GLYCOSYLATED FMOC-ASPARAGINES

Ready-to-Use Building Blocks for SPPS

Sugar, Sugar, Glycans!

Add glycans to your proteins with our ready-to-use Fmoc asparagine building blocks suitable for solid phase peptide synthesis and produce peptides with exactly defined glycosylation patterns!

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Version: IF24_1

Glycosylated Fmoc-Asparagines

Ready-to-Use Building Blocks for SPPS

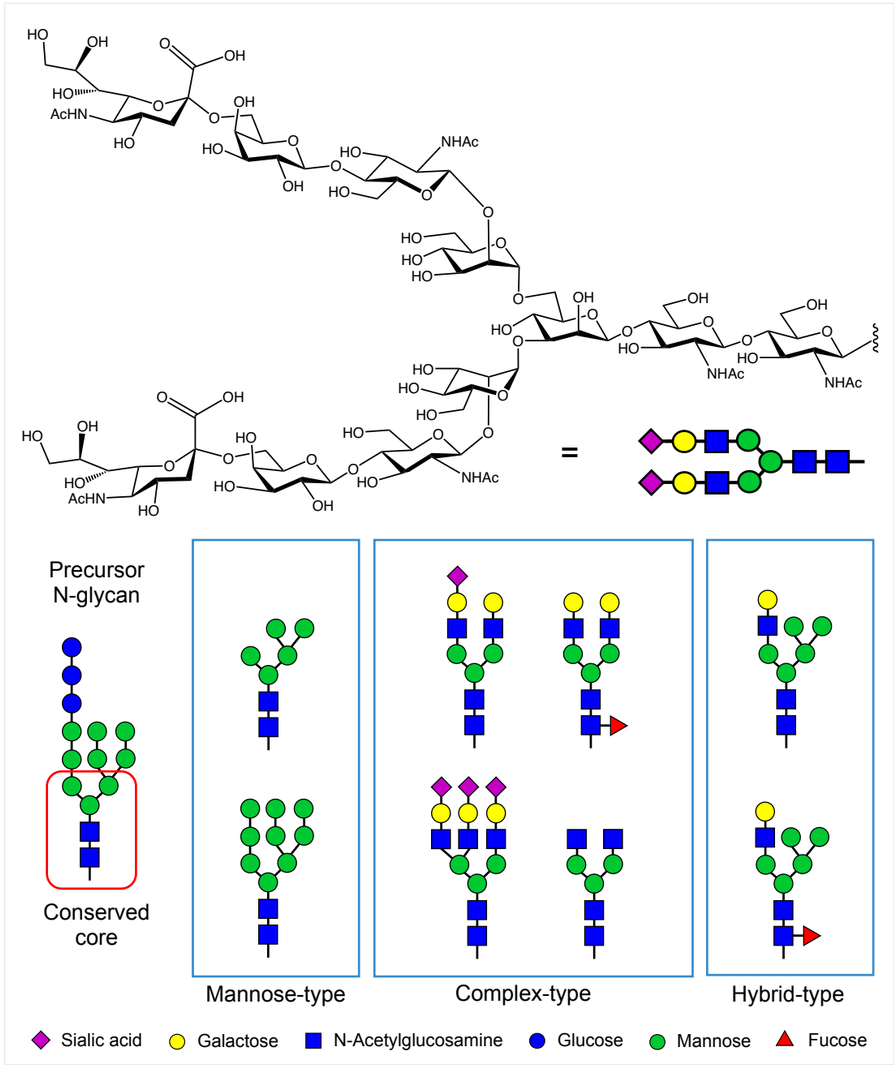
Principles of Glycans and Glycosylation

One of the most common post-translational modifications of proteins, the branched sugar molecules known as glycans are involved in a wide range of biological processes both inside and on the outside of cells. Glycosylation can be classified as N- or O-linked, with the glycan being either connected to the nitrogen atom of the amino acid asparagine, or to the oxygen atom of the amino acid serine or threonine, respectively.

In biological systems, N-glycans are part of the cellular protein production machinery involved in correct protein folding, but are also found on a wide variety of cell membrane and extracellular proteins, and all antibodies. Cell-surface glycans have various roles in biological processes including recognition, signaling, infection, and immune response. Glycans on extracellular proteins play roles in *in vivo* activity and physicochemical behavior *via* their effects on aqueous solubility, receptor recognition and protection against proteases and immune recognition.

The structural diversity of glycans is vast. Three main types of N-glycan are known: high mannose, complex, and hybrid. All have a Man3GlcNAc2 core that is attached to an asparagine nitrogen *via* a glycosidic bond. The individual sugar units exposed at the non-reducing end of the glycan also have a measurable effect on pharmacokinetics and biological activity. For example, glycoproteins that have no sialic acid units and therefore terminate with galactose are more rapidly metabolized by the liver. Meanwhile, the presence of fucose at the glycan core influences antibody function.

Don't miss any news and product innovations – register for our bi-weekly newsletter!

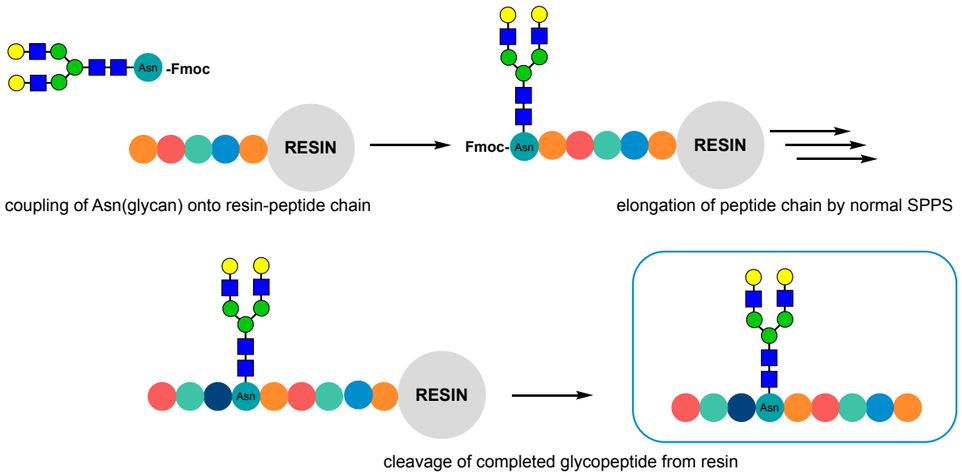


Composition and structural diversity of human-type N-glycans.

Although glycans have been acknowledged to be one of the major macromolecular building blocks essential to life, unlike peptides and proteins, their structures are not directly encoded by nucleic acids. The highly complex and gene-independent nature of glycan biosynthesis involving enzymes in competing processes has meant that glycoproteins and glycopeptides created with cell expression systems typically are not uniformly glycosylated with a single glycan structure, and instead show microheterogeneity. This is a major reason why the isolation of synthetically practical amounts of single glycan structures has been challenging. Bottom-up synthesis of glycans is also laborious and time consuming due to the complex protection and deprotection steps required to selectively conjugate carbohydrate hydroxy groups. As a result, until recently, physiologically important glycans have been virtually inaccessible to peptide chemists in the form of building blocks for fully controllable glycopeptide synthesis.

Applications of Glycopeptide Synthesis

Glycopeptide synthesis with asparagine-glycan building blocks bypasses the need for glycopeptide expression or post-synthesis enzymatic glycosylation of a pre-incorporated N-acetyl glucosamine acceptor. It also allows for the synthesis of a uniform glycopeptide with a single glycan structure (i.e., a homogenous glycoprofile), and full glycoform control in terms of the **structure, number, and position** of the incorporated N-glycans. Unrestrained by the need for consensus sequences that induce cellular glycosylation machinery to add a glycan to a peptide backbone, SPPS N-glycan building blocks can be inserted into even a short peptide at a chosen position or even onto a modifying linker.



Solid phase peptide synthesis of glycopeptide using Fmoc-Asn(glycan) as a building block.

The two major applications of chemical glycopeptide synthesis are:

a. the replication of a natural glycosylated epitope with a single glycan profile for use in diagnostics, antibody discovery, and fundamental glycobiology research, and

b. modifying the properties of a therapeutic peptide with synthetic glycosylation.

In the case of **b**, due to their physicochemical characteristics, glycans are known to be able to cause the following property changes when attached to peptides:

- Extended lifetime in circulation
- Better stability against protease digestion
- Higher solubility in water
- Lowered aggregability
- Lowered immunogenicity
- Improved pharmacological distribution

This opens up the possibility of using glycan building blocks as nature-derived modifications for peptide drug candidates with highly defined structures.

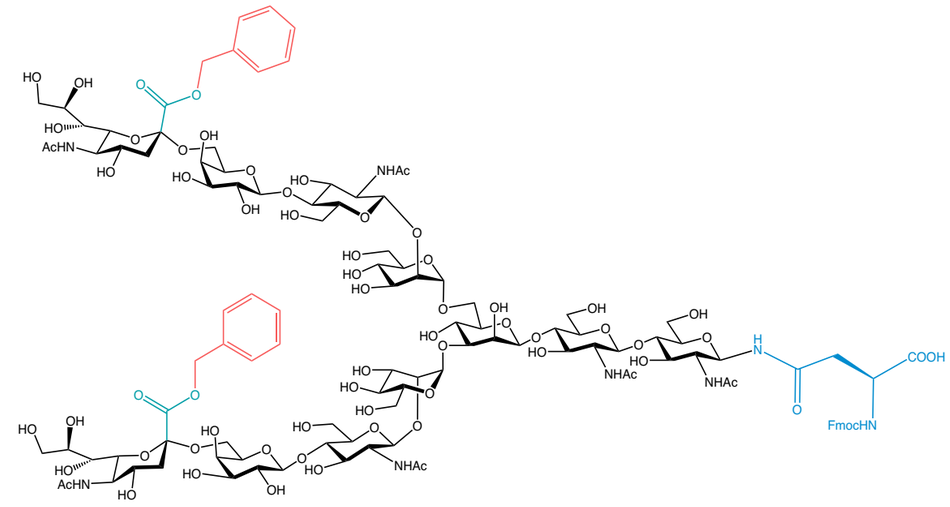
The resulting glycopeptides and their attached glycans are also compatible with native chemical ligation, allowing full glycoproteins to be synthesized or semi-synthesized, e.g., pharmaceutically important peptides such as homogenously glycosylated interferons (IFN) and erythropoietin (EPO).

Chemical Glycopeptide Synthesis

Benefits of Chemical Glycopeptide Synthesis

The use of Fmoc-protected glycosylated asparagine enables the flexible chemical synthesis of nature-identical glycosylated epitopes, and also the synthesis of new glycopeptides with a natural asparagine-glycan linkage. This allows the various properties of glycans to be examined or exploited free of the restrictions of cellular expression systems.

These glycan building blocks have been used in solid phase peptide synthesis (SPPS) for almost 20 years and are now available from Iris Biotech.



Fmoc-asparagine-based glycan building block Fmoc-Asn(diBn-disialo)-OH (GCN1000). The carboxy groups of the sialyl sugars are protected as carboxybenzyl residues to prevent hydrolysis under strong acidic conditions.

SPPS using Fmoc-Asn Glycans

To prevent aspartimide formation between the reducing end amide nitrogen and the α-carbonyl group of Fmoc-Asn(glycan), the use of a phosphonium-type coupling agent such as DEPBT (RL-1153 *https://www.iris-biotech.de/rl-1153*), which can be activated *in situ* and does not block the N-terminal amino group of the peptide resin, is recommended. In contrast, preactivation of uronium/guanidinium-type coupling reagents, such as HATU (RL-1190 *https://www.iris-biotech.de/rl-1190*) and HBTU (RL-1030 *https://www.iris-biotech.de/rl-1030*), is required to avoid blocking the N-terminal amino group of the peptide resin. However, excess base present during this step promotes the formation of aspartimide (Asi).

Meanwhile, for the coupling of non-glycosylated amino acids after the glycan-amino acid, the carbodiimide method has been shown to be effective in preventing the acylation of amino acids to the hydroxy groups of glycan chains.

Deprotection and cleavage of the glycopeptide can be achieved using a standard TFA cleavage cocktail without deleterious effects to glycans. However, because unprotected sialyl linkages would be hydrolyzed by TFA, electron withdrawing benzyl groups are added to the sialic acid carboxy groups for their protection. For glycopeptides containing sialic acid, removal of the benzyl ester protecting group(s) can be carefully performed post-cleavage with pH 11 sodium hydroxide solution.

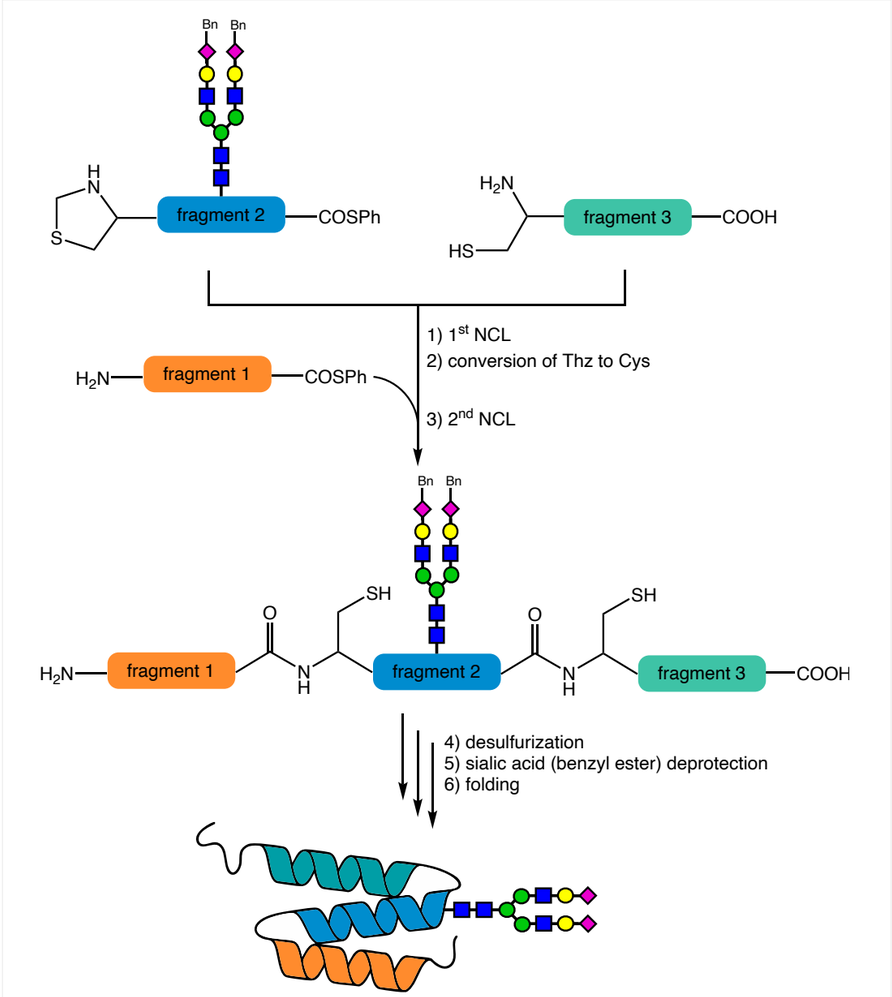
	Method
Preparation & Coupling	2 eq. Glycan amino acid (relative to resin loading) 2 eq. DEPBT 3 eq. DIPEA in DMF/DMSO 24 h
Wash	3x with DMF
Fmoc deprotection	20% piperidine in DMF 10 min x 2
Cleavage of the completed glycopeptide from the resin support	Conventional TFA cleavage cocktail
For sialic acid-containing glycopeptides only: Deprotection of sialic acid carboxy(s)	pH 11 aq. NaOH 20 min

Are you interested in different coupling reagents?

Check out our brochure Coupling Reagents.

Preparation of Glycoproteins

Conventional native chemical ligation (NCL) techniques can be used to prepare glycoproteins from combinations of glycosylated and non-glycosylated peptide segments. NCL is recommended for longer and complex glycopeptide synthesis because it reduces waste of the glycan amino acid while allowing the final product to be synthesized chemically in high purity.



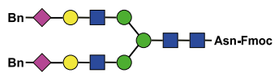
Synthesis of small protein with incorporated Asn(disialo) glycan.

Please contact us with your glycopeptide design ideas, and our experts will handle the rest!

Product details

GCN1000 Fmoc-L-Asn(diBn-disialo)-OH

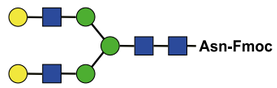
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4S,5R,6R)-6-((((2R,4S,5R)-5-acetamido-2-((benzyloxy)carbonyl)-4



CAS-No.	594875-72-6
Formula	$C_{117}H_{166}N_8O_{66}$
Mol. weight	2740,60 g/mol

GCN1010 Fmoc-L-Asn(Asialo)-OH

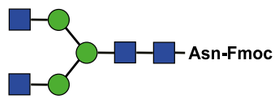
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydro



CAS-No.	204715-69-5
Formula	$C_{81}H_{120}N_6O_{50}$
Mol. weight	1977,84 g/mol

GCN1020 Fmoc-L-Asn(diGlcNAc)-OH

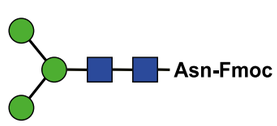
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydroxy



CAS-No.	195260-97-0
Formula	$C_{69}H_{100}N_6O_{40}$
Mol. weight	1653,56 g/mol

GCN1030 Fmoc-L-Asn(diMan)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-3,5-dihydroxy-4-(((2R,3S,4S,5S,6R)-3,4,5-trihydroxy-6-(hydroxymethyl)te trahydro-2H-pyran-2-yl)oxy)-6-((((2S,3S,4S,5S,6R)-3,4,5-t

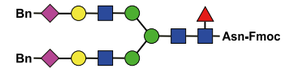


CAS-No.	491845-15-9
Formula	$C_{53}H_{74}N_4O_{30}$
Mol. weight	1247,17 g/mol

Product details

GCN1040 Fmoc-L-Asn(diBn-disialo-(Fuc))-OH

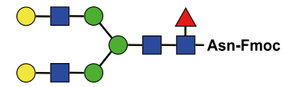
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4S,5R,6R)-6-((((2R,4S,5R)-5-acetamido-2-((benzyloxy)carbonyl)-4



Formula	$C_{123}H_{176}N_8O_{70}$
Mol. weight	2886,75 g/mol

GCN1050 Fmoc-L-Asn(Asialo-(Fuc))-OH

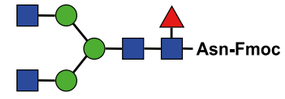
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydro



Formula	$C_{87}H_{130}N_6O_{54}$
Mol. weight	2123,98 g/mol

GCN1060 Fmoc-L-Asn(diGlcNAc-(Fuc))-OH

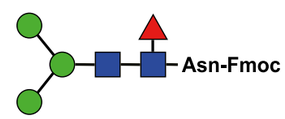
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydroxy



CAS-No.	2088923-61-7
Formula	$C_{75}H_{110}N_6O_{44}$
Mol. weight	1799,70 g/mol

GCN1070 Fmoc-L-Asn(diMan-(Fuc))-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-3,5-dihydroxy-4-(((2R,3S,4S,5S,6R)-3,4,5-trihydroxy-6-(hydroxymethyl)te trahydro-2H-pyran-2-yl)oxy)-6-((((2S,3S,4S,5S,6R)-3,4,5-t

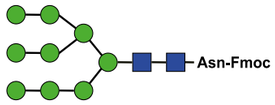


CAS-No.	1308872-05-0
Formula	$C_{59}H_{84}N_4O_{34}$
Mol. weight	1393,32 g/mol

Product details

GCN1140 Fmoc-L-Asn(M9)-OH

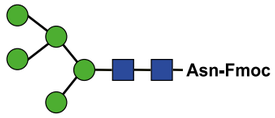
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2R,3S,4S,5S,6R)-4,5-dihydroxy-6-(hydroxymethyl)-3-(((2R,3S,4S,5S,6R)-3,4,5-trihydroxy-6-(hydroxymethyl



CAS-No.	1393688-23-7
Formula	$C_{89}H_{134}N_4O_{60}$
Mol. weight	2220,02 g/mol

GCN1150 Fmoc-L-Asn(M5)-OH

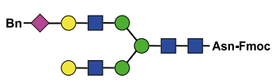
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3,5-dihydroxy-4-(((2R,3S,4S,5S,6R)-3,4,5-trihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-6-((((2



CAS-No.	2326442-65-1
Formula	$C_{65}H_{94}N_4O_{40}$
Mol. weight	1571,45 g/mol

GCN1080 Fmoc-L-Asn(1S(Bn),2G)-OH

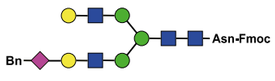
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydro



CAS-No.	676625-12-0
Formula	$C_{99}H_{143}N_7O_{58}$
Mol. weight	2359,22 g/mol

GCN1090 Fmoc-L-Asn(1G,2S(Bn))-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydr

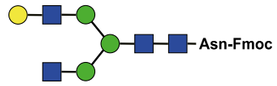


CAS-No.	676625-13-1
Formula	$C_{99}H_{143}N_7O_{58}$
Mol. weight	2359,22 g/mol

Product details

GCN1100 Fmoc-L-Asn(1G,2GN)-OH

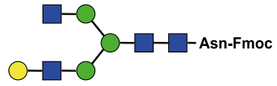
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydroxy



CAS-No.	491845-04-6
Formula	$C_{75}H_{110}N_6O_{45}$
Mol. weight	1815,70 g/mol

GCN1110 Fmoc-L-Asn(1GN,2G)-OH

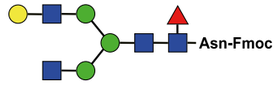
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydrox



CAS-No.	491845-06-8
Formula	$C_{75}H_{110}N_6O_{45}$
Mol. weight	1815,70 g/mol

GCN1120 Fmoc-L-Asn(1G,2GN-(Fuc))-OH

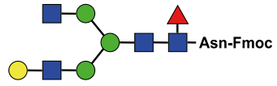
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydroxy



Formula	$C_{81}H_{120}N_6O_{49}$
Mol. weight	1961,84 g/mol

GCN1130 Fmoc-L-Asn(1GN,2G-(Fuc))-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydrox

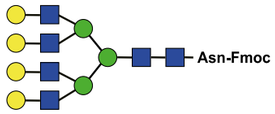


Formula	$C_{81}H_{120}N_6O_{49}$
Mol. weight	1961,84 g/mol

Product details

GCN1180 Fmoc-L-Asn(1G,2G,3G,4G)-OH

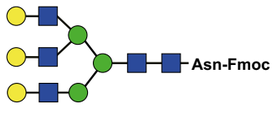
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydr



CAS-No. 2873457-63-5
Formula $C_{109}H_{166}N_8O_{70}$
Mol. weight 2708,51 g/mol

GCN1170 Fmoc-L-Asn(1G,2G,3G)-OH

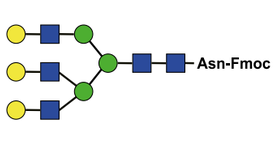
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydro



CAS-No. 1883826-97-8
Formula $C_{95}H_{143}N_7O_{60}$
Mol. weight 2343,18 g/mol

GCN1160 Fmoc-L-Asn(1G,2G,4G)-OH

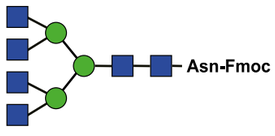
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4-hydroxy-6-(hydroxymethyl)-5-(((2S,3R,4S,5R,6R)-3,4,5-trihydroxy-6-(hydr



CAS-No. 204715-70-8
Formula $C_{95}H_{143}N_7O_{60}$
Mol. weight 2343,18 g/mol

GCN1210 Fmoc-L-Asn(1GN,2GN,3GN,4GN)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6- (hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-6-((((2R,3R,

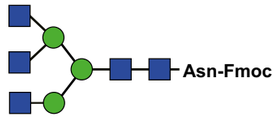


CAS-No. 2873457-64-6
Formula $C_{85}H_{126}N_8O_{50}$
Mol. weight 2059,95 g/mol

Product details

GCN1200 Fmoc-L-Asn(1GN,2GN,3GN)-OH

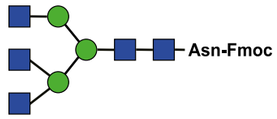
N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-4-(((2R,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihydroxy-6-(hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydroxy



Formula $C_{77}H_{113}N_7O_{45}$
Mol. weight 1856,76 g/mol

GCN1190 Fmoc-L-Asn(1GN,2GN,4GN)-OH

N2-(((9H-fluoren-9-yl)methoxy)carbonyl)-N4-((2R,3R,4R,5S,6R)-3-acetamido-5-(((2S,3R,4R,5S,6R)-3-acetamido-5-(((2S,3S,4S,5R,6R)-6-((((2S,3S,4S,5S,6R)-3-(((2S,3R,4R,5S,6R)-3-acetamido-4,5-dihy-droxy-6- (hydroxymethyl)tetrahydro-2H-pyran-2-yl)oxy)-4,5-dihydrox



Formula $C_{77}H_{113}N_7O_{45}$
Mol. weight 1856,76 g/mol

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Notes

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