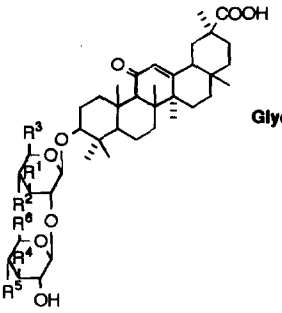
Synthesis of Glycyrrhizin Analogues Containing Fluorinated β(1→2)-linked Disaccharides

Bioorg. Med. Chem. **1996**, *4*, 1799

Naohiko Morishima* and Yoko Mori
School of Nursing, Kitasato University, Kitasato, Sagamihara 228, Japan

The fluorinated Glycyrrhizin analogues (**1**–**7**) were synthesized through the stepwise glycosylation.

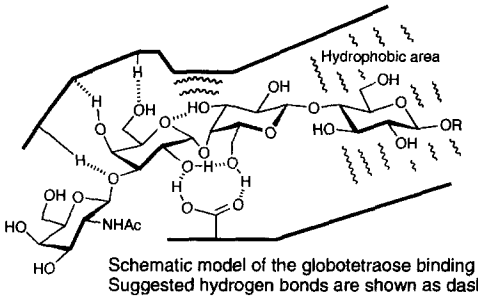


	R^1	R^2	R^3	R^4	R^5	R^6
Glycyrrhizin	OH	OH	COOH	OH	OH	COOH
1	OH	OH	CH_2OH	F	OH	CH_2OH
2	OH	OH	CH_2OH	OH	F	CH_2OH
3	OH	OH	CH_2OH	OH	OH	CH_2F
4	F	OH	CH_2OH	OH	OH	CH_2OH
5	OH	F	CH_2OH	OH	OH	CH_2OH
6	F	OH	CH_2OH	OH	OH	COOH
7	OH	F	CH_2OH	OH	OH	COOH

PapG Adhesin from *E. coli* J96 Recognizes the Same Saccharide Epitope when Present on Whole Bacteria and as Isolated Protein

Bioorg. Med. Chem. **1996**, *4*, 1809

Ulf Nilsson,[a] Robert T. Striker,[b] Scott J. Hultgren[b] and Göran Magnusson[a,]*
[a]*Organic Chemistry 2, Center for Chemistry and Chemical Engineering, Lund University, P.O. Box 124, S-221 00 Lund, Sweden*
[b]*Department of Molecular Microbiology, Box 8230, Washington University, School of Medicine, St Louis, MI 63110, U.S.A.*



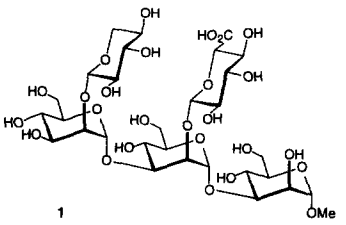
Schematic model of the globotetraose binding epitope. Suggested hydrogen bonds are shown as dashed lines.

Synthesis of a Pentasaccharide Corresponding to the Repeating Unit of the Exopolysaccharide from *Cryptococcus neoformans* Serovar D

Bioorg. Med. Chem. **1996**, *4*, 1819

Korien Zegelaar-Jaarsveld, Sander A. W. Smits, Gijs A. van der Marel and Jacques H. van Boom*
Leiden Institute of Chemistry, Gorlaeus Laboratoria, Leiden University, P.O. Box 9502, 2300 RA Leiden, The Netherlands

A stereoselective synthesis is presented for the glucuronic acid containing pentasaccharide **1**.

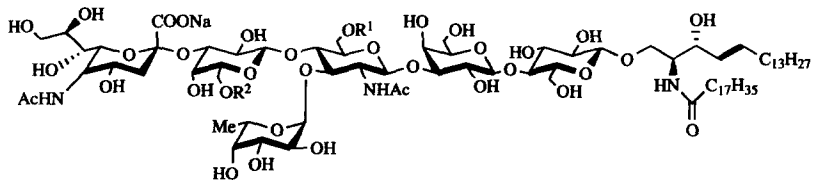


1

Synthesis and Biological Activities of Three Sulfated Sialyl Le^x Ganglioside Analogues for Clarifying the Real Carbohydrate Ligand Structure of L-Selectin

Bioorg. Med. Chem. **1996**, *4*, 1833

Shiro Komba, Hideharu Ishida, Makoto Kiso and Akira Hasegawa*
Department of Applied Bioorganic Chemistry, Gifu University, Gifu 501-11, Japan



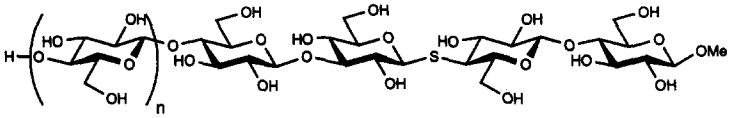
	R^1	R^2
50	H	SO_3Na
51	SO_3Na	H
52	SO_3Na	SO_3Na

Design and Chemoenzymatic Synthesis of Thiooligosaccharide Inhibitors of 1,3:1,4-β-D-Glucanases

Bioorg. Med. Chem. **1996**, *4*, 1849

Vincent Moreau,[a] Josep-Lluis Viladot,[b] Eric Samain,[a] Antoni Planas[b] and Hugues Driguez[a]
[a]*Centre de Recherches sur les Macromolécules Végétales (CERMAV-CNRS), B.P. 53, 38041 Grenoble cedex 9, France*
[b]*Laboratory of Biochemistry, Department of Organic Chemistry, CETS Institut Quimic de Sarrià, 08017-Barcelona, Spain*

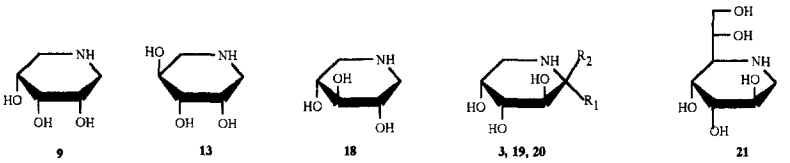
Tetra-**3b**, penta-**2a**, and hexasaccharides **1** have been obtained and tested as inhibitors of *Bacillus* 1,3:1,4-β-D-glucanases.



Deoxyiminoalditols from Aldonolactones — V. Preparation of the Four Stereoisomers of 1,5-Dideoxy-1,5-iminopentitols. Evaluation of these Iminopentitols and Three 1,5-Dideoxy-1,5-iminoheptitols as Glycosidase Inhibitors

Bioorg. Med. Chem. **1996**, *4*, 1857

Michael Godskesen,[a] Inge Lundt,[a,*] Robert Madsen[a] and Bryan Winchester[b]
[a]*Department of Organic Chemistry, The Technical University of Denmark, Building 201, DK-2800 Lyngby, Denmark*
[b]*Division of Biochemistry and Genetics, Institute of Child Health, University of London, 30, Guildford Street, London WC1N 1EH, U.K.*

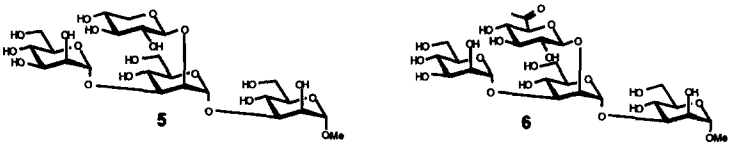


Synthesis of Oligosaccharides Corresponding to Structures found in Capsular Polysaccharides of *Cryptococcus neoformans*—II

Bioorg. Med. Chem. **1996**, *4*, 1867

Per J. Garegg, Lars Olsson and Stefan Oscarson
Department of Organic Chemistry, Arrhenius Laboratory, Stockholm University, S-106 91 Stockholm, Sweden

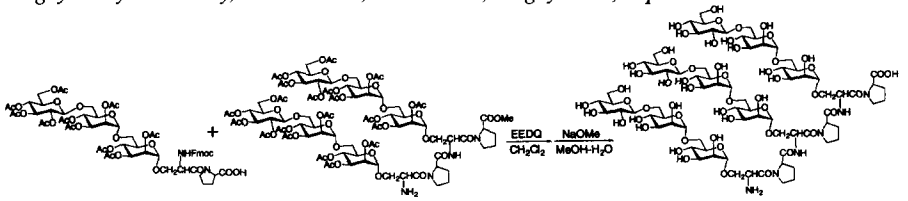
Syntheses of tetrasaccharides **5** and **6** are reported.



Synthesis of Glycopeptides with Phytoalexin Elicitor Activity—III. Syntheses of Hexaglycosyl Hexapeptides and a Nonaglycosyl Hexapeptide

Bioorg. Med. Chem. **1996**, *4*, 1873

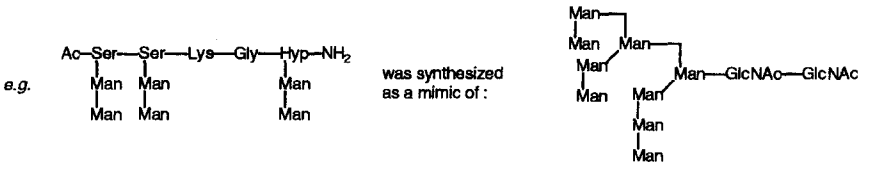
Tadahiro Takeda,[a,*] Takuya Kanemitsu[b] and Yukio Ogihara[b]
[a]*Kyoritsu College of Pharmacy, Minato-ku, Tokyo 105, Japan*
[b]*Faculty of Pharmaceutical Sciences, Nagoya City University, Tanabe-dori, Mizuho-ku, Nagoya 467, Japan*



Glycopeptide Mimics of Mammalian $Man_9GlcNAc_2$. Ligand Binding to Mannan-binding Proteins (MBPs)

Bioorg. Med. Chem. **1996**, *4*, 1881

Henrik Franzyk,[a] Morten Meldal,[a] Hans Paulsen,[b] Steffen Thiel,[c] Jens Chr. Jensenius[b] and Klaus Bock[a]
[a]*Department of Chemistry, Carlsberg Laboratory, Gamle Carlsberg Vej 10, DK-2500 Valby, Copenhagen, Denmark and*
[b]*Institute of Organic Chemistry, University of Hamburg, Martin-Luther-King-Platz 6, D-20146 Hamburg, Germany*
[c]*Department of Medical Microbiology and Immunology, University of Aarhus, Universitetsparken, DK-8000 Århus C, Denmark*

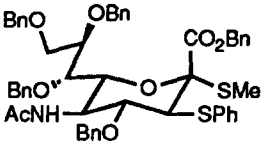


A New Strategy for Stereoselective Synthesis of Sialic Acid-containing Glycopeptide Fragment

Bioorg. Med. Chem. **1996**, *4*, 1901

Zhi-Guang Wang, Xu-Fang Zhang, Yukishige Ito, Yoshiaki Nakahara and Tomoya Ogawa
The Institute of Physical and Chemical Research (RIKEN), 2-1 Hirosawa, Wako-shi, Saitama, 351-01 Japan

Protected glyco-amino acid fragment was synthesized by using PhS-carrying thioglycoside **5** as a sialic acid donor.

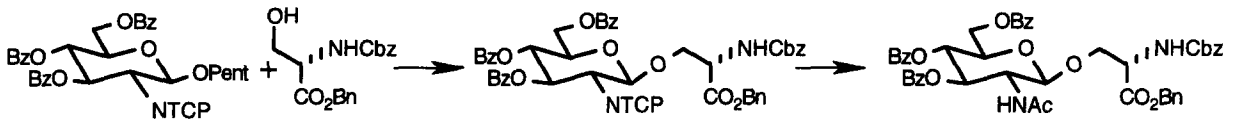


5

Bioorg. Med. Chem. **1996**, *4*, 1909

N-Tetrachlorophthaloyl (TCP) for Ready Protection/Deprotection of Amino Sugar Glycosides

John S. Debenham, Sheryl D. Debenham and Bert Fraser-Reid
Paul M. Gross Chemical Laboratory, Department of Chemistry, Duke University, Durham, NC 27708, U.S.A.

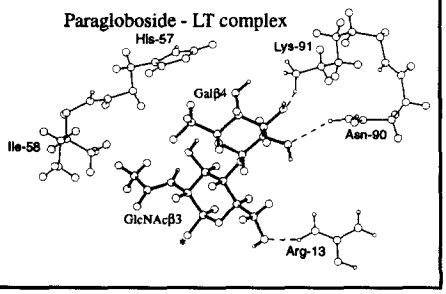


Unexpected Carbohydrate Cross-binding by *Escherichia coli* Heat-labile Enterotoxin. Recognition of Human and Rabbit Target Cell Glycoconjugates in Comparison with Cholera Toxin

Bioorg. Med. Chem. **1996**, *4*, 1919

K.-A. Karlsson,[a] S. Teneberg,[a] J. Ångström,[a] A. Kjellberg,[a]
T. R. Hirst,[b] J. Bergström[a] and H. Miller-Podraza[a]
[a]*Department of Medical Biochemistry, Institute of Medical Biochemistry and Microbiology, Göteborg University, Medicinaregatan 9A, S-413 90 Göteborg, Sweden*
[b]*The Biological Laboratory, University of Kent, Canterbury, Kent CT2 7NJ, U.K.*

The cross-binding was to Galβ4GlcNAcβ-R, in part explained by molecular modeling of the saccharide in the toxin binding site.

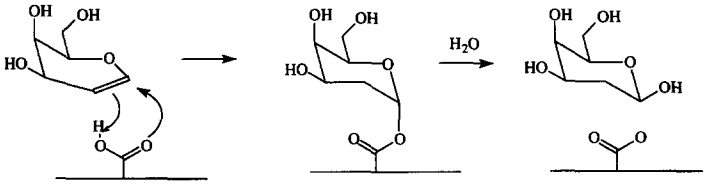


Substituted Glycals as Probes of Glycosidase Mechanisms

Bioorg. Med. Chem. **1996**, *4*, 1929

Ellen C. K. Lai, Sandra A. Morris, Ian P. Street and Stephen G. Withers
Department of Chemistry, University of British Columbia, Vancouver, B.C. Canada, V6T 1Z1

Glycals are hydrated by glycosidases by the mechanism shown. A number of substituted glycals were tested as inhibitors and inactivators of several glycosidases.

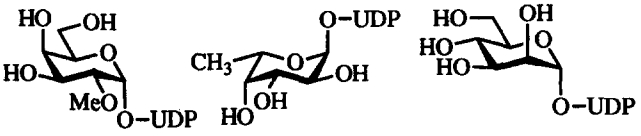


Novel Aspects of Interaction between UDP-Gal and GlcNAc β-1,4-Galactosyltransferase: Transferability and Remarkable Inhibitory Activity of UDP-(mono-*O*-methylated Gal), UDP-Fuc and UDP-Man

Bioorg. Med. Chem. **1996**, *4*, 1939

Tsuyoshi Endo,[a] Yasuhiro Kajihara,[b] Hisashi Kodama[b] and Hironobu Hashimoto[a]
[a]*Department of Life Science, Faculty of Bioscience and Biotechnology, Tokyo Institute of Technology, Nagatsuta, Midori-ku, Yokohama, 226 Japan and* [b]*Life Science Research Laboratory, Japan Tobacco Inc., 6-2 Umegaoka, Midori-ku Yokohama, 227 Japan*

UDP-2-OMe-Gal can be transferred to GlcNAcβ-OMe by β-1,4-GalT. This UDP-Gal analogue, however, has remarkable inhibitory activity, as do the unnatural Leloir donors, UDP-D-Man and UDP-L-Fuc.

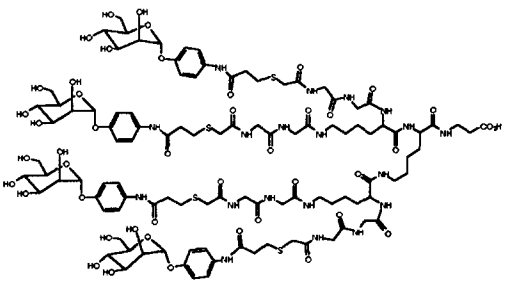


Macromolecular Recognition: Effect of Multivalency in the Inhibition of Binding of Yeast Mannan to Concanavalin A and Pea Lectins by Mannosylated Dendrimers

Bioorg. Med. Chem. **1996**, *4*, 1949

D. Pagé, D. Zanini and R. Roy
Department of Chemistry, University of Ottawa, ON, Canada

The synthesis and binding properties of aryl α-D-mannosides are described. These new glycoconjugates can inhibit the binding of yeast mannan to Con A and pea lectins 2000 times better than monosaccharides.

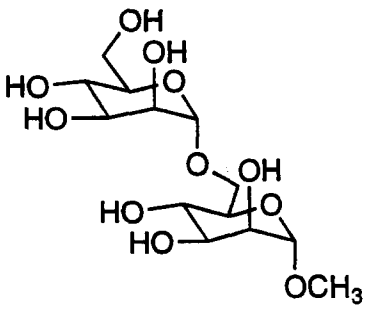


Analysis of the Binding Specificities of Oligomannoside-binding Proteins using Methylated Monosaccharides

Bioorg. Med. Chem. **1996**, *4*, 1963

M. C. Chervenak and E. J. Toone*
Department of Chemistry, Duke University, Durham, NC 27708, U.S.A.

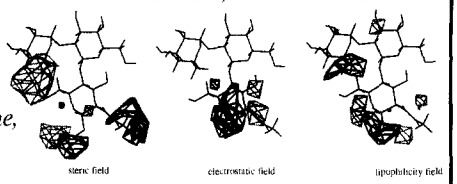
The thermodynamics of binding of alkylated mono- and disaccharides to the lectins from *Canavalia ensiformis* and *Dioclea grandiflora* are reported.



How do Antibodies and Lectins Recognize Histo-Blood Group Antigens? A 3D-QSAR Study by Comparative Molecular Field Analysis (CoMFA)

Bioorg. Med. Chem. **1996**, *4*, 1979

Anne Imberty,[a,*] Rosella Mollicone,[b] Emmanuel Mikros,[c] Pierre-Alain Carrupt,[d] Serge Pérez[e] and Rafael Oriol[b]
[a]*Laboratoire de Synthèse Organique, Centre National de la Recherche Scientifique, 2 rue de la Houssinière, F-44072 Nantes cedex 03, France*
[b]*INSERM U178, Hôpital Paul-Brousse, 94807 Villejuif, France*
[c]*Department of Pharmacy, University of Athens, Panespistimiopoli, Zografou, GR-15771 Athens, Greece*
[d]*Institut de Chimie Thérapeutique, BEP, Université de Lausanne, CH-1015 Lausanne, Switzerland*
[e]*Ingeniérie Moléculaire, Institut National de la Recherche Agronomique, BP 1627, F-44316 Nantes cedex 03, France*



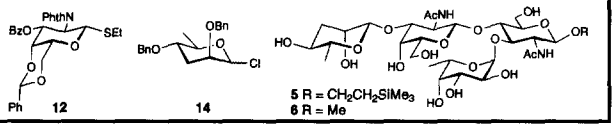
CoMFA analysis of the binding of a monocloonal antibody to H type blood group oligosaccharides.

Synthesis and Conformational Studies of the Tyvelose Capped, Lewis-x Like Tetrasaccharide Epitope of *Trichinella spiralis*

Bioorg. Med. Chem. **1996**, *4*, 1989

Jian Zhang, Albin Otter and David R. Bundle
Department of Chemistry, University of Alberta, Edmonton, Alberta T6G 2G2, Canada

Tetrasaccharide epitopes present in glycoprotein glycans of *Trichinella spiralis* have been synthesized as Me and TMSEt glycosides with terminal β- (**5** and **6**) and α-linked tyvelose residues, using monosaccharide synthons such as **12** and **14**. NMR data suggest that the chair conformation of the GalNAc residue in the α-linked tetrasaccharide is unusually rigid.

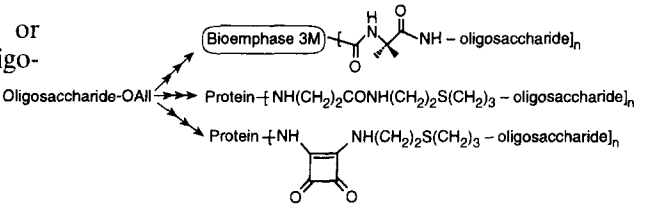


Preparation of Antigens and Immunoadsorbents Corresponding to the *Streptococcus* Group A Cell-wall Polysaccharide

Bioorg. Med. Chem. **1996**, *4*, 2003

France-Isabelle Auzanneau and B. Mario Pinto
Department of Chemistry, Simon Fraser University, Burnaby, British Columbia, Canada V5A 1S6

A convenient protocol for the synthesis of soluble or polymer-bound glycoconjugates from allyl oligosaccharides is described.

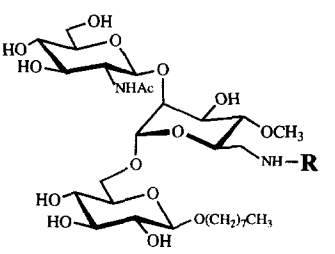


New Synthetic Trisaccharide Inhibitors for *N*-Acetylglucosaminyltransferase-V

Bioorg. Med. Chem. **1996**, *4*, 2011

P.-P. Lu, O. Hindsgaul, C. A. Compston and M. M. Palcic
Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada T6G 2G2

The trisaccharide **6a** (R = H) was chemically synthesized. The 6′-amino group in **6a** was then derivatized by either acylation of alkylation with hydrophobic, hydrophilic, charged, aromatic and potential covalently activating groups. Compound **6a** and all the analogues (**6b–j**) were found to be competitive inhibitors of GlcNAcT-V with K_i values ranging from 21 to 297 μM.



6a-6j

Acceptor Hydroxyl Group Mapping for Human Milk α1-3 and α1-3/4 Fucosyltransferases

Bioorg. Med. Chem. **1996**, *4*, 2023

Sylvie Gosselin and Monica M. Palcic
Department of Chemistry, University of Alberta, Edmonton, Alberta, Canada T6G 2G2

Structural requirements for the acceptor of human milk α1-3 fucosyltransferase.