paper deals mainly with recent progress in chitin chemistry and biochemistry for pharmaceutical and medical science.

Use of *"Standardized Intermediates"*[1] with excellent solubility in common organic solvents remarkably facilitated the regioselective and quantitative synthetic procedures of a series of sulfated derivatives of chitin and chitosan. Selective protections, introduction of sulfate groups, and subsequent deprotections proceeded smoothly under homogeneous solution and gave new sulfated analogs including unique *"Amphiphilic Polysaccharides"*[2] for further biological evaluations. It was preliminarily found that some of the sulfated derivatives exhibited potent anti-AIDS activity. Moreover, it was also suggested that amphiphilic polysaccharides formed self-assembling monolayers having a capacity to recognize Wheat Germ Agglutinin (WGA) known as *N*-acetyl-D-glucosamine-binding lectin.

[1]S.-I. Nishimura *et al.*, *Macromolecules,* **24**, 4745 – 4748 (1991).

[2]S.-I. Nishimura *et al.*, *J. Am. Chem. Soc.*, submitted.

S17.5

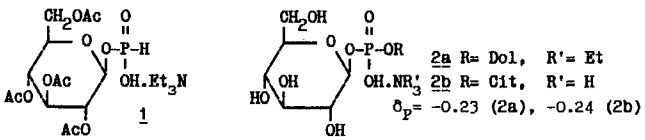
Efficient Chemical Synthesis of Dolichyl Monophosphate β-D-Glucose

N. S. Utkina, S. D. Maltsev, L. L. Danilov and V. N. Shibaev

Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, Russia.

Studies on enzymes participating in the biosynthesis of carbohydrate chains of glycoproteins require availability of polyprenyl-linked sugars which serve as glycosyl donors in these processes and we report efficient chemical synthesis of a representative of this group, dolichyl monophosphate β-D-glucose (2a). The synthesis is based on H-phosphonate approach towards preparation of phosphodiesters derived from glycosyl phosphates. The key H-phosphonate 1 was prepared through reaction of 1,2-O-(tert.-butylorthoacetyl)-3,4,6-tri-O-acetyl-α-D-glucopyranose with anhydrous phosphorous acid (5 eq., THF, 5 min., r.t.) in a yield of 44%.

Interaction of 1 with dolichol (1 eq.) and pivaloyl chloride (5 eq.) in THF-pyridine (3:2) for 5 min (r.t.) followed by oxidation (iodine in pyridine-water, 95:5, 15 min, r.t.) and deacetylation (MeONa in MeOH-benzene) produced 2a (61%). Citronellyl monophosphate β-D-glucose (2b) was prepared analogously in a yield of 39%. The method described seems more fast and efficient than other procedures for preparation of poly-prenyl monophosphate sugars (for a review see Ref.).



L. L. Danilov and V. N. Shibaev, Studies in Natural Products Chemistry, **8**, 63 – 114 (1991).

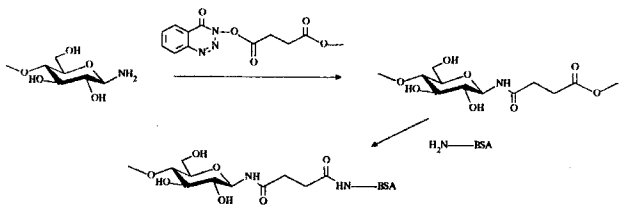
S17.6

A Convenient Preparation of Glycoconjugates by Direct Acylation of Glycosylamines

M. Wiesner, B. Neff and R. Schaubach

E. Merck, Pharmaceutical Research IMC, Frankfurter Str. 250, D-6100 Darmstadt, Germany.

The readily accessible glycosylamines offer the possibility to introduce a coupling spacer by direct *N*-acylation with activated carboxylic acid derivatives in anhydrous DMF. This acylation method avoids the necessity of OH-protection and amine purification and specifically yields the β-anomeric spacered products for further coupling procedures, e.g.:



During HPLC-purification of the compounds unreacted oligosaccharides can easily be regained thereby minimizing the loss of material. This technique has been established with excellent results for the dimer Lewis^x and the Disialyl-lacto-*N*-tetraose antigens and different spacer types.

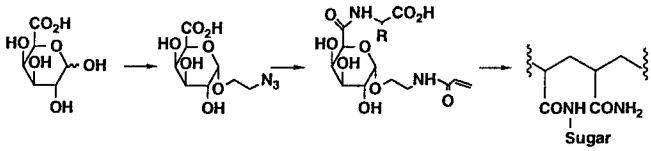
S17.7

Glycopolymers from Synthetic Fragments (Amides of α-D-Galacturonic Acid with Amino Acids) of *Proteus* O-Antigens

L. O. Kononov, A. Ya. Chernyak and N. K. Kochetkov

N.D. Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, Russia.

Galacturonamides of amino acids (alanine, lysine, serine, and threonine), constituents of *Proteus O*-specific polysaccharides, have been synthesised. *O-tert*-Butyl- and *N*^{x}*-tert*-butyloxycarbonyl protected amino acid *tert*-butyl esters were condensed with 2-azidoethyl α-glycoside of D-galacturonic acid, prepared by Fischer glycosidation. Reduction of the azido group followed by *N*-acryloylation and deprotection gave the target monomers. By copolymerisation with acrylamide, these were converted into glycopolymers potentially useful for defining epitops in *Proteus O*-antigens.



S17.8

A Novel Chemo-Enzymatic Synthesis of a Neoglycolipid

B. Guilbert and S. L. Flitsch

Dyson Perrins Laboratory, University of Oxford, South Parks Road, Oxford OX1 3QY, England.

The oligosaccharide headgroups of glycoproteins and glycolipids are involved in a wide range of recognition phenomens. Therefore their chemical synthesis is of a