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LETTERS TO THE EDITOR

Synthesis and Structure of *N*-Aminoglycosides Based on *p*-Aminoacetophenone

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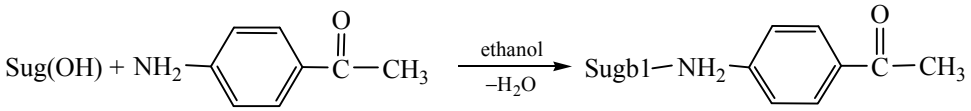
Nowadays antibiotics, antiviral and anticancer drugs based on amino glycoside derivatives are widely used in medicine [1]. *N*-Glycosylation of many amino compounds is considered as a new approach to the synthesis of promising and effective pharma-ceuticals of directed action due to active transport of carbohydrate fragments [2, 3].

Aiming to search potential biologically active substances and to obtain substrates for the synthesis of new *N*-amino-glycosides **III**, **IV**, which can be further modified, were synthesized for the first time via condensation of monosaccharide (*D*-glucose and *D*-galactose) with *p*-aminoacetophenone in a yield of 55–70%. The synthesis of *N*-aminoglycosides **III**, **IV** was carried out by the well-known classical method via the direct condensation of the starting amine with monosaccharides in an alcohol solution in the presence of 2–3 drops of acetic acid as a catalyst.



I, II **III, IV**

Sug = *D*-Glc (**III**), *D*-Gal (**IV**).

The structure of the synthesized aminoglycoside derivatives **III**, **IV** was confirmed by the IR and ^{1}H NMR spectroscopy methods.

***N*-(4-Acetylphenyl)-β-*D*-glucopyranosylamine (III).** A mixture of 1.21 g (0.01 mol) of *p*-amino-acetophenone and 1.8 g (0.01 mol) of *D*-glucose **I** in 20 ml of anhydrous ethanol with few drops of glacial acetic acid was stirred at 55–60°C for 8 h. The reaction mixture was kept for one day in the cold (from –15 to –20°C). The formed gelatinous residue was washed several times with hexane and recrystallized from ethanol. Yield 1.52 g (55%), beige powder, mp 225°C. IR spectrum, ν, cm^{-1}: 3405 (OH), 1010 (carbohydrate). ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.42 s [3H, $CH_3C(O)$], 3.38–3.54 m (6H, carbohydrate), 4.42 t (1H, H^1, J_{HH} 8.1), 6.74 d (1H, H$^1_\beta$, J_{HH} 8.7), 7.73 d (1H, H$^1_\alpha$, J_{HH} 8.7), 4.37 d (1H, OH^4, *J* 4.1), 4.74 d (1H, OH^2, *J* 5.6), 4.72 d (1H, OH^3, *J* 5.4), 4.52 t (1H, OH^6, *J* 5.4), 7.06 d (1H, NH, *J* 7.7). Found, %: C 59.93; H 9.85; N 3.78. $C_{18}H_{35}NO_6$. Calculated, %: C 59.81; H 9.76; N 3.87.

***N*-(4-Acetylphenyl)-β-*D*-galactopyranosylamine (IV)** was prepared similarly from 1.21 g (0.01 mol) of *p*-aminoacetophenone and 1.8 g (0.01 mol) of *D*-galactose **II**. Yield 2.51 g (70%), mp 165°C. IR spectrum, ν, cm^{-1}: 3495 s (OH), 1050 s (carbohydrate). ^{1}H NMR spectrum, δ, ppm (*J*, Hz): 2.42 s [3H, $CH_3C(O)$], 3.43–3.57 m (6H, carbohydrate), 4.43 t (1H, H^1, J_{HH} 7.9), 6.73 d (1H, H$^1_\beta$, J_{HH} 8.8); 7.74 d (1H, H$^1_\alpha$, J_{HH} 8.7), 4.53 d (1H, OH^4, *J* 3.7), 4.8 d (1H, OH^2,

J 5.3), 4.82 d (1H, OH^3, *J* 5.7), 4.42 t (1H, OH^6, *J* 5.5), 7.03 d (1H, NH, *J* 7.9). Found, %: C 59.93; H 9.85; N 3.69. $C_{18}H_{35}NO_6$. Calculated, %: C 59.81; H 9.76; N 3.87.

The IR spectra were recorded on a Nicolet AVATAR-320 Fourier-spectrometer from KBr pellets. The ^1H NMR spectra were recorded on a Bruker DRX500 spectrometer at 500 MHz in DMSO-d_6, internal reference TMS. Melting points were determined on a Boetius hot stage. TLC analysis was performed using Sorbfil plates detecting with iodine vapors.

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