INNSPUB

International Journal of Biosciences | IJB |
ISSN: 2220-6655 (Print) 2222-5234 (Online)
http://www.innspub.net
Vol. 3, No. 8, p. 279-287, 2013

RESEARCH PAPER OPEN ACCESS

Synthesis and comparative antimicrobial studies of some acylated D-glucofuranose and D-glucopyranose derivatives

Mohammed M. Matin[1*], M.M.H. Bhuiyan[1], Dulal C. Debnath[1], M.A. Manchur[2]

[1]*Organic Research Laboratory, Department of Chemistry, University of Chittagong, Chittagong-4331, Bangladesh*

[2]*Department of Microbiology, University of Chittagong, Chittagong-4331, Bangladesh*

Key words: Glucofuranose, glucopyranose, antimicrobial activity, structure activity relationship (SAR).

doi: http://dx.doi.org/10.12692/ijb/3.8.279-287 Article published on August 22, 2013

Abstract

Some acylated D-glucofuranose (**2**, **3**, **5**, **6**) and D-glucopyranose (**8**, **9**) derivatives were prepared by direct acylation method for comparative antimicrobial studies. All the compounds (**1-9**) were screened for *in vitro* antibacterial activity against ten human pathogenic bacteria and antifungal activity against four pathogenic fungi. The study revealed that the D-glucopyranose derivatives were more prone towards antimicrobial functionality than that of the D-glucofuranose derivatives.

* **Corresponding Author:** Mohammed M. Matin ✉ mmmatin2004@yahoo.co.in

Introduction

Alkyl and acyl glycoses and glycoside derivatives of carbohydrates have immense importance and some of them have active biological activities (Guthrie and Honeyman, 1968). Protection of a particular functional group of carbohydrates, especially monosaccharides, is not only necessary for the modification of the remaining functional groups but also for the synthesis of newer derivatives of great importance (Moyer *et al.*, 1977). Various methods for acylation of carbohydrates and nucleosides have so far been developed and employed successfully (Tsuda and Haque, 1983; Andry *et al.*, 1982; Kabir *et al.*, 2003). A large number of biologically active compounds possess aromatic and heteroaromatic nuclei. It is also known that if an active nucleus is linked to another nucleus, the resulting nucleus may possess greater potential for biological activity (Gupta *et al.*, 1997). The benzene and substituted benzene nuclei play important role as common denominator for various biological activities, which is also revealed by a number of our previous reports. For example, acylated *n*-butyl α- and β-D-glucopyranoside were employed as test chemicals for *in vitro* antibacterial and antifungal functionality test against ten human pathogenic bacteria and seven fungi. The study revealed that the tested *n*-butyl glucopyranoside derivatives showed better antimicrobial functionalities as compared to the standard antibiotic. (Matin *et al.*, 2013). Similarly, a number of 2,3-di-*O*-acyl derivatives of methyl 4-*O*-acetyl-α-L-rhamnopyranoside were screened against bacterial and fungal pathogens. The study revealed that the acylated rhamnopyranoside derivatives are more prone towards antifungal activities than that of antibacterial activities (Matin *et al.*, 2008).

In many cases, combination of two or more aromatic or heteroaromatic nuclei (Gupta *et al.*, 1997) enhances the biological activity many fold than its parent nuclei. Change of the aromatic or heteroaromatic nuclei to acyl group e.g. mesyl, benzoyl, lauroyl etc. may be interesting and will introduce new information in this antimicrobial study. Considering these facts, we extend our research project to synthesize some acylated monosaccharide derivatives (e.g. D-glucose) in furanose and pyranose form containing various acyl and aromatic moieties in a single molecular framework and evaluated their comparative antimicrobial activities using a variety of bacterial and fungal pathogens.

Materials and methods

Bacterial and fungal test pathogens

Four Gram-positive bacteria viz *Bacillus cereus* BTCC 19, *Bacillus megaterium* BTCC 18, *Bacillus subtilis* BTCC 17 and *Staphylococcus aureus* ATCC 6538 and six Gram-negative bacteria viz. *Escherichia coli* ATCC 25922, *INABAET* (*vibrio*) AE 14748, *Pseudomonas aeruginosa* CRL (ICDDR,B), *Salmonella paratyphi* AE 14613, *Salmonella typhi* AE 14612 and *Shigella dysenteriae* AE 14369 were selected for antibacterial potentiality test. For antifungal screening tests one human and three phytopathogenic fungi viz *Aspergillus niger*, *Alternaria alternata* (Fr) Kedissler, *Curvularia lunata* (Wakker Boedijin) and *Fusarium equiseti* (Corda) Sacc were used. The tested microorganisms (bacteria and fungi) were collected from Microbiology Laboratory, Department of Microbiology, University of Chittagong.

General experimental procedures to synthesize test materials

Melting points were determined on an electrothermal melting point apparatus and are uncorrected. Evaporations were performed under diminished pressure on a Büchi rotary evaporator. IR spectra were recorded on a FT IR spectrophotometer (Shimadzu, IR Prestige-21) using KBr and $CHCl_3$ technique. Thin layer chromatography was performed on Kieselgel GF_{254} and visualization was accomplished by spraying the plates with 1% H_2SO_4 followed by heating the plates at 150-200 ^{0}C until coloration took place. Column chromatography was carried out with silica gel (100-200 mesh). ^{1}H (400 MHz) and ^{13}C (100 MHz) NMR spectra were recorded using $CDCl_3$ as a solvent. Chemical shifts were reported in δ unit (ppm) with reference to TMS as an internal standard and *J* values are given in Hz. All reagents used were commercially available (Aldrich) and were used as received unless otherwise specified.

General procedure for direct acylation

To a solution of the hydroxyl compound in anhydrous pyridine (1 mL) was added acyl halide at 0 °C followed by addition of catalytic amount of 4-dimethylaminopyridine (DMAP). The reaction mixture was allowed to attain room temperature and stirring was continued for 10-16 h. A few pieces of ice was added to the reaction mixture to decompose unreacted (excess) acetic anhydride and extracted with dichloromethane (DCM) (3×5 mL). The organic (DCM) layer was washed successively with 5% hydrochloric acid, saturated aqueous sodium hydrogen carbonate solution and brine. The DCM layer was dried and concentrated under reduced pressure. The residue thus obtained on column chromatography (*n*-hexane/ethyl acetate) gave the corresponding acetyl product.

*1,2:5,6-Di-O-isopropylidene-α-D-gluco-1,4-furanose (**1**)*

The title compound **1** was prepared from D-glucose and anhydrous acetone according to the literature procedure (Furniss *et al.*, 1996) in 46% yield as a white amorphous solid, mp 108-110 °C.

*1,2:5,6-Di-O-isopropylidene-3-O-mesyl-α-D-gluco-1,4-furanose (**2**)*

Unimolecular mesylation of bisacetone D-glucose **1** (0.5 g, 1.92 mmol) with methanesulfonyl chloride (0.44 g, 2.0 mmol) for 4 h furnished the 3-*O*-mesyl derivative, **2** (0.527 g, 81%) as crystalline solid, mp 79-80 °C (lit. [Horton *et al.*, 1968] mp 80-82 °C), which turned pale-yellow after a couple of weeks.

R_f = 0.52 (*n*-hexane/ethyl acetate = 4/1).

IR (KBr): 1325 (SO_2), 1370 cm^{-1} [$C(CH_3)_2$]. ^1H NMR (400 MHz, $CDCl_3$): δ 5.87 (1H, d, *J* = 3.6 Hz, H-1), 4.91 (1H, d, *J* = 2.8 Hz, H-3), 4.73 (1H, d, *J* =3.6 Hz, H-2), 4.07-4.15 (3H, m, H-4, H-5, H-6a), 3.94 (1H, dd, *J* = 8.9 and 5.5 Hz, H-6b), 3.02 (3H, s, SO_2CH_3), 1.44 [3H, s, $C(CH_3)_2$], 1.35 [3H, s, $C(CH_3)_2$], 1.27 [3H, s, $C(CH_3)_2$], 1.24 [3H, s, $C(CH_3)_2$]. ^{13}C NMR (100 MHz, $CDCl_3$): δ 112.7 [$C(CH_3)_2$], 109.6 [$C(CH_3)_2$], 105.2 (C-1), 83.8 (C-2), 82.7 (C-4), 79.8, 72.1 (C-3/C-5), 67.6 (C-6), 38.1 (SO_2CH_3), 26.9 [$C(CH_3)_2$], 26.6 [$C(CH_3)_2$], 26.2 [$C(CH_3)_2$], 25.1 [$C(CH_3)_2$].

*1,2:5,6-Di-O-isopropylidene-3-O-lauroyl-α-D-gluco-1,4-furanose (**3**)*

Acylation of diacetone D-glucose (**1**) (0.4 g, 1.54 mmol) with lauroyl chloride (0.67 g, 2.0 mmol) followed by chromatographic purification with *n*-hexane/ethyl acetate (12/1) afforded the 3-*O*-laurate (**3**) (0.605 g, 89%) as a thick oil, which resisted crystallization.

R_f = 0.65 (*n*-hexane/ethyl acetate = 4/1).

IR ($CHCl_3$): 1735 (CO), 1375 cm^{-1} [$C(CH_3)_2$]. ^1H NMR (400 MHz, $CDCl_3$): δ 5.85 (1H, d, *J* = 3.7 Hz, H-1), 5.25 (1H, d, *J* = 2.5 Hz, H-3), 4.46 (1H, d, *J* = 3.7 Hz, H-2), 4.18-4.27 (2H, m, H-5 and H-6a), 4.06 (1H, d, *J* = 8.6 and 7.1 Hz, H-4), 4.01 (1H, dd, *J* = 8.9 and 5.3 Hz, H-6b), 2.32 [2H, t, *J* = 7.6 Hz, $CH_3(CH_2)_9CH_2CO$], 1.62 [2H, m, $CH_3(CH_2)_8CH_2CH_2CO$], 1.51 [3H, s, $C(CH_3)_2$], 1.39 [3H, s, $C(CH_3)_2$], 1.18-1.36 [22H, m, $CH_3(CH_2)_8CH_2CH_2CO$ and 2×$C(CH_3)_2$], 0.87 [3H, t, *J* = 7.1 Hz, $CH_3(CH_2)_{10}CO$].

*1,2-O-Isopropylidene-α-D-gluco-1,4-furanose (**4**)*

Monoacetonide **4** was prepared from bisacetone D-glucose **1** by selective deprotection of 5,6-acetonide group using reported procedure (Gramera *et al.*, 1963) as a white solid (76%), mp 158-160 °C (lit. mp 159-160 °C).

*3,5,6-Tri-O-benzoyl-1,2-O-isopropylidene-α-D-gluco-1,4-furanose (**5**)*

3,5,6-Trihydroxy compound **4** (0.4 g, 1.816 mmol) on benzoylation with little excess benzoyl chloride (1.149 g, 8.174 mmol) gave the 3,5,6-tri-*O*-benzoate **5** (0.803 g, 83%), as a clear oil, which turned pale-yellow after a couple of weeks.

R_f = 0.51 (*n*-hexane/ethyl acetate = 5/1).

IR (KBr): 1745 (CO), 1366 cm^{-1} [$C(CH_3)_2$]. ^1H NMR (400 MHz, $CDCl_3$): δ 7.95-8.09 (5H, m, Ar-H), 7.24-7.58 (10H, m, Ar-H), 6.00 (1H, d, *J* = 3.7 Hz, H-1), 5.59 (1H, d, *J* = 2.8 Hz, H-3), 5.48 (1H, m, H-5), 4.71 (1H, dd, *J* = 12.0 and 3.1 Hz, H-6a), 4.46 (1H, d, *J* = 3.7 Hz, H-2), 4.35 (1H, dd, *J* = 12.0 and 5.2 Hz, H-6b), 4.08-4.13 (1H, m, H-4), 1.53 [3H, s, $C(CH_3)_2$], 1.33 [3H, s, $C(CH_3)_2$].

*1,2-O-Isopropylidene-3,5,6-tri-O-lauroyl-α-D-gluco-1,4-furanose (**6**)*

Trimolar lauroylation of **4** (0.5 g, 2.27 mmol) employing lauroyl chloride (1.10 g, 5.03 mmol) provided the title compound **6** (1.41 g, 81%) as a hygroscopic semi-solid, which resisted crystallization.

R_f = 0.52 (*n*-hexane/ethyl acetate = 5/1).

IR ($CHCl_3$): 1757, 1718 (CO), 1377 cm^{-1} [$C(CH_3)_2$]. ^1H NMR (400 MHz, $CDCl_3$): δ 5.89 (1H, d, *J* = 3.6 Hz, H-1), 5.30 (1H, d, *J* = 2.8 Hz, H-3), 5.18-5.23 (1H, m, H-5), 4.57 (1H, dd, *J* = 12.0 and 3.1 Hz, H-6a), 4.38-4.47 (2H, m, H-2 and H-6b), 4.08-4.14 (1H, m, H-4), 2.25-2.33 [4H, m, $2\times CH_3(CH_2)_9CH_2CO$], 2.17-2.22 [2H, m, $CH_3(CH_2)_9CH_2CO$], 1.52-1.63 [6H, m, $3\times CH_3(CH_2)_8CH_2CH_2CO$], 1.51 [3H, s, $C(CH_3)_2$], 1.18-1.35 [54 H, $3\times CH_3(CH_2)_8CH_2CH_2CO$ and $C(CH_3)_2$], 0.80-0.92 [9H, m, $3\times CH_3(CH_2)_{10}CO$].

Methyl 6-*O*-triphenylmethyl-α-D-glucopyranoside (**8**)

The title compound **8** was prepared from methyl α-D-glucopyranoside (**7**) and triphenylmethyl (trityl) chloride (3.73 g, 13.38 mmol) in 72% yield as a crystalline solid, mp 150-151 °C (lit. mp 151-152 °C) according to the reported procedure (Barker, 1963).

*Methyl 2,3,4-tri-O-lauroyl-6-O-triphenylmethyl-α-D-glucopyranoside (**9**)*

6-*O*-Trityl compound **8** (0.4 g, 0.916 mmol) on lauroylation with lauroyl chloride (0.70 g, 3.20 mmol) gave the 2,3,4-tri-*O*-laurate **9** (0.766 g, 85%) as a colourless thick syrup.

R_f = 0.57 (*n*-hexane/ethyl acetate = 4/1).

IR ($CHCl_3$): 1744 cm^{-1} (CO). ^1H NMR (400 MHz, $CDCl_3$): δ 7.38-7.44, 7.18-7.29 (15H, 2×m, Ar-H), 5.46 (1H, t, *J* = 10.0 Hz, H-3), 5.01 (1H, d, *J* = 3.7 Hz, H-1), 4.92 (1H, t, *J* = 10.0 Hz, H-4), 4.95 (1H, dd, *J* = 10.0 and 3.7 Hz, H-2), 4.08 (1H, m, H-5), 3.91 (2H, m, H-6a and H-6b), 3.47 (3H, s, OCH_3), 2.28-2.33 [4H, m, $2\times CH_3(CH_2)_9CH_2CO$], 2.14-2.20 [2H, m, $CH_3(CH_2)_9CH_2CO$], 1.88-2.03 [2H, m, $CH_3(CH_2)_8CH_2CH_2CO$], 1.10-1.60 [52H, br m, $2\times CH_3(CH_2)_9CH_2CO$ and $CH_3(CH_2)_8CH_2CH_2CO$], 0.88 [9H, s, $3\times CH_3(CH_2)_{10}CO$].

Antimicrobial screening method

The synthesized glucose compounds were used as test chemicals for antimicrobial study. For the detection of antibacterial activities, the disc diffusion method described by Bauer *et al.* (1966) was followed. Mueller-Hinton (agar and broth) medium was used for culture of bacteria. Dimethylformamide (DMF) was used as a solvent to prepare desired solution (1%) of the compounds initially. The plates were incubated at 37 °C for 48 h. Proper control was maintained with DMF. Each experiment was carried out three times. All the results were compared with the standard antibacterial antibiotic ampicillin [50 µg/disc, Beximco Pharmaceuticals Ltd., Bangladesh]. The antifungal activity was assessed by poisoned food technique (Grover and Moore, 1962) as modified by Miah *et al.* (1990). Sabouraud (agar and broth) medium was used for culture of fungi. The results were compared with standard antifungal antibiotic nystatin [100 µg/mL medium, Beximco Pharmaceuticals Ltd., Bangladesh].

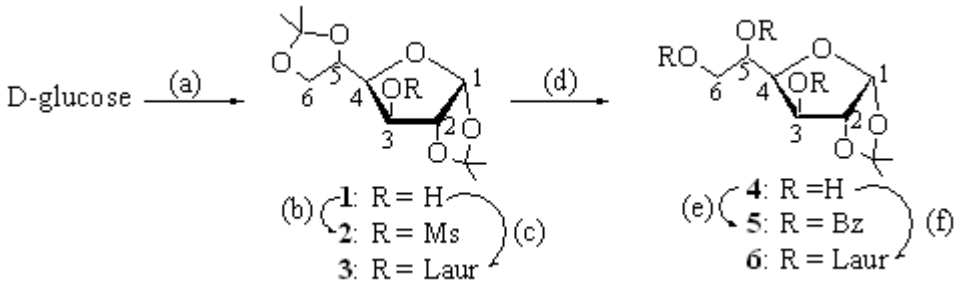
Results and discussion

Our main aim was to synthesize some acylated D-*gluco*-1,4-furanose (**2**, **3**, **5** and **6**) and D-glucopyranose (**8** and **9**) derivatives to compare biological activities of acylated furanose derivatives with that of pyranose derivatives.

Synthesis of acylated furanose derivatives

Our first effort was to synthesize 3-*O*-mesyl derivative (**2**) of bisacetone D-*gluco*-1,4-furanose (**1**). For this reason, initially, 1,2:5,6-di-*O*-isopropylidene-α-D-*gluco*-1,4-furanose (**1**) was prepared from D-glucose (Furniss *et al.*, 1996). We have successfully exploited bisacetone D-glucose (**1**) for 3-*O*-mesylation. Thus, **1** was reacted with mesyl chloride in pyridine at low temperature (-5 °C) (Scheme 1) to give a crystalline solid, mp 79-80 °C. In its IR spectrum, a signal at 1370 cm^{-1} was due to [$C(CH_3)_2$] stretching and a signal at 1325 cm^{-1} was due to SO_2 stretching. In the ^1H NMR spectrum, a three-proton singlet at δ 3.02 indicated the presence of one mesyloxy group in the molecule.

Scheme 1. (a) ref. 11, 46%; (b) MsCl, pyridine, DMAP, -5 °C, 4 h, 81%; (c) LaurCl, pyridine, DMAP, 0°C-rt, 12 h, 89%; (d) ref. 13, 76 %; (e) BzCl, pyridine, DMAP, 0°C- rt, 10 h, 83%; (f) LaurCl, pyridine, DMAP, 0°C-rt, 14 h, 81%



^{13}C NMR spectrum also showed a methyl carbon at δ 38.16 (SO_2CH_3) in addition to bisacetone D-glucose carbons. Complete spectral analysis led us to assign the structure of the solid as 1,2:5,6-di-*O*-isopropylidene-3-*O*-mesyl-α-D-*gluco*-1,4-furanose (**2**).

Similarly, the bisacetone D-glucose (**1**) was allowed unimolar lauroylation to afford a thick oil in 89% yield. The IR spectrum exhibited a broad band at 1735 cm^{-1} and a peak at 1375 cm^{-1} corresponding to carbonyl and isopropylidene functionality, respectively. In the ^{1}H NMR spectrum, a three-proton triplet at δ 0.87 were due to lauroyl methyl group. In addition, a two-proton triplet at δ 2.32, a two proton multiplet at δ 1.62 and a sixteen-proton multiplet at δ 1.18-1.36 were also due to the presence of one lauroyl group in the molecule. The down field shift of H-3 proton to δ 5.25 as compared to its usual value (~4.30 ppm) indicated the attachment of the lauroyloxy group at C-3 position of the molecule. Thus, the structure was assigned as 1,2:5,6-di-*O*-isopropylidene-3-*O*-lauroyl-α-D-*gluco*-1,4-furanose (**3**).

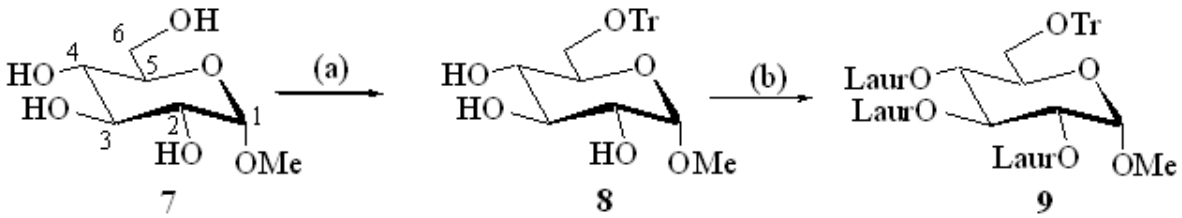
In the next step, we prepared 1,2-*O*-isopropylidene-α-D-*gluco*-1,4-furanose (**4**) from bisacetone D-glucose (**1**) by selective de-protection of 5,6-acetonide functionality (Gramera *et al*., 1963). Having triol **4** in hand, we carried out tri-*O*-benzoylation. Thus, treatment of the triol **4** with little excess of benzoyl chloride followed by usual work-up and chromatographic purification afforded a clear oil (83%) (Scheme 1).

Its IR spectrum exhibited signals at 1745 and 1366 cm^{-1} corresponding to the carbonyl and isopropylidene group, respectively. It also showed no peak corresponding to hydroxyl stretching and hence indicated the complete benzoylation. In the ^{1}H NMR spectrum, one five-proton multiplet at δ 7.95-8.09 and one ten-proton multiplet at δ 7.24-7.58 clearly indicated the attachment of three benzoyloxy groups in the molecule. Also, H-3 (δ 5.59), H-5 (δ 5.48) and H-6 (δ 4.71, H-6a and δ 4.35, H-6b) resonated downfield as compared to the triol **4**. Thus, the structure was assigned as 3,5,6-tri-*O*-benzoyl-1,2-*O*-isopropylidene-α-D-*gluco*-1,4 furanose (**5**). Finally, triol **4** was converted into the 3,5,6-tri-*O*-laurate (**6**) (Scheme 1) as a hygroscopic semi-solid (81%), which resisted crystallization. IR spectrum of this compound exhibited signals at 1757, 1718 (CO) and 1377 cm^{-1} corresponding to the carbonyl and isopropylidene group, respectively. In the ^{1}H NMR spectrum, five multiplets at δ 2.25-2.33 (4H), 2.17-2.22 (2H), 1.52-1.63 (6H), 1.18-1.35 (48H), and 0.80-0.92 (9H) were observed for sixty nine protons corresponding to three lauroyl groups. Complete analysis of the rest of the IR and ^{1}H NMR spectra led us to assign the structure as 1,2-*O*-isopropylidene-3,5,6-tri-*O*-lauroyl-α-D-*gluco*-1,4-furanose (**6**).

Synthesis of acylated pyranose derivatives

For acylated pyranose sugar derivatives, our effort was to prepare methyl 2,3,4-tri-*O*-lauroyl-6-*O*-trityl-α-D-glucopyranoside (**9**). For this reason, methyl 6-*O*-trityl-α-D-glucopyranoside (**8**) was prepared from methyl α-D-glucopyranoside (**7**) according to the reported procedure (Barker, 1963) as shown in the Scheme 2.

Scheme 2. (a) ref. 14, 72%; (b) LaurCl, pyridine, DMAP, 0°C-rt, 12 h, 85%



7 8 9

Having compound **8** in hand, we employed lauroyl chloride as potential acylating agent. Thus, reaction of **8** with lauroyl chloride for 12 h yielded a colourless thick syrup. In the IR spectrum of this syrup, no signals corresponding to hydroxyl stretchings were found. Instead it showed a band at 1744 cm^{-1} corresponding to carbonyl frequency which indicated the attachment of lauroyloxy group in the molecule. In its ^1H NMR spectrum, trityl protons appeared as two multiplets at δ 7.38-7.44 and 7.18-7.29. A three-proton singlet at δ 3.47 was assigned for the glycosidic (C-1) methoxy group. In addition, the presence of a four-proton multiplet at δ 2.28-2.33, a two-proton multiplet at δ 2.14-2.20, a two-proton multiplet at δ 1.88-2.03, a fifty two-proton broad multiplet at δ 1.10-1.60, and a nine-proton singlet at δ 0.88 totaling sixty-nine protons indicated the attachment of three lauroyl [$3\times CH_3(CH_2)_{10}CO$] groups in the molecule. The rest of the IR and ^1H NMR spectra were in complete agreement with the structure accorded as methyl 2,3,4-tri-*O*-lauroyl-6-*O*-trityl-α-D-glucopyranoside (**9**).

Antibacterial potentiality of the synthesized compounds

The results of the *in vitro* inhibition zone against the selected Gram-positive bacteria due to the effect of the chemicals (**1-9**) are mentioned in Table 1. It was observed from Table 1 that the tested chemicals were less effective against these Gram-positive organisms. Only methyl 2,3,4-tri-*O*-lauroyl-6-*O*-trityl-α-D-glucopyranoside (**9**) exhibited considerable inhibition (36 mm) against *Bacillus subtilis* which is better than that of the standard antibiotic, ampicillin (25 mm).

Antifungal potentiality of the newly synthesized compounds

The results of the percentage inhibition of mycelial growth of one human and three plant pathogenic fungi due to the effect of monosaccharides (**1-9**) are presented in Table 3. It was observed that the compounds (**1-9**) were more toxic towards human pathogenic *Aspergillus niger* than that of the plant pathogenic fungi. Again, pyranose laurate (**9**) was more prone towards antifungal activities than that of the furanose acyl derivatives.

Structure activity relationship (SAR)

In vitro antimicrobial study revealed that these compounds (**1-9**) were more active against some Gram-negative organisms than that of Gram-positive and fugal organisms. An important observation was that the acylated sugars with five-membered furanose form were less effective against Gram-negative, Gram-positive and fugal pathogens than that of the corresponding acylated sugars with six-membered pyranose form. This is because of the slight distortion of furanose ring in the presence of 1,2-*O*-isopropylidene ring. But monosaccharides (**8**, **9**) in pyranose form with regular $^4C_1$ conformation exhibited excellent antimicrobial potentiality. In addition, lauroyl group [$CH_3(CH_2)_{10}CO$] was found promising accelator of antimicrobial functionality for D-glucose derivatives as compared to that of mesyl or benzoyl group.

Table 1. Inhibition against Gram-positive organisms by the test chemicals (**1-9**).

Compound no.	Diameter of zone of inhibition in mm (50 µg. dw./disc)			
	Bacillus cereus	*Bacillus megaterium*	*Bacillus subtilis*	*Staphylococcus aureus*
1	--	--	--	--
2	--	11	08	--
3	--	--	17	--
4	--	--	--	--
5	--	--	12	--
6	--	--	18	--
7	--	--	--	--
8	--	--	18	07
9	12	19	*36	15
**Ampicillin	*22	19	*25	*21

NB. "--" indicates no inhibition, dw. = dry weight, "**" indicates standard antibiotic, "*" shows good inhibition.

Table 2. Inhibition of the test chemicals (**1-9**) against Gram-negative organisms.

Compound no.	Diameter of zone of inhibition in mm (50 µg.dw./disc)					
	Escherichia coli	*INABAET (vibrio)*	*P. aeruginosa*	*Salmonella paratyphi*	*Salmonella typhi*	*Shigella dysenteriae*
1	--	--	--	16	--	--
2	--	--	16	--	12	--
3	--	--	18	18	19	--
4	--	--	--	16	--	17
5	--	--	11	10	10	--
6	--	--	14	*21	16	--
7	--	--	--	08	--	--
8	--	08	10	10	18	17
9	--	--	19	*22	*23	19
**Ampicillin	*25	*24	17	*35	13	*35

NB. "--" indicates no zone of inhibition, dw. = dry weight, "**" indicates standard antibiotic, "*" shows good inhibition.

Table 3. Antifungal activities of the acylated sugar derivatives (**1-9**).

Compound no.	% inhibition of fungal mycelial growth, 100 µg (dw) sample/mL PDA			
	Aspergillus niger	*Alternaria alternata*	*Curvularia lunata*	*Fusarium equiseti*
1	--	--	--	--
2	13.0	--	--	--
3	17.0	--	--	--
4	--	--	--	--
5	17.0	8.5	--	--
6	18.0	12.0	--	8.00
7	13.0	--	--	--
8	22.5	31.0	--	12.0
9	39.0	*62.0	49.0	--
**Nystatin	36.0	55.5	*70.0	45.8

NB. "--" indicates no zone of inhibition, dw. = dry weight, "**" indicates standard antibiotic, "*" shows good inhibition

Conclusion

Thus, we have successfully synthesized acylated D-glucofuranose (**2**, **3**, **5**, **6**) and D-glucopyranose (**8**, **9**) derivatives. A comparative study of *in vitro* antimicrobial activities of furanose monosaccharides with that of pyranose monosaccharide acylates was carried out successfully. The structure activity

relationship (SAR) study revealed that the acyl derivatives in six-membered pyranose form were more prone towards antimicrobial functionality than that of the corresponding acyl derivatives in five-membered furanose form.

Acknowledgement

We are grateful to the Research Cell, University of Chittagong for research grant (Sl. no. 02, 2012) to carry out the research work. We would like to thank Dr. M.S. Rahman, Department of Microbiology, University of Chittagong for the antimicrobial tests of the synthesized compounds.

References

Guthrie RD, Honeyman J. 1968. An Introduction to the Chemistry of Carbohydrates. 3rd ed. Oxford, UK.

Moyer BG, Pfeffer PE, Moniot JL, Shamma M, Gustine DL. 1977. Corollin, coronillin and coronarian: Three new 3-nitropropanoyl-D-glucopyranoses from *Coronilla varia*. Phytochemistry **16**, 375-377. http://dx.doi.org/10.1016/0031-9422(77)80068-X

Tsuda Y, Haque ME, 1983. Regioselective introduction of *p*-coumaroyl group to α-L-arabino-pyranosides. Total synthesis of Inundoside-G and Inundoside-D_1. Chemical and Pharmaceutical Bulletin (Japan) **31**, 1437-1439. http://dx.doi.org/10.1248/cpb.31.1437

Andry C, Wylde R, Laffite C, Privat G, Winternitz I. 1982. Structures of verbascoside and orobanchoside, caffeic acid sugar esters from *Orobanche rapumgenistae*. Phytochemistry **21**, 1123-1127. http://dx.doi.org/10.1016/S0031-9422(00)82429-2

Kabir AKMS, Matin MM, Ali M, Anwar MN. 2003. Comparative studies on selective acylation and antimicrobial activities of some D-glucofuranose derivatives. Journal of Bangladesh Academy of Science **27**, 43-50.

Gupta R, Paul S, Gupta AK Kachroo PL, Bani S. 1997. Synthesis and biological activities of some 2-substituted phenyl-3-(3-alkyl/aryl-5,6-dihydro-s-triazolo[3,4-b][1,3,4]thiazolo-6-yl)-indoles. Indian Journal of Chemistry **36**(B), 707-710.

Matin MM, Bhuiyan MMH, Azad AKMS. 2013. Synthesis and antimicrobial evaluation of some *n*-butyl α and β-D-glucopyranoside derivatives. RGUHS Journal of Pharmaceutical Science (India) **3,** 53-59. http://dx.doi.10.5530/rjps.2013.1.8

Matin MM, Ibrahim M, Rahman MS. 2008. Antimicrobial evaluation of methyl 4-*O*-acetyl-α-L-rhamnopyranoside derivatives. Chittagong University Journal of Biological Science **3**, 33-43. http://dx.doi.org/10.3329/cujbs.v3i1.13404

Furniss BS, Hannaford AJ, Smith PWG, Tatchell AR. 1996. Vogel's Text Book of Practical Organic Chemistry. England: Addision Wesley Longman Ltd, 654.

Horton D, Jewell JS, Prihar HS. 1968. Reaction of 3-*O*-*p*-bromophenylsulfonyl-1,2:5,6-di-*O*-isopropylidene-α-D-glucofuranose with dimethylamine. Canadian Journal of Chemistry **46**, 1580-1582. http://dx.doi.pdf/10.1139/v68-261

Gramera RE, Park A, Whistler RL. 1963. A convenient preparation of 1,2-mono-*O*-isopropylidene-α-D-glucofuranose. Journal of Organic Chemistry **28**, 3230-3231. http://dx.doi.10.1021/jo01046a518

Barker GR. 1963. Methods in Carbohydrate Chemistry. Whistler RL, Wolform ML, ed. Vol 2, New York: Academic Press Inc., 168.

Bauer AW, Kirby WMM, Sherris JC, Turck M. 1966. Antibiotic susceptibility testing by a standardized single disk method. American Journal

of Clinical Pathology **45**, 493-496.

Grover RK, Moore JD. 1962. Toximetric studies of fungicides against the brown root organisms, *Sclerotinia fructicola* and *S. laxa*. Phytopathology **52**, 876-880.

Miah MAT, Ahmed HU, Sharma NR, Ali A, Miah SA. 1990. Antifungal activity of some plant extracts. Bangladesh Journal of Botany **19**, 5-10.