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Synthesis of some new 1-*N*- (β -D-glucopyranosyl)-2-((1-phenyl-5-aryl)-pyrazol-3-yl) pyrroles and their biological activities

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ABSTRACT

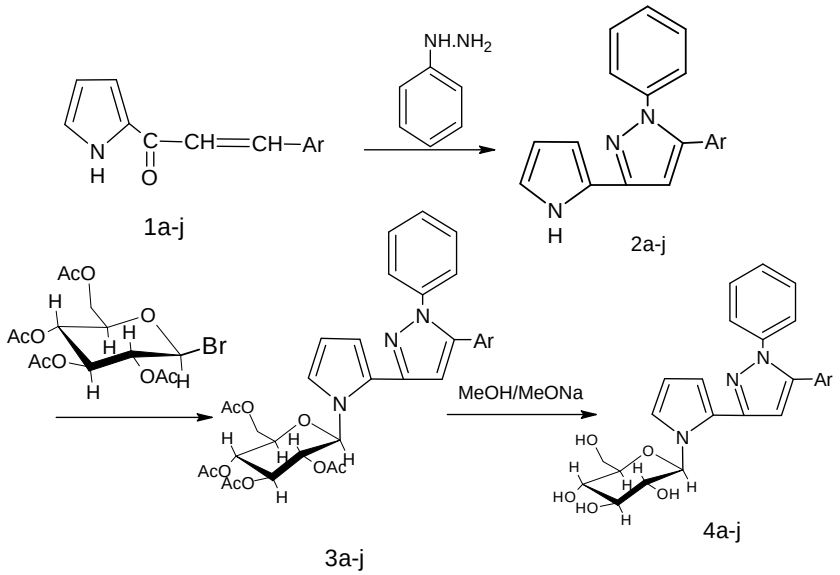
*2-acetyl pyrrole undergoes condensation with aromatic aldehydes to yield 2-((3-aryl) propan-1-one) pyrroles **1**, which on cyclization with phenyl hydrazine gives 2-((1-phenyl, 5-aryl)-pyrazol-3-yl) pyrroles **2**. Reaction of 2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl bromide with these 2-((1-phenyl, 5-aryl)-pyrazol-3-yl) pyrroles afford 1-N- (2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl)-2-((1-phenyl, 5-aryl)-1H-pyrazol-3-yl) pyrroles **3,** which on deacetylation gives 1-N- (β-D-glucopyranosyl)-2-((1-phenyl, 5-aryl)-1H-pyrazol-3-yl) pyrroles **4**. The structures of synthesized products have been characterized on the basis of FT-IR, ^{1}HNMR, FAB-MS, optical activity and elemental analysis. The title compounds are found to have antibacterial and antifungal activities.*

Keywords: Pyrrole, dioxane, pyrazole, β-D-glucopyranose.

INTRODUCTION

Pyrroles and their derivatives exhibit different important biological activities like antibacterial, antioxidant, cytotoxic, insecticidal, anti-inflammatory, anticoagulant, antiallergic, antiarhythmic, hypotensive and anticonvulsant [1-7] etc. Pyrazole derivatives possess wide range of pharmacological activities like antioxidant, antiinvasive, antivitral, antipyretic, anti-inflammatory, antidepressant, and blood pressure lowering[8] etc. Pyrazoles are also used as agrochemicals, dyestuff's in sunscreen materials [9-10] etc. Carbohydrate containing structure exhibits a variety of biological and therapeutic properties. Another large group of carbohydrate containing therapeutics are the nucleosides analogs [11-12]. These compounds have wide range of biological activities, including antibacterial, antifungal, antiviral and antitumor activities. The naturally occurring compounds, synthetic nucleosides and nucleosides analogs have also gained

importance as antiviral and antitumor agents. As a result, the formation of the glucoside linkage continues to be a dominant theme in the carbohydrate chemistry [13- 16].



Compd	*Ar*
1-4a	*Phenyl*
b	*2-hydroxy phenyl*
c	*3-hydroxy phenyl*
d	*4-hydroxy phenyl*
e	*2-nitro phenyl*
f	*3-nitro phenyl*
g	*4-nitro phenyl*
h	*2-chloro phenyl*
i	*3-chloro phenyl*
j	*4-methoxy phenyl*

Scheme-I

EXPERIMENTAL SECTION

General Methods

Melting points were determined in open glass capillaries and are uncorrected. Optical rotations were measured at 27^0C.Elemental analysis were determined using the Perkin Elmer 2400 C H N analyzer. FT-IR spectra were recorded using (KBr) disc on Perkin-Elmer spectrum Rx-I spectrometer. ^{1}H NMR were recorded on Brucker AC-300 F (300MHz) NMR spectrometer by using DMSO and $CDCl_3$ as solvent and tetramethylsilane as an internal standard. Mass spectra were recorded on 70-S Mass spectrometer using m-nitro benzyl alcohol (NBA) matrix. Optical activity and chemical properties of the synthesized products was studied. Purity of the compounds was checked on silica gel G-plates using iodine vapour as visualizing agent.

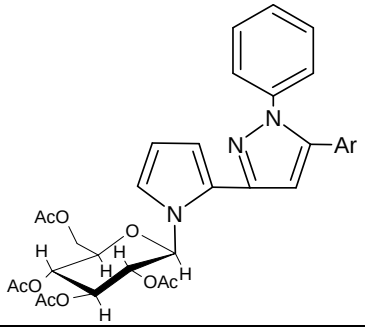
Synthesis of 2-((1, 5-diphenyl)-pyrazol-3-yl) pyrrle 2a

A mixture of 2-((3-phenyl) propan-1-one) pyrrole **2a** (0.01mol) and phenyl hydrazine (0.03mol), KOH (1.6 g) in 20 mL ethanol was refluxed for 8 hr. The contents were evaporated to dryness

and the product so obtained was washed with water repeatedly and then recrystallized from ethanol. Yield 65%, M.Pt.162°C:

Brominating reagent: Glacial acetic acid (30 mL) was taken in a 100 mL conical flask and to it molecular bromine (7 mL) was added gradually with constant shaking and cooling. The resultant mixture was allowed to stand at room temperature for about 15 minutes. It was then filtered through the glass wool.

Table 2. Characterization data of newly synthesized compounds 3a-j.

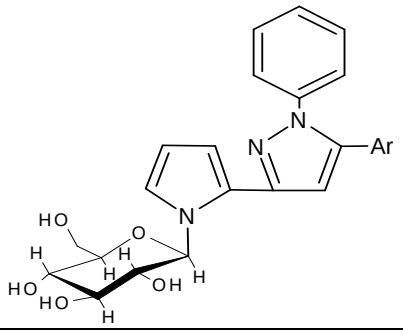


				$[\alpha]^{27}_{D\,(\,)}{}^{0}$		Analysis formula (calcd)% (obs)		
						C	H	N
3a	C_6H_5	$C_{29}H_{33}O_9N_3$	178	-52.8	55	61.37 (61.32)	5.82 (5.80)	7.40 (7.35)
3b	2-OHC_6H_4	$C_{29}H_{33}O_{10}N_3$	197	-33.6	97	59.69 (59.64)	5.66 (5.63)	7.20 (7.18)
3c	3-OHC_6H_4	$C_{29}H_{33}O_{10}N_3$	158	-51.3	51	59.69 (59.64)	5.66 (5.63)	7.20 (7.18)
3d	4-OHC_6H_4	$C_{29}H_{33}O_{10}N_3$	148	-42.4	78	59.69 (59.64)	5.66 (5.63)	7.20 (7.18)
3e	2-$NO_2C_6H_4$	$C_{29}H_{32}O_{11}N_4$	161	-46.3	68	56.86 (56.84)	5.22 (5.20)	9.15 (9.12)
3f	2-$NO_2C_6H_4$	$C_{29}H_{32}O_{11}N_4$	169	-45.9	48	56.86 (56.84)	5.22 (5.20)	9.15 (9.12)
3g	2-$NO_2C_6H_4$	$C_{29}H_{32}O_{11}N_4$	163	-48.5	66	56.86 (56.84)	5.22 (5.20)	9.15 (9.12)
3h	2-ClC_6H_4	$C_{29}H_{32}O_9N_3Cl$	203	-39.5	51	57.86 (57.84)	5.32 (5.32)	6.98 (6.95)
3i	2-ClC_6H_4	$C_{29}H_{32}O_9N_3Cl$	193	-58.3	68	57.86 (57.84)	5.32 (5.32)	6.98 (6.95)
3j	4-$OCH_3C_6H_4$	$C_{30}H_{35}O_{10}N_3$	183	-48.2	68	60.30 (60.27)	5.86 (5.83)	7.03 (7.01)

2, 3, 4, 6- Tetra- *O*- acetyl- α- D- glucopyranosyl bromide. The finely powered glucose pentaacetate (21.6 g) was added to the brominating reagent. After the addition, the content of the flask were kept at room temperature for 2 hours. The reaction mixture was then mixed with chloroform (30 mL) and it was shaken vigorously for about 15 minutes. The resultant mixture was then poured into ice-cold water. The chloroform layer was separated out. It was washed several times with aqueous sodium bicarbonate to remove excess of the perchloric acid followed by the sodium metabisulphite to remove excess of bromine and finally 2-3 times with water. The

chloroform layer was then dried over anhydrous calcium chloride. Afterwards, the solvent was removed through vacuum distillation. The viscous oily liquid obtained after distillation was triturated several times with petroleum ether affords tetra-*O*-acetyl –α-D-glucopyranosyl bromide as a solid. It was crystallized from diethyl ether, yield 15g, mp 88^0C, $[\alpha]^{20}_D$ = +197.

Table 3. Characterization data of newly synthesized compounds 4a-j.



				$[\alpha]^{27}_{D(^0)}$		Analysis formula (calcd)% (obs)		
						C	H	N
4a	C_6H_5	$C_{25}H_{25}O_5N_3$	151	-42.0	58	67.11 (67.04)	5.59 (5.45)	9.39 (9.34)
4b	2-OHC_6H_4	$C_{25}H_{25}O_6N_3$	190	-53.3	67	64.79 (64.74)	5.39 (5.20)	9.07 (9.06)
4c	3-OHC_6H_4	$C_{25}H_{25}O_6N_3$	194	-38.7	48	64.79 (64.74)	5.39 (5.20)	9.07 (9.06)
4d	4-OHC_6H_4	$C_{25}H_{25}O_6N_3$	188	-45.1	58	64.79 (64.74)	5.39 (5.20)	9.07 (9.06)
4e	2-$NO_2C_6H_4$	$C_{25}H_{24}O_7N_4$	169	36.4	54	60.97 (60.96)	4.87 (4.86)	11.38 (11.32)
4f	2-$NO_2C_6H_4$	$C_{25}H_{24}O_7N_4$	163	-50.0	60	60.97 (60.96)	4.87 (4.86)	11.38 (11.32)
4g	2-$NO_2C_6H_4$	$C_{25}H_{24}O_7N_4$	161	-42.5	72	60.97 (60.96)	4.87 (4.86)	11.38 (11.32)
4h	2-ClC_6H_4	$C_{25}H_{24}O_5N_3Cl$	184	-38.4	61	62.31 (62.30)	4.98 (4.94)	8.72 (8.71)
4i	2-ClC_6H_4	$C_{25}H_{24}O_5N_3Cl$	180	-53.7	55	62.31 (62.30)	4.98 (4.94)	8.72 (8.71)
4j	4-$OCH_3C_6H_4$	$C_{26}H_{27}O_6N_3$	173	-39.2	69	65.40 (65.36)	5.66 (5.63)	8.80 (8.74)

1- *N*- (2, 3, 4, 6- tetra- O- acetyl- β- D- glucopyranosyl)- 2-((1, 5- diphenyl)- pyrazol- 3- yl) pyrroles 3a. 2-((1, 5-diphenyl)-pyrazol-3-yl) pyrrole **2a** (0.02 mole) and α-D-2, 3, 4, 6-tetra-*O*-acetyl glucopyranosyl bromide (0.02 mole) were dissolved in dry dioxane (40 mL) at 100^0C and kept at this temperature for 4 hours. The progress of reaction is monitored by TLC. The solvent was removed under reduced pressure. The resulting brown syrup was dissolved in MeOH-$CHCl_3$, (1:4) and chromatographed on silica gel eluting with 10% methanol in chloroform. The brown mass of 1-*N*- (2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl)-2-((1, 5-diphenyl)- pyrazol-3-yl) pyrrole **3a** was obtained.

Spectral Data of Newly Prepared Compounds 3a

3a. IR (KBr); 2954.7 (CH-glycone), 2891.1 (CH- pyrrole), 1614.3 (C=O of OAc), 1510.2 (C=N), 1444.6(Ar-H); ^{1}HNMR (300 MHz DMSO) δ7.47 (4H, m, CH-glycone), 7.24 (3H, m, CH-pyrrole), 2.42 (12H, m, OAc), 5.43 (10H, m, Ar-H).

3b. IR (KBr); 2944.8 (CH-glycone), 2834.0 (CH- pyrrole), 1611.9(OAc), 1533.6 (C=N), 1433.8 (Ar-H); ^{1}HNMR (300 MHz DMSO) δ 7.33 (4H, m, CH-glycone), 7.22 (3H, m, CH-pyrrole), 2.12 (12H, m, OAc), 5.49 (10H, m, Ar-H).

3c. IR (KBr); 2900.6 (CH-glycone), 2855.0 (CH- pyrrole), 1622.6(OAc), 1532.7 (C=N), 1466.9(Ar-H); ^{1}HNMR (300 MHz DMSO) δ7.55 (4H, m, CH-glycone), 7.32 (3H, m, CH-pyrrole), 2.20 (12H, m, OAc), 5.43 (10H, m, Ar-H).

3d. IR (KBr); 2944.0 (CH-glycone), 2897.6 (CH- pyrrole), 1633.1 (OAc), 1533.9 (C=N), 1467.0(Ar-H); ^{1}HNMR (300 MHz DMSO) δ 7.37(4H, m, CH-glycone), 7.27 (3H, m, CH-pyrrole), 2.33 (12H, m, OAc), 5.57 (10H, m, Ar-H).

3e. IR (KBr); 2977.2 (CH-glycone), 2874.0 (CH- pyrrole), 1611.6 (OAc), 1562.0 (C=N), 1433.9(Ar-H); ^{1}HNMR (300 MHz DMSO) δ 7.55(4H, m, CH-glycone), 7.12 (3H, m, CH-pyrrole), 2.27 (12H, m, OAc), 5.59 (10H, m, Ar-H).

3f. IR (KBr); 2927.3 (CH-glycone), 2859.6 (CH- pyrrole), 1660.0 (OAc), 1523.7 (C=N), 1434.9(Ar-H); ^{1}HNMR (300 MHz DMSO) δ 7.77(4H, m, CH-glycone), 7.25 (3H, m, CH-pyrrole), 2.28 (12H, m, OAc), 5.23 (10H, m, Ar-H).

3g. IR (KBr); 2944.8 (CH-glycone), 2856.8 (CH- pyrrole), 1616.9 (OAc), 1519.0 (C=N), 1464.4(Ar-H); ^{1}HNMR (300 MHz DMSO) δ 7.45 (4H, m, CH-glycone), 7.43 (3H, m, CH-pyrrole), 2.44 (12H, m, OAc), 5.47 (10H, m, Ar-H).

3h. IR (KBr); 2955.5 (CH-glycone), 2855.3 (CH- pyrrole), 1614.3 (OAc), 1510.2 (C=N), 1444.6(Ar-H); ^{1}HNMR (300 MHz DMSO) δ 7.48(4H, m, CH-glycone), 7.65 (3H, m, CH-pyrrole), 2.21 (12H, m, OAc), 5.21 (10H, m, Ar-H).

3i. IR (KBr); 2954.7 (CH-glycone), 2891.1 (CH- pyrrole), 1614.3 (OAc), 1510.2 (C=N), 1444.6(Ar-H). ^{1}HNMR (300 MHz DMSO) δ 7.57(4H, m, CH-glycone), 7.33 (3H, m, CH-pyrrole), 2.57 (12H, m, OAc), 5.58 (10H, m, Ar-H).

3j. IR (KBr); 2954.7 (CH-glycone), 2891.1 (CH- pyrrole), 1614.3 (OAc), 1510.2 (C=N), 1444.6(Ar-H). ^{1}HNMR (300 MHz DMSO) δ 7.45(4H, m, CH-glycone), 7.29 (3H, m, CH-pyrrole), 2.33 (12H, m, OAc), 5.55 (10H, m, Ar-H).

1- *N*- (β- D- glucopyranosyl)- 2- ((1, 5- diphenyl)- pyrazol- 3- yl) pyrrole 4a. To a solution of 1-N- (2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl)-2-((1, 5-diphenyl)- pyrazol-3-yl) pyrrole **3a** (0.002 mole) in 25 mL of dry methanol was added freshly prepared 5% sodium methoxide (1.5 mL) solution and the mixture was kept at room temperature for 24 hour. The reaction mixture was neutralized with ion-exchange resin (Amberlite IR120, Sdfine H^+ form), filtered and

concentrated in vacuo to afford viscous, strongly hygroscopic brown syrup.

Spectral Data of Newly Prepared Compounds 4a-j.

4a. IR (KBr); 3406.1 (OH-glycone), 2929.7 (CH-glycone), 2856.4 (CH-pyrrole), 1691.5 (C=N-pyrazole), 1448.4 (Ar-H); ^{1}H NMR (300MHz, DMSO-d_6) δ 7.95 (4H, m, OH-glycone), 7.03 (4H, m, CH-glycone), 6.87 (3H, m, CH-pyrrole). ^{13} C -NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c162.80 (C-2); 160.91 (C-4), 130.4 (C-5), 163.28 (C-6), 108.82 (C-1$^{//}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47(C-2$^{//}$), 114.68 (C-3$^{//}$), 162.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$), 71.3 (C-4$^{//}$), 77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4b. IR (KBr); 3406 (OH-glycone), 2929.7 (CH-glycone), 2856.4(CH-pyrrole), 1691.5 (C=N-pyrazole), 1448.4 (Ar-H); ^{1}H NMR (300MHz, DMSO-d_6) δ 7.56 (4H, m, OH-glycone), 7.33 (4H, m, CH-glycone), 6.56 (3H, m, CH-pyrrole). ^{13} C -NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c144.11 (C-2); 153.21 (C-4), 123.1(C-5), 161.21 (C-6), 110.45 (C-1$^{//}$), 132.33(C-2$^{//}$), 92.11 (C-3$^{/}$), 132.12 (C-4$^{//}$), 122.33 (C-5$^{/}$), 105.22 (C-6$^{/}$), 112.01 (C-1$^{//}$), 121.22(C-2$^{//}$), 110.62 (C-3$^{//}$), 162.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.4 (C-6$^{//}$), 71.3(C-4$^{//}$), 77.6 (C-5$^{//}$), 70.3 (C-2$^{/}$), 76.0 (C-3$^{/}$), and 101.90 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$,60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4d. IR (KBr); 3406 (OH-glycone), 2929.7 (CH-glycone), 2856.4 (CH-pyrrole), 1691.5 (C=N-pyrazole), 1448.4 (Ar-H); ^{1}H NMR (300MHz, DMSO-d_6) δ 7.47 (4H, m, OH-glycone), 7.55 (4H, m, CH-glycone), 6.33 (3H, m, CH-pyrrole). ^{13} C –NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13}NMR spectrum of the product showed signals at δ_c162.80 (C-2)160.91 (C-4), 130.4 (C-5), 163.28 (C-6),108.82 (C-1$^{//}$), 154.55 (C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$),118.04(C-1$^{//}$),129.47(C-2$^{//}$),114.68(C-3$^{//}$),162.40 (C-4$^{//}$),114.68(C-5$^{//}$),129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$), 71.3 (C-4$^{//}$),77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+-$C_{25}H_{25}O_5N_3$,60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209 (M+- $C_{12}H_{11}N_3$,40%), 133 (M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4e. IR (KBr); 3406 (OH-glycone), 2929.7 (CH-glycone), 2856.4 (CH-pyrrole), 1691.5 (C=N-pyrazole), 1530.4(C-NO_2), 1448.4 (Ar-H); ^{1}H NMR (300MHz, DMSO-d_6) δ 7.48 (4H, m, OH-glycone), 7.99 (4H, m, CH-glycone), 6.77 (3H, m, CH-pyrrole). ^{13} C –NMR spectrum of the product was recorded using DMSO- d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c162.80 (C-2); 160.91 (C-4), 130.4 (C-5), 163.28 (C-6), 108.82 (C-1$^{//}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47 (C-2$^{//}$), 114.68 (C-3$^{//}$), 162.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$), 71.3 (C-4$^{//}$),77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447 (M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+-$C_7H_7N_3$,20%),78(M+-

C_6H_6,15%), 68(M+- C_4H_5N, 25).

4f. IR (KBr); 3406 (OH-glycone), 2929.7 (CH-glycone), 2856.4 (CH-pyrrole), 1691.5 (C=N-pyrazole), 1555.1(C-NO_2), 1448.4 (Ar-H); ^{1}H NMR (300MHz, DMSO-d_6) δ 7.88 (4H, m, OH-glycone), 7.45(4H, m, CH-glycone), 6.73 (3H, m, CH-pyrrole). ^{13}C -NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c162.80 (C-2); 160.91 (C-4), 130.4 (C-5), 163.28 (C-6), 108.82 (C-1$^{//}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04(C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47(C-2$^{//}$), 114.68 (C-3$^{//}$), 162.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5(C-6$^{//}$), 70.3 (C-6$^{//}$), 71.3 (C-4$^{//}$),77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2(C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4g. IR (KBr); 3406 (OH-glycone), 2929.7 (CH-glycone), 2856.4(CH-pyrrole), 1691.5 (C=N-pyrazole), 1522.7(C-NO_2), 1448.4 (Ar-H); ^{1}H NMR (300MHz, DMSO-d_6) δ 7.87 (4H, m, OH-glycone),7.44 (4H, m, CH-glycone), 6.28 (3H, m, CH-pyrrole). ^{13} C –NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c 162.80 (C-2); 160.91 (C-4), 130.4 (C-5), 163.28 (C-6), 108.82 (C-1$^{//}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47(C-2$^{//}$), 114.68 (C-3$^{//}$), 162.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$), 71.3 (C-4$^{//}$),77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%),133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4h. IR (KBr); 3406 (OH-glycone), 2929.7 (CH-glycone), 2856.4 (CH-pyrrole), 1691.5 (C=N-pyrazole), 1448.4 (Ar-H), 740(C-Cl); ^{1}H NMR (300MHz, DMSO-d_6) δ7.65 (4H, m, OH-glycone), 7.01 (4H, m, CH-glycone), 6.86 (3H, m, CH-pyrrole). ^{13} C -NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c162.80 (C-2); 160.91 (C-4), 130.4 (C- 5), 163.28 (C-6), 108.82 (C-1$^{//}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47(C-2$^{//}$), 114.68 (C-3$^{//}$), 162.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$), 71.3 (C-$^{//}$), 77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4i. IR (KBr); 3447.2 (OH-glycone), 2966.0 (CH-glycone), 2826.8 (CH-pyrrole), 1645.7 (C=N-pyrazole), 1457.8 (Ar-H), 734(C-Cl). ^{1}H NMR (300MHz, DMSO-d_6) δ7.44 (4H, m, OH-glycone), 7.10 (4H, m, CH-glycone), 6.67 (3H, m, CH-pyrrole). ^{13} C -NMR spectrum of the product was recorded using DMSO-d6 solvent. The ^{13} C -NMR spectrum of the product showed signals at δ_c162.80 (C-2); 160.91 (C-4), 130.4 (C-5), 163.28 (C-6), 108.82 (C-1$^{//}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54(C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47(C-2$^{//}$), 114.68 (C-3$^{//}$), 62.40 (C-4$^{//}$), 114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$),71.3(C-4$^{//}$), 77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$), and 101.99 (C-1$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

4j. IR (KBr); 3420 (OH-glycone), 2911.3 (CH-glycone), 2814.4 (CH-pyrrole), 1631.6 (C=N-pyrazole), 1448.4 (Ar-H). ^{1}H NMR (300MHz, DMSO-d_6) δ 7.00 (4H, m, OH-glycone), 7.23(4H, m, CH-glycone), 6.51 (3H, m, CH-pyrrole). ^{13}C -NMR spectrum of the product was recorded using DMSO-d6 solvent. .The ^{13}C -NMR spectrum of the product showed signals at δ_c162.80 (C-2); 160.91 (C-4), 130.4 (C-5), 163.28 (C-6), 108.82 (C-1$^{/}$), 154.55(C-2$^{//}$), 98.0 (C-3$^{/}$), 144.04 (C-4$^{//}$), 130.54 (C-5$^{/}$), 115.41 (C-6$^{/}$), 118.04 (C-1$^{//}$), 129.47(C-2$^{//}$), 114.68 (C-3$^{//}$), 162.40 (C-4$^{//}$),114.68 (C-5$^{//}$), 129.5 (C-6$^{//}$), 70.3 (C-6$^{//}$),71.3 (C-4$^{//}$),77.6 (C-5$^{//}$), 71.7 (C-2$^{/}$), 75.2 (C-3$^{/}$). Mass Spectra (FAB), m/z; 447(M+- $C_{25}H_{25}O_5N_3$, 60%), 285(M+- $C_{19}H_{15}N_3$, 100%), 209(M+- $C_{12}H_{11}N_3$, 40%), 133(M+- $C_7H_7N_3$, 20%), 78(M+- C_6H_6, 15%), 68(M+- C_4H_5N, 25).

RESULTS AND DISCUSSION

In this paper, the synthesis of different substituted novel 1-*N*- (β -D-glucopyranosyl)-2-((1, 5-diphenyl)-pyrazol-3-yl) pyrrole **4a** by reaction of deacetylation of 1-*N*- (2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl)-2-((1, 5-diphenyl)- pyrazol-3-yl) pyrrole **3a.** The main motive behind the synthesis of these types of compound conations different moities was to examine their possible biological activity. In the light of biological and pharmacological activities of pyrrole, pyrazole and glucose it was planned to synthesize new compounds in series of **4a**. Compounds **1a** and **2a** was synthesized as per reported literature[17] and IR (KBr) show peak on 3143.8 (NH-pyrrole), 2808.2 (CH of pyrrole) 1645.2^{-1} (C=N), ^{1}HNMR (DMSO-d_6) show possible proton at 8.7 (1H, s, NH-pyrrole), 7.1 (Ar- H). Condensation of **2a** with 2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl bromide (glucosyl donar) in dry dioxane gave corresponding 1-*N*- (2, 3, 4, 6-tetra-O-acetyl- β -D-glucopyranosyl)-2-((1, 5-diphenyl)-pyrazol-3-yl) pyrroles **3a** The compound **3a**, a brown mass is immiscible in water and miscible in organic solvents benzene, chloroform and ethanol. Alcoholic solution of **3a** gave no colour with ferric chloride showing the absence of –OH group. The positive test for nitrogen, the compound shows positive hydroxamic acid test for esters and gave violet colouration indicating the presence of ester group. The compound was found to be optically active and the specific rotation $[\alpha]_D^{27}$ in chloroform was found to be –52.8^0, IR(KBr) spectra of **3a** show 2954.7 for CH-glycone moiety, 1614.3 for C=O of O-acetyl groups of glycone moiety,1510.2 for C=N-pyrazole 2891.1 of CH-pyrrole and absence of –NH peak indicate the glycosylation**.** ^{1}H NMR (300MHz, DMSO-d_6) of **3a** shows 2.42 of 4x CH_3 of 4OAc, 7.24 3xCH of pyrrole, and 7.47 4xCH for glycone. A careful deacetylation of **3a** with sodium methoxide in dry methanol gave1-*N*- (β -D-glucopyranosyl)-2-((1, 5-diphenyl)-pyrazol-3-yl) pyrroles **4a,**strongly hygroscopic title compound as brown syrup, readily miscible in water, gave violet colour with ferric chloride solution, positive test for nitrogen, an optically active compound and its specific rotation $[\alpha]_D^{27}$ in chloroform was found to be –42.0^0**.** Compound **4a** on warming with conc H_2SO_4, gets charred. IR (KBr) spectrum of **4a** show 34 6.1fop –OH of glycone moiety, 2929.7 for CH-glycone, 2856.4 for CH-pyrrole, 1691.5 for C=N-pyrazole. ^{1}H NMR (300MHz, DMSO-d_6) of 4a give intense peak at 7.95 for 4x OH of glycone, 7.03 of 4x CH-glycone, 6.87 for 3x CH-pyrrole. FAB-MS: m/z of **4a** showing fragmentation on 447(M^+), 285($C_{19}H_{15}N_3$), 209($C_{13}H_{11}N_3$), 133($C_7H_7N_3$), 78(C_6H_6), 68(C_4H_5N). The molecular ion peak at 447(M^+) confirms the molecular formula $C_{25}H_{25}O_5N_3$. All the compounds gave satisfactory C, H, and N elemental analysis **(Table 2, 3)** and the structural representation are given in **(Scheme-I)**.

Antimicrobial Activity

The prepared compounds were screened for their antibacterial activities by using the cup-plate method against *Bacillus subtilis* (gram+ve) and *Escherichia coli* (gram-ve) at concentrations of 100ug/mL in DMF. The norfloxacine was taken as standard antibiotic for the comparison of the results. The sterilized nutrient agar media (30 mL) was inoculated with test organism and poured optically into the petridishes. Then four holes of 6-mm diameter were punched carefully by the using sterile cork-borer and these were completely filled with different test solution. The plates were then incubated for 24 h at 37 ^{0}C and zones of inhibitions were measured. Similar procedure was adopted for pure norfloxacin and the corresponding zone diameters were compared. The screening results indicate that compounds **4a-j** show moderate to good bactericidal activities against both organisms **(Table 1).**

Table 1. Antibacterial and antifungal activities of compounds 4a-j.

	Antibacterial activity		Antifungal activity	
Compd	***B. subtilis***	***E. colii***	***A. niger***	***C. albicans***
4a	+ +	+ + +	+ +	+ + +
4b	+	-	+ +	+
4c	+ + +	+ +	+ +	+ +
4d	+ +	+ + +	+ +	+ +
4e	+	+ +	+	+
4f	-	+	+ + +	-
4g	+ + +	+ +	+ +	+ + +
4h	+ +	+ + +	+	+ +
4i	+ + +	+ +	+ +	+
4j	+ +	+	+	+ + +
NF	+ + +	+ + +		
GF			+ + + +	+ + +

NF (Norfloxacine) and GF (Griseofulvin). The inhibition diameter in mm: (-)<6, (+)7-9, (++)10-15,(+++)16-22, (++++)23-28.

Antifungal Activity

The antifungal activity of synthesized compounds was evaluated by the using above same cup-plate method against *Aspergillus niger* and *Candida albicans* at a concentration 100 ug/mL in DMF. The plates were incubated for one week at 37^{0}C. The zones of inhibitions were measured. Similarly, griseofulvin was also tested under similar condition with a view of comparing the results **(Table 1)**.

CONCLUSION

A series of substituted 1- *N*- (β- D- glucopyranosyl) - 2- ((1, 5- diphenyl)- pyrazol- 3- yl))- pyrazol- 3- yl) pyrrole **4 (a-j)** were increase the water and lipid solubility of the pharmacophoric group and the major active molecule is the glycon which is responsible for major biological activity.

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REFERENCES

[1]. FM. Hersheson; *J. Org. Chem.* **1972**, *20*, 3111-3113.
[2] MM. Hania; *Asian Journal of Chemistry*, **2002**, *2*, 1074-1075.
[3] RH. Guy; TK. Jeffrey; *Chem.Rev.* **2006**, *106*, 2875-2911.
[4] ND Jaime, AR William.Text book of organic medicinal and pharmaceutical chemistry, 10th Ed. **1997**, 37-38.
[5] G. Sharda; *Indian J. Heterocyclic Chemistry*. **2006**, *15*, 401-402.
[6] CG Dave; PR Shah; SP Upadhyaya; *Indian J. Chemistry*, **1988**, *27B*, 778-780.
[7] CG. Dave; PR Shah; SP Upadhyaya; *Indian J. Chemistry*, **1988**, *27B*, 1046-1048.
[8] A Mukherjee; M Mishra; A Chatterjee; M Sarkar; SK Dutta; KK Mahalanabis; *Indian J. Chemistry.* **2005**, *44B*, 2333-2337.
[9] PD Lokhande; BY Waghamare; SS Sakate; *Indian J. Chemistry*. **2005**, *44B*, 2338-2342.
[10] GJ Reddy; D Mahjula; SK Rao; M Khalilullan; D Latha; *Indian J. Chemistry*. **2005**, *44B*, 2295-2300.
[11].S Roy; SK Sarkar; B Mukhapadhyay; N Roy; *Indian J. Chemistry*. **2005**, *44B*, 130-136.
[12] MG. Dhonde; PV Tale; SP Deshmukh; *Indian J. Chemistry***. 2006**, *45B*, 675-677.
[13] N Branza-Nichita; AJ Petrescu; G, Negroiu; RA DwekL; SM Petrescu; *Chem. Rev.* **2000**, *100*, 4697-4711.
[14] S Konstantinovic; Z Petrovic; A Spasojevic; B Mojsilovic; *Indian J. Chemistry*. **2001**, *40B*, 614-618.
[15] P Sears; CH Wong; *Cell. Mol. Life Sci*, **1998**, 54, 223-224.
[16] CP.White; RB Robins; *J Am Chem. Soc*, **1965,** 87, 4940-4942.
[17] NM Narule;J S. Meshram;*Current World Environment*, **2006,** *1(1)*, 45-50.