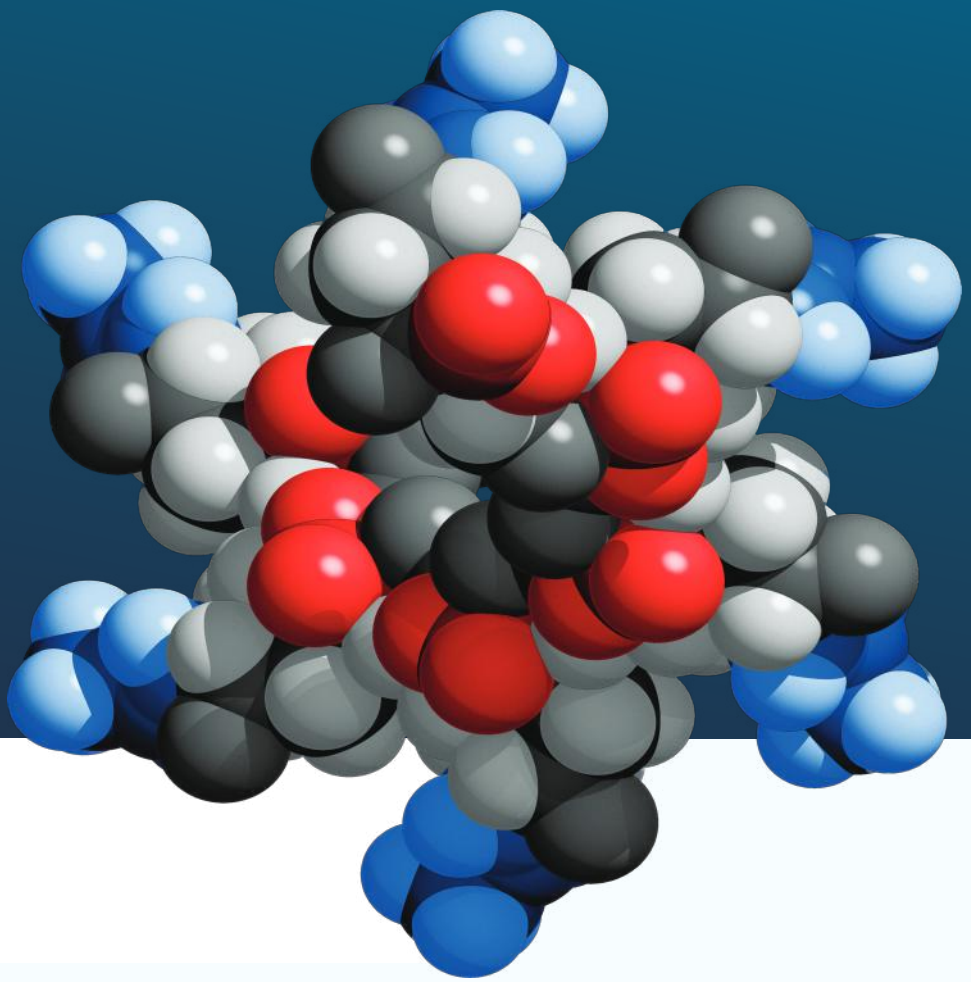


GalNAc

Receptor-Mediated Drug Delivery

Inquiries: info@sussex-research.com
Order: orders@sussex-research.com
Phone: (613) 993-4402
Fax: (613) 949-5993

Sussex Research Laboratories Inc.
100 Sussex Drive, Suite 1120B
Ottawa, Ontario, Canada, K1A0R6

GalNAc In Therapeutic Development & Drug Delivery

Carbohydrates, including GalNAc, are found on the majority of cell surface proteins and lipids in addition to circulatory proteins where they have diverse functions in a host of processes including cell recognition, cell adhesion, fertilization and immune function. In some cases, specific recognition by lectins (glycan-binding proteins) is at play - little wonder that carbohydrates are applied to therapeutic development including drug targeting and delivery.

Exploiting GalNAc in receptor-mediated drug delivery through use of glycobiology offers a means of addressing the specific challenge of delivering and targeting therapeutic molecules such as proteins, antibodies, and oligonucleotides. The idea that carbohydrates, including GalNAc, mediate receptor binding, cell targeting and cellular uptake of molecules has been known for decades. The Ashwell–Morell receptor (AMR) of hepatocytes, originally and more commonly referred to as the asialoglycoprotein receptor (ASGPR), was the first lectin to be detected in mammals and the first cellular receptor to be identified and isolated. It is one of the multiple lectins of the C-type lectin family involved in recognition, binding, and clearance of asialo glycoproteins. GalNAc has been adopted and successfully applied as a targeting ligand in antisense oligonucleotides and siRNA guiding therapeutic payloads into liver cells. Cell-specific delivery of therapeutic agents via ASGPR using GalNAc ligands offers incredible potential.

Sussex Research Laboratories Inc., a leading synthetic specialist, has been developing well-defined carbohydrate ligands for 25 years. Our multi-purpose, functionalized glycoligand and glycopeptide products enable modification of molecules with virtually any carbohydrate including GalNAc.

Custom Synthesis: From immunotherapy to siRNA drug delivery - the number and variety of applications for carbohydrates in modern research and development is staggering. Sussex Research is highly active in the custom and contract synthesis of all carbohydrate systems including GalNAc for specific research and drug development projects.

Patent Disclaimer: The responsibility of all patent considerations in the use of GalNAc ligand products rests solely with the Buyer. Suggestions and recommendations for use are not to be taken as a license to operate under or to infringe any third-party patent.

GLOBAL LEADER IN CARBOHYDRATE SYNTHESIS

Translating complex carbohydrate chemistries into unique, leading-edge products that enable researchers to explore and exploit glycobiology applications that promote human health.

PRODUCTS & SERVICES

Products

We take pride in conceptualizing, developing, and manufacturing a novel portfolio of carbohydrate products. From functionalized carbohydrate molecules to glycoconjugates and glucuronide metabolites, the Sussex product portfolio is both unique and wide-ranging.

Custom Synthesis

We are well known for our custom synthesis capabilities which utilize our core carbohydrate synthetic expertise. We provide synthesis solutions for pharmaceutical, biopharmaceutical, diagnostic and vaccine applications that require elements of glycotechnology.

Contract Research & Development

We participate as a trusted partner in a wide variety of pharmaceutical R&D projects ranging from early-stage drug delivery & development to polysaccharide and glycoconjugate vaccine development.

Structural Analysis

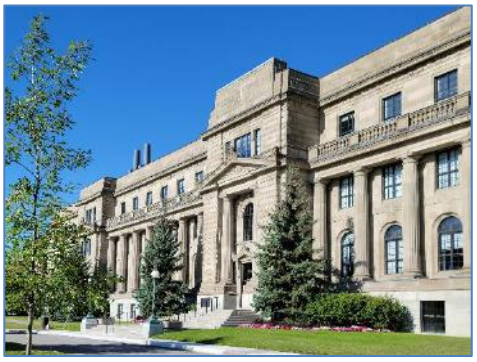
We draw on extensive knowledge in Nuclear Magnetic Resonance (NMR) Spectroscopy, Mass Spectrometry (MS), purification and subsequent chemical characterization of carbohydrate and isotope-labeled molecules.

Specializing in GalNAc for drug targeting, glycans for drug discovery, glycopeptides, and carbohydrate ligands for immunotherapeutic development.

Carbohydrate, glycoconjugate or glycan target? We are ready to take on your specific synthetic challenge!

COMPANY PROFILE

Sussex Research Laboratories Inc. has been a trusted provider of carbohydrate-based products and synthetic services since 1996. A spin-off of the National Research Council (NRC) of Canada, the company is housed at NRC's Industry Partnership Facility within NRC's flagship facility in Ottawa, Canada. This facility provides excellent infrastructure for organic synthetic chemistry including high field NMR & fermentation facilities.



NRC Facility at Ottawa, Ontario, Canada

In mammals, sugars are most commonly found as glycoconjugates, the most abundant being the glycoproteins, proteoglycans and glycolipids. These are predominantly located on cell membranes but also in secreted fluids where they modulate or mediate a host of events in cell-cell and cell-matrix interactions.

WHY GLYCOTECHNOLOGY?

Glycoconjugation of a biotherapeutic (peptide, protein or antibody) may confer increased therapeutic efficacy via:

> Superior stability
> Increased bioavailability
> Longer in-vivo half-lives
> Higher aqueous solubility
> Enhanced target resolution

Glycans (unconjugated oligosaccharides) can also mediate various physiological processes. The synthetic pentasaccharide, Fondaparinux, chemically related to Heparin, is also a potent antithrombotic drug.

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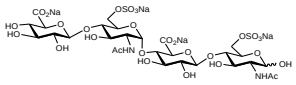
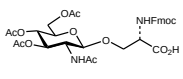
GENERAL AREAS OF FOCUS

1. **Oligosaccharide/Glycan Synthesis:** Highly pure synthetic glycans for drug discovery and research rigorously characterized by modern spectroscopic methods.

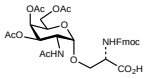


HEPARIN-LIKE TETRASACCHARIDE

2. **Glycoamino Acids:** The largest portfolio of O- and N-linked Fmoc glycoamino acids for glycopeptide synthesis or glycoconjugation of biologicals.

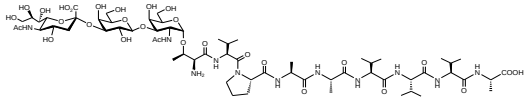


β-GlcNAc



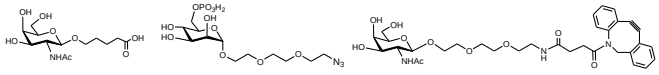
α-GalNAc (Tn-Antigen)

3. **Glycopeptides:** Portfolio of glycopeptides and custom synthesis – virtually any glycoform including biantennary structures). Numerous MUC1 glycopeptides.



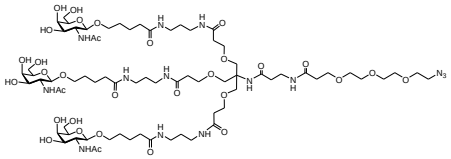
ANTIPROLIFERATIVE FACTOR (Frizzled-8 protein fragment)

4. **Carbohydrate Ligands – Targeting:** Portfolio of carbohydrates conjugated to functionalized linker systems for facile glycoconjugation of other molecules/particles.



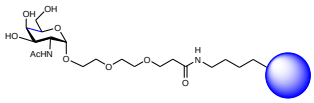
PEGYLATED OR ALKYL GLYCOSIDES (-N3, -NH2, -COOH, -SH, DBCO, -CΞCH...)

5. **GalNAc – ASGPR Targeting:** Portfolio of ligands for facile glycoconjugation to other molecules for targeting & delivery applications.



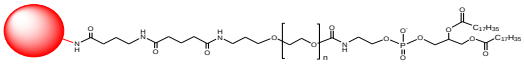
PEGYLATED OR ALKYL GalNAc – various functions available

6. **Neoglycoproteins / Glycoconjugates:** Portfolio of glycans, glycopeptides and other carbohydrate systems conjugated to BSA (or other carrier proteins upon request).



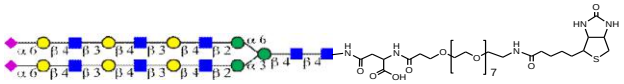
Multivalent alpha-GalNAc related to Tn antigen on BSA

7. **LNP Monomers:** Targeting ligands (glycans, peptides or small molecules) conjugated to PEGylated lipids allow for Lipid Nanoparticle (LNP) formulation and targeted drug delivery.



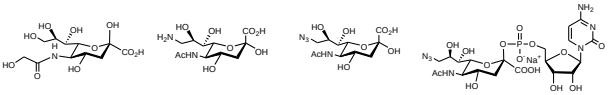
PEG(2000)-DSPE conjugated to a glycan or peptide payload

8. **Biotinylated Glycans:** Portfolio of biotinylated glycans designed for study of protein-carbohydrate interactions across various applications.



6'-Sialy tri-LacNAc N-Glycan (Biantennary)

9. **Sialic Acid Derivatives:** N-modified sialic acids such as Neu5Gc. 9-hydroxy modifications (9-azido, 9-amino, 9-amido..).

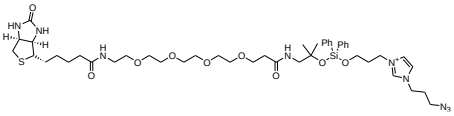


Neu5Gc 9-Amino 9-Azido 9-Azido sialic acid

10. **Modified Saccharides:** Portfolio//custom synthesis of glycosidase inhibitors (anhydro, fluorinated, 5-thio, thiazole and 2-thioisocyanate derivatives) as well as glycals, amines, azides, nitrophenyl, GalNAz, etc.

4-F-GlcNAc 4-F-GalNAc Thiamet-G GalNAz Ac_4

11. **Enrichment reagents:** Cleavable Biotin Probes for labeling of biomolecules via azide–alkyne cycloaddition (Click Chemistry).



Azide Analogue, Alkyne also available. Picolyl Azide also available.

Contract Research, Synthesis, Process Development
We welcome projects involving research, development, and optimization of synthesis methods, scale-up and manufacturing strategies for all kind of carbohydrate and small molecules. Applications include:

- > Vaccine Development
- > Drug Development
- > Drug Targeting/Delivery
- > Polysaccharide Chemistry
- > Drug Half-life Extension
- > Antibody Development
- > Protein/Antibody Modification (ADC)
- > GalNAc Ligand Development

Inquiries: info@sussex-research.com
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Sussex Research

GALNAC LIGANDS

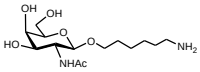
Sussex Research Laboratories Inc. has an extensive selection of functionalized GalNAc ligands with various alkyl and/or polyethylene glycol (PEG) linker/spacer systems. These enable facile introduction of GalNAc-based recognition elements onto a variety of molecules including but not limited to small molecules, surfaces, nanoparticles, peptides, proteins, antibodies, lipids and oligonucleotides.

Examples of our Functionalized Linker/spacers:

1. DBCO (dibenzocyclooctyne) – react with azides via copperless click chemistry
2. Alykynes – react with azides via copper-catalyzed click chemistry
3. Azides – react with triple bonds via click chemistry
4. Maleimides – react with thiols
5. Amines – react with carboxylic acids
6. Carboxylic acids – amine reactive
7. NHS esters (including sulfo-NHS esters) – amine reactive
8. Squarate – amine reactive
9. Pentafluorophenolic esters – amine reactive
10. On-glass beads (for synthesis of 5'-GalNAc-Conjugated Oligonucleotides)
11. Biotin – for detection of tris-GalNAc ligands via streptavidin
12. Fluorescein – for detection of tris-GalNAc ligands
13. Lipids – monomers for preparation of targeted lipid nanoparticles (LNP)

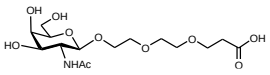
Monovalent GalNAc Ligands - with alkyl linker/spacers

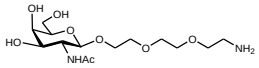
Functionalized with alkynes, amines, azides, carboxylic acids, N-succinimidyl esters, sulfo-N-succinimidyl esters, thiols, biotinyls



Monovalent GalNAc Ligands - with short, discrete polyethylene glycol (PEG) linker/spacers

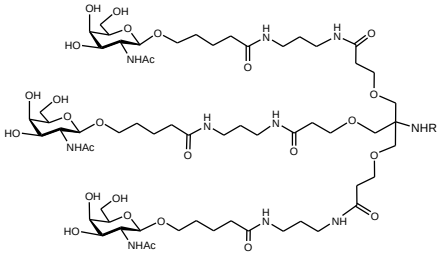
Functionalized with alkynes, DBCO, amines, azides, carboxylic acids, N-succinimidyl esters, sulfo-N-succinimidyl esters, thiols, biotinyls.





Trivalent GalNAc Ligands

1. with alkyl spacers - various functional groups
2. with ethylene glycol (PEG) spacers - various functional groups
3. with lipid systems - various glycan/PEG/Lipid systems



Tetravalent GalNAc Ligands

Custom synthesis - various functional groups

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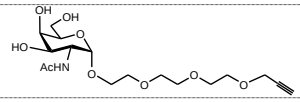
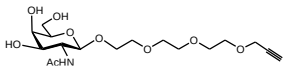
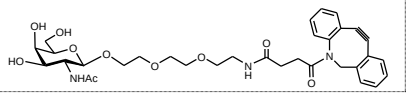
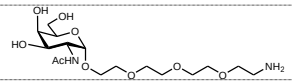
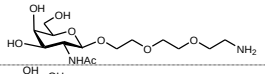
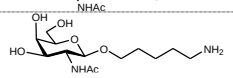
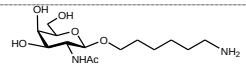
Monovalent Carbohydrate Ligands with Ethylene Glycol (PEG) Spacers:

Single or monomeric carbohydrate ligands on short, alkyl or monodisperse ethylene glycol (PEG) or long polydisperse PEG linker/spacers.

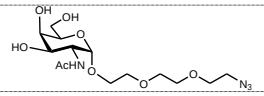
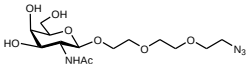
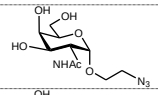
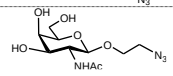
Alkyne Function for Click Chemistry (Click Reactive with Azides):

PE131000	α-GalNAc-PEG3-Propyne	
PE131010	β-GalNAc-PEG3-Propyne	
PE131020	β-GalNAc-PEG3-DBCO	

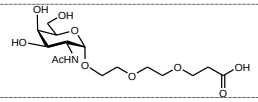
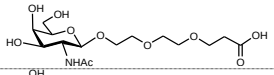
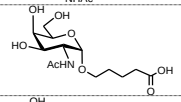
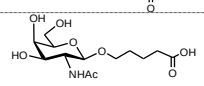
Amine Function (Reactive with Carboxylic Acids):

PE133000	α-GalNAc-PEG3-Amine	
PE133010	β-GalNAc-PEG3-Amine	
AG153000	β-GalNAc-Pentylamine	
AG163000	β-GalNAc-Hexylamine	

Azide Function for Click Chemistry (Click Reactive with Alkynes):

PE134000	α-GalNAc-PEG3-N_3	
PE134010	β -GalNAc-PEG3-N_3	
AG124000	α-GalNAc-Et-N_3 2-Azidoethyl 2-acetamido-2-deoxy-α-D-galactopyranoside	
AG124005	β-GalNAc-Et-N_3 2-Azidoethyl 2-acetamido-2-deoxy-β-D-galactopyranoside	

Carboxylic Acid Function (Reactive with Amines):

PE132000	α-GalNAc-PEG3-COOH	
PE132010	β-GalNAc-PEG3-COOH	
AG142000	α-GalNAc-$(CH_2)_4$-COOH 4-Carboxybutyl 2-acetamido-2-deoxy-α-D-galactopyranoside	
AG142010	β-GalNAc-$(CH_2)_4$-COOH 4-Carboxybutyl 2-acetamido-2-deoxy-β-D-galactopyranoside	

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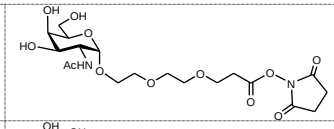
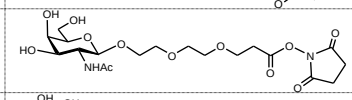
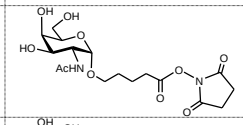
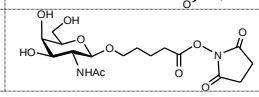
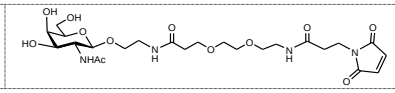
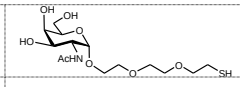
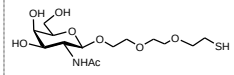
Carboxylic Acid N-Succinimidyl Ester Function (Reactive with Amines) – Synthesized on Demand:

PE132040	α-GalNAc-PEG3-acid NHS Ester	
PE132030	β-GalNAc-PEG3-acid NHS Ester	
AG146000	α-GalNAc-$(CH_2)_4$-acid NHS Ester 5-Pentanoic acid N-Succinimidylester 2-acetamido-2-deoxy-α-D-galactopyranoside	
AG146010	β-GalNAc-$(CH_2)_4$-acid NHS Ester 5-Pentanoic acid N-Succinimidylester 2-acetamido-2-deoxy-β-D-galactopyranoside	

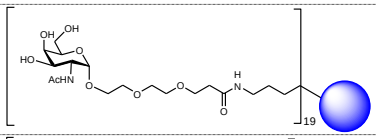
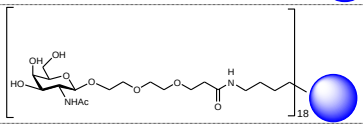
Maleimide Function (Reactive with Thiols/Sulfhydryl):

AP127000	β-GalNAc-Ethyl-PEG2-MAL	

Thiol (Sulfhydryl) Function (Reactive with Maleimides):

PE135000	α-GalNAc-PEG3-SH	
PE135010	β-GalNAc-PEG3-SH	

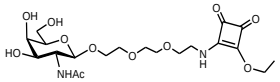
GalNAc on BSA (Multivalent GalNAc for use in screening):

GC132000	α-GalNAc-BSA Conjugate Bovine Serum Albumin Conjugate with 19 GalNAc per protein molecule on average	
GC132010	β-GalNAc-BSA Conjugate Bovine Serum Albumin Conjugate with 18 GalNAc per protein molecule on average	

Squarate Function (Reactive with Amines):

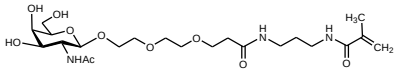
Ethyl squaramate group is much less prone to hydrolysis compared with standard N-hydroxysuccinimides (NHS). This results in higher conjugation efficiency in aqueous medium.

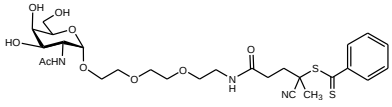
β-GalNAc-PEG3-squarate (Inquire)



RAFT Monomers:

Talk to us about preparation of GalNAc monomers for reversible addition−fragmentation chain-transfer (RAFT) polymerization. We have experience.





Sussex Research Laboratories Inc., 100 Sussex Drive, Suite 1120B, Ottawa, Ontario, Canada K1A0R6
T: (613) 993-4402, F: (613) 949-5993

Tri, Tris or Triantennary GalNAc:

In 1974 Gilbert Ashwell and Anatol Morell isolated and characterized a hepatic receptor as the first mammalian lectin, a receptor which recognizes asialylated glycoproteins (G. Ashwell, A. G. Morell, Adv. Enzymol. Relat. Areas Mol. Biol. 1974, 41, 99). Due to its high level of expression on hepatocytes, and its efficient endocytosis of appropriate ligands, the Ashwell-Morell Receptor or Asialoglycoprotein receptor (ASGPR) soon became recognized as a validated target in medicinal chemistry for liver-specific drug and gene delivery. Studies reporting use of mono/multivalent carbohydrates and in particular interaction of triantennary displayed Galactose (Gal) and N-acetylgalactosamine (GalNAc) with ASGPR were reported as far back as the early 1980s.

Sussex Research Laboratories Inc. produces many functionalized ligands including:

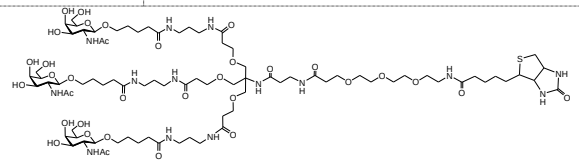
> Activated Esters
> Amines
> Azides
> Carboxylic Acids
> Alkynes (including DBCO)
> Thiols
> Maleimides
> Peptide Conjugates
> Small Molecules
> Steroid, Lipid and Phospholipid Conjugates
> Phosphoramidites
> Probes (biotin, fluescein…)

Inventoried Products:

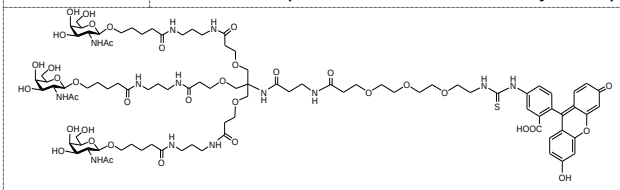
The products listed below are inventories to varying levels.

Triantennary GalNAc Probes:

Product #	BT100030
Description	Tris-GalNAc-β-Ala-PEG3-Biotin; To study and optimize binding and uptake to ASGPR.

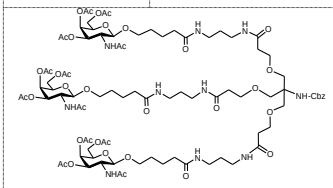


Product #	MV100130
Description	Tris-GalNAc-β-Ala-PEG3-FITC; To study and optimize binding and uptake to ASGPR.

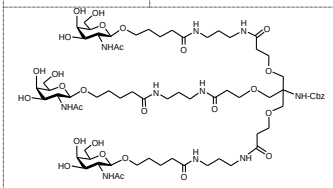


Triantennary GalNAc - Ready to Use Reactive Intermediates:

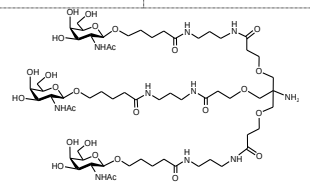
Product #	MV100000
Description	Tris-GalNAc-NHCbz (peracetylated, Cbz); Protected amine and GalNAcs



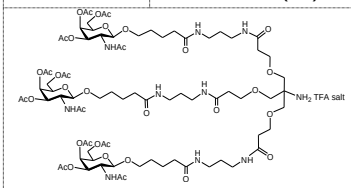
Product #	MV100001
Description	Tris-GalNAc-NHCbz; Protected amine



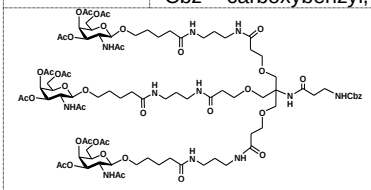
Product #	MV100002
Description	Tris-GalNAc-NH_2; Free amine reacts with carboxylic acids



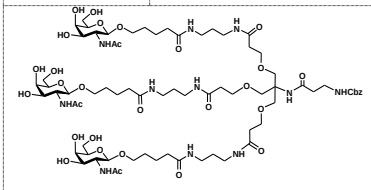
Product #	MV100003
Description	Tris-GalNAc$(Ac)_3$-NH_2 TFA Salt; Protected GalNAc; Amine-trifluoroacetic acid salt



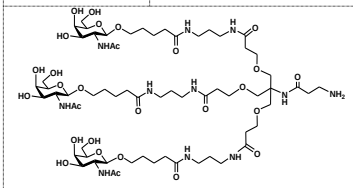
Product #	MV100004
Description	Tris-GalNAc-β-Ala-NHCbz (Peracetylated, Cbz); Where β-Ala = β-Alanine (3-Aminopropanoic acid); Cbz protected where Cbz = carboxybenzyl, Ac = acetyl; Protected amine and GalNAc



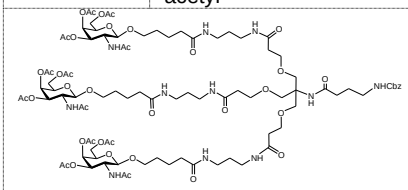
Product #	MV100005
Description	Tris-GalNAc-β-Ala-NHCbz; Where β-Ala = β-Alanine (3-Aminopropanoic acid); Cbz (carboxybenzyl) protected amine



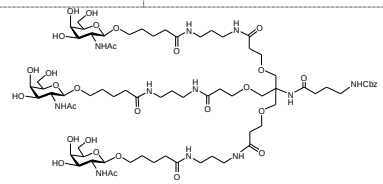
Product #	MV100006
Description	Tris-GalNAc-β-Ala-NH_2; Reacts with carboxylic acids; β-Ala = β-Alanine (3-Aminopropanoic acid)



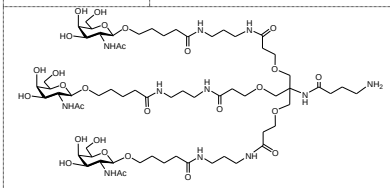
Product #	MV100009
Description	Tris-GalNAc-GABA-NHCbz (Peracetylated, Cbz); where GABA = γ-aminobutyric acid (4-Aminobutanoic acid) and Ac- acetyl



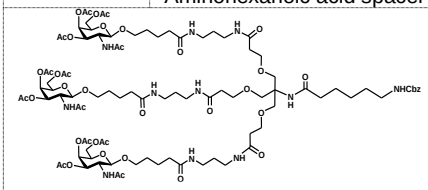
Product #	MV100010
Description	Tris-GalNAc-GABA-NHCbz; Where GABA = γ-aminobutyric acid (4-Aminobutanoic acid)



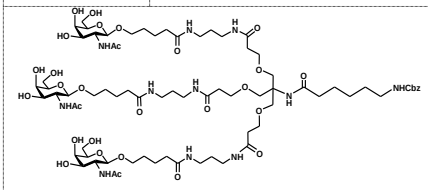
Product #	MV100011
Description	Tris-GalNAc-GABA-NH_2; Reacts with carboxylic acids; Where GABA = γ-aminobutyric acid (4-Aminobutanoic acid) spacer



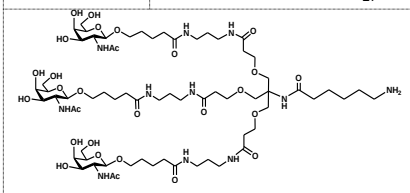
Product #	MV100012
Description	Tris-GalNAc-Ahx-NHCbz (peracetylated); Cbz (carboxybenzyl) protected amine; protected GalNAc; Where Ahx = 6-Aminohexanoic acid spacer



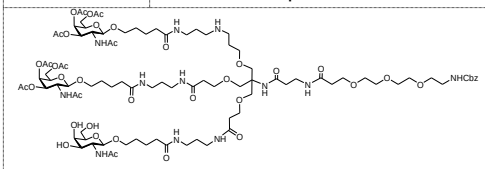
Product #	MV100013
Description	Tris-GalNAc-Ahx-NHCbz; Cbz (carboxybenzyl) protected amine; Where Ahx = 6-Aminohexanoic acid spacer



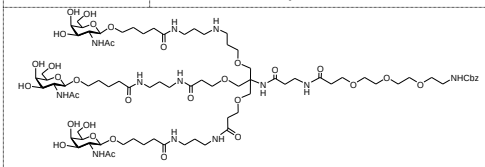
Product #	MV100014
Description	Tris-GalNAc-Ahx-NH_2; Reacts with carboxylic acids; Where Ahx = 6-Aminohexanoic acid spacer



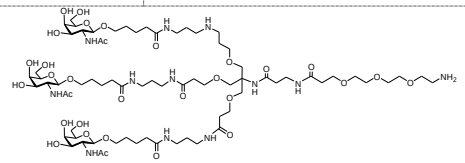
Product #	MV100015
Description	Tris-GalNAc-β-Ala-PEG3-NHCbz (peracetylated, Cbz) Cbz (carboxybenzyl) protected amine; Protected GalNAc



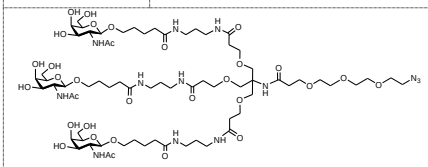
Product #	MV100016
Description	Tris-GalNAc-β-Ala-PEG3-NHCbz; Cbz (carboxybenzyl) protected amine



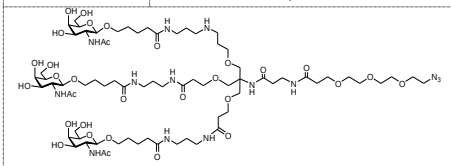
Product #	MV100017
Description	Tris-GalNAc-β-Ala-PEG3-NH_2; For Reaction with carboxylic acids



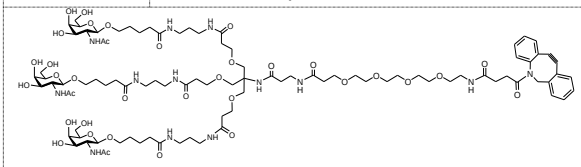
Product #	MV100020
Description	Tris-GalNAc-PEG3-N_3; Azide for Reaction with alkynes via Click Chemistry



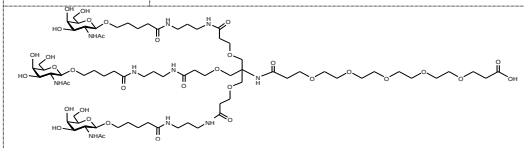
Product #	MV100030
Description	Tris-GalNAc-β-Ala-PEG3-N_3; Azide for Reaction with alkynes via Click Chemistry



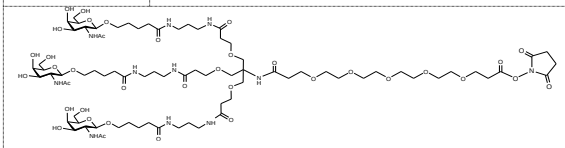
Product #	MV100040
Description	Tris-GalNAc-β-Ala-PEG4-DBCO ; Dibenzocyclooctyne reacts with azides via copperless Click Chemistry



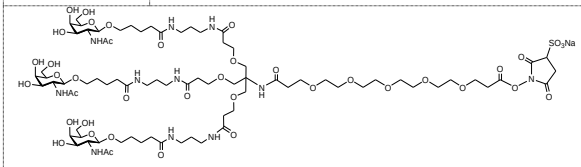
Product #	MV100050
Description	Tris-GalNAc-PEG5-COOH; For reaction with amines



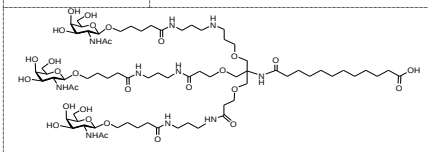
Product #	MV100052
Description	Tris-GalNAc-PEG5-NHS Ester; N-hydroxysuccinimide for reaction with amines



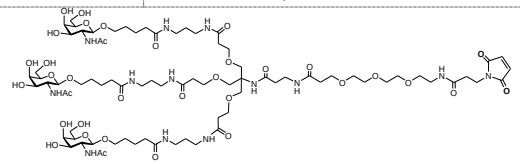
Product #	MV100054
Description	Tris-GalNAc-PEG5-sulfo-NHS Ester (N-hydroxysulfosuccinimide); For reaction with amines



Product #	MV100060
Description	Tris-GalNAc-C10-COOH; For reaction with amines; Tris-β-GalNAc-$(CH_2)_{10}$-COOH

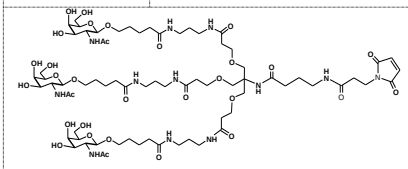


Product #	MV100120
Description	Tris-GalNAc-β-Ala-PEG3-MAL; MAL = maleimide, For reaction with sulfhydryls (thiols)

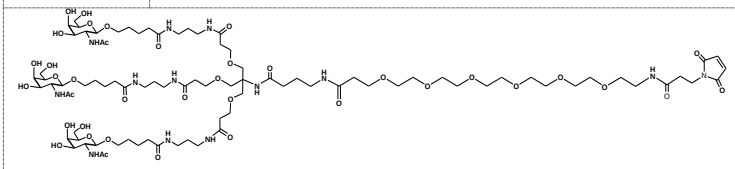


Other GalNAc Products - Synthesized Upon Request:

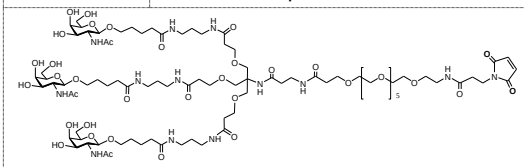
Product #	N/A
Description	Tris-GalNAc-GABA-MAL; MAL = maleimide, For reaction with sulfhydryls (thiols)



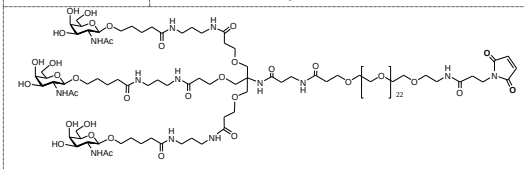
Product #	N/A
Description	Tris-GalNAc-GABA-PEG6-MAL; MAL = maleimide, For reaction with sulfhydryls (thiols)



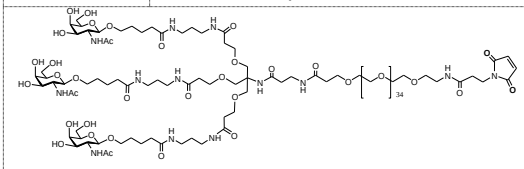
Product #	N/A
Description	Tris-GalNAc-β-Ala-PEG12-MAL; For reaction with sulfhydryls (thiols)



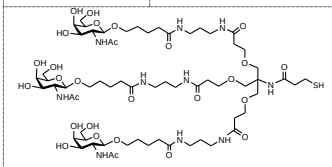
Product #	N/A
Description	Tris-GalNAc-β-Ala-PEG24-MAL; MAL = maleimide, For reaction with sulfhydryls (thiols)



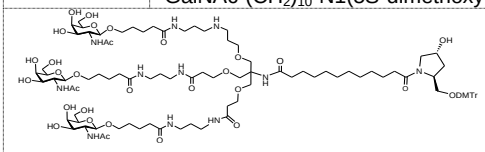
Product #	N/A
Description	Tris-GalNAc-β-Ala-PEG36-MAL; MAL = maleimide, For reaction with sulfhydryls (thiols)



Product #	N/A
Description	Tris-GalNAc-mercaptopropanoate; Reactive with maleimides and bromides

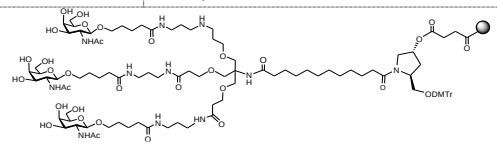


Product #	N/A
Description	Tris-GalNAc-C10-N1(5S-dimethoxytrityloxymethyl-3-hydroxypyrrolidine); For preparation of phosphoramidites; Tris-β-GalNAc-$(CH_2)_{10}$-N1(5S-dimethoxytrityloxymethyl-3-hydroxypyrrolidine) for preparation of phosphoramidites



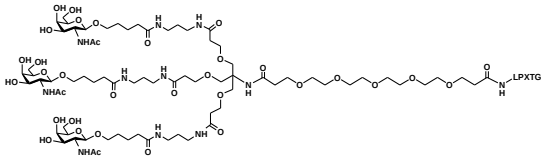
GalNAc Loaded Glass Beads:

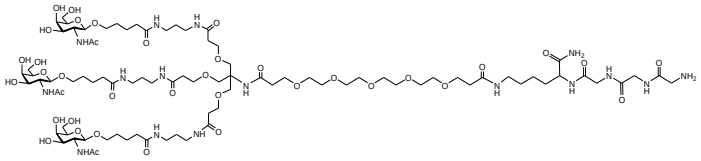
Product #	N/A
Description	Tris-β-GalNAc-C10-CPG; Glass beads-loaded for synthesis of oligonucleotides conjugated to Tris-GalNAc)



Peptide Conjugates for Protein Labeling via Sortase-Mediated Transpeptidation:

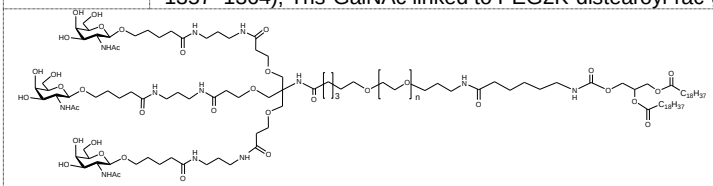
Sortase A catalyzes a ligation reaction between Tris-GalNAc peptide substrate motif (amino acids LPXTG) and oligoglycine nucleophiles making it possible to install GalNAc modifications onto the protein N- or C-termini in a site-specific fashion.



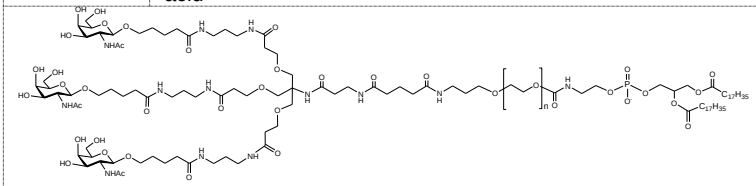


LNP Monomers for Preparing Lipid Nanoparticles:

Product #	N/A
Description	Tris-β-GalNAc-PEG(2000)-DSG; Monomer for non-charged GalNAc/LNPs; (Akinc *et al.*, Molecular Therapy 2010, 18, 1357–1364); Tris-GalNAc linked to PEG2K-distearoyl-rac-glycerol (DSG)



Product #	N/A
Description	Tris-β-GalNAc-β-Ala-PEG(2000)-DSPE; Monomer for charged GalNAc/LNPs; (Tris-GalNAc-β-Ala-NH_2 linked to PEG2k-phospholipid (DSPE or 1,2-distearoyl-sn-glycero-3-phosphoethanolamine) where β Ala = beta-alanine or 3-aminopropanoic acid



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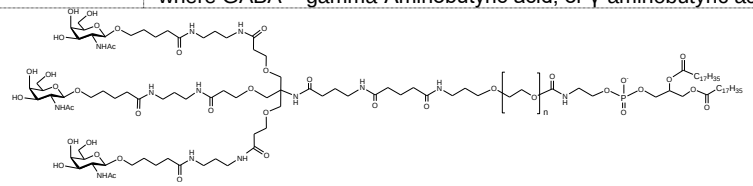
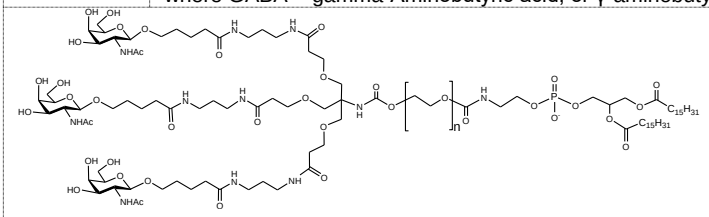
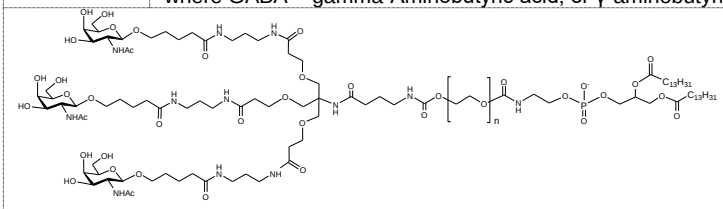
Product #	N/A
Description	Tris-β-GalNAc-GABA-PEG(2000)-DSPE; Monomer for charged GalNAc/LNPs; (Tris-GalNAc-GABA-NH_2 linked to PEG2k-phospholipid (DSPE or 1,2-distearoyl-sn-glycero-3-phosphoethanolamine) where GABA = gamma-Aminobutyric acid, or γ-aminobutyric acid.



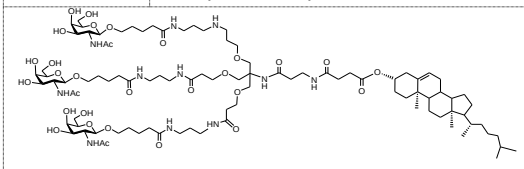
Product #	N/A
Description	Tris-β-GalNAc-GABA-PEG(2000)-DPPE; Monomer for charged GalNAc/LNPs; (Tris-GalNAc-GABA-NH_2 linked to PEG2k-phospholipid (DPPE or 1,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine) where GABA = gamma-Aminobutyric acid, or γ-aminobutyric acid.



Product #	N/A
Description	Tris-β-GalNAc-GABA-PEG(2000)-DMPE; Monomer for charged GalNAc/LNPs; (Tris-GalNAc-GABA-NH_2 linked to PEG2k-phospholipid (DMPE or 1,2-dimyristoyl-sn-glycero-3-phosphoethanolamine) where GABA = gamma-Aminobutyric acid, or γ-aminobutyric acid.

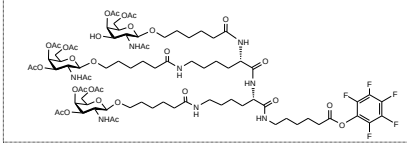


Product #	N/A
Description	Tris-β-GalNAc-β-Ala-cholesterol

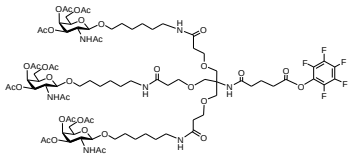


Other Triantennary GalNAc Systems:

Product #	N/A
Description	GN3-Lys-Lys-Ahx-pFP; Bioorganic & Medicinal Chemistry Letters 26 (2016) 3690–3693



Product #	N/A
Description	THA-GN3; J. Med. Chem. 2016, 59, 2718−2733



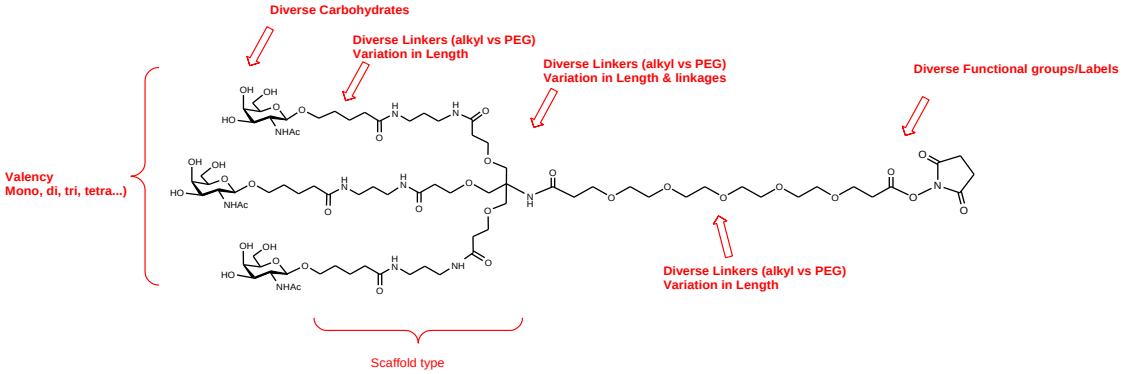
Customized GalNAc Systems:

As a leading CRO in carbohydrate synthesis, Sussex Research Laboratories Inc. excels at delivering challenging projects that deal with multivalency for projects as disparate as vaccine development and drug targeting.

Multivalent Carbohydrate Ligands with linker/spacers:

Targeting ligands such as GalNAc enable efficient heptatic uptake of conjugate molecules via the Asialoglycoprotein Receptor (ASGPR). This has been particularly noteworthy as an emerging approach to delivery of therapeutics.

We synthesize multivalent GalNAc or other glycan with any functionality, scaffold or linker/spacer system for applications in peptide, protein, oligonucleotide, lipid and antibody therapeutic targeting. Since the early 2000s, we have been engaged in numerous projects of this nature and have worked on every aspect of multivalent systems as shown in a representative example below. Our work has not been limited only to GalNAc or the scaffold shown below.



Custom Synthesis

Sussex Research Laboratories Inc. welcomes projects which utilize core expertise in carbohydrate synthesis. We specialize in multi-step custom synthesis of carbohydrate and glycoconjugate systems.

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