetate (10 mmol) and glacial acetic acid (50 ml) was refluxed for 2 hours. Then it was poured into cold water (200 ml) and neutralized with NH₄OH. The solid obtained was filtered, washed with water and crystallized from alcohol.

2,4,5-Triphenyl-1H-imidazole, 1a. Yield 67 %, m.p. 275°C,^[23] *R*_f = 0.48. Found: C 85.15, H 5.45, N 9.45 %. C₂₁H₁₆N₂ (296.37) requires C 85.11, H 5.44, N 9.45%. FT-IR ν_{max} cm⁻¹: 3404.4 (-NH), 3028.9 (aromatic ring, str.), 1610 (C=C), 1510 (C=N, str.). ¹H NMR δ_{H} ppm: 7.2-7.8 (m, 15H, Ar-H), 10.2 (1H, -NH, D₂O exchangeable).

2-(4-Chlorophenyl)-4,5-diphenyl-1H-imidazole, 1b. Yield 65 %, m.p. 250 °C,^[24] *R*_f = 0.32. Found: C 76.25, H 4.55, N 8.45 %. C₂₁H₁₅ClN₂ (330.81) requires C 76.24, H 4.57, Cl 10.72, N 8.47 %. FT-IR ν_{max} cm⁻¹: 3445.0 (-NH), 3059.8 (aromatic ring, str.), 1615 (C=C), 1530 (C=N, str.). ¹H NMR δ_{H} ppm: 6.3-7.5 (m, 14H, Ar-H), 10.6 (1H, -NH, D₂O exchangeable).

2-(4-Methoxyphenyl)-4,5-diphenyl-1H-imidazole, 1c. Yield 71 %, m.p. 230 °C,^[23] *R*_f = 0.77. Found: C 80.97, H 5.56, N 8.60 %. C₂₂H₁₈N₂O (326.39) requires C 80.96, H 5.56, N 8.58 %. FT-IR ν_{max} cm⁻¹: 3426.6 (-NH), 3025.5 (aromatic ring, str.), 1626.5 (C=C), 1545 (C=N, str.). ¹H NMR δ_{H} ppm: 3.8 (s, OCH₃), 6.6-7.8 (m, 14H, Ar-H), 10.9 (1H, -NH, D₂O exchangeable).

2-(3-Nitrophenyl)-4,5-diphenyl-1H-imidazole, 1d. Yield 68 %, m.p. 190°C, *R*_f = 0.45. Found: C 73.90, H 5.43, N 12.30 %. C₂₁H₁₅N₃O₂ (341.36) requires C 73.89, H 4.43, N 12.31 %. FT-IR ν_{max} cm⁻¹: 3454.0 (-NH), 3067.4 (aromatic ring, str.), 1630.3 (C=C), 1525 (C=N, str.). ¹H NMR δ_{H} ppm: 6.2-7.7 (m, 14H, Ar-H), 11.4 (1H, -NH, D₂O exchangeable).

2-(3,4,5-Trimethoxyphenyl)-4,5-diphenyl-1H-imidazole, 1e. Yield 54 %, m.p. 220°C, *R*_f = 0.65. Found: C 74.59, H 5.73, N 7.27 %. C₂₁H₁₅N₃O₂ (386.44) requires C 74.59, H 5.74, N 7.25%. FT-IR ν_{max} cm⁻¹: 3435.0 (-NH), 3030.4 (aromatic ring, str.), 1635.5 (C=C), 1518 (C=N, str.). ¹H NMR δ_{H} ppm: 3.3-3.9 (m, 9H, OCH₃); 6.2-8.1 (m, 12H, Ar-H), 10.1 (1H, -NH, D₂O exchangeable).

2-(4-Fluorophenyl)-4,5-diphenyl-1H-imidazole, 1f. Yield 55 %, m.p. 235°C, *R*_f = 0.45. Found: C 80.25, H 4.82, N 8.92 %. C₂₁H₁₅FN₂ (314.36) requires C 80.24, H 4.81, F 6.04, N 8.91 %. FT-IR ν_{max} cm⁻¹: 3420.3 (-NH), 3038.8 (aromatic ring, str.), 1616.6 (C=C), 1520 (C=N, str.). ¹H NMR δ_{H} ppm: 6.2-7.2 (m, 14H, Ar-H), 10.4 (1H, -NH, D₂O exchangeable).

2-(Furan-2-yl)-4,5-diphenyl-1H-imidazole, 1g. Yield 76 %, m.p. 198°C,^[24] *R*_f = 0.42. Found: C 79.71, H 4.95, N 9.79 %. C₁₉H₁₄N₂O (314.36) requires C 79.70, H 4.93, N 9.78 %. FT-IR ν_{max} cm⁻¹: 3414.5 (-NH), 3042.5 (aromatic ring, str.), 1615.2 (C=C), 1514 (C=N, str.). ¹H NMR δ_{H} ppm: 6.2-7.9 (m, 13H, Ar-H), 11.2 (1H, -NH, D₂O exchangeable).

General procedure for the preparation of 2-aryl-4,5-diphenyl-1-(N-β-D-2,3,4,6-tetra-O-acetylglucopyranosyl)-

imidazoles, **2a-g**. A mixture of 2-aryl-4,5-diphenyl-1*H*-imidazoles (0.05 mol) and ACBG (5 g) were dissolved in dioxane (10 ml) at 100°C and kept at this temperature for 4 h. The process of reaction was monitored by TLC. The solvent was removed under reduced pressure to produce 2-aryl-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazoles in a good yield.

2,4,5-Triphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2a. Yield 75 %, $[\alpha]_D^{30} = -8.20$ (c, 0.1, DMSO), $R_f = 0.25$. Found: C 67.10, H 5.46, N 4.47 %. $C_{35}H_{34}N_2O_9$ (626.65) requires C 67.08, H 5.47, N 4.47 %. FT-IR $\nu_{\max}$ cm⁻¹: 2962.3 (Ar-CH), 2790 (glucosidic CH), 1657 (C=C), 1576.2 (C=N), ¹H NMR δ_H ppm: 2.06, 1.94, 1.96, 2.02 (s, 3H, COCH₃), 6.5 (d, 1H, anomeric proton), 6.8–8.2 (m, 15H, Ar-H).

2-(4-Chlorophenyl)-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2b. Yield 68 %, $[\alpha]_D^{30} = -7.10$ (c, 0.1, DMSO), $R_f = 0.28$. Found: C 63.60, H 5.05, N 4.26 %. $C_{35}H_{33}ClN_2O_9$ (661.1) requires C 63.59, H 5.03, Cl 5.36, N 4.24 %. FT-IR $\nu_{\max}$ cm⁻¹: 2955.5 (Ar-CH), 2788 (glucosidic CH), 1657 (C=C), 1568.2 (C=N), ¹H NMR δ_H ppm: 2.06, 1.98, 1.96, 2.04 (s, 3H) (COCH₃), 6.2 (d, 1H, anomeric proton), 6.4–7.2 (m, 14H, Ar-H).

2-(4-Methoxyphenyl)-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2c. Yield 74 %, $[\alpha]_D^{30} = -11.65$ (c, 0.1, DMSO), $R_f = 0.35$. Found: C 65.85, H 5.55, N 4.26 %. $C_{36}H_{36}N_2O_{10}$ (656.58) requires C 65.84, H 5.53, N 4.27 %. FT-IR $\nu_{\max}$ cm⁻¹: 2968.4 (Ar-CH), 2792 (glucosidic CH), 1655 (C=C), 1570.2 (C=N). ¹H NMR δ_H ppm: 2.00, 1.98, 1.97, 2.05 (s, 3H, COCH₃), 3.8 (s, OCH₃), 6.4 (d, 1H, anomeric proton), 6.5–7.8 (m, 14H, Ar-H).

2-(3-Nitrophenyl)-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2d. Yield 80 %, $[\alpha]_D^{30} = -8.30$ (c, 0.1, DMSO), $R_f = 0.30$. Found: C 65.59, H 4.95, N 6.27 %. $C_{35}H_{33}N_3O_{11}$ (671.65) requires C 65.59, H 4.95, N 6.26 %. FT-IR $\nu_{\max}$ cm⁻¹: 2980.5 (Ar-CH), 2767 (glucosidic CH), 1651 (C=C), 1575.0 (C=N). ¹H NMR δ_H ppm: 2.00, 2.02, 1.95, 2.00 (s, 3H, COCH₃), 6.2 (d, 1H, anomeric proton), 6.4–7.7 (m, 14H, Ar-H).

2-(3,4,5-Trimethoxyphenyl)-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2e. Yield 72 %, $[\alpha]_D^{30} = -14.15$ (c, 0.1, DMSO), $R_f = 0.34$. Found: C 63.69, H 5.62, N 3.92 %. $C_{38}H_{40}N_2O_{12}$ (716.73) requires C 63.68, H 5.63, N 3.91 %. FT-IR $\nu_{\max}$ cm⁻¹: 2975.4 (Ar-CH), 2772 (glucosidic CH), 1648 (C=C), 1569.0 (C=N). ¹H NMR δ_H ppm: 2.00, 2.01, 1.97, 2.04 (s, 3H, COCH₃), 3.3–3.9 (m, 9H, OCH₃), 6.0 (d, 1H, anomeric proton), 6.2–7.6 (m, 14H, Ar-H).

2-(4-Fluorophenyl)-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2f. Yield 76 %, $[\alpha]_D^{30} = -6.23$ (c, 0.1, DMSO), $R_f = 0.30$. Found: C 65.20, H 5.16, N 4.95 %. $C_{35}H_{32}FN_2O_9$ (644.64) requires C 65.21, H 5.16, F 2.95, N 4.35 %. FT-IR $\nu_{\max}$ cm⁻¹: 2982.2 (Ar-CH), 2780 (glucosidic CH), 1650 (C=C), 1570.0 (C=N). ¹H NMR δ_H ppm: 1.95, 2.03, 1.98, 2.04 (s, 3H, COCH₃), 5.9 (d, 1H, anomeric proton), 6.4–7.8 (m, 14H, Ar-H).

2-(Furan-2-yl)-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazole, 2g. Yield 75 %, $[\alpha]_D^{30} = -6.44$ (c, 0.1, DMSO), $R_f = 0.25$. Found: C 64.28, H 5.23, N 4.55 %. $C_{33}H_{32}N_2O_9$ (616.61) requires C 64.28, H 5.23, N 4.54 %. FT-IR $\nu_{\max}$ cm⁻¹: 2976.3 (Ar-CH), 2768 (glucosidic CH), 1646 (C=C), 1568.0 (C=N). ¹H NMR δ_H ppm: 1.98, 2.01, 1.97, 2.03 (s, 3H, COCH₃), 6.4 (d, 1H, anomeric proton), 6.5–7.7 (m, 13H, Ar-H).

General procedure for the preparation of 2-aryl-4,5-diphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazoles, 3a-g. A solution of 2-aryl-4,5-diphenyl-1-(*N*-β-*D*-2,3,4,6-tetra-*O*-acetylglucopyranosyl)imidazoles (2 g) in 25 ml of dry methanol was added to 1.5 ml of 5 % CH₃ONa solution. The reaction mixture was kept at room temperature for additional 24 hrs. It was then neutralized with ion-exchange resin (Amberlite IR 120, s.d. fine, H⁺ form) filtered and concentrated in vacuum to afford viscous, strongly hygroscopic product's form. The residue was purified by silica gel chromatography (CHCl₃, MeOH, 12:1 v/v) to get the titled compound.

2,4,5-Triphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazole, 3a. Yield 62 %, semi-solid, $[\alpha]_D^{30} = -20.21$ (c, 0.1, DMSO), $R_f = 0.10$. Found: C 70.73, H 5.72, N 6.10 %. $C_{27}H_{26}N_2O_5$ (458.51) requires C 70.73, H 5.72, N 6.11 %. m/z (EI-MS): 458 (10 %), 295 (100 %), 118 (3 %), 78 (2 %). FT-IR $\nu_{\max}$ cm⁻¹: 3403.9 (intramolecular -OH, broad, stretch.), 2960.2 (aromatic str.), 1611.7 (C=N), 1248.0 (C-N). ¹H NMR δ_H ppm: 3.9 (1H, 2'H), 3.2 (dd, 1H, 3'H), 3.6 (1H, 4'H), 3.4 (1H, 5'H), 5.9 (d, 1H, $J_{1,2} = 8.1$ Hz, 1'H, anomeric proton), 6.2–7.5 (H, Ar-H). ¹³C NMR δ_C ppm: 155, 146.7, 145.3, 140.4, 135.0, 134.2, 133.2, 132.0, 129.0, 128.8, 128.5, 128.2, 128.0, 127.4, 127.0, 126.8, 126.6, 126.0, 125.8, 125.0, 124.0, 104.04, 80.0, 75.4, 73.5, 72.4, 65.8.

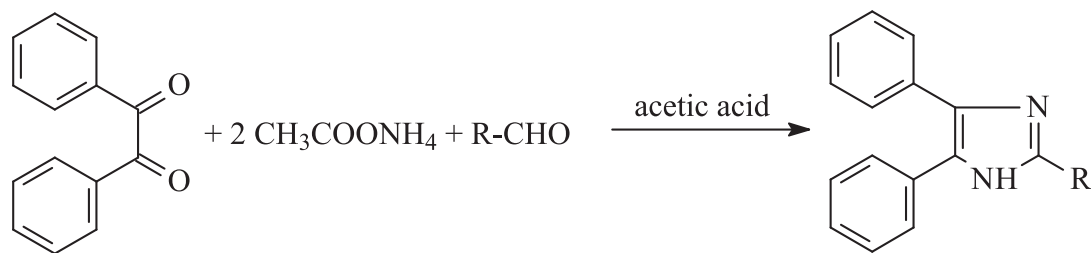
2-(4-Chlorophenyl)-4,5-diphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazole, 3b. Yield 65 %, $[\alpha]_D^{30} = -19.67$ (c, 0.1, DMSO), $R_f = 0.12$. Found: C 65.79, H 5.12, N 5.69 %. $C_{27}H_{26}N_2O_5$ (492.15) requires C 65.79, H 5.11, Cl 7.19, N 5.68 %. m/z (EI-MS): 492 (8 %, base peak), 330 (28 %), 180 (31 %), 151 (12 %), 112 (14 %), 77 (15 %). FT-IR $\nu_{\max}$ cm⁻¹: 3414.5 (intramolecular -OH, broad, stretch.), 2965.0 (aromatic str.), 1620.2 (C=N), 1250.5 (C-N). ¹H NMR δ_H ppm: 3.2 (1H, 2'H), 3.3 (dd, 1H, 3'H), 3.6 (1H, 4'H), 3.5 (1H, 5'H), 6.3 (d, 1H, $J_{1,2} = 8.0$ Hz, 1'H, anomeric proton), 6.5–7.8 (H, Ar-H). ¹³C NMR δ_C ppm: 154, 150.4, 148.8, 145.2, 140.2, 138.0, 136.0, 135.5, 134.1, 133.0, 129.5, 129.0, 128.8, 128.5, 127.8, 127.0, 126.9, 126.2, 125.6, 125.3, 125.0, 124.0, 102.05, 84.0, 76.2, 75.0, 65.0.

2-(4-Methoxyphenyl)-4,5-diphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazole, 3c. Yield 68 %, $[\alpha]_D^{30} = -29.21$ (c, 0.1, DMSO), $R_f = 0.14$. Found: C 68.85, H 5.80, N 5.75 %. $C_{28}H_{28}N_2O_6$ (488.53) requires C 68.84, H 5.78, N 5.73 %. m/z (EI-MS): 489 (30 %, M⁺), 325 (100 %, base peak), 295 (24 %), 178 (15 %), 78 (12 %). FT-IR $\nu_{\max}$ cm⁻¹: 3438.2 (intramolecular -OH, broad, stretch.), 2954.1 (aromatic str.), 1626.0 (C=N), 1245.2 (C-N). ¹H NMR δ_H ppm: 3.0 (1H, 2'H), 3.4 (dd, 1H, 3'H), 3.2 (1H, 4'H), 3.6 (1H, 5'H), 4.0 (s, OCH₃), 5.9 (d, 1H, $J_{1,2} = 7.8$ Hz, 1'H, anomeric proton), 6.0–7.5 (H, Ar-H). ¹³C NMR δ_C ppm: 154, 152.4, 147.3, 146.2, 144.0, 139.0, 137.5, 136.5, 135.3, 133.2, 130.2, 129.4, 129.0, 128.3, 127.8, 127.2, 126.0, 125.4, 125.0, 124.8, 124.4, 104.2, 90.2, 85.0, 76.0, 75.8, 65.2, 59.2.

2-(3-Nitrophenyl)-4,5-diphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazole, 3d. Yield 64 %, $[\alpha]_D^{30} = -12.56$ (c, 0.1, DMSO), $R_f = 0.12$. Found: C 64.40, H 4.99, N 8.35 %. $C_{27}H_{25}N_3O_7$ (503.5) requires C 64.41, H 5.00, N 8.35 %. m/z (EI-MS): 504 (33 %, M), 340 (100 %, base peak), 218 (29 %), 180 (18%), 122 (8 %), 79 (10 %). FT-IR $\nu_{\max}$ cm⁻¹: 3412.8 (intramolecular -OH, broad, stretch.), 2952.2 (aromatic str.), 1622.2 (C=N), 1243.1 (C-N). ¹H NMR δ_H ppm: 3.2 (1H, 2'H), 3.3 (dd, 1H, 3'H), 3.2 (1H, 4'H), 3.5 (1H, 5'H), 6.1 (d, 1H, $J_{1,2} = 8.2$ Hz, 1'H, anomeric proton), 6.4–7.8 (H, Ar-H). ¹³C NMR δ_C ppm: 156, 150.1, 144.0, 140.2, 139.1, 138.5, 137.0, 135.0, 133.6, 130.2, 129.0, 128.6, 127.4, 127.0, 126.2, 125.8, 125.1, 124.9, 124.5, 101.4, 92.1, 87.0, 85.2, 76.3, 75.4, 65.4.

2-(3,4,5-Trimethoxyphenyl)-4,5-diphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazole, 3e. Yield 62 %, $[\alpha]_D^{30} = -16.30$ (c, 0.1, DMSO), $R_f = 0.14$. Found: C 65.70, H 5.89, N 5.12 %. $C_{30}H_{32}N_2O_8$ (548.58) requires C 65.68, H 5.88, N 5.11 %. m/z (EI-MS): 550 (12 %, M), 385 (100%, base peak), 218 (19 %), 178 (21 %), 167 (11 %), 77 (18 %). FT-IR $\nu_{\max}$ cm⁻¹: 3410.2 (intramolecular -OH, broad, stretch.), 2954.0 (aromatic str.), 1625.4 (C=N), 1244.4 (C-N). ¹H NMR δ_H ppm: 3.2 (1H, 2'H), 3.5 (dd, 1H, 3'H), 3.6 (1H, 4'H), 3.5 (1H, 5'H), 6.4 (d, 1H, $J_{1,2} = 8.0$ Hz, 1'H, anomeric proton), 6.6–7.9 (H, Ar-H). ¹³C NMR δ_C ppm: 153, 151.1, 151.0, 139.3, 138.4, 137.2, 136.0, 135.7, 134.3, 131.8, 130.8, 130.2, 129.2, 128.4, 127.4, 127.1, 126.3, 125.6, 125.0, 124.2, 124.0, 104.1, 85.3, 76.0, 75.2, 65.0, 57.8, 57.0, 56.0.

2-(4-Fluorophenyl)-4,5-diphenyl-1-(*N*-β-*D*-glucopyranosyl)imidazole, 3f. Yield 78 %, $[\alpha]_D^{30} = -18.13$ (c, 0.1, DMSO), $R_f = 0.12$. Found: C 68.06, H 5.29, N 5.89 %. $C_{27}H_{25}FN_2O_5$ (576.50) requires C 68.06, H 5.29, F 3.99, N 5.88 %. m/z (EI-MS): 476 (100 %, M, base peak), 314 (35 %), 180 (26 %), 137 (12 %), 78 (15 %). FT-IR $\nu_{\max}$ cm⁻¹: 3434.0 (intramolecular -OH, broad, stretch.),



Scheme 1.

2948.8 (aromatic str.), 1635.3 (C=N), 1239.8 (C-N). ^1H NMR δ_{H} ppm: 3.2 (1H, 2'H), 3.0 (dd, 1H, 3'H), 3.4 (1H, 4'H), 3.5 (1H, 5'H). 6.1 (d, 1H, $J_{1,2} = 8.5$ Hz, 1'H, anomeric proton), 6.2-7.7 (H, Ar-H). ^{13}C NMR δ_{C} ppm: 163, 152.1, 141.0, 140.2, 138.0, 137.3, 135.3, 135.8, 134.2, 130.7, 130.6, 130.0, 129.2, 128.2, 127.8, 127.0, 126.2, 125.7, 125.2, 124.8, 123.0, 103.0, 85.0, 76.0, 75.4, 65.3.

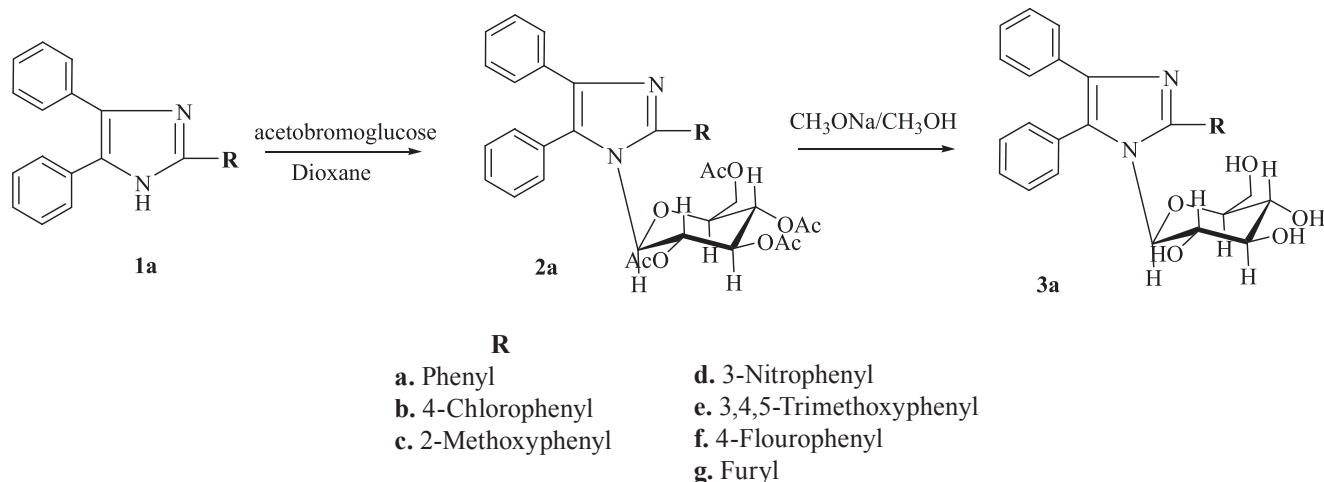
2-(Furan-2-yl)-4,5-diphenyl-1-(*N*- β -D-glucopyranosyl)-imidazole, **3g**. Yield 65 %, $[\alpha]_{\text{D}}^{30} = -8.10$ (c, 0.1, DMSO), $R_{\text{f}} = 0.12$. Found: C 66.96, H 5.39, N 6.25 %. $\text{C}_{25}\text{H}_{26}\text{N}_2\text{O}_6$ (448.47) requires C 66.95, H 5.39, N 6.25 %. m/z (EI-MS): 448 (11 %, M), 285 (100 %, base peak), 178 (45 %), 107 (18 %), 78 (10 %), 67 (16 %). FT-IR ν_{max} cm^{-1} : 3411.3 (intramolecular -OH, broad, stretch.), 2934.1 (aromatic str.), 1632.0 (C=N), 1240.2 (C-N). ^1H NMR δ_{H} ppm: 3.2 (1H, 2'H), 3.1 (dd, 1H, 3'H), 3.6 (1H, 4'H), 3.5 (1H, 5'H), 6.2 (d, 1H, $J_{1,2} = 8.4$ Hz, 1'H, anomeric proton), 6.4-7.9 (H, Ar-H). ^{13}C NMR δ_{C} ppm: 154, 144.0, 140.2, 138.1, 133.3, 132.0, 131.8, 131.0, 130.7, 130.4, 130.0, 129.1, 128.1, 127.8, 127.4, 126.0, 125.6, 125.3, 124.0, 123.4, 121.2, 104.0, 85.3, 77.0, 75.4, 65.3.

Results and Discussion

Our research envisage starts from the synthesis of aglycons, *i.e.* 2-aryl-4,5-diphenyl-1*H*-imidazoles, which were prepared following Radiszewski method by condensation of benzil, substituted-aldehyde and ammonium acetate in the acetic acid medium^[21] (Scheme 1). The series of these aglycons was prepared by changing substituents at position 2 (**1a-g**). The glucosylation was carried out using the known method.^[22]

N-Glucosylation proceeds similarly to *O*-glucosylation. Acetobromoglucose (ACBG) was used as a glucosyl donor for *N*-glucosylation. 2-Aryl-4,5-diphenyl-1*H*-imidazoles (**1a-g**) were allowed to condensed with acetobromoglucose in dioxane medium under reflux to afford 2-aryl-4,5-diphenyl-1-(*N*- β -D-2,3,4,6-tetra-*O*-acetylglucopyranosyl)-

imidazoles (**2a-g**) (Scheme 2). IR spectrum of **2a** shows the following characteristic bands: 2962.3-3000, 1657 (C=C), 1576.2 (C=N, str.). ^1H NMR: 2.04, 1.94, 1.98, 2.01 (s, 3H, COCH_3), 5.80 (d, 1H, anomeric proton), 6.2-7.8 (m, 15H, Ar-H). These data indicate the presence of glucosyl group and absence of the secondary amine group, what confirms the formation of *N*-glucoside. The further deacetylation by sodium methoxide in methanol gives 2-aryl-4,5-diphenyl-1-(*N*- β -D-glucopyranosyl)imidazoles (**3a-g**), the formation of which is confirmed by IR spectroscopy. Thus, a broad band at 3403.9 cm^{-1} (intramolecular -OH, broad, stretch.) indicates the presence of carbohydrate's hydroxyl groups; as well as 1611.7 (C=N), 1248.0 (C-N) bands and a band of β -D-glucopyranosyl ring observed at 1029.3 cm^{-1} are associated with *N*-glucoside. ^1H NMR spectrum reveals the sugar proton signals between 2.30 to 4.38 ppm. The β -anomeric configuration was established by the appearance of the doublet at δ 5.9 ppm. Aromatic ring protons were observed between 6.95 to 8.06 ppm. In ^{13}C NMR spectrum of **3a** the sugar C-1 atom consistently with 1,2-*trans* diaxial configuration gives the resonance signal near 104.04 ppm, *i.e.* downfield from signals of another glucosyl carbons appearing from 55.27 to 79.14 ppm. The resonance signals of the aromatic carbons (C-1 to C-18) and imidazole carbons are observed at 125.0 - 135.0 ppm and 135.0 - 155.0 ppm regions, correspondingly. In the mass spectra the protonated molecular ion peak as well as other important fragmentation peaks with their relative abundance are appeared at 458 (M, 10%), 295 (base peak, 100 %), 118 (3 %), 78 (2 %). The compounds are semi-solid in nature. Due to the neighboring group participation only the β -form is obtained.



Scheme 2.

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