

# Biotinylated Glycans

## Carbohydrate & Glycan Ligands

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**Sussex Research Laboratories Inc.**  
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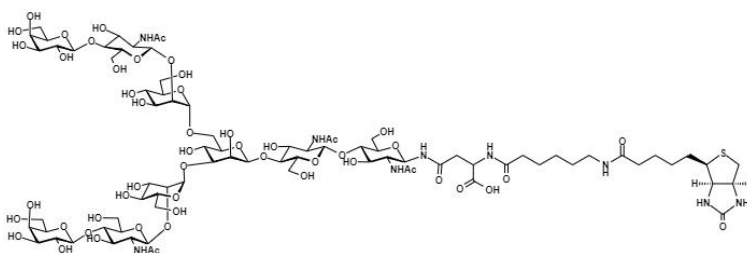
## Carbohydrates In Therapeutic Development

Carbohydrates (glycans) are found on the majority of cell surface and circulatory proteins and are also conjugated to cell surface lipids where they are involved in a host of processes including cell recognition, cell adhesion, fertilization, and immune function. Little wonder that carbohydrates are now being applied to therapeutic development & drug delivery.

**Exploiting receptor/carbohydrate interactions** through use of glycobiology may offer a means of addressing the specific challenge of delivering and targeting difficult therapeutic molecules. The idea of using lectin- or receptor-carbohydrate interactions to mediate cell targeting and cellular uptake of molecules in general has been under intense investigation. There is potential for carbohydrate ligands to specifically introduce and activate therapeutic macromolecules into diseased cells in a manner that allows for specific and controlled modulation of protein production. Cell-specific delivery of therapeutic agents via carbohydrate ligand targeting has also gained interest due to its potential for increased efficacy and reduced side effects.

**Sussex Research Laboratories Inc.** is the leading commercial source of synthetic, well-defined carbohydrate ligands such as PEGylated glycosides. These are multi-purpose, functionalized products that enable researchers to modify macromolecules, surfaces, and nanoparticles with carbohydrates via simple polyethylene glycol (PEG) or alkyl linker/spacer systems. In contrast to alkyl, PEG linkers are amphiphilic which reduces linker hydrophobic interactions with macromolecules and surfaces.

**Custom Synthesis:** 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 carbohydrate systems for specific research, drug, and vaccine development projects. You pick the glycan, the linker system, and the functional group, and we'll make it happen.



## 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 glycotecnology.

### 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?

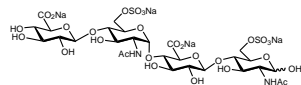
Glycoconjugation of a biotherapeutic (peptide, protein or antibody) may confer increased therapeutic efficacy via:

- > 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.

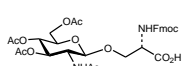
## GENERAL AREAS OF FOCUS

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

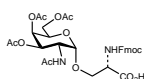


HEPARIN-LIKE TETRASACCHARIDE

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

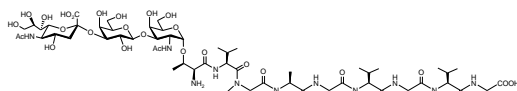


$\beta$ -GlcNAc



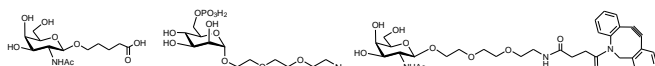
$\alpha$ -GalNAc (Tn-Antigen)

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



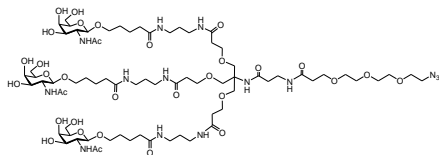
ANTIPROLIFERATIVE FACTOR (Frizzled-8 protein fragment)

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



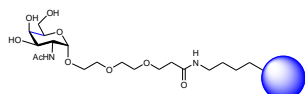
PEGYLATED OR ALKYL GLYCOSIDES (-N<sub>3</sub>, -NH<sub>2</sub>, -COOH, -SH, DBCO, -C≡CH...)

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



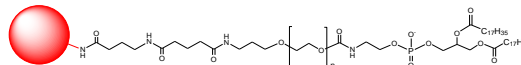
PEGYLATED OR ALKYL GalNAc – various functions available

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



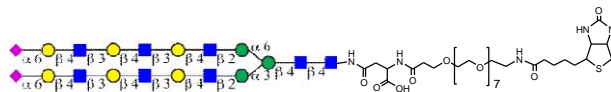
Multivalent  $\alpha$ -GalNAc related to Tn antigen on BSA

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



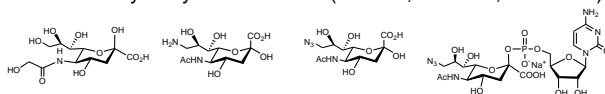
PEG(2000)-DSPE conjugated to a glycan or peptide payload

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



6'-Sialyl 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...).



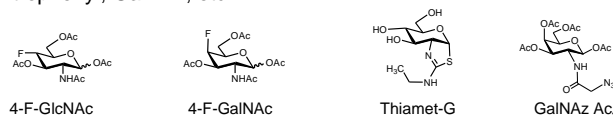
Neu5Gc

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.



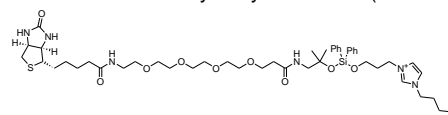
4-F-GlcNAc

4-F-GalNAc

Thiamet-G

GalNAz Ac<sub>4</sub>

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

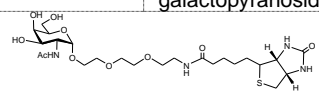
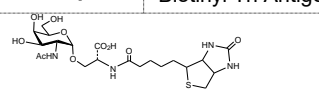
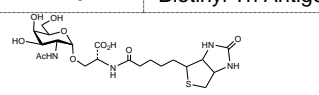
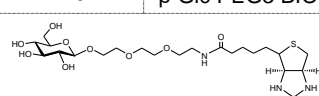
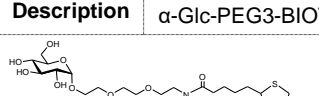
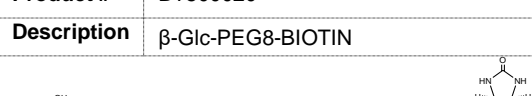
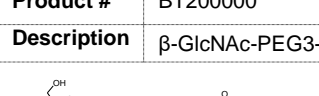
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[www.sussex-research.com](http://www.sussex-research.com)

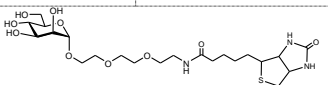


## BIOTINYLATED GLYCOSYLATED LIGANDS

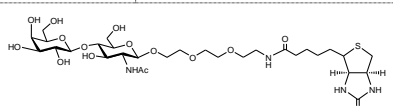
Sussex Research Laboratories Inc. has an extensive selection of biotinylated carbohydrate and glycan ligands with various spacer/linker systems including short, discrete monodisperse ethylene oxide (PEG) spacers. Biotinylated products are produced via synthetic chemistry and characterized via high resolution Proton NMR and Mass Spectrometry. Sussex Research Laboratories Inc. also offers Custom Biotinylation. Consult us on any custom biotinylated carbohydrates or glycans.

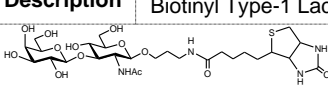
### 1. Monosaccharides:

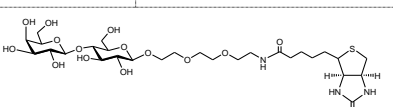
<b>Product #</b>	BT100000
<b>Description</b>	$\alpha$ -GalNAc-PEG3-BIOTIN; Sugar component of Tn-Antigen; 8-Biotinamido-3,6-dioxaoctanyl 2-acetamido-2-deoxy- $\alpha$ -D-galactopyranoside
	
<b>Product #</b>	BT100010
<b>Description</b>	Biotinyl Tn Antigen, Serine; $\alpha$ -GalNAc-Ser-NH-BIOTIN; Biotin-Ser( $\alpha$ -GalNAc)-OH (free acid)
	
<b>Product #</b>	BT100020
<b>Description</b>	Biotinyl Tn Antigen, Threonine; $\alpha$ -D-GalNAc-Thr-NH-Biotin; Biotin-Thr( $\alpha$ -GalNAc)-OH (free acid)
	
<b>Product #</b>	BT500000
<b>Description</b>	$\beta$ -Glc-PEG3-BIOTIN
	
<b>Product #</b>	BT500010
<b>Description</b>	$\alpha$ -Glc-PEG3-BIOTIN
	
<b>Product #</b>	BT500020
<b>Description</b>	$\beta$ -Glc-PEG8-BIOTIN
	
<b>Product #</b>	BT200000
<b>Description</b>	$\beta$ -GlcNAc-PEG3-BIOTIN
	

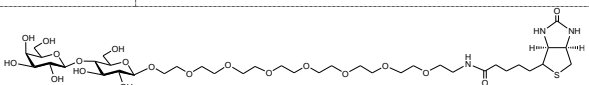
<b>Product #</b>	BT600000
<b>Description</b>	$\alpha$ -Man-PEG3-BIOTIN
	

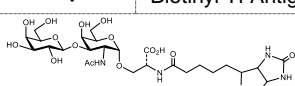
## 2. Glycans:

<b>Product #</b>	BT900000
<b>Description</b>	Biotinyl Type-2 LacNAc; LacNAc-PEG3-BIOTIN; LN-PEG3-BIOTIN
	

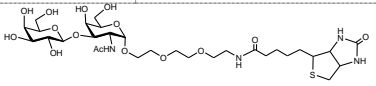
<b>Product #</b>	BT900005
<b>Description</b>	Biotinyl Type-1 LacNAc (lacto-N-biose); Gal $\beta$ 1-3GlcNAc $\beta$ -(CH <sub>2</sub> ) <sub>3</sub> -Biotin
	

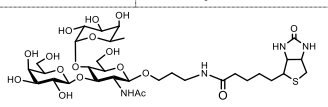
<b>Product #</b>	BT900010
<b>Description</b>	Lac-PEG3-BIOTIN
	

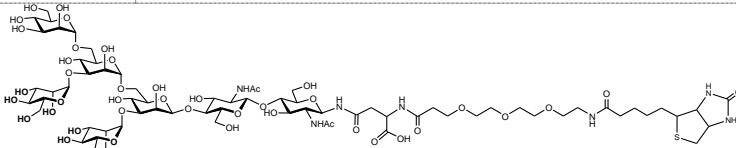
<b>Product #</b>	BT900020
<b>Description</b>	Lac-PEG8-BIOTIN
	

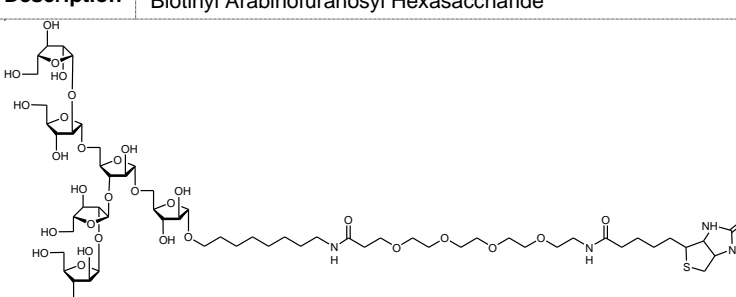
<b>Product #</b>	BT900025
<b>Description</b>	Biotinyl Tf Antigen (Serine, Biotin)
	

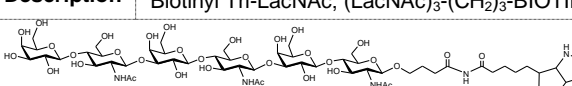
<b>Product #</b>	BT900030
<b>Description</b>	Biotinyl Tf Antigen (Threonine, Biotin)
	

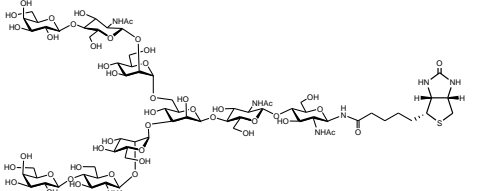
<b>Product #</b>	BT900040
<b>Description</b>	Biotinyl Galacto-N-biose; Gal $\beta$ (1-3)GalNAc $\alpha$ -PEG3-BIOTIN (Core 1 disaccharide of TF-Antigen)
	

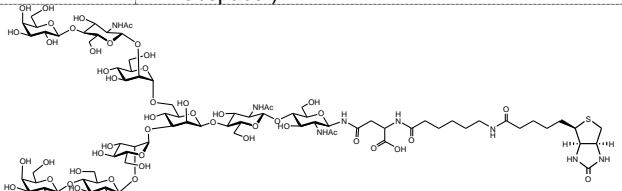
<b>Product #</b>	BT900180
<b>Description</b>	Biotinyl Lewis A
	

<b>Product #</b>	BT600050
<b>Description</b>	Biotinyl Man5GlcNAc2Asn
	

<b>Product #</b>	BT800000
<b>Description</b>	Biotinyl Arabinofuranosyl Hexasaccharide
	

<b>Product #</b>	BT900045
<b>Description</b>	Biotinyl Tri-LacNAc; (LacNAc) <sub>3</sub> -(CH <sub>2</sub> ) <sub>3</sub> -BIOTIN; LN <sub>3</sub> -(CH <sub>2</sub> ) <sub>3</sub> -BIOTIN
	

<b>Product #</b>	BT900050
<b>Description</b>	G2 / NA2 Biotin (LacNAc2Man3GlcNAc2-Biotin); (Asialo N-Link Biantennary; No linker/spacer)
	

<b>Product #</b>	BT900060
<b>Description</b>	G2 / NA2 Biotin (LacNAc2Man3GlcNAc2-Asn-Biotin); (Asialo N-Link Biantennary, Asparagine, 6-aminohexanoic acid linker/spacer)
	

<b>Product #</b>	BT900070
<b>Description</b>	G2 / NA2 Biotin (LacNAc2Man3GlcNAc2-Asn-PEG8-Biotin); Asialo N-Link Biantennary, Asparagine/PEG8 linker/spacer

<b>Product #</b>	BT900075
<b>Description</b>	G2 / NA2 Biotin (di-LacNAc chain); LacNAc4Man3GlcNAc2-Asn-PEG8-Biotin; Asialo (di-LacNAc chain) N-Link Biantennary, Asparagine/PEG8 linker/spacer

<b>Product #</b>	BT900090
<b>Description</b>	[Gal3GlcNAc3Man][Gal2GlcNAc2Man]ManGlcNAc2-Asn-PEG8-Biotin (extended tri/di-LacNAc arms)

<b>Product #</b>	BT900080
<b>Description</b>	G2 / NA2 Biotin (tri-LacNAc chain); LacNAc6Man3GlcNAc2-Asn-PEG8-Biotin; Asialo (tri-LacNAc chain) N-Link Biantennary, Asparagine/PEG8 linker/spacer

### 3. Sialylated Glycans:

<b>Product #</b>	BT000070
<b>Description</b>	Biotinyl STn Antigen (Thr); Sialyl-Tn Antigen (Threonine, Biotinylated); Neu5Acα2-6GalNAc-Thr-NH-Biotin

<b>Product #</b>	BT000080
<b>Description</b>	Biotinyl STn Antigen (Ser); Sialyl-Tn Antigen (Serine, Biotinylated); Neu5Acα2-6GalNAc-Ser-NH-Biotin



<b>Product #</b>	BT000000
<b>Description</b>	3'-SLN-PEG3-BIOTIN (Avian form); 3'-SialylLacNAc $\beta$ -PEG3-BIOTIN

<b>Product #</b>	BT000010
<b>Description</b>	6'-SLN-PEG3-BIOTIN (Mammalian form); 6'-SialylLacNAc $\beta$ -PEG3-BIOTIN

<b>Product #</b>	BT000020
<b>Description</b>	3'-S(LN) <sub>3</sub> -PEG3-BIOTIN (Sialy Tri-LacNAc), Avian form; 3'-Sialyl(LacNAc) <sub>3</sub> -PEG3-BIOTIN

<b>Product #</b>	BT000030
<b>Description</b>	6'-S(LN) <sub>3</sub> -PEG3-BIOTIN (Sialy Tri-LacNAc), Mammalian form; 6'-Sialyl(LacNAc) <sub>3</sub> -PEG3-BIOTIN

<b>Product #</b>	BT000040
<b>Description</b>	G2S2 / A2 Biotin (Disialo (2,3) Biantennary, Asparagine, 6-aminohexanoic acid spacer); (3-Neu5Ac2LacNAc2Man3GlcNAc2-Asn-Biotin)

<b>Product #</b>	BT000050
<b>Description</b>	G2S2 / A2 Biotin (Disialo (2,6) Biantennary, Asparagine, 6-aminohexanoic acid spacer); (6-Neu5Ac2LacNAc2Man3GlcNAc2-Asn-Biotin)

<b>Product #</b>	BT000055
<b>Description</b>	G2S2 / A2 Biotin (Disialo(2,3)tri-LacNAc Biantennary, Asparagine, PEG8 linker/spacer); G2S2 / A2 GLYCAN with 3'-Sialyl-LacNAc3 arms

<b>Product #</b>	BT000060
<b>Description</b>	G2S2 / A2 Biotin ((Disialo (2,6) tri-LacNAc) <sub>2</sub> Biantennary, Asparagine, PEG8 linker/spacer); G2S2 / A2 GLYCAN with 6'-Sialyl-LacNAc3 arms

#### 4. Trivalent Ligands:

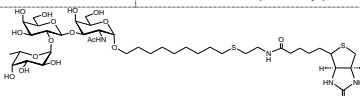
<b>Product #</b>	BT000130
<b>Description</b>	Triantennary GalNAc-β-Alanine-PEG3-Biotin; Beta-GalNAc ligand for Asialo Glycoprotein Receptors (ASGPR) on hepatocytes

#### 5. Synthetic Blood Group Glycans:

<b>Product #</b>	BT900200
<b>Description</b>	Blood Group A Trisaccharide-sp-Biotin

<b>Product #</b>	BT900210
<b>Description</b>	Blood Group B Trisaccharide-sp-Biotin

<b>Product #</b>	BT900220
<b>Description</b>	Blood Group H Type I Trisaccharide-sp-Biotin

<b>Product #</b>	BT900230
<b>Description</b>	Blood Group H Type III Trisaccharide-sp-Biotin
	

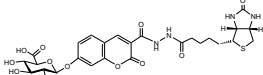
## 6. Glycopeptides:

Sussex Research Laboratories Inc. offers many biotinylated glycopeptides. Please visit the website for more comprehensive listings.

<b>Product #</b>	GP000041
<b>Description</b>	Biotin-PEG2-Gly-MUC1(126-149)-STn(139,144)
<p>MUC1 (UniProt ID P15941) biotinyl glycopeptide (amino acids 126-149) bearing STn antigen at 2 sites.  Sequence: Biotin-PEG2-G-PAPGST(STn)APPAHGVTAPDT(STn)RPAPG-OH, where STn = Neu5Ac(2-6)GalNAc and PEG2 = diethylene glycol linker/spacer</p>	

<b>Product #</b>	GP000042
<b>Description</b>	Biotin-PEG2-Gly-MUC1(126-149)
<p>MUC1 (UniProt ID P15941) biotinyl peptide (amino acids 126-149; non-glycosylated analogue of GP000041).  Sequence: Biotin-PEG2-G-PAPGSTAPPAHGVTAPDTRPAPG-OH, where PEG2 = diethylene glycol linker/spacer</p>	

## 7. Other:

<b>Product #</b>	BT500030
<b>Description</b>	Umbelliferyl $\beta$ -D-GlcA, Biotin conjugate
	

## 8. Polyvalent Ligands – Neoglycopolymer:

Linear polyacrylamides (PAAs) with attached-side carbohydrate and biotin groups  
Blood Group H type 3 (tri)-PAA-biotin  
 $\alpha$ -Fuc-(1-2)- $\beta$ -Gal-(1-3)- $\alpha$ -GalNAc  
Estimated oligosaccharide:biotin ratio: 4:1

## 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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