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LETTERS TO THE EDITOR

Synthesis of *N*-Aminoglycoside from the Monoethanolamine Vinyl Ether

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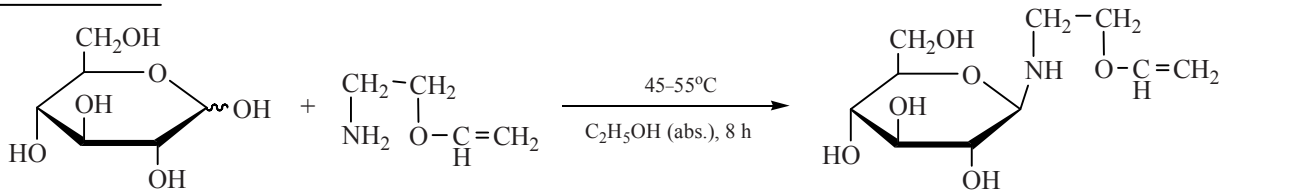
It is known that the introduction of carbohydrate fragments into the molecules of physiologically active substances not only increases their solubility in water and considerably decreases their toxicity [1–3], but it also makes it possible to transport a drug into the desired part of living organism cells. The modified derivatives of saccharides are of great scientific and practical interest because many of them possess a pronounced broad-spectrum biological activity [4] and are widely used in medicine, for example, as effective antiviral and anticancer preparations [5, 6].

Vinyl ether of monoethanolamine derivatives are used as intermediates in the syntheses of compounds possessing analgesic, psychtropic [7], and radioprotective properties [8].

In [9] synthetic approaches to glycosides based on monoethanolamine were suggested. Optimal conditions for synthesis and isolation of the desired products were shown. However, aminoglycosides based on the monoethanolamine vinyl ether were not reported.

In this work we obtained and described a monoethanolamine vinyl ether *N*-aminoglycoside prepared from an available *D*-glucose monosaccharide, in order to study its biological properties.

We found that condensation of *D*-glucose with small excess of monoethnolamine vinyl ether in anhydrous ethanol proceeded on the average for 8 h at 45–55°C.



I

Increase in the temperature of the reaction mixture over 55°C led to a considerable tarring of the target products. An intense cooling (from –15 to 20°C) favors significantly the process of isolation and crystallization of glycoside **I** from the reaction mixture.

Compound **I** containing in its structure both a sugar fragment and an unsaturated double bond can be used as a suitable synthon for the synthesis of various medicinal copolymers that possess not only durable action but allow accomplishing the purposeful transport of a drug to the specified organism cells containing on their surfaces specific carbohydrate-linking proteins (lectins).

The IR and ^{1}H NMR spectral analysis showed that *N*-aminoglycoside formed exists in pyranose form as a β-anomer.

***N*-(2-Vinyloxyethyl)-β-*D*-glucopyranosylamine (I).** A mixture of 9.0 g (0.05 mol) of *D*-glucose, 4.8 g (0.055 mol) of the freshly distilled monoethanolamine vinyl ether in 20 ml of anhydrous ethanol was stirred at 45–55°C for 8 h. The reaction mixture was kept for a day in a freezing chamber at –18°C. The jelly-like residue formed was washed with hexane several times, then crystallized and ground in acetone. Yield 9.06 g (73%), white crystalline substance, mp 57–60°C. Found, %: C 48.51; H 6.76; N 5.71. $C_{10}H_{19}NO_6$. Calculated, %: C 48.19; H 7.08; N 5.62. IR spectrum, ν, cm^{-1}: 3250 (OH), 2930 (NH), 1620 (C=C). ^{1}H NMR spectrum, ppm: 2.40 br.s (1H, N–H), 2.82 m (2H, N–CH_2), 3.02–3.60 m (6H, H^2–H^6), 3.70 m (2H, CH_2–O), 3.98 d (1H, H^1, J = 7.0 Hz), 4.19 m (1H, =CH_a), 4.35 m (1H, =CH_b), 6.51 d.d (1H, OC*H*=).

The ^{1}H NMR spectrum was registered on a Bruker DRX500 spectrometer (500 MHz) in DMSO-d_6 relative to internal TMS. The IR spectrum was recorded on a AVATAR-320 Fourier spectrometer (KBr). Melting points were measured on a Boetius device. The reaction progress was monitored by TLC on "Sorbfil" plates in isopropanol–benzene–ammonia system (10:5:2).

REFERENCES

1. Stepanenko, B.N., *Khimiya i biokhimiya uglevodov: monosakharidy* (Chemistry and Biochemistry of Carbohydrates: Monosacchrides), Moscow: Vysshaya Shkola, 1977, p. 224.
2. Slivkin, A.I., Lapenko, V.L., and Sokolova, G.B., Abstarct of Papers, *IV Rossijskii Natsion. Kongress "Chelovek i lekarstvo"* (IV Russian National Congress "Human and Drug"), Moscow, 1997, p. 205.
3. Sarymzakova, R.K., Abdurashitova, Yu.A., and Dzhamanbayev, Zh.A., *Vestn. Mosc. Univ. Ser. 2: Khimiya*, 2006, vol. 47, no. 3, p. 242.
4. Grogan, M.J., Pratt, M.R., and Marcaurelle, L.A., *Ann. Rev. Biochem*., 2002, vol. 71, p. 593.
5. Mashkovskii, M.D., *Lekarstvennye sredstva Drugs*, Moscow: Meditsina, 2000, vol. 1, p. 643.
6. Polonik, S.G., Prokof'eva, N.G., Agafonova, I.G., and Uvarova, N.I., *Khim.-Farm. Zh*., 2003, vol. 37, no. 8, p. 3.
7. Praliev, K.D., Sokolov, D.V., and Khokhlova, E.N., *Khim.-Farm. Zh*., 1986, vol. 20, no. 6, p. 679.
8. Atavin, A.S., Ignat'eva, M.A., Vyalykh, E.P., Trofimov, B.A., Kuznetsov, I.G., Maksimov, S.M., and Kron, L.A., *Izv. Akad. Nauk SSSR Ser. Khim*., 1969, no. 2, p. 428.
9. Lapenko, V.L., Sirotkina, G.G., Suntsova, N.S., Voishcheva, O.V., and Slivkin, A.I., *Izv.Vuzov. Khimiya i Khim. Tekhnol.,* 1989, no. 3, p. 27.