

GRAPHICAL ABSTRACTS

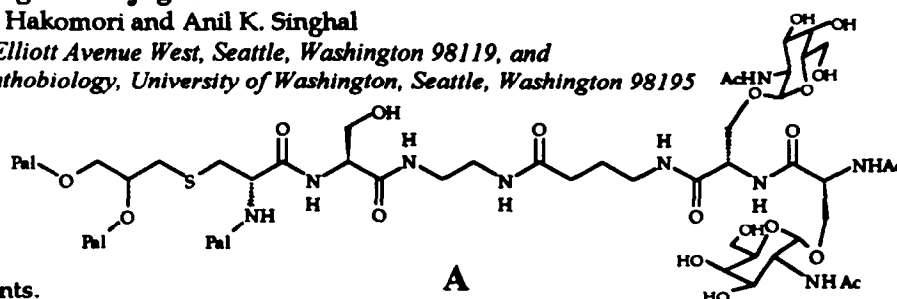
Synthetic Carbohydrate Vaccines: Synthesis and Immunogenicity of Tn Antigen Conjugates

BioMed. Chem. 1994, 2, 1119

Tatsushi Toyokuni,* Sen-itiroh Hakomori and Anil K. Singhal

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Departments of Chemistry and Pathobiology, University of Washington, Seattle, Washington 98195

The synthetic
glycolipopeptide **A**
elicits both IgM and IgG
anti-Tn (GalNAc α 1 \rightarrow O-Ser)
responses without any carrier
molecules or additional adjuvants.



A Comparison Of Proteins And Peptides As Substrates For Microsomal And Solubilized Oligosaccharyltransferase

BioMed. Chem. 1994, 2, 1133

Yun-Li Liu, Geoffrey C. Hoops, and James K. Coward*

Department of Chemistry and Interdepartmental Program in Medicinal Chemistry, College of Pharmacy,
University of Michigan, Ann Arbor, MI 48109-1055

A chemoenzymatic synthesis of homogeneous neoglycoproteins and glycopeptides was explored using oligosaccharyltransferase isolated from yeast. Neither the microsomal form nor the solubilized form of the enzyme catalyzed the transfer of the core Glc₃Man₉(GlcNAc)₂ oligosaccharide to chemically modified ribonuclease A or α -lactalbumin. Similarly, no transfer was observed to the 32-amino acid peptide hormone, calcitonin, by either the membrane-bound or soluble form of oligosaccharyltransferase. However, a 17-amino acid fragment of yeast invertase with the unusual sequence containing two overlapping glycosylation sequons proved to be a good substrate, slightly less effective than the well studied tripeptide, Bz-Asn-Leu-Thr-NH₂. Product analysis using gel permeation chromatography showed that the expected glycopeptides were formed and Endo H-catalyzed cleavage of the oligosaccharide portion from the glycopeptides demonstrated that the glycopeptides contained the same carbohydrate moiety.

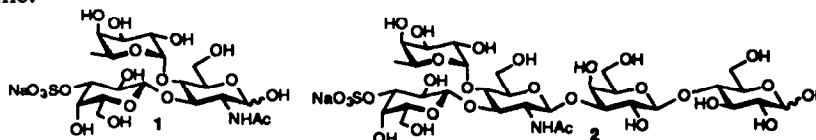
FIRST SYNTHESIS OF THE 3'-SULFATED LEWIS^x PENTASACCHARIDE, THE MOST POTENT HUMAN E-SELECTIN LIGAND SO FAR.

BioMed. Chem. 1994, 2, 1143

André Lubineau*, Joëlle Le Gallic and Rémy Lemoine.

Laboratoire de Chimie Organique Multifonctionnelle (URA CNRS 462), Institut de Chimie Moléculaire d'Orsay,
Université de Paris-Sud, Bt. 420, F-91405 Orsay Cedex.

Tri- and pentasaccharide of Lewis^x-type 1 and 2 have been prepared from the new 4-methoxybenzyl glycoside of N-acetylglucosamine.

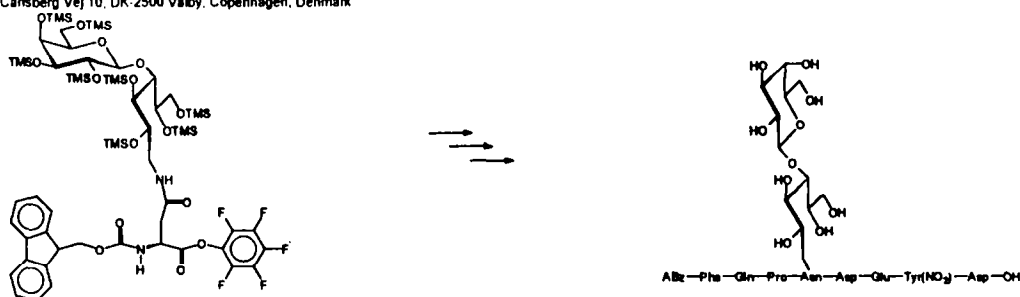


SILYL PROTECTION IN THE SOLID-PHASE SYNTHESIS OF N-LINKED GLYCOPEPTIDES. PREPARATION OF GLYCOSYLATED FLUOROGENIC SUBSTRATES FOR SUBTILISINS

BioMed. Chem. 1994, 2, 1153

Ida Christensen-Brands, Anita M. Jansson, Morten Meldal, Klaus Breddam¹ and Klaus Bock

Department of Chemistry, Carlsberg Laboratory and ¹Carlsberg Research Laboratory,
Gamle Carlsberg Vej 10, DK-2500 Valby, Copenhagen, Denmark



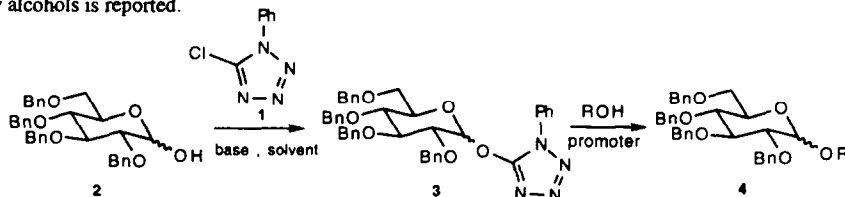
Synthesis and Reactivity of a New Glycosyl Donor: O-(1-Phenyltetrazol-5-yl) Glucoside

BioMed. Chem. 1994, 2, 1169

Monica Palme and Andrea Vasella*

Laboratorium für Organische Chemie, ETH-Zentrum, Universitätstr. 16, CH-8092 Zürich

A new glycosyl donor (3) possessing an anomeric O-(1-phenyltetrazol-5-yl) group is prepared from 2,3,4,6-tetra-O-benzyl-D-glucose (2) and commercially available 5-chloro-1-phenyl-1H-tetrazole (1). The synthesis of glycosides (4) derived from the donor (3) and a few primary and secondary alcohols is reported.

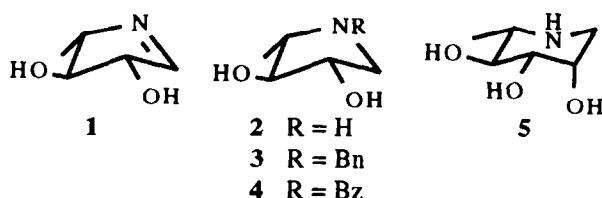


Five-Membered Ring Azasugars as Potent Inhibitors of α -L-Rhamnosidase (Naringinase) from *Penicillium Decumbens*.

BioMed. Chem. 1994, 2, 1179

Louis Provencher, Darryl H. Steensma and Chi-Huey Wong*

Department of Chemistry, The Scripps Research Institute, 10666 North Torrey Pines Road, La Jolla, CA 92037



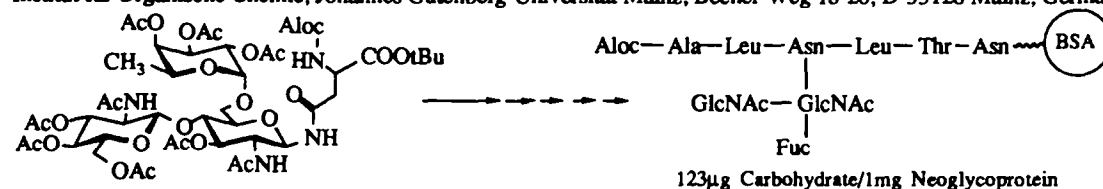
Compound	K_i μ M
1	0.14
2	5.5
3	11.5
4	46
5	62

SYNTHESIS OF GLYCOPEPTIDES AND NEOGLYCOPROTEINS CONTAINING THE FUCOSYLATED LINKAGE REGION OF N-GLYCOPROTEINS

BioMed. Chem. 1994, 2, 1189

Carlo Unverzagt, Horst Kunz*

Institut für Organische Chemie, Johannes Gutenberg-Universität Mainz, Becher-Weg 18-20, D-55128 Mainz, Germany

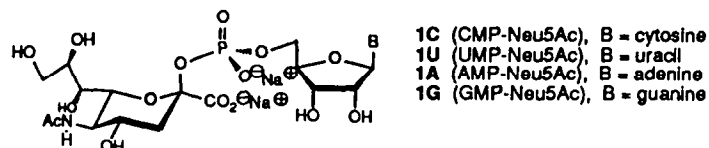


A CONVENIENT SYNTHESIS OF NUCLEOSIDEMONOPHOSPHATE - N-ACETYLNEURAMINIC ACIDS (NMP-Neu5Ac)

BioMed. Chem. 1994, 2, 1203

T.J. Martin, H. Braun, and R.R. Schmidt, Fakultät Chemie, Universität Konstanz, Postfach 5560 M 725, D-78343 Konstanz

Abstract: The title compounds 1C, 1U, 1A, 1G are prepared from sialyl phosphites and acetyl protected nucleoside monophosphates and ensuing deacetylation.

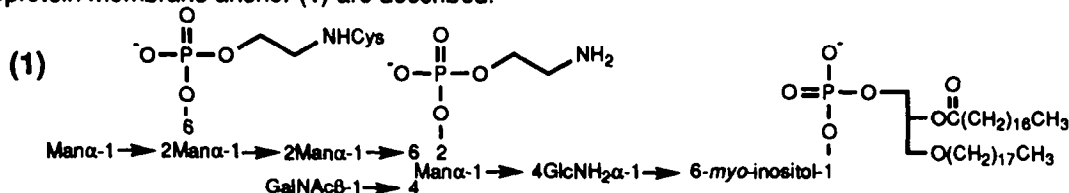


SUPPORT STUDIES FOR INSTALLING THE PHOSPHODIESTER RESIDUES OF THE *Thy-1* GLYCOPROTEIN MEMBRANE ANCHOR

A. Stewart Campbell and Bert Fraser-Reid*

Paul M. Gross Chemical Laboratory, Department of Chemistry, Duke University, Durham, N.C. 27708.

Support studies for late-stage installation of the three different types of phosphodiester found in the rat brain *Thy-1* glycoprotein membrane anchor (1) are described.

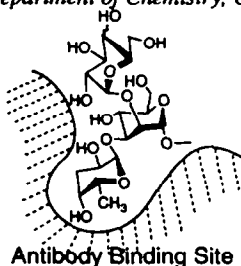


BioMed. Chem. 1994, 2, 1209

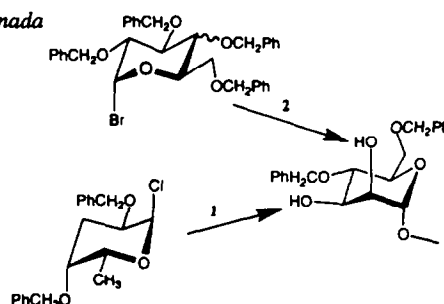
Modulation of Antibody Affinity by Synthetic Modifications of the Most Exposed Pyranose Residue of a Trisaccharide Epitope

D. R. Bundle and E. Eichler

Department of Chemistry, University of Alberta, Edmonton, Alberta, T6G 2G2 Canada



A simplified strategy to synthesize analogues modified at the exposed galactose residue of a trisaccharide epitope is described. Mannose and abequose residues are first coupled in a Koenigs Knorr reaction, followed by introduction of the galactose residue or its *gluco* stereoisomers or the 2-amino-2-deoxy *galacto* analogue.



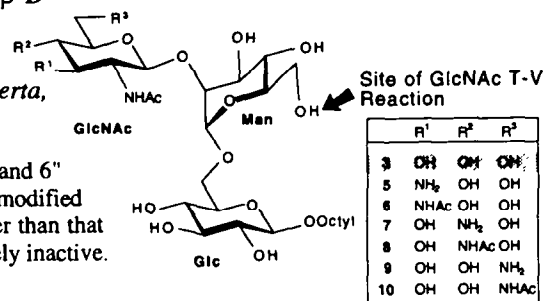
BioMed. Chem. 1994, 2, 1221

Key involvement of all three GlcNAc hydroxyl groups in the recognition of β -D-GlcNAc-(1→2)- α -D-Manp-(1→6)- β -D-Glc-OR by N-acetylglucosaminyltransferase-V

O. Kanie^a, S. C. Crawley^b, M. M. Palcic^a and O. Hindsgaul^{a*}

^aDepartment of Chemistry and ^bFood Science, University of Alberta, Edmonton, Alberta T6G 2G2, Canada

GlcNAc T-V activity was examined for acceptor analogs where OH-3", 4" and 6" were replaced, independently, by NH₂ and NHAc groups. The 3" and 4"-modified compounds were found to be very poor (*K_m*'s were 50 and 300 times higher than that for the parent 3) substrates while the 6"-modified compounds were completely inactive.



BioMed. Chem. 1994, 2, 1231

THE CHEMOENZYMATIC SYNTHESIS OF NEOGLYCOLIPIDS AND LIPID LINKED OLIGOSACCHARIDES USING GLYCOSYLTRANSFERASES

S. L. Flitsch,* D. M. Goodridge, B. Guilbert, L. Revers, M. C. Webberley and I. B. H. Wilson

The Dyson Perrins Laboratory, South Parks Road, Oxford OX1 3QY, UK

The β 1-4mannosyltransferase alg1 from yeast catalyses the regioselective β -mannosylation of chitobiosyl dolichylpyrophosphate. The substrate requirement of alg1 was probed with several synthetic chitobiosides. It appears that both the pyrophosphate linkage and a part of the lipid sidechain are important for substrate recognition.

BioMed. Chem. 1994, 2, 1243

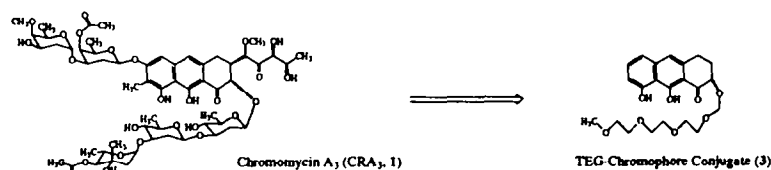
Use of Triethylene Glycol to Mimic Oligosaccharides: Design and Synthesis of a Ligand Based on Chromomycin A₃

BioMed. Chem. 1994, 2, 1251

Domingos J. Silva and Daniel Kahne*
Department of Chemistry, Princeton University
Princeton, New Jersey 08544

Christina M. Kraml
Wyeth-Ayerst Research
Princeton, New Jersey 08543

Minimalist approach to the design of a ligand based on the antitumor antibiotic chromomycin A₃ (CRA₃).

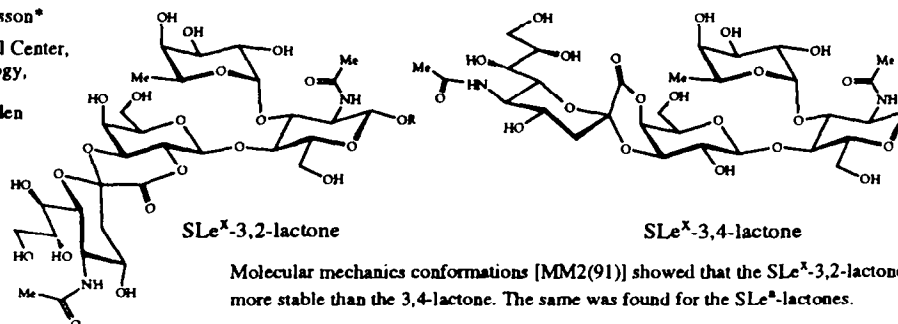


Calculated conformations of sialyl-Le^x- and sialyl-Le^a-lactones.

BioMed. Chem. 1994, 2, 1261

Ulf Ellervik and Göran Magnusson*

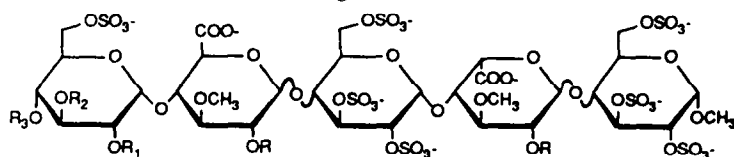
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University of Lund,
Box 124, S-221 00 Lund, Sweden



FEASIBLE SYNTHESIS AND BIOLOGICAL PROPERTIES OF SIX "NON-GLYCOSAMINO"-GLYCAN ANALOGUES OF THE ANTITHROMBIN III BINDING HEPARIN PENTASACCHARIDE

BioMed. Chem. 1994, 2, 1267

P. Westerduin, C.A.A. van Boeckel, J.E.M. Basten, M.A. Broekhoven, H. Lucas, A. Rood, H. van der Heijden (Department of Medicinal Chemistry), R.G.M. van Amsterdam, T.G. van Dinther, D.G. Meuleman, A. Visser, G.M.T. Vogel (Department of Vascular Pharmacology), J.B.L. Damm and G.T. Overklist (Department of Analytical Chemistry).
N.V. Organon, P.O. Box 20, 5340 BH Oss, The Netherlands.



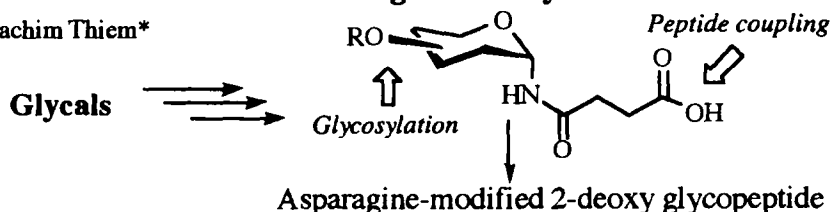
- 5: R=SO₃⁻, R₁=R₂=R₃=CH₃
- 6: R=R₁=SO₃⁻, R₂=R₃=CH₃
- 7: R=R₁=R₂=SO₃⁻, R₃=CH₃
- 8: R=R₁=R₂=R₃=CH₃
- 9: R=R₂=R₃=CH₃, R₁=SO₃⁻
- 10: R=R₃=CH₃, R₁=R₂=SO₃⁻

Synthesis and Structural Studies of Asparagine-Modified 2-Deoxy-α-N-Glycopeptides associated with the Renin-Angiotensin System.

BioMed. Chem. 1994, 2, 1281

Lothar Laupichler, Carsten E. Sowa, Joachim Thiem*

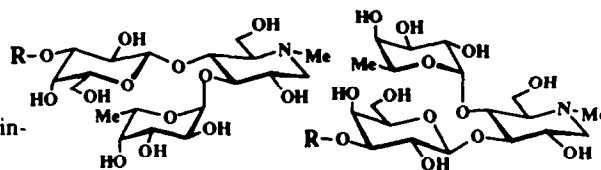
Universität Hamburg,
Institut für Organische Chemie
Martin-Luther-King-Platz 6,
D-20146 Hamburg, Germany



SYSTEMATIC SYNTHESIS OF *N*-METHYL-1-DEOXYNOJIRIMYCIN-CONTAINING, Le^x, Le^a, SIALYL-Le^x AND SIALYL-Le^a EPITOPES RECOGNIZED BY SELECTINS

M.Kiso, H.Furui, K.Ando, H.Ishida, and A.Hasegawa
Department of Applied Bioorganic chemistry,
Gifu University, Gifu 501-11, Japan

A systematic synthesis of *N*-Methyl-1-deoxynojirimycin-containing oligosaccharides related to Le^x(1), Le^a(2), sialyl-Le^x(3) and sialyl-Le^a(4) antigens has been achieved.



1 R=H
3 R=αNeu5Ac

2 R=H
4 R=αNeu5Ac

SYNTHESIS OF A SPACER-CONTAINING TETRASACCHARIDE REPRESENTING A REPEATING UNIT OF THE CAPSULAR POLYSACCHARIDE OF *STREPTOCOCCUS PNEUMONIAE* TYPE 6B

Mark-J. L. Thijssen, Koen M. Halkes, Johannis P. Kamerling*, and Johannes F. G. Vliegenthart
Bijvoet Center, Department of Bio-Organic Chemistry, Utrecht University, P.O. Box 80.075, NL-3508 TB Utrecht (The Netherlands)

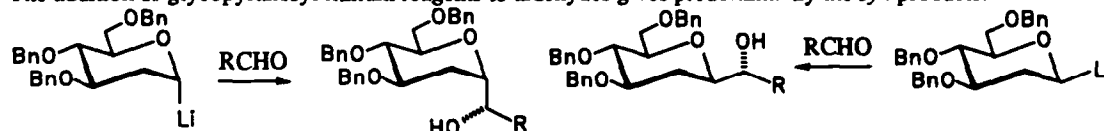
Abstract — The synthesis is reported of the spacer-containing tetrasaccharide α-D-Galp-(1→3)-α-D-Glcp-(1→3)-α-L-Rhap-(1→4)-D-Rib-ol-(5→phosphate→CH₂CH₂CH₂NH₂) (1), using a 2+2 block synthesis approach.

STEREOCONTROLLED SYNTHESIS OF C-GLYCOSIDES: FURTHER STUDIES ON THE ORGANOLITHIUM REAGENTS DERIVED FROM 2-DEOXY-D-GLUCOSE AND D-GLUCOSE

Patrick Lesimple and Jean-Marie Beau*

Université d'Orléans, Laboratoire de Biochimie Structurale, BP 6759, 45067 Orléans Cedex 2, France

The addition of glycopyranosyl lithium reagents to aldehydes gives predominantly the *syn* products.



Carbohydrate Structure of Recombinant Soluble Lamp-1 and Leukosialin Containing Sialyl Le^x Terminous

Shinobu Sueyoshi, Ritsuko Sawada and Minoru Fukuda
the Glycobiology Program, La Jolla Cancer Research Foundation
10901 North Torrey Pines Road, La Jolla, CA 92037 and
Department of Biochemistry, Institute of Medical Science,
University of Tokyo, Tokyo 108, Japan.

The amount of sialyl Le^x structure in recombinant soluble glycoproteins was compared between those containing mostly N-glycans (lamp-1) and O-glycans (leukosialin).

