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PATENT SPECIFICATION

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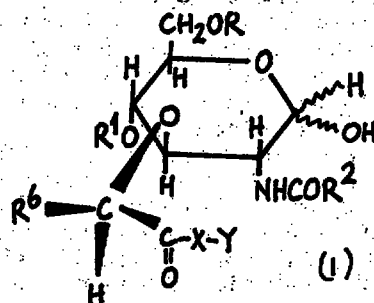


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C2C 167X 200 20Y 214 220 226 227 22Y 231 24X 253 25Y 280
281 282 30Y 311 314 31Y 321 32Y 339 340 342 34Y 360
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627 628 62X 634 638 650 652 658 65X 672 695 699 70Y
AA CB KA KB KM KN KP LR

(54) NOVEL IMMUNOLOGICAL ADJUVANT PEPTIDO-GLYCANS COMPOUNDS AND METHODS OF PREPARATION THEREOF/

(71) We, SYNTEX (U.S.A.) INC., a Corporation organised under the laws of the State of Delaware, United States of America, of 3401 Hillyview Avenue, Palo Alto, California 94304, United States of America, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention provides to immunological adjuvant compounds of the formula:



wherein each of R and R' are the same or different and are selected from hydrogen or an acyl radical containing from 1 to 22 carbon atoms;

R² is selected from an unsubstituted or substituted alkyl radical containing from 1 to 21 carbon atoms e.g. methyl or hydroxymethyl, or an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms;

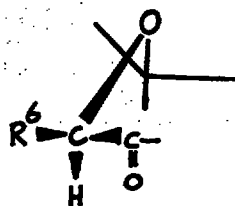
R⁶ is selected from hydrogen or an alkyl radical containing from 1 to 16 carbon atoms e.g. methyl, ethyl or n-butyl;

X is an aminoacyl radical selected from:

- | | |
|----------------------------|------------------|
| glycyl | L-tryptophanyl, |
| L-alanyl, | L-lysyl, |
| L-valyl, | L-ornithyl, |
| L-leucyl, | L-arginyl, |
| L-isoleucyl, | L-histidyl, |
| L- α -aminobutyryl, | L-glutamyl, |
| L-seryl, | L-glutaminyl |
| L-threonyl, | L-aspartyl, |
| L-methionyl, | L-asparaginyl, |
| L-cysteinyl, | L-prolyl, or |
| L-phenylalanyl, | L-hydroxyprolyl; |
| L-tyrosyl, | |

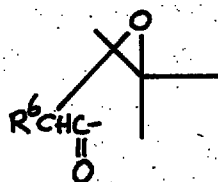
Y is an aminoacyl radical selected from D-isosparagine or D-isoglutamine; and the wavy line @ represents the α - or β -configuration or mixtures thereof, with the proviso that when R and R' are each hydrogen, R² is methyl or hydroxymethyl and R⁶ is methyl, X is not glycyl, L-alanyl or L-seryl.

In the flow sheet below, for the sake of brevity and to avoid undue prolixity, that portion of the formulae corresponding to that portion of Formula (I) above depicted as



(a)

will be depicted as



(b)

it being understood that compounds having the partial formula shown in Formula (b) have, in actuality, the configuration of the partial formula shown in Formula (a).

Particularly preferred are those compounds of Formula (I) wherein X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl and Y is D-isoglutamine; and especially where R² is methyl and R⁶ is hydrogen or methyl and R and R' are both acetyl, butyryl, octanoyl or stearoyl; or where R² is n-pentyl, R⁶ is methyl or hydrogen and R and R' are both hydrogen.

More particularly preferred are those compounds of Formula (I) wherein X is selected from L- α -aminobutyryl, L-valyl, L-threonyl or L-prolyl and Y is D-isoglutamine.

Even more particularly preferred are those compounds of Formula (I) wherein X is selected from L-valyl or L-prolyl and Y is D-isoglutamine.

In the field of immunology, more than one injection of a vaccine (or bacterin) is usually required to achieve the immunological response in a host sufficient to ward off a viral (or bacterial) infection. This is because the viral (or bacterial) antigen contained in the vaccine (or bacterin) is cleared from the site of injection by the animal (including human) host too rapidly and the host has an insufficient length of time to build up its immune response.

In order to delay the release of the viral (or bacterial) antigen, and to generally stimulate the host's immunological systems, immunological adjuvants have been added to vaccines (or bacterins) in an effort to avoid the necessity of multiple injections. However, many of these earlier immunological adjuvants, e.g., kaolin, gum tragacanth, bentonite, carbopols, calcium phosphate gels, tapioca, alum, aluminum hydroxide, calcium chloride and sodium alginate, have the drawback of being unmetabolizable when injected and thus these immunological adjuvants themselves became a source of irritation.

The art has long sought an immunological adjuvant material which would be readily metabolizable by the host and, at the same time, delay the release of the antigen and generally stimulate its immune response.

Commonly used laboratory immunological adjuvants are (a) Freund's Complete Adjuvant (FCA) which is a suspension of killed whole *Mycobacterium tuberculosis* in mineral oil plus an emulsifier; and (b) Freund's Incomplete Adjuvant (FIA) which is mineral oil plus an emulsifier only. Notwithstanding that FCA and FIA are used as laboratory standards they are not used commercially because FCA is derived from the virulent microorganism, *Mycobacterium tuberculosis*; and FIA, absent the presence of the killed *Mycobacterium tuberculosis*, does not produce a high enough immunological response.

Ellouz et al., Biochemical and Biophysical Research Communications, Vol. 59, No. 4, pages 1317-1325 (1974), (see also Belgian Patent No. 821,385), disclose that certain peptidoglycans obtained from microorganisms possess immunological adjuvant activity and can be used in place of the whole killed *Mycobacterium tuberculosis* in FCA.

The novel peptidoglycans of Formula (I) possess significant immunological adjuvant activity, e.g., as measured by antibody titres to either bovine serum albumin (BSA) or ovalbumin [see W. J. Herbert, Ch. 20, Vol. 1, Handbook of Experimental Immunology, Editor D. M. Weir, Blackwell Scientific Publications,

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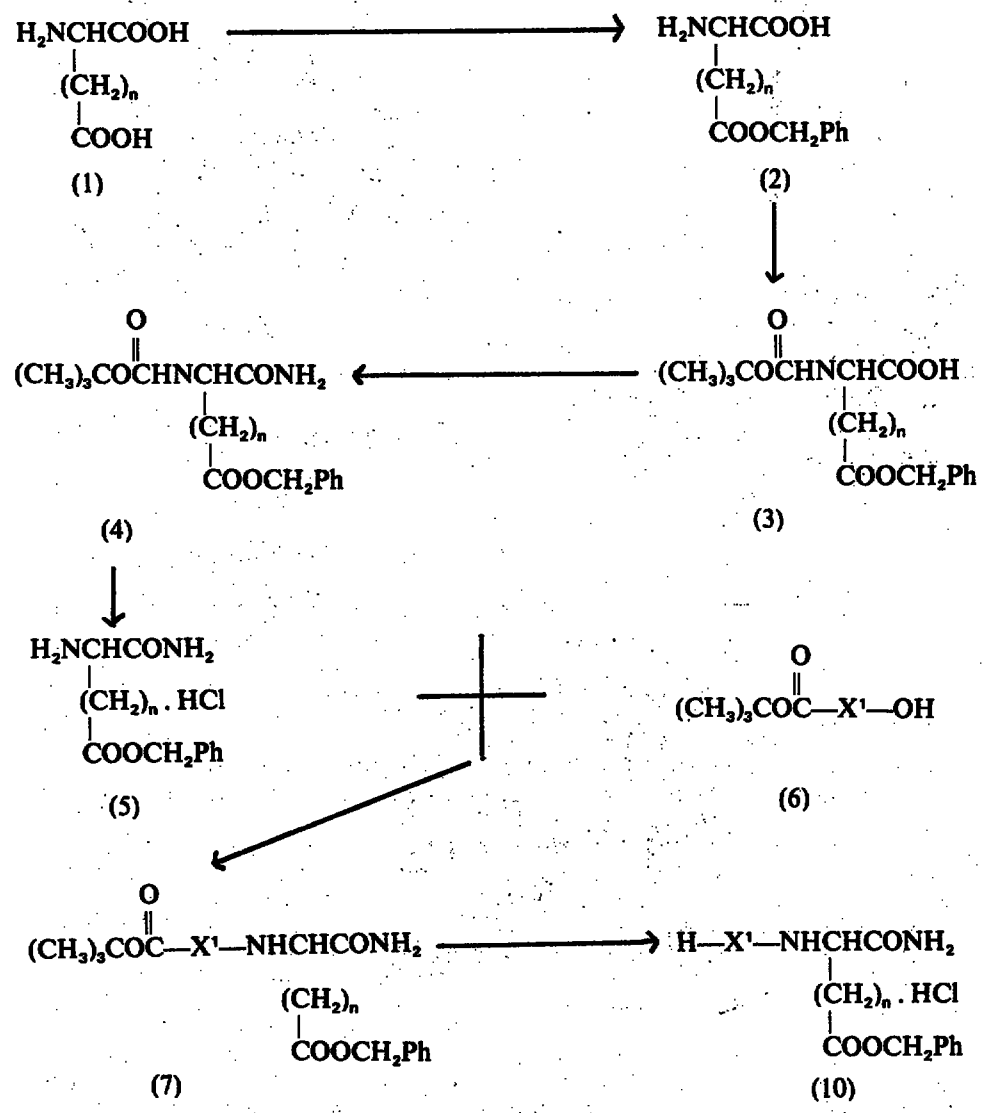
Oxford (1973)], and delayed hypersensitivity to either BSA or ovalbumin [see J. H. Humphrey and R. G. White, Immunology for Students of Medicine, pages 493—545; Publisher F. A. Davis Co., Philadelphia, 3rd Edition (1970)] or delayed hypersensitivity to arsanilic tyrosine [see S. Laskowitz, J. Exp. Med., 119, 291 (1963) and S. Laskowitz, Science, 155, 350 (1975)], as described more fully in Example 16. In addition, it has also surprisingly been found that the compounds of Formula (I), when incorporated into a vaccine (or bacterin) composition also containing a mineral oil or vegetable oil, do not show the adverse side effects ordinarily observed when mineral and vegetable oils are used in vaccine (or bacterin) compositions containing FCA.

Furthermore, the compounds of Formula (I) have per se activity against infectious organisms, e.g., *Klebsiella pneumoniae*, *Escherichia coli*, *Candida albicans*, or *Staphylococcus aureus*.

The novel compounds of Formula (I) can be prepared according to the following reaction scheme:

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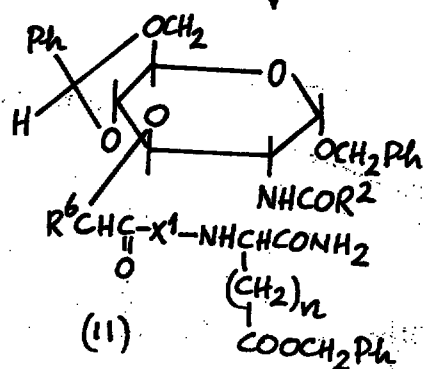
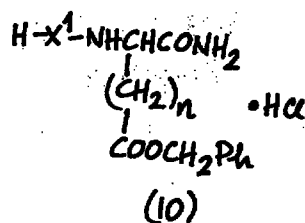
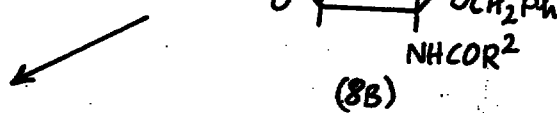
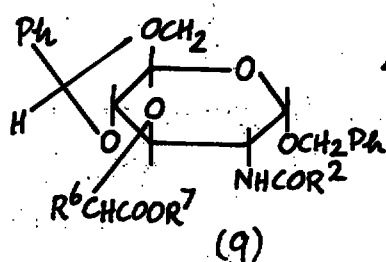
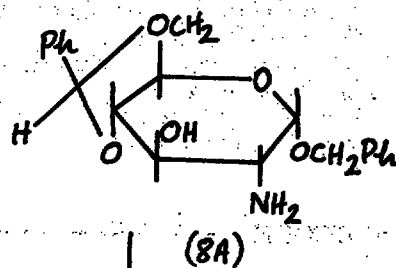
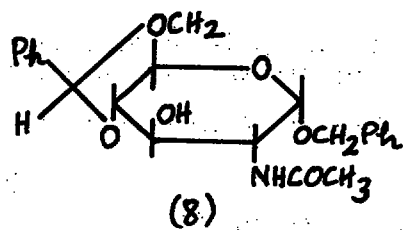


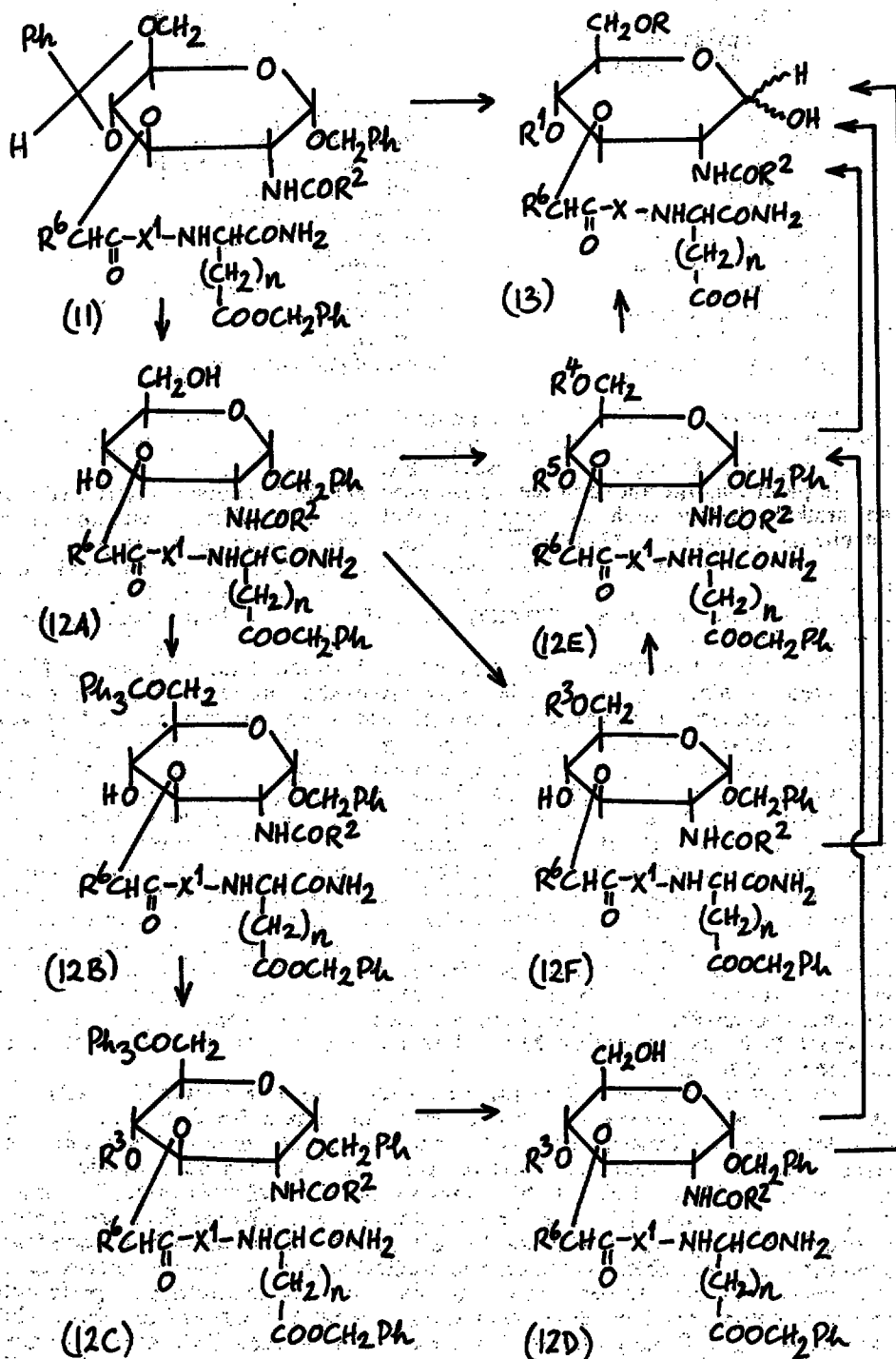
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wherein

R, R¹, R², X and the wavy line (}) are defined as above;

R³ is an acyl radical containing from 1 to 22 carbon atoms;

R⁴ and R⁵ are the same or different acyl radical containing from 1 to 22 carbon atoms;

R⁶ is hydrogen or an alkyl radical containing from 1 to 16 carbon atoms;
 R⁷ is hydrogen or methyl;
 n is 1 or 2;
 Ph is phenyl; and
 X¹ is selected from glycyl,

L-alanyl,	L-tryptophanyl,
L-valyl,	ϵ -carbobenzyloxy-L-lysyl,
L-leucyl,	δ -carbobenzyloxy-L-ornithyl,
L-isoleucyl,	Ng-nitro-L-arginyl,
L- α -aminobutyryl,	N ^{im} -benzyl-L-histidyl,
O-benzyl-L-seryl,	γ -benzyl ester of L-glutamyl,
O-benzyl-L-threonyl,	L-glutamyl,
L-methionyl,	β -benzyl ester of L-aspartyl,
S-benzyl-L-cysteinyl,	L-asparaginyl,
L-phenylalanyl,	L-prolyl, or
O-benzyl-L-tyrosyl,	O-benzyl-L-hydroxyprolyl.

It will be observed that in the compound of Formula (13) when n is 1 or 2, the compounds thus obtained are the compounds of Formula (I) in which Y is D-isoparagine or D-isoglutamine, respectively.

In practicing the above process a D-amino acid of Formula (1) is treated with an alkyl alcohol such as benzyl alcohol, p-methoxybenzyl alcohol or p-nitrobenzyl alcohol preferably benzyl alcohol, in the presence of a strong acid such as hydrogen chloride or p-toluenesulfonic acid, preferably sulfuric acid, at a temperature of from about 0° to about 40°C, preferably 20° to 25°C, for from about 8 to about 40 hours, preferably 14 to 18 hours, to obtain a compound of Formula (2).

The amino grouping of the thus-obtained amino ester of Formula (2) is protected by blocking with a selectively removable group such as those well known to the art of polypeptide synthesis and generally denominated as acyl (e.g., formyl, trifluoroacetyl and phthaloyl, urethane (e.g., t-butyloxycarbonyl, p-methoxybenzyloxycarbonyl; 2-(p-biphenyl)-isopropyloxycarbonyl and isonicotinylloxycarbonyl, sulfonyl (e.g., o-nitrophenylsulfonyl and tritylsulfonyl); or alkyl (e.g., triphenylmethyl and benzhydryl). More particularly, the protected amino ester of Formula (3), the N^o-t-butyloxycarbonyl derivative, is prepared by reacting the amino ester of Formula (2) with a suitable reagent (e.g., t-butyl fluoroformate, t-butyl azidoformate or t-butyl phenyl carbonate, preferably t-butyl azidoformate) in an aqueous-organic mixture or in an anhydrous organic solvent (e.g., dioxane, tetrahydrofuran, dimethylformamide or dimethylsulfoxide, preferably anhydrous dimethylsulfoxide) in the presence of a base (e.g., sodium hydroxide, magnesium oxide, tetramethylguanidine or triethylamine, preferably triethylamine), for from about 12 to about 48 hours, preferably 20 to 24 hours, at from about 0° to about 40°C, preferably 20 to 25°C.

The carboxyl grouping of a protected amino ester of Formula (3) is activated by one of the reagents customarily used for amide bond formation (e.g., dicyclohexylcarbodiimide, diisopropylcarbodiimide, or other carbodiimide, and either with or without an additive such as N-hydroxysuccinimide or 1-hydroxybenzotriazole; isobutyl chloroformate or other chloroformate; 2-ethyl-5-(3-sulfophenyl)-1,2-oxazole-betaine or other 1,2-oxazolium salt; thionyl chloride or phosphorus pentachloride; 2,2'-dipyridyl disulfide/triphenylphosphine; preferably isobutyl chloroformate), and treated with anhydrous ammonia in an anhydrous organic solvent (e.g., dioxane or tetrahydrofuran, preferably tetrahydrofuran), for from about 30 minutes to about 12 hours at from about -20° to about 40°C, preferably warming from about -15° to about 25°C during a 1 hour period, to give the compounds of Formula (4), β -benzyl t-butyloxycarbonyl-D-isoparaginate (n=1) or γ -benzyl t-butyloxycarbonyl-D-isoglutamate (n=2).

The N^o-protecting group, as described above t-butyloxycarbonyl, is removed from the blocked isoparagine β -benzyl ester or isoglutamate γ -benzyl ester compounds of Formula (4) by procedures appropriate for the class of protecting group used and well known to those skilled in the art (e.g. by treatment with p-toluenesulfonic acid, methanesulfonic acid, hydrogen chloride, trifluoroacetic acid, formic acid, boron trifluoride or other moderately strong proton donors or electron acceptors, in an inert organic solvent, preferably a saturated solution of

hydrogen chloride in ethyl acetate) by treatment for a period of from about 1 to about 60 minutes at from about -15° to about 40°C , preferably for about 15 to about 30 minutes at from about 15° to about 25°C , followed by dilution with a solvent of low dielectric constant (e.g., n-hexane, diethyl ether or benzene, preferable diethyl ether), to yield the compounds of Formula (5), β -benzyl isoasparaginate hydrochloride ($n=1$) or γ -benzyl isoglutamate hydrochloride ($n=2$).

The t-butyloxycarbonyl compounds of Formula (6) are then reacted with the compounds of Formula (5) to give the compounds of Formula (7). This reaction is carried out in a polar solvent (ethyl acetate, acetonitrile, tetrahydrofuran or dimethylformamide (preferably dimethylformamide) in the presence of a base (e.g., triethylamine, diisopropylethylamine or N-methylmorpholine, preferably diisopropylethylamine) and is brought about by the addition of suitable additives (e.g., the reagents described above for the preparation of the compounds of Formula (4), preferably diisopropylcarbodiimide/1-hydroxybenzotriazole), for a period of from about 30 minutes to about 40 hours at from about -10° to about 80°C , preferably from about 30 minutes to about 1 hour at about 10° to about 25°C to give the N^α-protected dipeptide of Formula (7) wherein $n=1$ or 2 and X¹ is defined as above. Alternatively other N^α-protecting groups are used instead of the t-butyloxycarbonyl group shown in Formula (6), for example, as described above for the preparation of compounds of Formula (3).

The N-acetylglycopeptides of Formula (13) (wherein $R=R^1=\text{H}$ and $R^2=\text{CH}_3$, $N=1$ or 2 and X and R⁸ are as previously defined) are obtained as illustrated by the sequence of reactions proceeding from Formula (8) to Formula (13).

The starting material for this sequence is benzyl 2 - acetamido - 4,6 - benzylidene - 2 - deoxy - α - D - glucopyranoside, Formula (8), the preparation of which has been described by P. H. Gross and R. W. Jeanloz in J. Org. Chem., 32, 2762 (1967). In addition, all of the subsequent steps can be performed equally well starting with the β -benzyl glycoside corresponding to Formula (8), the synthesis of which is also described in J. Org. Chem., 32, 2762 (1967). These steps are all carried out under conditions generally comparable to those described starting with the α -benzyl glycoside of Formula (8).

Alkylation of the free hydroxyl group of Formula (8) is readily accomplished by first forming its anion with a suitable base such as sodium hydride or potassium hydride, in an inert organic solvent such as dimethylformamide, tetrahydrofuran or dioxane, at from about 0° to about 50°C , for from about 30 minutes to about 3 hours, and preferably in dimethylformamide at from about 10° to about 30°C , for from about 15 to about 60 minutes. This anion is then reacted, preferably in the same solvent, with a salt (e.g., sodium or potassium, of a straight chain α -halocarboxylic acid containing from 2 to 18 carbon atoms of which chloroacetic acid, α -chloropropionic acid, α -bromopropionic acid, α -chlorobutyric acid, α -bromobutyric acid, α -bromovaleric acid, α -bromocaproic acid, α -bromocapric acid, α -bromomyristic acid and α -bromostearic acid are representative. This step is advantageously conducted in dimethylformamide at from about 20° to about 100°C , for from about 15 minutes to about 5 hours, and preferably at from about 50° to about 80°C , for from about 0.5 to about 2 hours, or from about 20°C to about 30°C from about 10 hours to about 48 hours.

The resulting compounds of Formula (9), ($R^2=\text{CH}_3$, $R^1=\text{H}$ and R⁸ is as previously defined) are then isolated by conventional work up procedures and purified by crystallization or other procedures known to those skilled in the art.

Alternatively the compounds of Formula (9), ($R^2=\text{CH}_3$, $R^1=\text{H}$ and R⁸ as previously defined) are preferably converted by conventional methods to the corresponding methyl esters of Formula (9), ($R^2=R^1=\text{CH}_3$) which are then purified by conventional methods, e.g., fractional crystallization or chromatography on silicic acid. Methods for the preparation of the methyl esters include reaction of the acids of Formula (9), ($R^2=\text{CH}_3$, $R^1=\text{H}$) with diazomethane in methanol, tetrahydrofuran or dioxane, preferably tetrahydrofuran at a temperature of from about 5° to about 40°C , preferably at about 20° to about 25° for about 5 minutes to about 2 hours, preferably for about 15 minutes to about 30 minutes, with methyl iodide or dimethylsulfate in the presence of a suitable base, e.g., potassium carbonate, sodium hydroxide or triethylamine, in a solvent such as tetrahydrofuran, dioxane or dimethylformamide, at about 5° to about 50°C for about 30 minutes to about 16 hours. Preferably the esterification is carried out *in situ* in the alkylation medium by the sequential addition of water and dimethylsulfate followed by reaction at about 20° to about 25°C for from about 14 hours to about 18 hours.

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In a further aspect of this process, alkylation of the free hydroxyl group of Formula (8) is accomplished by reaction of its anion, prepared as above, with an ester (e.g. methyl ethyl or n-propyl) of a straight chain α -halocarboxylic acid containing from 2 to 18 carbon atoms of which methyl chloroacetate, methyl 2-bromopropionate, methyl 2-bromobutyrate, methyl 2-bromocaproate, methyl 2-bromocaproate, methyl 2-bromomyristate and methyl 2-bromostearate are representative. This method is particularly useful for the preparation of compounds of Formula (9) wherein R^6 is a straight chain alkyl group of from 5 to 16 carbon atoms and offers the advantage of proceeding directly to the methyl esters of Formula (9), ($R^2=R^7=CH_3$) which are purified by crystallization, chromatography on silicic acid or other procedures known to those skilled in the art. This reaction is carried out in an inert organic solvent such as dimethylformamide, tetrahydrofuran or dioxane, at about from 0° to 100°C, for from about 15 minutes to about 24 hours and preferably in dimethylformamide at from about 10° to about 30°C for from about 30 minutes to 2 hours. In some cases higher yields of the compounds of Formula (9) are obtained by the dropwise addition of a dimethylformamide solution of the anion of Formula (8) to a stirred solution of the appropriate ester of the straight chain α -halocarboxylic acid.

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The compounds of Formula (9), ($R^2=R^7=CH_3$) are then converted to the corresponding carboxylic acids of Formula (9), ($R^2=CH_3$, $R^7=H$) by hydrolysis with a suitable base such as sodium hydroxide, potassium hydroxide or barium hydroxide, preferably sodium hydroxide in a mixture of water and a suitable organic solvent such as methanol, ethanol, dioxane or tetrahydrofuran, preferable methanol at a temperature from about 20°C to the reflux temperature of the solvent mixture, preferably from about 60° to 90°C for from about 10 minutes to about 4 hours, preferably from about 15 minutes to 1 hour.

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The condensation of (9), ($R^2=CH_3$, $R^7=H$) with the various, suitable protected benzyl α -aminoacyl-D-isoglutamate and benzyl α -aminoacyl-D-isosparaginate derivatives of Formula (10) (wherein X^1 is glycyl, L-alanyl, L-valyl, L-leucyl, L-isoleucyl, L- α -aminobutyryl, O-benzyl-L-seryl, O-benzyl-L-threonyl, L-methionyl, S-benzyl-L-cysteinyl, L-phenylalanyl, O-benzyl-L-tyrosyl, L-tryptophanyl, ϵ -carbobenzoyloxy-L-lysyl, δ -carbobenzoyloxy-L-ornithyl, Ng-nitro-L-arginyl, N^m-benzyl-L-histidyl, the p-benzyl ester of L-glutamyl, L-glutaminy, the β -benzyl ester of L-aspartyl, L-asparaginy, L-prolyl and O-benzyl-L-hydroxyprolyl and n=1 or 2) is conducted by various techniques familiar to one skilled in the art of peptide synthesis. Thus, for example, the dipeptide hydrochloride derivatives of Formula (10) are converted to the free base forms by addition of a suitable strongly basic tertiary amine such as diisopropylethylamine. The resulting free amino compound corresponding to a compound of Formula (10) is then condensed with the carbonyl group of a compound of Formula (9) (e.g., $R^2=CH_3$, $R^7=H$ and R^6 as previously defined) using, for example, a carbodiimide such as dicyclohexylcarbodiimide or diisopropylcarbodiimide in an inert organic solvent such as dimethylformamide, dichloromethane, ethyl acetate, dioxane or acetonitrile. Optionally, and preferably, the reaction is conducted in the presence of well known suitable additives such as 1-hydroxybenzotriazole, N-hydroxysuccinimide, p-nitrophenol or pentachlorophenol. We have found that condensations using diisopropylcarbodiimide and 1-hydroxybenzotriazole in dimethylformamide at from about 0° to about 50°C for from about 2 to about 60 hours, and preferably at from about 10° to about 30°C, for from about 10 to about 40 hours provide excellent results. The resulting products of Formula (11) (with R^6 , X^1 and n defined as above) are isolated and purified by conventional means including crystallization and chromatography on silicic acid. Alternatively, the condensation of the free bases of Formulas (10) and (9), ($R^7=H$) can also be brought about by other methods familiar to the peptide art. Thus, for example, the use of mixed anhydrides derived from the compounds of Formula (9) in the presence of chloroformates (e.g., isobutyl chloroformate) or 1-ethoxycarbonyl-2-ethoxy-1,2-dihydroquinoline are efficacious. Also, other types of carbonyl activation brought about, e.g., by the use of oxazolium salts or of triphenylphosphine in the presence of dipyridyldisulfide or carbon tetrahalides are useful. A general summary of such condensation methods is to be found in reviews by I. S. Klausner and M. Bodansky, *Synthesis* 453 (1972) and J. H. Jones, *Chemistry and Industry*, 723 (1974).

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The sequence of reactions in going from Formula (8) to Formula (9), ($R^7=H$) can also be altered in such a way as to permit variation in the nature of the N-acyl function, R^2CO- . Thus, an N-acetyl function of Formula (8) can be hydrolyzed with strong base, as described in *J. Org. Chem.*, 32, 2762 (1967), giving benzyl 2-

amino - 4,6 - benzylidene - 2 - deoxy - α - D - glucopyranoside, Formula (8A). This reaction can also be applied to the β -anomer of Formula (8) as also described in J. Org. Chem., 32 2762 (1967), and the resulting benzyl 2 - amino - 4,6 - benzylidene - 2 - deoxy - β - D glucopyranoside can be used in the subsequent reactions under essentially the same conditions as described starting with Formula (8A). Selective N-acylation of the compounds of Formula (8A) are brought about by reaction with carboxylic anhydrides in a C_{1-4} alcohol, preferably methanol. The anhydrides include those from straight chain and branched aliphatic carboxylic acids R^2COOH in which R^2 contains 1 to 21 carbon atoms, optionally substituted with compatible substituents such as methoxy, benzyloxy, halogen (e.g. fluoro) or aryl groups, aryl groups containing up to 10 carbon atoms and optionally substituted by lower alkyl, lower alkoxy or halogen groups. Thus, the acyl group R^2CO- encompasses such groups as formyl ($R^2=H$, prepared by use of formic-acetic anhydride), acetyl, trifluoroacetyl, methoxyacetyl, butyryl, isobutyryl, valeryl, octanoyl, lauroyl, myristoyl, palmitoyl, behenoyl, phenylacetyl, benzoyl, p-fluorobenzoyl, p-methoxybenzoyl, naphthoyl or 7-methoxynaphthoyl. The exact conditions for the selective N-acylation depend upon the reactivity of the acid anhydride used. Generally, the reaction proceeds satisfactorily in methanol at from about 20° to about 65°C for periods of from about 15 minutes to about 6 hours and most of the reactions can also be conducted under reflux for from about 30 to about 60 minutes. In the case of certain highly reactive anhydrides, such as trifluoroacetic anhydride, the reaction is preferably run using a slight excess of the anhydride in an inert solvent, such as tetrahydrofuran.

The compounds of Formula (8B) of the above reaction are then alkylated using sodium hydride and a sodium α -halocarboxylate or a methyl α -halocarboxylate in dimethylformamide, as described above, giving the varied benzyl 2 - acylamido - 4,6 - O - benzylidene - 3 - O - (1 - carboxyalkyl) - α - D - glucopyranosides of Formula (9) with $R^7=H$ and $R^2 CO-$, and R^6 defined as above. Coupling of these derivatives with the free base derived from Formula (10) is achieved by the same methods described above for the obtention of the compounds of Formula (9), ($R^2=CH_3$) and giving the blocked glycopeptides of Formula (11) in which the acyl group R^2CO- , the aminoacyl group X^1 , n and R^6 are defined as above.

The removal of the protecting groups from the blocked glycopeptides of Formula (11) can be achieved by catalytic hydrogenolysis, using a palladium catalyst. This reaction may be conducted in an acidic medium under a pressure of 1 to 2 atmospheres of hydrogen at about ambient temperature using 5 to 10% palladium on charcoal, palladium on barium sulfate, palladium black or related catalysts. Preferably a palladium on charcoal catalyst in about 60 to about 90% aqueous acetic acid is used. Under these conditions the removal of all protecting groups is complete within from about 24 to about 72 hours, and can be, if desired, monitored by thin layer chromatography, thus resulting in the glycodipeptide products [e.g., 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine] of Formula (13), ($R=R^1=H$, R^6 and R^2CO- are as previously defined, except that when R^2 in Formula (11) is benzyloxymethyl the benzyl ether is simultaneously cleaved giving the compounds of Formula (13) wherein R^2 is hydroxymethyl, and X is glycyl, L-alanyl, L-valyl, L-leucyl, L-isoleucyl, L- α -aminobutyryl, L-seryl, L-threonyl, L-methionyl, L-cysteiny, L-phenylalanyl, L-tyrosyl, L-tryptophanyl, L-lysyl, L-ornithyl, L-arginyl, L-histidyl, L-glutamyl, L-glutaminyl, L-aspartyl, L-asparaginy, L-prolyl or L-hydroxyprolyl). These compounds are purified by conventional chromatographic techniques such as chromatography on a column of Biorex 70 (Trade Mark for a weakly acidic polyacrylic acid resin). On occasion this chromatography alone does not completely remove minor impurities. In those cases passage through a column of Dowex 50 (H^+), a sulfonated polystyrene crosslinked with divinylbenzene resin, will effectively remove basic impurities, or chromatography on a column of Amberlite XAD-2 (Trade Mark for polystyrene crosslinked with 2% divinylbenzene) in water or aqueous methanol will separate any partially deblocked glycopeptide.

A further aspect of this invention relates to the synthesis of 4,6-di-O-acyl derivatives of the glycodipeptides of Formula (13), in which R and R^1 are the same or different acyl radicals, and X, R^2 , R^6 and n are as previously defined.

The preferred acyl radicals are these containing straight or branched chain alkyl, aralkyl, alkaryl, or aryl radicals containing from 1 to 21 carbon atoms, e.g., acetyl, butyryl, isovaleryl, hexanoyl, octanoyl, lauroyl, myristoyl, palmitoyl, stearoyl, behenoyl, benzoyl, p-toluoyl, phenylacetyl or naphthoyl.

17

10

1,571,133

10

In addition, we have developed selective syntheses of the 4-O- and 6-O-acyl derivatives of Formula (13) in which one of R or R' is an acyl radical defined as above while the other group (R' or R) is hydrogen and X, R², R⁶ and n are as previously defined.

The syntheses of all three types of compound use, as their initial step, the selective acidic hydrolysis of the 4,6-O-benzylidene function from the protected glycopeptide of Formula (11) in which X¹, R², R⁶ and n are as previously defined. This hydrolysis is carried out using a variety of acidic treatments familiar to the art of carbohydrate chemistry. These include treatment with 50 to 90% acetic, formic or propionic acids at from about 50° to about 100°C., or brief treatment with strong acids such as about 90% trifluoroacetic acid at room temperature. Advantageously, the reaction is done using about 60% to about 80% acetic acid at about 100°C for about 5 to about 8 minutes. Following a conventional work-up, the otherwise fully protected 4,6-diol of Formula (12A) is isolated and purified by crystallization or chromatography on a suitable absorbent such as silicic acid.

Acylation of both free hydroxyl groups of Formula (12A) is achieved by treatment with two or more molar equivalents of a conventionally activated acylating agent such as an acyl anhydride, acyl chloride, acyl cyanide or acyl imidazolidine in a suitable inert organic solvent in the presence of a tertiary base. Suitable solvents include pyridine, methylene chloride, acetonitrile, dimethylformamide, tetrahydrofuran or dioxane while pyridine, triethylamine, N-methylmorpholine and diisopropylethylamine, are suitable bases. The use of 2 to 10 molar equivalents of either the acyl chloride or acyl anhydride in pyridine at room temperature for from about 10 to about 24 hours is generally advantageous and the resulting 4,6-di-O-acyl derivatives of Formula (12E), in which R⁴ and R⁵ are identical acyl radicals defined in the same way as R and R', are isolated and purified by crystallization or chromatography on an absorbent such as silicic acid. Removal of protecting groups from the compounds of Formula (12E) can be accomplished by catalytic hydrogenolysis using a palladium derived catalyst as previously described for the conversion of the compounds of Formula (11) to the compounds of Formula (13), wherein R and R' are hydrogen. The resulting products are those of Formula (13), in which R and R' are identical acyl groups, R², R⁶, X and n are as previously defined, except that R² also includes hydroxymethyl.

Alternatively, acylation of the compounds of Formula (12A) using only a slight excess (about 1.0 to 1.2 molar equivalents) of an acyl anhydride, acyl chloride, acyl cyanide or acyl imidazolidine in an inert organic solvent containing a tertiary base, as above, produces selective acylation of the primary 6-hydroxyl group. This reaction is preferably conducted by the gradual addition of the acylating agent to a solution of a compound of Formula (12A) at from about 0° to about 20°C and is monitored by thin-layer chromatography until the starting material is essentially consumed. Following a conventional work-up, the 6-O-acyl derivative of Formula (12F), in which R³ is an acyl group defined identically to R and R', and X¹, n, R² and R⁶ are as previously defined, are isolated and purified by chromatography on a suitable absorbent such as silicic acid or by crystallization. Catalytic hydrogenolysis of the compounds of Formula (12F) can be carried out as previously described using a palladium derived catalyst giving compounds of Formula (13), wherein R and R²CO— are acyl radicals, as previously defined, except that benzyloxyacetyl is replaced by a hydroxyacetyl, (glycolyl) moiety, R' is hydrogen.

To introduce an acyl group selectively at the 4-O position it is necessary to first selectively introduce a protecting group on the C₆-hydroxy group of Formula (12A). This can conveniently be done by the use of a trityl or substituted trityl ether, a technique well known in carbohydrate chemistry. Thus the compounds of Formula (12A) are reacted with triphenylmethyl chloride (trityl chloride) or with related reagents such as anisyltriphenylmethyl chloride (methoxytrityl chloride), dianisyltriphenylmethyl chloride (dimethoxytrityl chloride) or trianisyltriphenylmethyl chloride (trimethoxytrityl chloride) in pyridine or in inert solvents such as dimethylformamide, dimethyl sulfoxide or acetonitrile, containing pyridine. [See e.g., M. Smith et al, J. Amer. Chem. Soc., 84,430 (1962) for representative use of these reagents.] In general, the reactions can be conducted at from about 10° to about 50°C, and advantageously in pyridine at room temperature. The length of time of the reaction depends upon the reagent used and varies from about 2 to about 5 hours with trianisyltriphenylmethyl chloride to about from 3 to about 6 days for triphenylmethyl chloride. The resulting 6-O-trityl or substituted 6-O-trityl ethers of Formula (12B) are readily isolated by conventional techniques such as

48

precipitation, crystallization or chromatography on a suitable absorbent such as silicic acid. In Formula (12B), R^6 , X^1 , n and R^2CO- are as previously defined.

Acylation of the free 4-hydroxyl group of Formula (12B) is done using conventional acylating agents such as acyl chlorides, acyl anhydrides, acyl cyanides or acyl imidazolides derived from acids, in which the acyl moiety, R^3 , is defined as above. The reaction can be conducted under the same conditions described for the acylation of the compounds of Formula (12A) to give the compounds of Formula (12E) except that the molar ratio of acylating agent is optionally as low as from about 1 to about 5 equivalents. The resulting acylated products of Formula (12C), in which R^2 , R^3 , R^6 , X^1 and n are as previously defined, are isolated and purified by crystallization, precipitation or chromatography on a suitable absorbent such as silicic acid.

Selective hydrolysis of the trityl (or substituted trityl) group can be brought about under defined acidic conditions. This is advantageously done by treatment with 70% acetic acid at 100°C until a clear solution results and then for about a further 2 minutes. These conditions can be modified with respect to temperature, time and acidic strength by one skilled in the art but excessive conditions are to be avoided. If the methoxytrityl derivatives corresponding to Formula (12C) are used the conditions for acidic hydrolysis are much milder and the use of 80% acetic acid at room temperature for from about 5 minutes to about 5 hours is sufficient. The resulting 4-O-acyl derivatives of Formula (12D), in which X^1 , n , R^6 , R^3 and R^2 are as previously defined, are isolated and purified by crystallization or chromatography on silicic acid.

Further acylation of the 6-hydroxyl group in the compounds of Formula (12D) is achieved using acylating agents derived from the carboxylic acids, R^4OH , under the conditions outlined for the preparation of compounds of Formulas (12E) or (12C). The resulting products of Formula (12E), in which the acyl radicals R^4 and R^5 are either the same or different as previously defined, and R^2 , R^6 , X^1 and n are as previously defined, can be isolated and purified by conventional techniques.

Alternatively, the compounds of Formula (12E) are prepared by further acylation of the compounds of Formula (12F) using acylating agents derived from the acids R^4OH , as previously described. Once again, the resulting compounds of Formula (12E), in which the acyl groups R^4 and R^5 are either the same or different as previously defined, and R^2 , R^6 , X^1 , and n are as previously defined, are isolated and purified by conventional means.

Removal of protecting groups from the compounds of Formulas (12D), (12E) and (12F) can be achieved by catalytic hydrogenolysis using palladium derived catalysts in an acidic medium as previously described for the conversion of the compounds of Formula (12A) to the compounds of Formula (13). The resulting products are the compounds of Formula (13) in which R^6 , X , n and R^2CO- are as previously defined and R and R^1 are the same or different acyl groups or either R or R^1 are hydrogen while the other is an acyl group. In any case the acyl groups R , R^1 , R^6 and R^2CO- are as previously defined, except that the benzyloxyacetyl group is replaced by the hydroxyacetyl (glycolyl) group. These compounds of Formula (13) are isolated by precipitation from methanol by addition of a less polar solvent, such as ethyl acetate or diethyl ether, and then further purified by chromatography on Biorex 70 or Amberlite XAD-2 resins as previously described for the conversion of the compounds of Formula (12A) to the compounds of Formula (13). Clearly other chromatographic techniques well known to the peptide and glycopeptide art could be alternatively employed for these purifications.

In another aspect the present invention provides a process for making the compounds hereof by removal of the protecting groups of the precursors of Formulae (11), (12D), (12E) and (12F) above by catalytic hydrogenolysis. Preferred protecting groups are benzyl ester, benzyl ether, benzylamino and benzylidene acetal.

It is to be understood that isolation of the compounds described herein can be effected, if desired, by any suitable separation or purification procedure, for example, extraction, crystallization, thin-layer chromatography, thick layer chromatography or column chromatography, or a combination of these procedures. Illustrations of suitable separation and isolation procedures can be had by reference to the Examples herein below. However, other equivalent separation or isolation procedures could, of course, also be used.

By the term room temperature is meant a temperature of from about 15° to about 25°C.

A further understanding of the invention can be had from the following non-limiting Examples.

EXAMPLE 1

50 ml. of concentrated sulfuric acid is added cautiously to 500 ml of anhydrous diethyl ether, and to this mixture is then added 500 ml of benzyl alcohol. The diethyl ether is removed by evaporation in vacuo and to the residue there is added during 0.5 hours 67 g of D-aspartic acid (1, n=1) in several portions. The resulting suspension is stirred at 22°C for 16 hours to give a clear solution. To this vigorously stirred solution there is added one l of 95% ethanol and 250 ml of pyridine. After a few minutes crystallization commences and the mixture is stored at 0°C for 20 hours. The product is collected by filtration and is washed thoroughly with diethyl ether to give 77.9 g of a white solid having a melting point of 172°—177°C, which is recrystallized from one liter of water containing 10 drops of pyridine to give 44.3 g of β -benzyl D-aspartate (2, n=1) having a melting point of 220°—221°C and an $[\alpha]_D^{25}$ -28.1° (10 mg/ml, 1N hydrochloric acid).

In like manner substituting a stoichiometric equivalent amount of D-glutamic acid (1, n=2), for D-aspartic acid, there is obtained 56.3 g of γ -benzyl D-glutamate (2, n=2) having a melting point of 161°—162°C and an $[\alpha]_D^{25}$ -19.3° (6 mg/ml, acetic acid).

EXAMPLE 2

In a 500 ml round bottomed flask there is placed 250 ml of anhydrous dimethylsulfoxide, 11.15 g of β -benzyl D-aspartate (2, n=1), 13.5 ml of triethylamine and 9 ml of t-butyloxycarbonyl-D-aspartate and the resulting solution is stored at 22°C for 42 hours. The reaction mixture is then poured into 700 ml of water and the mixture is extracted three times with 200 ml of diethyl ether. The aqueous layer is cooled in ice, 200 ml of ethyl acetate is added and the aqueous phase is brought to pH 2.8 by the addition of citric acid. The layers are separated and the aqueous phase is further extracted three times with 200 ml of ethyl acetate. The combined organic phase is extracted successively five times with 200 ml of water, with 200 ml of saturated brine and is then dried over magnesium sulfate. The ethyl acetate solution is filtered and the filtrate is evaporated to dryness to give a solid residue which is crystallized from ethyl acetate-n-hexane to give 13.2 g of β -benzyl t-butyloxycarbonyl-D-aspartate (3, n=1) having a melting point of 102°—103°C; $[\alpha]_D^{25}$ +19.4 (14 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{16}H_{21}NO_6$ (323.34): C, 59.43; H, 6.55; N, 4.33.

Found: C, 59.51; H, 6.39; N, 4.28.

In like manner substituting a stoichiometric equivalent amount of γ -benzyl D-glutamate (2, n=2) for β -benzyl D-aspartate there is obtained 16.9 g of γ -benzyl t-butyloxycarbonyl-D-glutamate (3, n=2) as a pale yellow oil which is pure enough to be used directly in the next step.

EXAMPLE 3

A magnetically stirred solution of 3.23 g of β -benzyl t-butyloxycarbonyl-D-aspartate (3, n=1) and 1.6 ml of triethylamine in 20 ml of tetrahydrofuran is cooled to -15°C. A solution of 1.55 ml of isobutyl chloroformate in 5 ml of tetrahydrofuran is added dropwise to the above solution and the temperature is maintained at -15°C for 25 minutes. Dry ammonia gas is then bubbled into the reaction mixture while the temperature is maintained at -15°C for 30 minutes. The temperature of the reaction mixture is then allowed to rise to 0°C over 15 minutes, at which time the passage of ammonia gas is discontinued. The tetrahydrofuran is evaporated in vacuo and the residue is partitioned between 80 ml of water and 200 ml of ethyl acetate. The ethyl acetate layer is washed successively twice with 50 ml of 5% aqueous sodium bicarbonate solution and with 50 ml of saturated brine and is then dried over magnesium sulfate. The filtered solution is evaporated to dryness to give 3.22 g of a solid which is recrystallized from 50 ml of ethyl acetate to give 2.72 g of benzyl t-butyloxycarbonyl-D-isoasparaginate (4, n=1) having a melting point of 159°—160°C; $[\alpha]_D^{25}$ +17.0° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{16}H_{22}N_2O_5$ (322.35): C, 59.61; H, 6.88; N, 8.69.

Found: C, 59.81; H, 6.80; N, 8.87.

In like manner substituting a stoichiometric equivalent amount of *p*-benzyl t-butyloxycarbonyl-D-glutamate (3, *n*=2) for β -benzyl t-butyloxycarbonyl-D-aspartate there is obtained 1.92 g of benzyl t-butyloxycarbonyl-D-isoglutamate (4, *n*=2) having a melting point of 125°—126° C; $[\alpha]_D^{25}$ -2.6° (13.3 mg/ml, dimethylformamide).

EXAMPLE 4

A. To 2.95 g of benzyl t-butyloxycarbonyl-D-isoglutamate (4, *n*=2) there is added 14 ml of a saturated solution of hydrogen chloride in ethyl acetate. The reaction mixture is stored at 22°C for 10 minutes and then 80 ml of diethyl ether is added to precipitate the product, which is collected by centrifugation and carefully dried in vacuo to give 2.33 g of *p*-benzyl D-isoglutamate hydrochloride (5, *n*=2) which is used without further purification in step B of this example.

B. To 2.01 g of t-butyloxycarbonyl-L-alanine (6, X¹=L-alanyl) dissolved in 10 ml. of dry dimethylformamide there is added 1.72 g of 1-hydroxybenzotriazole and 2.19 g of dicyclohexylcarbodiimide. The resulting mixture is kept at 22°C for 1.5 hours and is then filtered into a solution of 2.33 g of *p*-benzyl D-isoglutamate hydrochloride (5, *n*=2) and 1.55 ml of diisopropylethylamine in 10 ml of dimethylformamide. The insoluble cake resulting from this filtration is washed twice with 5 ml. of dimethylformamide and the washings are added to the reaction mixture, which is stored at 22°C for 1 hour. The reaction mixture is poured into 500 ml of water and the resulting solution is extracted twice with 250 ml of ethyl acetate. The combined organic phases are washed successively four times with 200 ml of water, three times with 150 ml of 5% aqueous potassium bicarbonate solution and with 150 ml of saturated brine. The ethyl acetate solution is then dried over magnesium sulfate, filtered and evaporated to dryness to yield a solid residue which is crystallized from a mixture of ethanol and diethyl ether to give 2.2 g of benzyl t-butyloxycarbonyl-L-alanyl-D-isoglutamate (7, *n*=2, X¹=L-alanyl) having a melting point of 140°—141°C; $[\alpha]_D^{25}$ 0° (7.6 mg/ml, dimethylformamide);

Anal.

Calcd. for C₂₀H₂₈N₃O₆ (407.45): C, 58.95; H, 7.17; N, 10.31.
Found: C, 59.11; H, 6.80; N, 10.50

In like manner substituting a stoichiometric equivalent amount of t-butyloxycarbonylglycine,

t-butyloxycarbonyl-L-valine,
t-butyloxycarbonyl-L-leucine,
t-butyloxycarbonyl-L-isoleucine,
t-butyloxycarbonyl-L- α -aminobutyric acid,
t-butyloxycarbonyl-O-benzyl-L-serine,
t-butyloxycarbonyl-O-benzyl-L-threonine,
t-butyloxycarbonyl-L-methionine,
t-butyloxycarbonyl-S-benzyl-L-cysteine,
t-butyloxycarbonyl-L-phenylalanine,
t-butyloxycarbonyl-O-benzyl-L-tyrosine,
t-butyloxycarbonyl-L-tryptophan,
t-butyloxycarbonyl- ϵ -carbobenzyloxy-L-lysine,
t-butyloxycarbonyl- γ -carbobenzyloxy-L-ornithine,
t-butyloxycarbonyl-N γ -nitro-L-arginine,
t-butyloxycarbonyl-N^{lm}-benzyl-L-histidine,
p-benzyl t-butyloxycarbonyl-L-glutamate,
t-butyloxycarbonyl-L-glutamine,
 β -benzyl t-butyloxycarbonyl-L-aspartate,
t-butyloxycarbonyl-L-asparagine,
t-butyloxycarbonyl-L-proline, and
t-butyloxycarbonyl-O-benzyl-L-hydroxyproline,

for t-butyloxycarbonyl-L-alanine, there are obtained the corresponding protected dipeptide derivatives,

benzyl t-butyloxycarbonylglycyl-D-isoglutamate having a melting point of 113°—114°C; $[\alpha]_D^{25}$ +0.7° (7 mg/ml, dimethylformamide);

Anal.

Calcd. for C₁₉H₂₇N₃O₆ (393.45): C, 58.00; H, 6.92; N, 10.68.
Found: C, 58.00; H, 7.20; N, 10.67,

benzyl t-butyloxycarbonyl-L-valyl-D-isoglutamate having a melting point of 152°—153°C; $[\alpha]_D^{25}$ +9.1° (10 mg/ml, dimethylformamide);

51

14

1,571,133

14

Anal.

Calcd. for $C_{22}H_{33}N_3O_6$ (435.50): C, 60.67; H, 7.64; N, 9.65.
Found: C, 60.88; H, 7.90; N, 9.67,

benzyl t-butyloxycarbonyl-L-leucyl-D-isoglutamate having a melting point of 105°—106°C; $[\alpha]_D^{25}$ -3.4° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{46}H_{52}N_4O_{11}$ (836.95): C, 66.01; H, 6.26; N, 6.69.
Found: C, 65.79; H, 6.24; N, 6.52,

benzyl t-butyloxycarbonyl-L-isoleucyl-D-isoglutamate, benzyl t-butyloxycarbonyl-L- α -aminobutyryl-D-isoglutamate having a melting point of 102°—104°C; $[\alpha]_D^{25}$ +3.1° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{21}H_{31}N_3O_6$ (421.50): C, 59.84; H, 7.41; N, 9.97.
Found: C, 59.60; H, 7.56; N, 10.00,

benzyl t-butyloxycarbonyl-O-benzyl-L-seryl-D-isoglutamate having a melting point of 64°—66°C; $[\alpha]_D^{25}$ +1.0° (8.2 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{27}H_{38}N_3O_7$ (513.57): C, 63.14; H, 6.87; N, 8.18.
Found: C, 63.41; H, 6.92; N, 8.29,

benzyl t-butyloxycarbonyl-O-benzyl-L-threonyl-D-isoglutamate, having a melting point of 117°—120°C; $[\alpha]_D^{25}$ +7.1° (5.0 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{28}H_{37}N_3O_7$ (527.63): C, 63.74; H, 7.07; N, 7.96.
Found: C, 63.59; H, 6.81; N, 7.84,

benzyl t-butyloxycarbonyl-L-methionyl-D-isoglutamate having a melting point of 114°—115°C; $[\alpha]_D^{25}$ +25° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{22}H_{33}N_3O_6S$ (467.59): C, 56.51; H, 7.11; N, 8.99.
Found: C, 56.59; H, 7.24; N, 8.93,

benzyl t-butyloxycarbonyl-S-benzyl-L-cysteinyl-D-isoglutamate, benzyl t-butyloxycarbonyl-L-phenylalanyl-D-isoglutamate, having a melting point of 139°—140°C; $[\alpha]_D^{25}$ -10.7° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{26}H_{33}N_3O_6$ (483.57): C, 64.58; H, 6.88; N, 8.69.
Found: C, 64.62; H, 7.17; N, 8.68,

benzyl t-butyloxycarbonyl-O-benzyl-L-tyrosyl-D-isoglutamate having a melting point of 172°—173°C; $[\alpha]_D^{25}$ +4.2° (4.6 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{33}H_{39}N_3O_7$ (589.66): C, 67.21; H, 6.67; N, 7.13.
Found: C, 67.44; H, 6.88; N, 7.06,

benzyl t-butyloxycarbonyl-L-tryptophanyl-D-isoglutamate, benzyl t-butyloxycarbonyl- ϵ -carbobenzyloxy-L-lysyl-D-isoglutamate having a melting point of 94°—97°C; $[\alpha]_D^{25}$ -3.5° (8 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{31}H_{42}N_4O_8$ (598.68): C, 62.19; H, 7.07; N, 9.36.
Found: C, 62.19; H, 7.03; N, 9.44,

benzyl t - butyloxycarbonyl - δ - carbobenzyloxy - L - ornithyl - D - isoglutamate,

benzyl t - butyloxycarbonyl - Ng - nitro - L - arginyl - D - isoglutamate, benzyl t - butyloxycarbonyl - N^m - benzyl - L - histidyl - D - isoglutamate,

benzyl t - butyloxycarbonyl - γ - benzyl - L - glutamyl - D - isoglutamate, 5

benzyl t-butylloxycarbonyl-L-glutaminyl-D-isoglutamate,

benzyl t - butyloxycarbonyl - β - benzyl - L - aspartyl - D - isoglutamate,

benzyl t-butylloxycarbonyl-L-asparaginyl-D-isoglutamate,

benzyl t-butylloxycarbonyl-L-prolyl-D-isoglutamate, a colorless oil having 10
[α]_D²⁴ -9.0° (14.4 mg/ml dimethylformamide); 10

Anal.

Calcd. for C₂₂H₃₁N₃O₆ (433.49): C, 60.95; H, 7.21; N, 9.69.

Found: C, 61.06; H, 7.49; N, 9.85,

and benzyl t - butyloxycarbonyl - O - benzyl - L - hydroxypropyl - D - isoglutamate as an oil having [α]_D²⁵ -2.4° (5 mg/ml, dimethylformamide). 15

In like manner substituting a stoichiometric equivalent amount of benzyl t-butylloxycarbonyl-D-isoasparaginate hydrochloride (4, n=1) for benzyl t-butylloxycarbonyl-D-isoglutamate hydrochloride (4, n=2) there are obtained the corresponding protected dipeptide derivatives,

benzyl t-butylloxycarbonyl-glycyl-D-isoasparaginate, 20

benzyl t-butylloxycarbonyl-L-alanyl-D-isoasparaginate having a melting point of 126°—127°C; [α]_D²⁵ -6.4° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for C₁₉H₂₇N₃O₆ (393.43): C, 58.00; H, 6.92; N, 10.68.

Found: C, 57.98; H, 7.10; N, 10.66, 25

benzyl t-butylloxycarbonyl-L-valyl-D-isoasparaginate,

benzyl t-butylloxycarbonyl-L-leucyl-D-isoasparaginate,

benzyl t-butylloxycarbonyl-L-isoleucyl-D-isoasparaginate,

benzyl t - butyloxycarbonyl - L - α - aminobutyryl - D - isoasparaginate, 30

benzyl t - butyloxycarbonyl - O - benzyl - L - seryl - D - isoasparaginate, 30

benzyl t - butyloxycarbonyl - O - benzyl - L - threonyl - D - isoasparaginate,

benzyl t-butylloxycarbonyl-L-methionyl-D-isoasparaginate,

benzyl t - butyloxycarbonyl - S - benzyl - L - cysteinyl - D - isoasparaginate, 35

benzyl t-butylloxycarbonyl-L-phenylalanyl-D-isoasparaginate,

benzyl t - butyloxycarbonyl - O - benzyl - L - tyrosyl - D - isoasparaginate,

benzyl t-butylloxycarbonyl-L-tryptophanyl-D-isoasparaginate,

benzyl t - butyloxycarbonyl - ϵ - carbobenzyloxy - L - lysyl - D - isoasparaginate, 40

benzyl t - butyloxycarbonyl - δ - carbobenzyloxy - L - ornithyl - D - isoasparaginate,

benzyl t - butyloxycarbonyl - Ng - nitro - L - arginyl - D - isoasparaginate,

benzyl t - butyloxycarbonyl - N^m - benzyl - L - histidyl - D - isoasparaginate, 45

benzyl t - butyloxycarbonyl - γ - benzyl - L - glutamyl - D - isoasparaginate,

benzyl t-butylloxycarbonyl-L-glutaminyl-D-isoasparaginate,

benzyl t - butyloxycarbonyl - β - benzyl - L - aspartyl - D - isoasparaginate,

benzyl t-butylloxycarbonyl-L-asparaginyl-D-isoasparaginate, 50

benzyl t-butylloxycarbonyl-L-prolyl-D-isoasparaginate, and

benzyl t - butyloxycarbonyl - O - benzyl - L - hydroxypropyl - D - isoasparaginate. 50

EXAMPLE 5

A. A solution of 3.57 g of benzyl 2 - amino - 4,6 - O - benzylidene - 2 - deoxy - α - glucopyranoside (8A) and 2.49 g of benzoic anhydride in 200 ml of methanol is heated under reflux for 45 minutes. The resulting mixture is allowed to cool to 22°C and the crystalline solid is collected by filtration, washed with methanol and recrystallized from hot methanol to yield 3.46 g of benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside (8B, R²=Ph) having a melting point of 218°—220°C; [α]_D²⁵ +119° (10 mg/ml, pyridine); 55

60

Anal.

Calcd. for $C_{27}H_{27}NO_6 \cdot H_2O$ (479.54): C, 67.63; H, 6.10; N, 2.92.
Found: C, 68.09; H, 5.81; N, 2.87.

B. A solution of 3.57 g of benzyl 2 - amino - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside (8A) and 2.31 g of trifluoroacetic anhydride in 100 ml of tetrahydrofuran is heated under reflux for 1 hour. The resulting solution is allowed to cool to about room temperature and is then added to one l of ice water. The precipitated solid is collected by filtration, washed thoroughly with water and dried in vacuo giving 4.51 g of benzyl 4,6 - O - benzylidene - 2 - deoxy - 2 - trifluoroacetamido - α - D - glucopyranoside having a melting point of 242° — 243° C; $[\alpha]_D^{25} +115.2^\circ$ (9 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{22}H_{22}NO_6F_3 \cdot H_2O$ (471.44): C, 56.05; H, 5.13; N, 2.97.
Found: C, 56.43; H, 5.18; N, 3.07.

C. A solution of 5.4 g of benzyl 2 - amino - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside (8A) and 2.7g of methoxyacetic anhydride in 250 ml of methanol is heated under reflux for 30 minutes. The resulting solution is then concentrated in vacuo and the residue is triturated with 150 ml of diethyl ether giving, after filtration, 5.99 g of benzyl 4,6 - O - benzylidene - 2 - deoxy - 2 - methoxy - acetamido - α - D - glucopyranoside (8B, $R^2 = -CH_2OCH_3$) having a melting point of 198° — 199° C; $[\alpha]_D^{25} +82.0^\circ$ (10 mg/ml, chloroform);

Anal.

Calcd. for $C_{23}H_{27}NO_7$ (429.47): C, 64.32; H, 6.34; N, 3.26
Found: C, 64.19; H, 6.28; N, 3.06.

In like manner substituting a stoichiometric equivalent amount of the appropriate acylating agent for benzoic anhydride and using modified procedure B or C depending upon the physical properties of the product there are obtained the corresponding 2-acylamino glucose derivatives of Formula (8B):

benzyl 2 - formamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - propionamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - butyramido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - valeramido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside having a melting point of 202° — 204° C; $[\alpha]_D^{25} +108.2^\circ$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. For $C_{26}H_{33}NO_8 \cdot 0.5 H_2O$ (464.57): C, 76.22; H, 7.38; N, 3.02.
Found: C, 67.54; H, 7.36; N, 3.10,

benzyl 2 - heptanamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - caprylamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - nonanamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - capramido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - lauramido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,
benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside having a melting point of 182° — 184° C; $[\alpha]_D^{25} +55.5^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{34}H_{49}NO_8 \cdot 0.5 H_2O$ (576.78): C, 70.80; H, 8.74; N, 2.43.
Found: C, 70.50; H, 8.61; N, 2.53,

benzyl 2 - palmitamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,

benzyl 2 - stearamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,

5 benzyl 2 - arachidamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,

benzyl 2 - behenamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside,

10 benzyl 2 - benzyloxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside having a melting point of 168°—169°C; $[\alpha]_D^{25} +72.1^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{29}H_{31}NO_7$ (505.55): C, 68.89; H, 6.18; N, 2.77.

Found: C, 68.82; H, 6.03; N, 2.90.

15 and benzyl 2 - phenylacetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside. 15

EXAMPLE 6

To a solution of 41.7 g of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside (8) in 500 ml of dry dimethylformamide there is added portionwise 19.2 g of a 50% dispersion of sodium hydride in oil. The resulting mixture is stirred at 22°C for 30 minutes, then 23.3 g of sodium chloroacetate is added and the reaction mixture is heated at 75°C for 1 hour. The reaction mixture is cooled in an ice-water bath, 125 ml of ethanol is added dropwise until the foaming subsides (about 30 minutes) and the resulting solution is evaporated to dryness in vacuo. The thus obtained residue is mechanically stirred with a mixture of 500 ml of chloroform and 500 ml of water until the sodium salt of the product crystallizes. The crystals are collected by filtration, resuspended in 3 l of chloroform and approximately 450 ml of Dowex 50 (H^+) (Trade Mark for sulfonated polystyrene resin cross linked with divinyl benzene) is added and the mixture is stirred at 22°C for 1 hour. The resin is removed by filtration, the chloroform filtrate is dried over magnesium sulfate, refiltered and evaporated to dryness in vacuo to give 39 g of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - carboxymethyl - α - D - glucopyranoside (9, $R^2=CH_3$) having a melting point of 215°—216°C.

35 In like manner substituting a stoichiometric equivalent amount of the various compounds obtained in Example 5 for benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside there are obtained the corresponding compounds of Formula (9), representative of which are:

40 benzyl 2 - benzyloxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - carboxymethyl - α - D - glucopyranoside having a melting point of 172°—173°C; $[\alpha]_D^{25} +81.4^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{32}H_{35}NO_7$ (577.61): C, 66.06; H, 5.90; N, 2.49.

Found: C, 66.17; H, 5.96; N, 2.58.

45 benzyl 2 - methoxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - carboxymethyl - α - D - glucopyranoside having a melting point of 209°—210°C; $[\alpha]_D^{25} +88.1^\circ$ (10 mg/ml, methanol);

Anal.

Calcd. for $C_{25}H_{29}NO_9$ (487.52): C, 61.59; H, 6.00; N, 2.87.

Found: C, 61.40; H, 6.40; N, 2.77,

50 benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - carboxymethyl - α - D - glucopyranoside having a melting point 257°—258°C; $[\alpha]_D^{25} +121.8^\circ$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{25}H_{29}NO_8$ (519.56): C, 67.04; H, 5.63; N, 2.70

Found: C, 67.23; H, 5.36; N, 2.73,

benzyl 2 - trifluoroacetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O -
 carboxymethyl - α - D - glucopyranoside,
 benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O -
 carboxymethyl - α - D - glucopyranoside having a melting point of 217°—218°C;
 $[\alpha]_D^{25} +106.1^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{28}H_{35}NO_8$ (513.60): C, 65.48; H, 6.87; N, 2.73.

Found: C, 65.06; H, 6.74; N, 2.75,

and benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O -
 carboxymethyl - α - D - glucopyranoside having a melting point of 188°—190°C.

EXAMPLE 6'

To a solution of 41.7 g of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 -
 deoxy - α - D - glucopyranoside (8) in 500 ml of dry dimethylformamide there is
 added portionwise 9.6 g of 100% sodium hydride (crystalline) and the resultant
 mixture is stirred at 22°C for 30 minutes giving the sodium derivative of (8).
 Meanwhile, 7.0 g of 100% sodium hydride is added cautiously to an ice-cooled
 solution of 26 g of DL-2-chloropropionic acid (or a stoichiometric equivalent of the
 DL-2-bromopropionic acid) in 200 ml of dry dimethylformamide. The resultant
 mixture is then added to the above sodium derivative and the reaction mixture
 is stirred at 75°C for 3 hours. The reaction mixture is cooled in an ice-bath to about
 5°C and 100 ml of water is then added followed by 100 ml of dimethyl sulfate over a
 2 hour period. The temperature of the reaction mixture is allowed to come to 22°C,
 the mixture is then stirred at 22°C for 16 hours and then poured into a large excess
 of water. The resulting precipitate is collected by filtration, washed with water,
 then dissolved in 500 ml of chloroform and the aqueous layer removed. The
 chloroform solution is dried over magnesium sulfate and then concentrated in
 vacuo giving 49.6 g of crude ester (9, $R^2=R^6=R^7=CH_3$). This mixture is
 chromatographed on a one kg column of silicic acid prepared and eluted with a 1:1
 mixture of ethyl acetate and chloroform. The appropriate fractions are pooled and
 evaporated to dryness giving 26.6 g of benzyl 2 - acetamido - 4,6 - O -
 benzylidene - 2 - deoxy - 3 - O - (D - 1 - carbomethoxyethyl) - α - D -
 glucopyranoside (9, $R^2=R^6=R^7=CH_3$) which is recrystallized from methanol and
 has a melting point of 212—214°C and $[\alpha]_D^{25} +126.8^\circ$ (10 mg/ml in chloroform).

To a suspension of 26.6 g of benzyl 2 - acetamido - 4,6 - O - benzylidene -
 2 - deoxy - 3 - O - (D - 1 - carbomethoxyethyl) - α - D - glucopyranoside (9,
 $R^2=R^6=R^7=CH_3$) in one liter of methanol is added a solution of 20 g of sodium
 hydroxide in one liter of water and the mixture is heated at 100°C for 1-1/2 hours at
 which time it is cooled to room temperature. The resulting solution is concentrated
 in vacuo to a volume of about 500 ml and this solution is then treated with 6N
 hydrochloric acid until the pH is 2.5. The resulting white solid is collected by
 filtration, washed thoroughly with water, dried in vacuo over phosphorus pentoxide
 and is then crystallized from methanol to give 21.9 g of benzyl 2 - acetamido - 4,6 -
 O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D -
 glucopyranoside (9, $R^2=R^6=CH_3$, $R^7=H$) having a melting point of 239°—241°C.

In like manner, substituting a stoichiometric equivalent amount of other DL-2-
 haloalkanoic acids (containing from 4 to 6 carbon atoms), for DL-2-
 chloropropionic acid, there are obtained the compounds of Formula (9, in which
 R^6 is alkyl containing from 2 to 4 carbon atoms and $R^7=H$), representative of which
 are,

benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 -
 carboxy - 1 - propyl) - α - D - glucopyranoside having a melting point of 216°—
 217°C; $[\alpha]_D^{25} +126.7^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{28}H_{31}NO_8$ (485.55): C, 64.32; H, 6.44; N, 2.88.

Found: C, 64.56; H, 6.22; N, 2.98,

and benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 -
 carboxy - 1 - pentyl) - α - D - glucopyranoside having a melting point of 232°—
 233°C; $[\alpha]_D^{25} +113.2^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{28}H_{35}NO_8$ (513.60): C, 65.48; H, 6.87; N, 2.73.

Found: C, 65.68; H, 7.02; N, 2.77.

Similarly substituting a stoichiometric equivalent amount of the various compounds obtained in Example 5 for benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside there are obtained the corresponding compounds of Formula (9), representative of which are,

benzyl 2 - benzyloxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside having a melting point of 220°—221°C; $[\alpha]_D^{25} +76.8^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{32}H_{35}NO_9$ (577.61): C, 66.54; H, 6.11; N, 2.43
Found: C, 66.48; H, 6.37; N, 2.46,

benzyl 2 - methoxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside having a melting point of 209°—210°C; $[\alpha]_D^{25} +107^\circ$ (3 mg/ml, methanol);

Anal.

Calcd. for $C_{28}H_{31}NO_9$ (501.55): C, 62.27; H, 6.23; N, 2.79.
Found: C, 63.00; H, 6.24; N, 2.78,

benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside having a melting point of 275°—276°C; $[\alpha]_D^{25} +114.7^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{30}H_{31}NO_8$ (533.59): C, 67.53; H, 5.86; N, 2.63.
Found: C, 67.36; H, 5.94; N, 2.54,

benzyl 2 - trifluoroacetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside,
benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside having a melting point of 234°—236°C; $[\alpha]_D^{25} +117.2^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{28}H_{37}NO_8$ (527.62): C, 66.02; H, 7.07; N, 2.65.
Found: C, 66.01; H, 6.88; N, 2.69,

and benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside having a melting point of 177°—178°C; $[\alpha]_D^{25} +95.5^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{37}H_{53}NO_8$ (639.84): C, 69.46; H, 8.35; N, 2.19.
Found: C, 69.38; H, 8.21; N, 2.16.

EXAMPLE 6"

4.17 G. of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside (8) is dissolved in 30 ml of dry pyridine and the solution is evaporated in vacuo to dryness. This procedure is repeated and the residue is then dissolved in 75 ml of dry dimethylformamide and portion wise is added 0.72 g of 100% sodium hydride (crystalline) and the resultant mixture is stirred at 40°C for 1 hour giving the sodium derivative of (8). This solution is then added dropwise, over 15 minutes, to a vigorously stirred solution of 5.3 g of methyl DL-2-bromodecanoate (prepared from 5.0 g of DL-2-bromodecanoic acid and a diethyl ether solution containing 31 mmoles of diazomethane) in 25 ml of dimethylformamide. The resultant mixture is stirred at 22°C for 16 hours and is then concentrated to dryness in vacuo. The resulting residue is then partitioned between 500 ml of ethyl acetate and 250 ml of water, the ethyl acetate phase is further washed with 100 ml of water, dried over magnesium sulfate and then concentrated in vacuo giving 7.7 g of crude ester (9, $R^2=R^7=Me$, $R^6=n-C_8H_{17}$). This mixture is chromatographed on a 400 g column of silicic acid prepared in ethyl acetate:chloroform (1:9) and eluted with a linear gradient of 2 liters of ethyl acetate:chloroform (1:9) to 2 liters of ethyl acetate:chloroform (3:7). The appropriate fractions are pooled and evaporated to dryness giving 2.46 g of benzyl

2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carbomethoxy - 1 - nonyl) - α - D - glucopyranoside (9, $R^2=R^7=Me$, $R^6=n-C_8H_{17}$) having a melting point of 146°—148°C; $[\alpha]_D^{25} +89.0^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{33}H_{45}NO_8$ (583.73): C, 67.90; H, 7.77; N, 2.40.
Found: C, 68.29; H, 7.77; N, 2.44.

To a solution of 1.17 g of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carbomethoxy - 1 - nonyl) - α - D - glucopyranoside (9, $R^2=R^7=Me$, $R^6=n-C_8H_{17}$) in 35 ml of warm (ca. 60°C) methanol is added dropwise a solution of 0.8 g of sodium hydroxide in 35 ml of water at a rate so that precipitation of the starting material is not observed. The resulting solution is heated under reflux for 25 minutes, and after cooling to 22°C the solution is adjusted to pH 2.5 with 6 N hydrochloric acid. Approximately 250 ml of ice/water is immediately added to the resulting mixture and the precipitated white solid is collected by filtration and is washed thoroughly with water and then dried in vacuo over phosphorus pentoxide to give 1.13 g of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxy - 1 - nonyl) - α - D - glucopyranoside (9, $R^2=Me$, $R^6=N-C_8H_{17}$, $R^7=H$) having a melting point of 194°—196°C; $[\alpha]_D^{25} +94.7^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{32}H_{43}NO_8$ (569.70): C, 67.47; H, 7.61; N, 2.46.
Found: C, 67.39; H, 7.62; N, 2.55.

In like manner, substituting a stoichiometric equivalent amount of other methyl DL-2-bromoalkanoates (containing from 5 to 18 carbon atoms), for methyl DL-2-bromodecanoate, there are obtained the compounds of Formula (9, in which R^6 is alkyl containing from 5 to 18 carbon atoms and $R^7=H$), representative of which are,

benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxy - 1 - tridecyl) - α - D - glucopyranoside having a melting point of 157°—159°C; $[\alpha]_D^{25} +77.2^\circ$ (5 mg/ml, dimethylformamide); and

benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxy - 1 - heptadecyl) - α - D - glucopyranoside having a melting point of 155°—158°C; $[\alpha]_D^{25} +81.4^\circ$ (5 mg/ml, dimethylformamide).

Similarly, substituting a stoichiometric equivalent amount of the various compounds obtained in Example 5 for benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside there are obtained the corresponding compounds of Formula (9).

EXAMPLE 7

A. To 0.44 g of benzyl t - butyloxycarbonyl - L - valyl - D - isoglutamate (7, $n=2$, $X^1=L$ -valyl) at 22°C there is added 5 ml of a saturated solution of hydrogen chloride in ethyl acetate. After 20 minutes, 40 ml of diethyl ether is added and the precipitated salt is collected by centrifugation and carefully dried in vacuo to give benzyl L-valyl-D-isoglutamate hydrochloride (10, $n=2$, $X^1=L$ -valyl).

B. To a solution of the above benzyl, L - valyl - D - isoglutamate hydrochloride (10, $n=2$, $X^1=L$ -valyl) in 5 ml of dimethylformamide there is added 0.17 ml of diisopropylethylamine followed by a solution of 0.139 g of diisopropylcarbodiimide, 0.178 g of 1-hydroxybenzotriazole and 0.512 g of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside (9, $R^2=R^6=CH_3$, $R^7=H$) in 5 ml of dimethylformamide. The resulting reaction mixture is magnetically stirred at 22°C and the reaction is monitored by the Kaiser test (E. Kaiser, et al, Analytical Biochemistry, Vol. 34, page 595 (1970)), with further addition of reagents until the reaction is complete. Upon completion the reaction mixture is poured into an excess of water and the resulting solid is collected, by filtration, washed thoroughly with water and then twice with ethanol, and dried in vacuo over phosphorus pentoxide to give 0.74 g of benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (11, $n=2$, $X^1=L$ -valyl, $R^2=R^6=CH_3$) having a melting point of 275°—277°C (decomposition); $[\alpha]_D^{25} +76.0^\circ$ (10 mg/ml in methanol:chloroform, (15:85);

Anal.

Calcd. for $C_{41}H_{50}N_4O_{11}$ (774.84): C, 63.55; H, 6.50; N, 7.23.
 Found: C, 63.45; H, 6.51; N, 7.06.

5 In like manner substituting a stoichiometric equivalent amount of the various products from Example 4, for benzyl t-butyloxycarbonyl-L-valyl-D-isoglutamate, there are obtained the corresponding protected glycopeptide derivatives, benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - glycyl - D - isoglutamate having a melting point of 252°—253°C; $[\alpha]_D^{25} +80.6^\circ$ (2.1 mg/ml, dimethylformamide); 10

Anal.

Calcd. for $C_{38}H_{44}N_4O_{11}$ (732.80): C, 62.28; H, 6.05; N, 7.65.
 Found: C, 62.03; H, 6.27; N, 7.53,

15 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 238°—239°C; $[\alpha]_D^{25} +88.9^\circ$ (6 mg/ml, dimethylformamide); 15

Anal.

Calcd. for $C_{40}H_{48}N_4O_{11}$ (760.86): C, 63.14; H, 6.36; N, 7.36.
 Found: C, 62.93; H, 6.07; N, 7.31,

20 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - leucyl - D - isoglutamate, having a melting point of 248°—250°C; $[\alpha]_D^{25} +89.4^\circ$ (5 mg/ml in dimethylformamide); 20

Anal.

Calcd. for $C_{43}H_{54}N_4O_{11}$ (802.94): C, 64.32; H, 6.78; N, 6.98.
 Found: C, 64.38; H, 6.98; N, 6.91,

25 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - isoleucyl - D - isoglutamate, benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - α - aminobutyryl - D - isoglutamate having a melting point of 241°—262°; $[\alpha]_D^{25} +95.4^\circ$ (10 mg/ml, dimethylformamide); 30

Anal.

Calcd. for $C_{41}H_{50}N_4O_{11}$ (774.88): C, 63.55; H, 6.50; N, 7.23
 Found: C, 63.66; H, 6.48; N, 7.23,

35 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - seryl - D - isoglutamate having a melting point of 268°—269°C; $[\alpha]_D^{25} +79.7^\circ$ (2.3 mg/ml, dimethylformamide); 40

Anal.

Calcd. for $C_{47}H_{54}N_4O_{12}$ (866.98): C, 65.11; H, 6.28; N, 6.46.
 Found: C, 65.21; H, 6.20; N, 6.47,

45 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - threonyl - D - isoglutamate having a melting point of 220°—225°C; $[\alpha]_D^{25} +76.6^\circ$ (3 mg/ml, dimethylformamide); 45

Anal.

Calcd. for $C_{48}H_{56}N_4O_{12}$ (881.01): C, 65.44; H, 6.41; N, 6.36.
 Found: C, 65.78; H, 6.82; N, 6.68,

50 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - methionyl - D - 50

isoglutamate having a melting point of 256°—258°C (decomposition) $[\alpha]_D^{25} +79.8^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{42}H_{52}N_4O_{11}S$ (820.98): C, 61.45; H, 6.39; N, 6.82.

Found: C, 61.38; H, 6.38; N, 6.72.

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - S - benzyl - L - cysteinyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - phenylalanyl - D - isoglutamate, having a melting point of 273°—277°C; $[\alpha]_D^{23} +98.9$ (4.5 mg/ml in dimethylformamide);

Anal.

Calcd. for $C_{48}H_{52}N_4O_{11}$ (836.95): C, 66.01; H, 6.26; N, 6.69.

Found: C, 66.29; H, 6.27; N, 6.49.

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - tyrosyl - D - isoglutamate having a melting point of 276°C (decomposition); $[\alpha]_D^{24} +85.4^\circ$ (2.5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{53}H_{58}N_4O_{12}$ (943.08): C, 67.50; H, 6.20; N, 5.94.

Found: C, 67.49; H, 6.16; N, 5.77.

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - tryptophanyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - ϵ - carbobenzyloxy - L - lysyl - D - isoglutamate having a melting point of (253°—259°C (decomposition); $[\alpha]_D^{25} +79.2$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{51}H_{61}N_5O_{13}$ (952.08): C, 64.34; H, 6.46; N, 7.36.

Found: C, 64.07; H, 6.72; N, 7.31.

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - δ - carbobenzyloxy - L - ornithyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - Ng - nitro - L - arginyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - N^m - benzyl - L - histidyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - γ - benzyl - L - glutamyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - glutaminyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - β - benzyl - L - aspartyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - asparaginyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate having a melting point of 179°—180°C; $[\alpha]_D^{24} +98.2$ (3 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{42}H_{50}N_4O_{11}$ (786.89): C, 64.11; H, 6.40; N, 7.12.

Found: C, 64.36; H, 6.29; N, 7.01.

- 5 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L -
hydroxypropyl - D - isoglutamate having a melting point of 75°—79°C; $[\alpha]_D^{25}$
+93.5° (10 mg/ml, dimethylformamide); 5

Anal.

Calcd. for $C_{49}H_{58}N_4O_{12}$ (893.02): C, 65.90; H, 6.32; N, 6.27.

Found: C, 65.71; H, 6.55; N, 6.17.

- 10 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - glycy - D - isoasparaginate,
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D -
15 isoasparaginate having a melting point of 226°—228°C; $[\alpha]_D^{25}$ +107.1° (10 mg/ml,
dimethylformamide); 15

Anal.

Calcd. for $C_{38}H_{46}N_4O_{11}$ (746.83): C, 62.72; H, 6.21; N, 7.50.

Found: C, 62.78; H, 6.11; N, 7.04.

- 20 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D -
isoasparaginate, 20
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - leucyl - D -
25 isoasparaginate, 25
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - isoleucyl - D -
isoasparaginate,
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - α - aminobutyryl - D -
30 isoasparaginate, 30
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - seryl - D -
isoasparaginate,
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - threonyl -
35 D - isoasparaginate, 35
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - methionyl - D -
40 isoasparaginate, 40
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - s - benzyl - L - cysteinyl - D -
isoasparaginate,
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - phenylalanyl - D -
45 isoasparaginate, 45
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - tyrosyl - D -
isoasparaginate,
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - tryptophanyl - D -
50 isoasparaginate, 50
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - ϵ - carbobenzyloxy - L -
55 lysyl - D - isoasparaginate, 55
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - δ - carbobenzyloxy - L -
ornithyl - D - isoasparaginate,
benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - Ng - nitro - L - arginyl - D -
60 isoasparaginate, 60

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - N^{im} - benzyl - L - histidyl - D - isoasparaginate,

5 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - γ - benzyl - L - glutamyl - D - isoasparaginate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - glutaminy - D - isoasparaginate,

10 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - β - benzyl - L - aspartyl - D - isoasparaginate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - asparaginy - D - isoasparaginate,

15 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - proly - D - isoasparaginate, and

20 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - O - benzyl - L - hydroxyproly - D - isoasparaginate.

In like manner, by substituting a stoichiometric equivalent amount of benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - carboxymethyl - α - D - glucopyranoside (9, R²=CH₃) for benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside and reacting it with each of the appropriate compounds obtained in Example 4, there are obtained the corresponding protected glycopeptide derivatives,

30 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - glycyl - D - isoglutamate having a melting point of 258°—259°C; [α]_D²⁵ +72.5° (3 mg/ml, dimethyl formamide);

Anal.

Calcd. for C₃₈H₄₄N₄O₁₁ (732.80): C, 62.28; H, 6.05; N, 7.65.

Found: C, 62.31; H, 6.18; N, 7.60.

35 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 223°—224°C; [α]_D²⁵ +76.9° (2.6 mg/ml, dimethylformamide);

Anal.

Calcd. for C₃₈H₄₆N₄O₁₁ (746.79): C, 62.72; H, 6.21; N, 7.50.

Found: C, 62.57; H, 6.51; N, 7.32.

40 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate having a melting point of 237°—239°C; [α]_D²⁵ +80.9° (9 mg/ml, dimethylformamide);

Anal.

Calcd. for C₄₁H₅₀N₄O₁₁ (774.84): C, 63.55; H, 6.50; N, 7.23.

Found: C, 63.45; H, 6.51; N, 7.06.

45 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - leucyl - D - isoglutamate, having a melting point of 209°—211°C;

Anal.

Calcd. for C₄₂H₅₂N₄O₁₁ (788.91): C, 63.94; H, 6.64; N, 7.10.

Found: C, 63.72; H, 6.59; N, 6.95.

50 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - isoleucyl - D - isoglutamate,

55 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - α - aminobutyryl - D - isoglutamate, having a melting point of 218°—230°C; [α]_D²⁵ +81.8° (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{40}H_{48}N_4O_{11}$ (760.86): C, 63.14; H, 6.36; N, 7.36.
Found: C, 62.94; H, 6.29; N, 7.31,

5 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - seryl - D - isoglutamate having a melting point of 211°—214°C; $[\alpha]_D^{25} +69.3^\circ$ (4.6 mg/ml, dimethylformamide); 5

Anal.

10 Calcd. for $C_{48}H_{52}N_4O_{12}$ (852.91): C, 64.77; H, 6.15; N, 6.57.
Found: C, 64.66; H, 6.46; N, 6.54, 10

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - threonyl - D - isoglutamate having a melting point of 182°—188°C; $[\alpha]_D^{25} +76.3^\circ$ (10 mg/ml, dimethylformamide),

15 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - methionyl - D - isoglutamate having a melting point of 234°—238°C; $[\alpha]_D^{25} +78.0^\circ$ 10 mg/ml, dimethylformamide); 15

Anal.

20 Calcd. for $C_{41}H_{50}N_4O_{11}S$ (806.95): C, 61.03; H, 6.25; N, 6.94.
Found: C, 60.98; H, 6.38; N, 6.86, 20

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranoside - 3 - O - yl) - acetyl - S - benzyl - L - cysteinyl - D - isoglutamate,

25 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - phenylalanyl - D - isoglutamate, having a melting point of 229°—231°C; $[\alpha]_D^{25} +78.6^\circ$ (5 mg/ml dimethylformamide); 25

Anal.

30 Calcd. for $C_{45}H_{50}N_4O_{11}$ (822.93): C, 65.68; H, 6.12; N, 6.81.
Found: C, 65.63; H, 6.02; N, 6.62, 30

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - tyrosyl - D - isoglutamate having a melting point of 241°—243°C; $[\alpha]_D^{25} +66.7^\circ$ (4.2 mg/ml, dimethylformamide);

35 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - tryptophanyl - D - isoglutamate, 35

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - ϵ - carbobenzyloxy - L - lysyl - D - isoglutamate having a melting point of 215°—219°C; $[\alpha]_D^{25} +66.7^\circ$ (5.5 mg/ml, dimethylformamide);

Anal.

40 Calcd. for $C_{50}H_{58}N_5O_{13}$ (938.06): C, 64.02; H, 6.34; N, 7.47.
Found: C, 63.79; H, 6.51; N, 7.44, 40

45 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - δ - carbobenzyloxy - L - ornithyl - D - isoglutamate, 45

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - Ng - nitro - L - arginyl - D - isoglutamate,

50 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - N^m - benzyl - L - histidyl - D - isoglutamate, 50

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - γ - benzyl - L - glutamyl - D - isoglutamate,

55 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - glutaminyl - D - isoglutamate, 55

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benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - β - benzyl - L - aspartyl - D - isoglutaminaté,

5 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - asparaginy - D - isoglutamate, benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - prolyl - D - isoglutamate having a melting point of 224°—225°C; $[\alpha]_D^{24} +68.1^\circ$ (3.1 mg/ml, dimethylformamide);

Anal.

10

Calcd. for $C_{41}H_{48}N_4O_{11}$ (772.82): C, 63.72; H, 6.26; N, 7.25.
Found: C, 63.49; H, 6.39; N, 7.14,

10

15 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - hydroxypropyl - D - isoglutamate having a melting point of 219°—221°C; $[\alpha]_D^{25} +73.2^\circ$ (9 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{48}H_{54}N_4O_{12}$ (878.99): C, 65.59; H, 6.19; N, 6.37.
Found: C, 65.49; H, 6.42; N, 6.33,

15

20 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoasparaginate, benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoasparaginate having a melting point of 222°—225°C; $[\alpha]_D^{25} +97.1^\circ$ (10 mg/ml, dimethylformamide);

Anal.

25

Calcd. for $C_{38}H_{44}N_4O_{11}$ (732.80): C, 62.28; H, 6.05; N, 7.65.
Found: C, 62.48; H, 6.18; N, 7.60,

25

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoasparaginate,

30

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - leucyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - isoleucyl - D - isoasparaginate,

35

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - α - aminobutyryl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - seryl - D - isoasparaginate,

40

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - threonyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - methionyl - D - isoasparaginate,

45

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - S - benzyl - L - cysteinyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - phenylalanyl - D - isoasparaginate,

50

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - tyrosinyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - tryptophanyl - D - isoasparaginate,

55

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - ϵ - carbobenzyloxy - L - lysyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - δ - carbobenzyloxy - L - ornithyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - Ng - nitro - L - arginyl - D - isoasparaginate,

5 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - N^m - benzyl - L - histidyl - D - isoasparaginate,

10 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - γ - benzyl - L - glutamyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - glutamyl - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - β - benzyl - L - aspartyl - D - isoasparaginate,

15 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - asparaginy - D - isoasparaginate,

benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - prolyl - D - isoasparaginate, and

20 benzyl (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - O - benzyl - L - hydroxyprolyl - D - isoasparaginate.

In like manner, by substituting a stoichiometric equivalent amount of the compounds obtained in Examples 6, 6' and 6'' for benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - 3 - O - (D - 1 - carboxyethyl) - α - D - glucopyranoside and reacting them with each of the appropriate compounds obtained in Example 4 there are obtained the various corresponding compounds of Formula (11), representative of which are:

30 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - butyryl - L - alanyl - D - isoglutamate, having a melting point of 232°—234°C; $[\alpha]_D^{25} +89.6^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for C₄₁H₅₀N₄O₁₁ (774.88): C, 63.55; H, 6.50; N, 7.23.
Found: C, 63.75; H, 6.62; N, 7.22.

35 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - butyryl - L - valyl - D - isoglutamate having a melting point of 273°—276°C; $[\alpha]_D^{25} +94.3^\circ$ (1.4 mg/ml, dimethylformamide);

Anal.

40 Calcd. for C₄₃H₅₄N₄O₁₁ (802.94): C, 64.32; H, 6.78; N, 6.98.
Found: C, 64.00; H, 6.73; N, 6.81.

benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - butyryl - L - prolyl - D - isoglutamate, an oil having an $[\alpha]_D^{25} +87.6^\circ$ (5 mg/ml, dimethylformamide);

45 Anal.

Calcd. for C₄₃H₅₂N₄O₁₁ (800.92): C, 64.48; H, 6.57; N, 7.00.
Found: C, 64.20; H, 6.52; N, 7.19.

50 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - hexanoyl - L - alanyl - D - isoglutamate having a melting point of 235°—238°C; $[\alpha]_D^{25} +84.5^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for C₄₃H₅₄N₄O₁₁ (802.94): C, 64.32; H, 6.78; N, 6.98.
Found: C, 64.30; H, 6.87; N, 6.98.

55 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - hexanoyl - L - valyl - D - isoglutamate having a melting point of 264°—266°C; $[\alpha]_D^{25} +76.6^\circ$ (3 mg/ml, dimethylformamide);

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1,571,133

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Anal.

Calcd. for $C_{45}H_{56}N_4O_{11}$ (830.99): C, 65.04; H, 7.04; N, 6.74.
Found: C, 65.23; H, 7.27; N, 6.81,

5 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - hexanoyl - L - prolyl - D - isoglutamate having a melting point of 80°—88°C; $[\alpha]_D^{25} +85.7^\circ$ (5 mg/ml, dimethylformamide);

5

Anal.

Calcd. for $C_{45}H_{56}N_4O_{11}$ (828.98): C, 65.20; H, 6.81; N, 6.76.
Found: C, 65.43; H, 6.86; N, 6.84,

10 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - decanoyl - L - alanyl - D - isoglutamate having a melting point of 235°—237°C $[\alpha]_D^{25} +73.0^\circ$ (5 mg/ml, dimethylformamide),

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15 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - tetradecanoyl - L - alanyl - D - isoglutamate having a melting point of 228°—229°C; $[\alpha]_D^{25} +66.4^\circ$ (5 mg/ml, dimethylformamide),

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20 benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - octadecanoyl - L - alanyl - D - isoglutamate having a melting point of 225°—227°C; $[\alpha]_D^{25} +70.7^\circ$ (5 mg/ml, dimethylformamide),

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benzyl (benzyl 2 - benzyloxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 209°—211°C; $[\alpha]_D^{25} +46.3^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{46}H_{52}N_4O_{12}$ (852.91): C, 64.77; H, 6.15; N, 6.57.
Found: C, 64.75; H, 6.35; N, 6.59,

25 benzyl 2 - (benzyl 2 - benzyloxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 200°—204°C; $[\alpha]_D^{25} +51.8^\circ$ (5 mg/ml, dimethylsulfoxide);

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Anal.

Calcd. for $C_{47}H_{54}N_4O_{12}$ (866.94): C, 65.11; H, 6.28; N, 6.46.
Found: C, 65.19; H, 6.21; N, 6.30,

35 benzyl (benzyl 2 - methoxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 188°—200°C; $[\alpha]_D^{25} +75.9^\circ$ (10 mg/ml, dimethylformamide),

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40 benzyl 2 - (benzyl 2 - methoxyacetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 210°—220°C; $[\alpha]_D^{25} +88.1^\circ$ (10 mg/ml, dimethylformamide);

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Anal.

Calcd. for $C_{41}H_{50}N_4O_{12}$ (790.88): C, 62.27; H, 6.37; N, 7.08.
Found: C, 61.85; H, 6.51; N, 6.91,

45 benzyl (benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 217°—219°C; $[\alpha]_D^{25} +70.5^\circ$ (5 mg/ml, dimethylformamide);

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Anal.

Calcd. for $C_{44}H_{48}N_4O_{11}$ (808.90): C, 65.33; H, 5.98; N, 6.93.
Found: C, 65.10; H, 5.90; N, 6.76,

50 benzyl (benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate having a melting point of 242°—249°C; $[\alpha]_D^{25} +74.4^\circ$ (5 mg/ml, dimethylformamide);

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1,571,133

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Anal.

Calcd. for $C_{46}H_{52}N_4O_{11}$ (836.95): C, 66.01; H, 6.26; N, 6.69.
Found: C, 65.82; H, 6.20; N, 6.43,

5 benzyl 2 - (benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate
having a melting point of 258°—260°C; $[\alpha]_D^{25} +73.8^\circ$ (5 mg/ml,
dimethylformamide); 5

Anal.

Calcd. for $C_{45}H_{50}N_4O_{11} \cdot H_2O$ (840.95): C, 64.27; H, 6.23; N, 6.66.
Found: C, 64.64; H, 6.38; N, 6.63, 10

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benzyl 2 - (benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate
having a melting point of 257°—259°C; $[\alpha]_D^{25} +73.2^\circ$ (5 mg/ml,
dimethylformamide);

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Anal.

Calcd. for $C_{47}H_{54}N_4O_{11}$ (850.98): C, 66.34; H, 6.40; N, 6.58.
Found: C, 66.54; H, 6.39; N, 6.50, 15

20 benzyl 2 - (benzyl 2 - benzamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate
having a melting point of 148°—151°C; $[\alpha]_D^{25} +60.8^\circ$ (5 mg/ml,
dimethylformamide); 20

Anal.

Calcd. for $C_{47}H_{52}N_4O_{11}$ (849.97): C, 66.49; H, 6.17; N, 6.60.
Found: C, 66.32; H, 6.25; N, 6.58,

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benzyl (benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α - D -
glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a
melting point of 211°—213°C; $[\alpha]_D^{25} +76.8^\circ$ (5 mg/ml, dimethylformamide); 25

Anal.

Calcd. for $C_{43}H_{54}N_4O_{11}$ (802.94): C, 64.32; H, 6.78; N, 6.98.
Found: C, 64.05; H, 6.84; N, 6.91, 30

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benzyl (benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α - D -
glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate having a
melting point of 264°—265°C; $[\alpha]_D^{25} +74.7^\circ$ (5 mg/ml, dimethylformamide);

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Anal.

Calcd. for $C_{45}H_{58}N_4O_{11}$ (830.99): C, 65.04; H, 7.04; N, 6.74.
Found: C, 64.92; H, 6.97; N, 6.74, 35

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benzyl (benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α - D -
glucopyranosid - 3 - O - yl) - acetyl - L - prolyl - D - isoglutamate having a
melting point of 193°—194°C; $[\alpha]_D^{25} +58.0^\circ$ (5 mg/ml, dimethylformamide);

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Anal.

Calcd. for $C_{45}H_{56}N_4O_{11}$ (828.98): C, 65.20; H, 6.81; N, 6.77.
Found: C, 65.03; H, 6.61; N, 6.85, 40

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45 benzyl 2 - (benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate
having a melting point of 245°—247°C; $[\alpha]_D^{25} +83.4^\circ$ (5 mg/ml,
dimethylformamide); 45

Anal.

Calcd. for $C_{44}H_{56}N_4O_{11}$ (816.96): C, 64.69; H, 6.91; N, 6.86.
Found: C, 64.71; H, 7.08; N, 6.78,

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benzyl 2 - (benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α -
D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate 50

having a melting point of 252°—253°C; $[\alpha]_D^{25} +86.7^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{46}H_{80}N_4O_{11}$ (845.02): C, 65.38; H, 7.16; N, 6.63.

Found: C, 65.66; H, 6.99; N, 6.74.

benzyl 2 - (benzyl 2 - caproamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate having a melting point of 136°—138°C; $[\alpha]_D^{25} +86.0^\circ$ (5 mg/ml, dimethylformamide),

benzyl (benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate,

benzyl (benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate,

benzyl (benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - prolyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate, and

benzyl 2 - (benzyl 2 - myristamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate.

EXAMPLE 8

450 ml of 67% aqueous acetic acid is heated to 97°C on a steam bath and 5.9 g of benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (11, n=2, X'=L-valyl, R²=R³=CH₃) is added. The resulting solution is heated at 97°—100°C for exactly 7 minutes and then immediately chilled in an ice bath. The solution is evaporated by dryness in vacuo and the residue is freed of acetic acid by two co-evaporations with water and two co-evaporations with toluene giving the crude product to which 250 ml of ether is added and the residue is magnetically stirred at 22°C for 15 hours. The resultant solid is collected by filtration and dried giving benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12A, n=2, X'=L-valyl, R²=R³=CH₃) having a melting point of 221°—224°C; $[\alpha]_D^{25} +103.5^\circ$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{35}H_{48}N_4O_{11}$ (700.80): C, 59.99; H, 6.90; N, 8.00.

Found: C, 59.56; H, 6.92; N, 7.70.

In like manner substituting a stoichiometric equivalent amount of the compounds obtained in Example 7 for benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (12A), representative of which are,

benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 225°—228°C; $[\alpha]_D^{25} +95.8^\circ$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{33}H_{44}N_4O_{11}$ (672.74): C, 58.92; H, 6.59; N, 8.33.

Found: C, 58.51; H, 6.51; N, 8.10.

benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate having a melting point of 85°—98°C; $[\alpha]_D^{25} +100.8^\circ$ (10 mg/ml, dimethylformamide),

benzyl (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 202°—203°C; $[\alpha]_D^{25} +65.8^\circ$ (2.3 mg/ml, methanol);

Anal.

Calcd. for $C_{32}H_{42}N_4O_{11}$ (658.72): C, 58.35; H, 6.43; N, 8.51.
Found: C, 58.28; H, 6.13; N, 8.54,

5 and benzyl (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate having a melting point of 215°—222°C; $[\alpha]_D^{25} +79.0^\circ$ (10 mg/ml, dimethylformamide); 5

Anal.

Calcd. for $C_{34}H_{46}N_4O_{11}$ (686.77): C, 59.46; H, 6.75; N, 8.16.
Found: C, 59.56; H, 6.94; N, 7.92.

EXAMPLE 9

10 A solution of 0.70 g of benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12A, n=2, X'=L-valyl, R²=R⁶=CH₃) and 0.56 g of triphenylmethyl chloride in 10 ml of pyridine is stirred at 22°C for 5 days and is then evaporated to dryness in vacuo. The residue is partitioned between 30 ml of chloroform and 30 ml of water, the chloroform phase is extracted twice more with 30 ml of water, dried over magnesium sulfate, concentrated in vacuo and the residue is triturated with 50 ml of diethyl ether. The resulting solid is collected by filtration, washed with diethyl ether and dried giving benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12B, n=2, X'=L-valyl, R²=R⁶=CH₃). 15 20

In like manner by substituting a stoichiometric equivalent amount of the compounds obtained in Example 8 for benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the compounds of Formula (12B), representative of which is, 25

benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 108°—110°C; $[\alpha]_D^{25} +65.4^\circ$ (10 mg/ml, chloroform);

30 Anal.

Calcd. for $C_{52}H_{58}N_4O_{11}$ (915.07): C, 68.25; H, 6.39; N, 6.12.
Found: C, 68.35; H, 6.55; N, 6.28.

EXAMPLE 10

35 A solution of 0.36 g of benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12A, n=2, X'=L-valyl, R²=R⁶=CH₃) and 1.0 ml of acetic anhydride in 5 ml of pyridine is stored at 22°C for 16 hours at which time 1 ml of methanol is added and the resulting solution is stirred at room temperature for a further 1 hour. The solution is then evaporated to dryness, the residue is partitioned between 20 ml of chloroform and 20 ml of water and the chloroform phase is dried over magnesium sulfate and evaporated to dryness giving the crude product which is crystallized from aqueous ethanol giving benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12E, N=2, X'=L-valyl, R²=R⁶=CH₃, R⁴=R⁸=COCH₃) having a melting point of 225°—241°C; $[\alpha]_D^{25} +93.7^\circ$ (10 mg/ml, dimethylformamide); 40 45

Anal.

Calcd. for $C_{38}H_{52}N_4O_{13}$ (784.88): C, 59.68; H, 6.68; N, 7.14.
Found: C, 60.00; H, 6.76; N, 7.14.

50 In like manner substituting a stoichiometric equivalent amount of the appropriate acylating agent (either acid anhydride or acid chloride) for acetic anhydride there are obtained the corresponding compounds of Formula (12E), representative of which are, 50

55 benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - butyryl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate, benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - octanoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate 55

(63)

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1,571,133

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having a melting point of 207°—210°C; $[\alpha]_D^{25} +79.3^\circ$ (8 mg/ml, dimethylformamide),

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - stearoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate having a melting point of 200°—207°C,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - behenoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate having a melting point of 202°—205°C; $[\alpha]_D^{25} +68.1^\circ$ (5 mg/ml, dimethylformamide), and

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - benzoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate having a melting point of 169°—172°C.

In like manner substituting a stoichiometric equivalent amount of the compounds obtained in Example 8 for benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (12E), representative of which are,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 218°—219°C; $[\alpha]_D^{25} +86.8^\circ$ (10 mg/ml, methanol);

Anal.

Calcd. for $C_{37}H_{48}N_4O_{13}$ (756.83): C, 58.72; H, 6.39; N, 7.40.

Found: C, 58.72; H, 6.31; N, 7.19,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - butyryl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 187°—188°C; $[\alpha]_D^{25} +84.0^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{41}H_{58}N_4O_{13}$ (812.93): C, 60.58; H, 6.94; N, 6.89.

Found: C, 60.45; H, 6.95; N, 6.76,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - octanoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 167°—168°C; $[\alpha]_D^{25} +76.8^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{49}H_{72}N_4O_{13}$ (925.15): C, 63.62; H, 7.84; N, 6.06.

Found: C, 63.78; H, 7.64; N, 5.95,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - stearoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 175°—178°C; $[\alpha]_D^{25} +46.8^\circ$ (5 mg/ml, chloroform);

Anal.

Calcd. for $C_{88}H_{112}N_4O_{13}$ (1205.69): C, 68.74; H, 9.36; N, 4.65.

Found: C, 69.20; H, 9.41; N, 4.50,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - behenoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 202°—205°C; $[\alpha]_D^{25} +68.1^\circ$ (5 mg/ml, dimethylformamide),

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate having a melting point of 80°—85°C; $[\alpha]_D^{25} +82.4^\circ$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{39}H_{50}N_4O_{13}$ (782.86): C, 59.84; H, 6.44; N, 7.16.

Found: C, 59.58; H, 6.03; N, 7.13,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - butyryl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate,

benzyl 2 - (benzyl 2 - acetamido - 4,6 - di - O - octanoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamate,

5 benzyl (benzyl 2 - acetamido - 4,6 - di - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 185°—186°C; $[\alpha]_D^{25} +64.8^\circ$ (3 mg/ml, methanol);

Anal.

Calcd. for $C_{36}H_{48}N_4O_{13}$ (742.80): C, 58.21; H, 6.24; N, 7.54.
Found: C, 58.47; H, 6.01; N, 7.52,

10 benzyl (benzyl 2 - acetamido - 4,6 - di - O - butyryl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 159°—163°C; $[\alpha]_D^{25} +78.1^\circ$ (6 mg/ml, dimethylformamide),

15 benzyl (benzyl 2 - acetamido - 4,6 - di - O - octanoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 137°—140°C; $[\alpha]_D^{25} +68.6^\circ$ (7 mg/ml, dimethylformamide),

benzyl (benzyl 2 - acetamido - 4,6 - di - O - stearoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 140°—148°C; $[\alpha]_D^{25} +32.8^\circ$ (3 mg/ml, methanol:chloroform, 1:4),

20 benzyl (benzyl 2 - acetamido - 4,6 - di - O - behenoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 147°—149°C; $[\alpha]_D^{25} +31.8^\circ$ (3 mg/ml, methanol:chloroform, 1:4),

benzyl (benzyl 2 - acetamido - 4,6 - di - O - benzoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - alanyl - D - isoglutamate having a melting point of 114°—117°C,

25 benzyl (benzyl 2 - acetamido - 4,6 - di - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate having a melting point of 197°—205°C; $[\alpha]_D^{25} +79.1^\circ$ (10 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{38}H_{50}N_4O_{13}$ (770.85): C, 59.21; H, 6.54; N, 7.27.
Found: C, 59.55; H, 6.33; N, 7.28,

30 benzyl (benzyl 2 - acetamido - 4,6 - di - O - butyryl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate, and

35 benzyl (benzyl 2 - acetamido - 4,6 - di - O - octanoyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - acetyl - L - valyl - D - isoglutamate having a melting point of 174°—177°C; $[\alpha]_D^{25} +68.3^\circ$ (8 mg/ml, dimethylformamide).

EXAMPLE 11

By repeating the procedure of Example 10 but replacing benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate with a stoichiometric equivalent amount of benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12B, n=2, X¹=L-valyl, R²=R⁶=CH₃) there is obtained benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12C, n=2, X¹=L-valyl, R²=R⁶=CH₃, R³=COCH₃).

In like manner substituting a stoichiometric equivalent amount of the appropriate acylating agent (either acid anhydride or acid chloride) for acetic anhydride there are obtained the corresponding compounds of Formula (12C).

50 In like manner substituting a stoichiometric equivalent amount of the compounds obtained in Example 9 for benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (12C), representative of which is,

55 benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 91°—93°C; $[\alpha]_D^{25} +72.1^\circ$ (10 mg/ml, chloroform);

Anal.

Calcd. for $C_{58}H_{80}N_4O_{12}$ (957.10): C, 67.77; H, 6.32; N, 5.85.
Found: C, 67.24; H, 6.61; N, 5.67.

EXAMPLE 12

A mixture of 0.97 g of benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12C, n=, X¹=L-valyl, R²=R⁶=CH₃, R³=COCH₃) and 10 ml of 70% acetic acid is heated at a 100°C until a clear solution is obtained (about 2 minutes) and heating is continued for a further 2 minutes. The solution is immediately cooled in an ice bath and the precipitate is removed by filtration. The filtrate is evaporated to dryness in vacuo, the residue is triturated with 25 ml of diethyl ether and the resultant solid is collected by filtration, washed with diethyl ether and dried in vacuo giving benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12C, n=2, X¹=L-valyl, R²=R⁶=CH₃, R³=COCH₃).

In like manner substituting a stoichiometric equivalent amount of the compounds obtained in Example 11 for benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 2 - deoxy - 6 - O - triphenylmethyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (12D) representative of which is,

benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate.

EXAMPLE 13

By repeating the procedure of Example 10 but replacing benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate with a stoichiometric equivalent amount of benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12D, n=2, X¹=L-valyl, R²=R⁶=CH₃, R³=COCH₃) using propionic anhydride instead of acetic anhydride there is obtained benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - 6 - O - propionyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12E, n=2, X¹=L-valyl, R²=R⁶=CH₃, R⁵=COCH₃, R⁴=COC₂H₅).

In like manner substituting a stoichiometric equivalent amount of the appropriate acylating agent (acid anhydride or acid chloride) for propionic anhydride there are obtained the corresponding compounds of Formula (12E).

In like manner substituting a stoichiometric equivalent amount of the compounds obtained in Example 12 for benzyl 2 - (benzyl 2 - acetamido - 4 - O - acetyl - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (12E).

EXAMPLE 14

A solution of 0.36 g of benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12A, n=2, X¹=L-valyl, R²=R⁶=CH₃) in 5 ml of pyridine is stirred at 0°C whilst a solution of 0.095 ml of acetic anhydride in 1 ml of pyridine is added dropwise over 30 minutes. The resultant solution is stored at 0°C for 16 hours at which time 1 ml of methanol is added and the mixture is evaporated to dryness. The residue thus obtained is partitioned between 100 ml of chloroform and 100 ml of water, the chloroform phase is dried over magnesium sulfate and concentrated in vacuo, giving 0.36 g of crude product which is purified by preparative thick-layer chromatography giving benzyl 2 - (benzyl 2 - acetamido - 6 - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (12F, n=2, X¹=L-valyl, R²=R⁶=CH₃, R³=COCH₃).

In like manner by substituting stoichiometric equivalent amounts of the appropriate acylating agent (either acid anhydride or acid chloride) for acetic anhydride there are obtained the corresponding compounds of Formula (12F).

In like manner substituting a stoichiometric equivalent amount of the compounds obtained in Example 8 for benzyl 2 - (benzyl 2 - acetamido - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the compounds of Formula (12F), representative of which is,

benzyl 2 - (benzyl 2 - acetamido - 6 - O - acetyl - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamate having a melting point of 196°—198°C.

EXAMPLE 15

A mixture of 0.50 g of benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate (11, n=2, X=L-valyl, R²=R³=CH₃) and 50 mg of a 10% palladium on carbon catalyst in 15 ml 85% acetic acid is magnetically stirred at 22°C in a hydrogen atmosphere. The formation of the product (13, n=2, X=L-valyl, R²=R³=CH₃) is monitored by thin layer chromatography using the solvent system comprising acetonitrile:acetic acid:water in a ratio of 8:1:1. The reaction is generally found to be complete within a 24—40 hour period whence the catalyst is removed by filtration through a millipore filter (Teflon-Trade Mark) and the filtrate is evaporated to dryness in vacuo to give a residue which is dissolved in 3 ml of methanol and the produce is precipitated by the addition of 8 ml of ethyl acetate. The thus obtained white solid is collected by centrifugation and dried carefully in vacuo to give a white powder which is dissolved in 5 ml of water, and this solution is then loaded onto a 20 cm by 0.5 cm column of Biorex 70 (Trade Mark for weakly acidic ion-exchange resin of polyacrylic acid). The column is eluted with water and the fractions containing the required product are pooled and lyophilised to give 200 mg of 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine (13, n=2, X=L-valyl, R=R¹=hydrogen, R²=R³=CH₃) having a melting point of 140°—158°C (decomposition); [α]_D²⁴ +13.6° (10 mg/ml, methanol);

Anal.

Calcd. for C₂₁H₃₈N₄O₁₁ · H₂O (538.57): C, 46.83; H, 7.11; N, 10.40.
Found: C, 46.63; H, 7.23; N, 10.26.

In like manner substituting a stoichiometric equivalent amount of the various products from Example 7, for benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding glycopeptides of Formula (13). Representative compounds thus obtained are:

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - leucyl - D - isoglutamine, having a melting point of 139°—142°C; [α]_D²² +52.1° (5.2 mg/ml, methanol);

Anal.

Calcd. for C₂₂H₃₈N₄O₁₁ · H₂O (552.59): C, 47.82; H, 7.30; N, 10.14.
Found: C, 47.90; H, 7.21; N, 9.94,

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - isoleucyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - α - aminobutyryl - D - isoglutamine having a melting point of 125°—132°C; [α]_D²⁵ +47.5° (7 mg/ml, methanol);

Anal.

Calcd. for C₂₀H₃₄N₄O₁₁ · 2½ H₂O (551.56): C, 43.55; H, 7.12; N, 10.15.
Found: C, 43.22; H, 6.14; N, 9.96,

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - threonyl - D - isoglutamine, having a melting point of 126°—142°C; [α]_D²⁵ +47.9° (10 mg/ml, methanol);

Anal.

Calcd. for C₂₀H₃₄N₄O₁₂ · 3H₂O (576.57): C, 41.66; H, 6.99; N, 9.72.
Found: C, 41.92; H, 6.41; N, 9.66,

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - methionyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - cysteinyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - phenylalanyl - D - isoglutamine, having a melting point of 140°—143°C; [α]_D²² +84.4° (5.9 mg/ml, methanol);

Anal.

Calcd. for $C_{25}H_{38}N_4O_{11} \cdot 1\frac{1}{2} H_2O$ (595.62): C, 50.41; H, 6.60; N, 9.41.

Found: C, 50.25; H, 6.31; N, 9.27.

- 5 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - tyrosyl - D - isoglutamine, having a melting point of 145°C (decomposition); 5
[α]_D²⁵ +73.7° (5.0 mg/ml, methanol),
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - tryptophanyl - D - isoglutamine,
10 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - lysyl - D - isoglutamine, having a melting point of 120°—130°C 10
(decomposition; [α]_D²⁵ +47.4° (10 mg/ml, methanol);

Anal.

Calcd. for $C_{22}H_{33}N_5O_{11} \cdot 1\frac{1}{2} H_2O$ (576.61): C, 45.82; H, 7.34; N, 12.14.

Found: C, 45.93; H, 7.33; N, 12.01.

- 15 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 15
L - ornithyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - arginyl - D - isoglutamine,
20 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 20
L - histidyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - glutamyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - glutaminyl - D - isoglutamine, 25
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 25
L - aspartyl - D - isoglutamine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - asparaginyl - D - isoglutamine,
30 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 30
L - prolyl - D - isoglutamine, having a melting point of 143°—146°C; [α]_D²²
+34.0° (12 mg/ml, methanol);

Anal.

Calcd. for $C_{21}H_{34}N_4O_{11} \cdot H_2O$ (537.551): C, 47.11; H, 6.75; N, 10.42.

Found: C, 47.09; H, 6.40; N, 10.67.

- 35 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 35
L - hydroxypropyl - D - isoglutamine, having a melting point of 135°—148°C;
[α]_D²⁵ +45.8° (3 mg/ml, methanol);
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - valyl - D - isoasparagine, 40
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 40
L - leucyl - D - isoasparagine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - isoleucyl - D - isoasparagine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
45 L - α - aminobutyryl - D - isoasparagine, 45
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - threonyl - D - isoasparagine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - methionyl - D - isoasparagine, 50
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - 50
propionyl - L - cysteinyl - D - isoasparagine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - phenylalanyl - D - isoasparagine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
55 L - tyrosyl - D - isoasparagine, 55
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - tryptophanyl - D - isoasparagine,
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
L - lysyl - D - isoasparagine, 60
2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - 60
L - ornithyl - D - isoasparagine,

48

	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - arginyl - D - isoasparagine,	
	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
5	L - histidyl - D - isoasparagine,	5
	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - glutamyl - D - isoasparagine,	
	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - glutaminyl - D - isoasparagine,	
	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
10	L - aspartyl - D - isoasparagine,	10
	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - asparaginy - D - isoasparagine,	
	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - prolyl - D - isoasparagine,	
15	2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	15
	L - hydroxyprolyl - D - isoasparagine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - valyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
20	L - leucyl - D - isoglutamine,	20
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - isoleucyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - α - aminobutyryl - D - isoglutamine,	
25	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	25
	L - threonyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - methionyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
30	L - cysteinyl - D - isoglutamine,	30
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - phenylalanyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
35	L - tyrosyl - D - isoglutamine,	35
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - tryptophanyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - histidyl - D - isoglutamine,	
40	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	40
	L - glutamyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - glutaminyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
45	L - aspartyl - D - isoglutamine,	45
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - asparaginy - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - prolyl - D - isoglutamine,	
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
50	L - hydroxyprolyl - D - isoglutamine,	50
	2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
	L - valyl - D - isoasparagine,	
	2 - (2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
55	L - alanyl - D - isoglutamine having a melting point of 150°—152°C; $[\alpha]_D^{25} +28.5^\circ$ (5 mg/ml, dimethylformamide);	55

Anal.

Calcd. for $C_{24}H_{34}N_4O_{11} \cdot 2H_2O$ (590.60): C, 48.81; H, 6.49; N, 9.49.
Found: C, 48.87; H, 5.91; N, 9.32,

	2 - (2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -	
60	L - valyl - D - isoglutamine having a melting point of 154°—158°C; $[\alpha]_D^{25} +17.4^\circ$ (4 mg/ml, methanol);	60

Anal.

Calcd. for $C_{28}H_{38}N_4O_{11} \cdot 2\frac{1}{2} H_2O$ (627.64): C, 49.76; H, 6.90; N, 8.92.
 Found: C, 49.48; H, 6.56; N, 8.64,

- 5 2 - (2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - prolyl - D - isoglutamine having a melting point of 167°—176°C; $[\alpha]_D^{25} +0.5^\circ$ (6
 mg/ml, methanol);

Anal.

Calcd. for $C_{28}H_{38}N_4O_{11} \cdot 4 H_2O$ (652.67): C, 47.84; H, 6.79; N, 8.58.
 Found: C, 47.69; H, 5.86; N, 8.17,

- 10 2 - (2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - seryl - D - isoglutamine,
 2 - (2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - alanyl - D - isoglutamine,
 15 2 - (2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - valyl - D - isoglutamine,
 2 - (2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - prolyl - D - isoglutamine,
 2 - (2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - seryl - D - isoglutamine,
 20 2 - (2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - alanyl - D - isoglutamine, having a melting point of 112°—124°C;

Anal.

Calcd. for $C_{20}H_{34}N_4O_{12} \cdot 3H_2O$ (576.57): C, 41.66; H, 6.99; N, 9.72.
 Found: C, 41.42; H, 6.13; N, 9.71,

- 25 2 - (2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - valyl - D - isoglutamine,
 2 - (2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - prolyl - D - isoglutamine,
 30 2 - (2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D -
 propionyl - L - seryl - D - isoglutamine,
 2 - (2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - alanyl - D - isoglutamine having a melting point of 150°—154°C; $[\alpha]_D^{25} +50.3^\circ$
 (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{23}H_{40}N_4O_{11} \cdot H_2O$ (566.62): C, 48.75; H, 7.47; N, 9.89.
 Found: C, 49.18; H, 7.27; N, 9.95,

- 35 2 - (2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - valyl - D - isoglutamine, having a melting point of 138°—140°C
 (decomposition); $[\alpha]_D^{25} +46.5^\circ$ (5 mg/ml, dimethylformamide),
 40 2 - (2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - prolyl - D - isoglutamine,
 2 - (2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - seryl - D - isoglutamine,
 45 2 - (2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - alanyl - D - isoglutamine,
 2 - (2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - valyl - D - isoglutamine,
 2 - (2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - prolyl - D - isoglutamine,
 50 2 - (2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl -
 L - seryl - D - isoglutamine,
 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - glycol - D -
 isoglutamine having a melting point of 134°C (decomposition); $[\alpha]_D^{25} +24.7^\circ$ (5
 mg/ml, methanol);

Anal.

Calcd. for $C_{17}H_{28}N_4O_{11} \cdot 2H_2O$ (500.48): C, 40.80; H, 6.45; N, 11.20.
 Found: C, 41.31; H, 5.99; N, 11.11,

- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine having a melting point of 148°C (decomposition); $[\alpha]_D^{25} +18.6^\circ$ (5 mg/ml, methanol);
- 5 Anal. Calcd. for $C_{18}H_{30}N_4O_{11} \cdot H_2O$ (490.49): C, 43.55; H, 6.50; N, 11.29. Found: C, 43.10; H, 5.90; N, 10.94. 5
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine having a melting point of 140°—150°C (decomposition); $[\alpha]_D^{25} +13.6^\circ$ (10 mg/ml, methanol);
- 10 Anal. Calcd. for $C_{20}H_{34}N_4O_{11} \cdot 1\frac{1}{2}H_2O$ (533.54): C, 45.02; H, 6.99; N, 10.50. Found: C, 45.15; H, 6.81; N, 10.08. 10
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - leucyl - D - isoglutamine having a melting point of 133°—135°C; $[\alpha]_D^{25} +15.3^\circ$ (7 mg/ml, methanol);
- 15 Anal. Calcd. for $C_{21}H_{36}N_4O_{11} \cdot 1\frac{1}{2}H_2O$ (547.58): C, 46.06; H, 7.18; N, 10.23. Found: C, 46.28; H, 6.84; N, 9.69. 15
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - isoleucyl - D - isoglutamine, 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - α - amino - butyryl - D - isoglutamine having a melting point of 133°—143°C; $[\alpha]_D^{25} +32.7^\circ$ (3 mg/ml, methanol);
- 20 Anal. Calcd. for $C_{19}H_{32}N_4O_{11} \cdot 2\frac{1}{2}H_2O$ (537.54): C, 42.45; H, 6.93; N, 10.42. Found: C, 42.71; H, 6.23; N, 10.40. 20
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine having a melting point of 132°C (decomposition); $[\alpha]_D^{25} +19.4^\circ$ (5.6 mg/ml, methanol);
- 25 Anal. Calcd. for $C_{18}H_{30}N_4O_{12} \cdot H_2O$ (512.49): C, 42.19; H, 6.29; N, 10.93. Found: C, 42.67; H, 6.49; N, 10.82. 25
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - threonyl - D - isoglutamine having a melting point of 124°—132°C (decomposition); $[\alpha]_D^{25} +15.7^\circ$ (5 mg/ml, methanol);
- 30 Anal. Calcd. for $C_{19}H_{32}N_4O_{12} \cdot 2\frac{1}{2}H_2O$ (553.54): C, 41.22; H, 6.73; N, 10.12. Found: C, 40.73; H, 5.80; N, 10.00. 30
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - methionyl - D - isoglutamine, 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - cysteinyl - D - isoglutamine, 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine having a melting point of 136°—140°C; $[\alpha]_D^{25} +40.1^\circ$ (5 mg/ml, methanol);
- 35 Anal. Calcd. for $C_{24}H_{34}N_4O_{11} \cdot H_2O$ (572.58): C, 50.34; H, 6.34; N, 9.79. Found: C, 50.54; H, 6.38; N, 9.44. 35
- 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - tyrosyl - D - isoglutamine, having a melting point of 153°C (decomposition); $[\alpha]_D^{25} +37.7^\circ$ (5.0 mg/ml, methanol);
- 40 Anal. 40
- 45 45
- 50 50

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl L - tryptophanyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - lysyl - D - isoglutamine, having a melting point of 126°—133°C (decomposition); $[\alpha]_D^{25} +10.0^\circ$ (5 mg/ml, methanol);

Anal.

Calcd. for $C_{21}H_{37}N_5O_{11} \cdot 1\frac{1}{2}H_2O$ (562.59): C, 44.83; H, 7.16; N, 12.44.

Found: C, 44.56; H, 6.73; N, 12.08,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - ornithyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - arginyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - histidyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - glutamyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - glutaminyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - aspartyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - asparaginyl - D - isoglutamine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine having a melting point of 144°—146°C; $[\alpha]_D^{25} -10.5^\circ$ (5.9 mg/ml, methanol);

Anal.

Calcd. for $C_{20}H_{32}N_4O_{11} \cdot 1\frac{1}{2}H_2O$ (531.53): C, 45.19; H, 6.64; N, 10.54.

Found: C, 45.50; H, 6.33; N, 10.22,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - hydroxy - prolyl - D - isoglutamine having a melting point of 90°—105°C (decomposition); $[\alpha]_D^{25} -5.7^\circ$ (10 mg/ml, methanol);

Anal.

Calcd. for $C_{20}H_{32}N_4O_{12} \cdot 2\frac{1}{2}H_2O$ (565.55): C, 42.48; H, 6.59; N, 9.90.

Found: C, 42.68; H, 5.59; N, 9.68,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoasparagine having a melting point of 140°—145°C (decomposition); $[\alpha]_D^{25} +18.4^\circ$ (10.0 mg/ml, methanol);

Anal.

Calcd. for $C_{17}H_{28}N_4O_{11} \cdot 2H_2O$ (500.47): C, 40.79; H, 6.44; N, 11.20.

Found: C, 40.60; H, 5.79; N, 10.62,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - leucyl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - isoleucyl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - α - amino - butyryl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - threonyl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - methionyl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - cysteinyl - D - isoasparagine,

2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenyl - alanyl - D - isoasparagine,

	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - tyrosyl - D - isoasparagine,	
5	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - lysyl - D - isoasparagine,	5
10	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - ornithyl - D - isoasparagine,	10
	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - arginyl - D - isoasparagine,	
15	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - histidyl - D - isoasparagine,	15
	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - glutamyl - D - isoasparagine,	
20	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - glutaminyl - D - isoasparagine,	20
	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - aspartyl - D - isoasparagine,	
25	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - asparaginy - D - isoasparagine,	25
	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoasparagine,	
	2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - hydroxypropyl - D - isoasparagine,	
30	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - glycy - D - isoglutamine,	30
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine having a melting point of 115°—140°C; $[\alpha]_D^{25} +10.3^\circ$ (5 mg/ml, methanol);	
	Anal. Calcd. for $C_{18}H_{30}N_4O_{12} \cdot 4H_2O$ (503.46): C, 42.94; H, 6.21; N, 11.13. Found: C, 43.09; H, 6.16; N, 10.82,	
35	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	35
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - leucyl - D - isoglutamine,	
40	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - isoleucyl - D - isoglutamine,	40
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - α - amino - butyryl - D - isoglutamine,	
45	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	45
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - threonyl - D - isoglutamine,	
50	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - methionyl - D - isoglutamine,	50
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - cysteinyl - D - isoglutamine,	
55	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	55
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - tyrosyl - D - isoglutamine,	
60	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - tryptophanyl - D - isoglutamine,	60
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - histidyl - D - isoglutamine,	
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - glutamyl - D - isoglutamine,	
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - glutaminyl - D - isoglutamine,	
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - aspartyl - D - isoglutamine,	
	2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - asparaginy - D - isoglutamine,	

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- 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl -
D - isoglutamine,
2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - hydroxy -
prolyl - D - isoglutamine,
5 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - 5
D - isoasparagine,
2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl -
D - isoglutamine having a melting point of 145°—147°C; $[\alpha]_D^{25} + 22.9^\circ$ (5 mg/ml,
methanol);
10 Anal. Calcd. for $C_{23}H_{32}N_4O_{11} \cdot H_2O$ (558.55): C, 49.46; H, 6.14; N, 10.03. 10
Found: C, 48.87; H, 6.20; N, 9.64,
2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D -
isoglutamine having a melting point of 137°—149°C; $[\alpha]_D^{25} + 13.8^\circ$ (6 mg/ml,
15 methanol); 15
Anal. Calcd. for $C_{25}H_{36}N_4O_{11} \cdot 2H_2O$ (613.64): C, 48.93; H, 6.73; N, 9.13.
Found: C, 49.09; H, 6.30; N, 9.16,
2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl -
D - isoglutamine,
20 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - 20
isoglutamine,
2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenyl -
alanyl - D - isoglutamine,
25 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - 25
alanyl - D - isoglutamine,
2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
valyl - D - isoglutamine,
2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
30 prolyl - D - isoglutamine, 30
2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
seryl - D - isoglutamine,
2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
phenylalanyl - D - isoglutamine,
35 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - 35
alanyl - D - isoglutamine having a melting point of 96°—114°C; $[\alpha]_D^{25} + 15.0^\circ$ (10
mg/ml, methanol);
Anal. Calcd. for $C_{19}H_{32}N_4O_{12} \cdot 2H_2O$ (544.53): C, 41.90; H, 6.66; N, 10.29.
40 Found: C, 41.99; H, 6.02; N, 9.74. 40
2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
valyl - D - isoglutamine,
2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
prolyl - D - isoglutamine,
45 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - 45
seryl - D - isoglutamine,
2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L -
phenylalanyl - D - isoglutamine,
2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl -
50 D - isoglutamine having a melting point of 140°—145°C; $[\alpha]_D^{25} + 36.2^\circ$ (5 mg/ml, 50
dimethylformamide);
Anal. Calcd. for $C_{22}H_{38}N_4O_{11}$ (534.58): C, 49.43; H, 7.17; N, 10.48.
Found: C, 49.02; H, 7.32; N, 10.28,
2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl -
D - isoglutamine having a melting point of 132°—134°C; $[\alpha]_D^{25} + 29.3^\circ$ (5 mg/ml,
dimethylformamide);

Anal.

Calcd. for $C_{24}H_{42}N_4O_{11} \cdot H_2O$ (580.65): C, 49.65; H, 7.64; N, 9.65.
 Found: C, 50.06; H, 7.65; N, 9.70,

- 5 2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl -
 D - isoglutamine, 5
 2 - caproamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl -
 D - isoglutamine,
 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl -
 D - isoglutamine,
 10 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - 10
 D - isoglutamine,
 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl -
 D - isoglutamine,
 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl -
 15 D - isoglutamine, and 15
 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenyl -
 alanyl - D - isoglutamine,
 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L -
 alanyl - D - isoglutamine having a melting point of 120°—125°C (decomposition);
 20 $[\alpha]_D^{25} +63.1^\circ$ (5 mg/ml, dimethylformamide); 20

Anal.

Calcd. for $C_{20}H_{34}N_4O_{11} \cdot H_2O$ (524.54): C, 45.80; H, 6.92; N, 10.68.
 Found: C, 45.82; H, 6.82; N, 10.55,

- 25 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L -
 valyl - D - isoglutamine having a melting point of 156°C; $[\alpha]_D^{25} +48.1^\circ$ (5 25
 mg/ml, methanol);

Anal.

Calcd. for $C_{22}H_{38}N_4O_{11} \cdot 2H_2O$ (570.61): C, 46.31; H, 7.42; N, 9.82.
 Found: C, 46.06; H, 7.05; N, 9.58,

- 30 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L -
 prolyl - D - isoglutamine having a melting point of 155°C; $[\alpha]_D^{25} +45.2^\circ$ (5 mg/ml, 30
 methanol);

Anal.

Calcd. for $C_{22}H_{38}N_4O_{11} \cdot 2H_2O$ (568.59): C, 46.47; H, 7.09; N, 9.85.
 Found: C, 46.82; H, 6.89; N, 9.81,

- 35 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L -
 seryl - D - isoglutamine, 35
 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L -
 alanyl - D - isoglutamine,
 40 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L - 40
 valyl - D - isoglutamine,
 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl -
 L - prolyl - D - isoglutamine,
 45 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L - 45
 seryl - D - isoglutamine,
 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L -
 alanyl - D - isoglutamine having a melting point of 164°C (decomposition); $[\alpha]_D^{25}$
 +57.4° (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{22}H_{38}N_4O_{11} \cdot 2H_2O$ (570.61): C, 46.31; H, 7.42; N, 9.82.
 Found: C, 45.91; H, 7.32; N, 9.57,

- 50 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - yl) - D - hexanoyl - L -
 valyl - D - isoglutamine having a melting point of 169°—170°C (decomposition);
 50 $[\alpha]_D^{25} +56.2^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{24}H_{42}N_4O_{11} \cdot 4H_2O$ (571.64): C, 50.43; H, 7.58; N, 9.80.
 Found: C, 50.47; H, 7.72; N, 9.66,

- 55 55

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - prolyl - D - isoglutamine having a melting point of 168°C (decomposition); $[\alpha]_D^{25} +58.8^\circ$ (5 mg/ml, dimethylformamide);

Anal.

5 Calcd. For $C_{24}H_{40}N_4O_{11} \cdot 2H_2O$ (596.65): C, 48.31; H, 7.43; N, 9.39. 5
Found: C, 48.35; H, 7.02; N, 9.22,

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - seryl - D - isoglutamine,

10 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - alanyl - D - isoglutamine, 10

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - valyl - D - isoglutamine,

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - prolyl - D - isoglutamine,

15 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - seryl - D - isoglutamine, 15

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - alanyl - D - isoglutamine having a melting point of 160°—163°C; $[\alpha]_D^{25} +55.9^\circ$ (5 mg/ml, dimethylformamide),

20 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - valyl - D - isoglutamine, 20

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - prolyl - D - isoglutamine,

25 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - seryl - D - isoglutamine, 25

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - alanyl - D - isoglutamine,

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - valyl - D - isoglutamine,

30 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - prolyl - D - isoglutamine, 30

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - decanoyl - L - seryl - D - isoglutamine,

35 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - alanyl - D - isoglutamine having a melting point of 162°—165°C (decomposition); $[\alpha]_D^{25} +39.2^\circ$ (5 mg/ml, dimethylformamide), 35

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - valyl - D - isoglutamine,

40 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - prolyl - D - isoglutamine, 40

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - seryl - D - isoglutamine,

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - alanyl - D - isoglutamine,

45 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - valyl - D - isoglutamine, 45

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - prolyl - D - isoglutamine,

50 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - tetradecanoyl - L - seryl - D - isoglutamine, 50

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - alanyl - D - isoglutamine having a melting point of 145°—148°C; $[\alpha]_D^{25} +48.1^\circ$ (5 mg/ml, dimethylformamide),

55 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - valyl - D - isoglutamine, 55

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - prolyl - D - isoglutamine,

2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - seryl - D - isoglutamine,

60 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - alanyl - D - isoglutamine, 60

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - valyl - D - isoglutamine,

2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - prolyl - D - isoglutamine, and
2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - octadecanoyl - L - seryl - D - isoglutamine.

In like manner substituting a stoichiometric equivalent amount of the various products from Example 10 for benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (13). Representative compounds thus obtained are:

2 - (4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine having a melting point of 165°—168°C (decomposition); $[\alpha]_D^{25} +47.9^\circ$ (10 mg/ml, methanol);

Anal.

Calcd. for $C_{23}H_{38}N_4O_{13}$ (576.57): C, 47.91; H, 6.29; N, 9.72.

Found: C, 47.55; H, 6.50; N, 9.55,

2 - (4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine having a melting point of 150°—170°C (decomposition); $[\alpha]_D^{25} +28.3^\circ$ (10 mg/ml, methanol);

Anal.

Calcd. for $C_{25}H_{40}N_4O_{13} \cdot 2H_2O$ (640.63): C, 46.87; H, 6.92; N, 8.75.

Found: C, 46.93; H, 6.22; N, 8.61,

2 - (4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine having a melting point of 130°—142°C (decomposition); $[\alpha]_D^{25} +45.3^\circ$ (10 mg/ml, methanol),

2 - (4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,

2 - (4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine having a melting point of 192°C (decomposition); $[\alpha]_D^{25} +68.3^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{27}H_{44}N_4O_{13}$ (632.68): C, 51.26; H, 7.01; N, 8.86.

Found: C, 50.86; H, 6.80; N, 8.37,

2 - (4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,

2 - (4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,

2 - (4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,

2 - (4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,
 2 - (4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,
 2 - (4,6 - di - O - butyryl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - butyryl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - butyryl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - butyryl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine having a melting point of 198°—200°C; $[\alpha]_D^{25} +45.9^\circ$ (5 mg/ml, methanol);

Anal.

Calcd. for $C_{37}H_{64}N_4O_{13}$ (772.95): C, 57.49; H, 8.35; N, 7.25.

Found: C, 57.52; H, 8.27; N, 7.26,

2 - (4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine having a melting point of 147°—151°C; $[\alpha]_D^{25} +43.8^\circ$ (3 mg/ml, methanol);

Anal.

Calcd. for $C_{37}H_{62}N_4O_{13} \cdot 14H_2O$ (797.95): C, 55.69; H, 8.21; N, 7.02.

Found: C, 55.32; H, 7.83; N, 7.08,

2 - (4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine having a melting point of 177°—179°C (decomposition); $[\alpha]_D^{25} +59.3^\circ$ (5 mg/ml, dimethylformamide);

Anal.

Calcd. for $C_{35}H_{60}N_4O_{13}$ (744.90): C, 56.44; H, 8.12; N, 7.52.

Found: C, 56.22; H, 7.92; N, 7.56,

2 - (4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - octanoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,
 2 - (4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,
 2 - (4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,
 2 - (4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,
 2 - (4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,

58

	2 - (4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	
5	2 - (4,6 - di - O - lauroyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	5
	2 - (4,6 - di - O - lauroyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
10	2 - (4,6 - di - O - lauroyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	10
	2 - (4,6 - di - O - lauroyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
15	2 - (4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine having a melting point of 187°C,	15
	2 - (4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	
20	2 - (4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine, having a melting point of 182°—185°C; $[\alpha]_D^{25} +20.5^\circ$ (3 mg/ml, 20% methanol-chloroform);	20
	2 - (4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
25	2 - (4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	25
	2 - (4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
30	2 - (4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	30
	2 - (4,6 - di - O - stearyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4,6 - di - O - stearyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
35	2 - (4,6 - di - O - stearyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	35
	2 - (4,6 - di - O - stearyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
40	2 - (4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine having a melting point of 175°—185°C,	40
	2 - (4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
45	2 - (4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	45
	2 - (4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
50	2 - (4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	50
	2 - (4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	
55	2 - (4,6 - di - O - behenoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	55
	2 - (4,6 - di - O - behenoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
60	2 - (4,6 - di - O - behenoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	60
	2 - (4,6 - di - O - behenoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
65	2 - (4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine having a melting point of 152°C (decomposition),	65

(89)

48

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48

	2 - (4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
5	2 - (4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	5
	2 - (4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
10	2 - (4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	10
	2 - (4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
15	2 - (4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	15
	2 - (4,6 - di - O - benzoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4,6 - di - O - benzoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
20	2 - (4,6 - di - O - benzoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	20
	2 - (4,6 - di - O - benzoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
25	4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine having a melting point of 140°—155°C (decomposition); $[\alpha]_D^{25} +66.1^\circ$ (10 mg/ml, dimethylformamide);	25
	Anal.	
30	Calcd. for $C_{22}H_{34}N_4O_{13}$ (562.54): C, 46.97; H, 6.09; N, 9.96. Found: C, 46.49; H, 6.32; N, 9.68,	30
	4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine having a melting point of 150°—161°C (decomposition); $[\alpha]_D^{25} +22.9^\circ$ (10 mg/ml, methanol);	
	Anal.	
35	Calcd. for $C_{24}H_{38}N_4O_{13} \cdot H_2O$ (608.62): C, 47.36; H, 6.62; N, 9.21. Found: C, 47.02; H, 6.06; N, 9.07,	35
	4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
40	4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	40
	4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
45	4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	45
	4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
50	4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	50
	4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4,6 - di - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
55	4,6 - di - O - acetyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	55
	4,6 - di - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
60	4,6 - di - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	60
	4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine having a melting point of 111°—117°C; $[\alpha]_D^{25} +29.7^\circ$ (5 mg/ml, methanol);	

Anal.

Calcd. for $C_{26}H_{42}N_4O_{13} \cdot 1\frac{1}{2}H_2O$ (645.67): C, 48.37; H, 7.02; N, 8.67.

Found: C, 48.17; H, 6.82; N, 8.64,

5	4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	5
	4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
	4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
10	4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	10
	4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
15	4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	15
	4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
	4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
20	4,6 - di - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	20
	4,6 - di - O - butyryl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
25	4,6 - di - O - butyryl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	25
	4,6 - di - O - butyryl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - butyryl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
30	4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine having a melting point of 139°—145°C [α] _D ²⁵ +47.5° (4 mg/ml, dimethylformamide);	30
35	4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine having a melting point of 179°—182°C; [α] _D ²⁵ +23.1° (10 mg/ml, methanol);	35

Anal.

Calcd. for $C_{38}H_{82}N_4O_{13} \cdot \frac{1}{2}CH_3OH$ (774.94): C, 56.57; H, 8.32; N, 7.23.

Found: C, 56.30; H, 8.54; N, 7.29,

40	4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	40
	4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
	4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
45	4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	45
	4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
50	4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	50
	4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
	4,6 - di - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
55	4,6 - di - O - octanoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	55
	4,6 - di - O - octanoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - octanoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
60	4,6 - di - O - octanoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	60

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	4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
5	4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	5
	4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
10	4,6 - di - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	10
	4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
15	4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	15
	4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
20	4,6 - di - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	20
	4,6 - di - O - lauroyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - lauroyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
25	4,6 - di - O - lauroyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	25
	4,6 - di - O - lauroyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
30	4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine, having a melting point of 150°—160°C,	30
	4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
	4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
35	4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	35
	4,6 - di - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
40	4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	40
	4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
	4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
45	4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	45
	4,6 - di - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
50	4,6 - di - O - stearyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	50
	4,6 - di - O - stearyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - stearyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
55	4,6 - di - O - stearyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	55
	4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine, having a melting point of 148°—156°C; $[\alpha]_D^{25} +13.6^\circ$ (3 mg/ml, 20% methanol-chloroform);	
60	Anal. Calcd. for $C_{62}H_{114}N_4O_{13} \cdot CHCl_3$ (1243.02): C, 60.88; H, 9.33; N, 4.51. Found: C, 61.15; H, 9.03; N, 4.29.	60
	4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	

	4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - prolyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O -	
5	yl - acetyl - L - seryl - D - isoglutamine,	5
	4,6 - di - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
10	4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	10
	yl - acetyl - L - valyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - prolyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
15	yl - acetyl - L - seryl - D - isoglutamine,	15
	4,6 - di - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
20	4,6 - di - O - behenoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos -	20
	3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos -	
	3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - behenoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
25	4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	25
	acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
30	acetyl - L - prolyl - D - isoglutamine,	30
	4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
35	4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	35
	yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - valyl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
40	yl - acetyl - L - prolyl - D - isoglutamine,	40
	4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - seryl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - phenylalanyl - D - isoglutamine,	
45	4,6 - di - O - benzoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	45
	acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4,6 - di - O - benzoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
50	O - yl - acetyl - L - alanyl - D - isoglutamine, and	50
	4,6 - di - O - benzoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine.	
	In like manner substituting a stoichiometric equivalent amount of the various	
	products from Example 12 for benzyl 2 - (benzyl 2 - acetamido - 4,6 - O -	
55	benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl -	55
	L - valyl - D - isoglutamine there are obtained the corresponding compounds of	
	Formula (13). Representative compounds thus obtained are:	
	2 - (4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
60	2 - (4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	60
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
65	D - propionyl - L - seryl - D - isoglutamine,	65

	2 - (4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
5	D - propionyl - L - prolyl - D - isoglutamine,	5
	2 - (4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
10	D - propionyl - L - valyl - D - isoglutamine,	10
	2 - (4 - O - acetyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
15	2 - (4 - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) -	15
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
20	D - propionyl - L - prolyl - D - isoglutamine,	20
	2 - (4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
25	2 - (4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	25
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
30	D - propionyl - L - alanyl - D - isoglutamine,	30
	2 - (4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
35	2 - (4 - O - butyryl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	35
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - butyryl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
40	D - propionyl - L - valyl - D - isoglutamine,	40
	2 - (4 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
45	2 - (4 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	45
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
50	yl) - D - propionyl - L - valyl - D - isoglutamine,	50
	2 - (4 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - alanyl - D - isoglutamine,	
55	2 - (4 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	55
	yl) - D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
60	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	60
	2 - (4 - O - octanoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - octanoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - valyl - D - isoglutamine,	

	2 - (4 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
5	D - propionyl - L - prolyl - D - isoglutamine,	5
	2 - (4 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
10	2 - (4 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	10
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
15	2 - (4 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	15
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
20	2 - (4 - O - lauroyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -	20
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - lauroyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
25	2 - (4 - O - stearoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	25
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
30	D - propionyl - L - alanyl - D - isoglutamine,	30
	2 - (4 - O - stearoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
35	2 - (4 - O - stearoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O -	35
	yl) - D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
40	2 - (4 - O - stearoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	40
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
45	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	45
	2 - (4 - O - stearoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - stearoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
50	D - propionyl - L - valyl - D - isoglutamine,	50
	2 - (4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
55	2 - (4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	55
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
60	D - propionyl - L - prolyl - D - isoglutamine,	60
	2 - (4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	

68

	2 - (4 - O - benzoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 (4 - O - benzyoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
5	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	5
	2 - (4 - O - benzoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (4 - O - benzoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
10	4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl -	10
	L - alanyl - D - isoglutamine,	
	4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl -	
	L - valyl - D - isoglutamine,	
	4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl -	
15	L - prolyl - D - isoglutamine,	15
	4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl -	
	L - seryl - D - isoglutamine,	
	4 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl -	
	L - phenylalanyl - D - isoglutamine,	
20	4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	20
	acetyl - L - alanyl - D - isoglutamine,	
	4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
	4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
25	acetyl - L - prolyl - D - isoglutamine,	25
	4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
	4 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
30	4 - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	30
	acetyl - L - alanyl - D - isoglutamine,	
	4 - O - acetyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	4 - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
35	acetyl - L - alanyl - D - isoglutamine,	35
	4 - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
40	4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	40
	acetyl - L - valyl - D - isoglutamine,	
	4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
	4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
45	acetyl - L - seryl - D - isoglutamine,	45
	4 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
	4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
50	4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	50
	acetyl - L - valyl - D - isoglutamine,	
	4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
	4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
55	acetyl - L - seryl - D - isoglutamine,	55
	4 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
	4 - O - butyryl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
60	4 - O - butyryl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl -	60
	acetyl - L - alanyl - D - isoglutamine,	
	4 - O - butyryl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
	4 - O - butyryl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	

(57)

56

1,571,133

56

	4 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
5	4 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	5
	4 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
10	4 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	10
	4 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4 - O - stearyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
15	4 - O - stearyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	15
	4 - O - stearyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
20	4 - O - stearyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	20
	4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
25	4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	25
	4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
30	4 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	30
	4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
35	4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	35
	4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
40	4 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	40
	4 - O - benzoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4 - O - benzoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
45	4 - O - benzoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine, and	45
	4 - O - benzoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
50	In like manner substituting a stoichiometric equivalent amount of the various products from Example 13 for benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (13). Representative compounds thus obtained are:	
55	2 - (4 - O - acetyl - 6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	55
	2 - (4 - O - acetyl - 6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (4 - O - acetyl - 6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine,	
60	2 - (4 - O - acetyl - 6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - seryl - D - isoglutamine,	60
	2 - (4 - O - acetyl - 6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
65	2 - (4 - O - acetyl - 6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine,	65

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75

	4 - O - lauroyl - 6 - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4 - O - lauroyl - 6 - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
5	4 - O - stearyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	5
	4 - O - stearyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
10	4 - O - stearyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	10
	4 - O - stearyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
	4 - O - stearyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
15	4 - O - stearyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	15
	4 - O - stearyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	
20	4 - O - stearyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	20
	4 - O - stearyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	
	4 - O - stearyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
25	4 - O - stearyl - 6 - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	25
	4 - O - stearyl - 6 - O - acetyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
30	4 - O - stearyl - 6 - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	30
	4 - O - stearyl - 6 - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
	4 - O - benzoyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
35	4 - O - benzoyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	35
	4 - O - benzoyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
40	4 - O - benzoyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	40
	4 - O - benzoyl - 6 - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4 - O - benzoyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
45	4 - O - benzoyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine,	45
	4 - O - benzoyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine,	
50	4 - O - benzoyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine,	50
	4 - O - benzoyl - 6 - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - phenylalanyl - D - isoglutamine,	
	4 - O - benzoyl - 6 - O - acetyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	
55	4 - O - benzoyl - 6 - O - acetyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine,	55
	4 - O - benzoyl - 6 - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine, and	
60	4 - O - benzoyl - 6 - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine.	60

In like manner substituting a stoichiometric equivalent amount of the various products from Example 14 for benzyl 2 - (benzyl 2 - acetamido - 4,6 - O - benzylidene - 2 - deoxy - α - D - glucopyranosid - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamate there are obtained the corresponding compounds of Formula (13). Representative compounds thus obtained are:

	2 - (6 - O - octanoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - octanoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
5	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	5
	2 - (6 - O - octanoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - octanoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
10	2 - (6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	10
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
15	D - propionyl - L - alanyl - D - isoglutamine,	15
	2 - (6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
20	2 - (6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	20
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
25	D - propionyl - L - seryl - D - isoglutamine,	25
	2 - (6 - O - lauroyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
30	2 - (6 - O - lauroyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	30
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - lauroyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
35	D - propionyl - L - valyl - D - isoglutamine,	35
	2 - (6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
40	2 - (6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	40
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
45	D - propionyl - L - prolyl - D - isoglutamine,	45
	2 - (6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - alanyl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - seryl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
50	D - propionyl - L - valyl - D - isoglutamine,	50
	2 - (6 - O - stearyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - stearyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 -	
	O - yl) - D - propionyl - L - valyl - D - isoglutamine,	
55	2 - (6 - O - stearyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O -	55
	yl) - D - propionyl - L - valyl - D - isoglutamine,	
	2 - (6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - L - valyl - D - isoglutamine, having a melting point of 162°—	
	171°C,	
60	2 - (6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O -	60
	yl) - D - propionyl - L - prolyl - D - isoglutamine,	
	2 - (6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
	D - propionyl - alanyl - D - isoglutamine,	
	2 - (6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) -	
65	D - propionyl - L - seryl - D - isoglutamine,	65

[illegible]

	6 - O - acetyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - acetyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	
5	acetyl - L - alanyl - D - isoglutamine,	5
	6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
10	6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	10
	acetyl - L - prolyl - D - isoglutamine,	
	6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
15	acetyl - L - phenylalanyl - D - isoglutamine,	15
	6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
20	6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	20
	acetyl - L - prolyl - D - isoglutamine,	
	6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - butyryl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
25	acetyl - L - phenylalanyl - D - isoglutamine,	25
	6 - O - butyryl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - butyryl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
30	6 - O - butyryl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -	30
	yl - acetyl - L - alanyl - D - isoglutamine,	
	6 - O - butyryl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
35	acetyl - L - alanyl - D - isoglutamine,	35
	6 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
	6 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
40	6 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	40
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
	6 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
45	acetyl - L - alanyl - D - isoglutamine,	45
	6 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
	6 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
50	6 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	50
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - octanoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
	6 - O - octanoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	
55	acetyl - L - alanyl - D - isoglutamine,	55
	6 - O - octanoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
	6 - O - octanoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
60	6 - O - octanoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	60
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	

computed by multiplying the average area of the skin reaction at 24 hours by a number from 1 to 3 which is a measure of the amount of infiltration observed in each skin reaction. Thus, the procedure is as follows: skin tests with a high degree of infiltration are scored as ++ and assigned the number 3; those with a lesser degree are scored as + and given the number 2, whereas skin reactions with no infiltration are scored as zero and assigned the number 1. These numbers are then totaled for the animals in a group and the average number obtained is then multiplied by the average area of the observed skin reaction. There is thus included in the potencies the amount of infiltration coupled with the average area observed in the skin test. Average potencies of the test compounds are presented in Column 1 in the Table below relative to FIA having the value of one.

On day 42 all animals are bled by cardiac puncture and the sera assayed for antibovine serum albumin or antiovalbumin antibodies by the passive hemagglutination technique or by radioimmune assay using the Farr technique (P. Minden and R. S. Farr in "Handbook of Experimental Immunology", edited by D. M. Weir, Chapter 15, Volume 1, Blackwell Scientific Publications, Oxford) using ¹²⁵I-iodine labeled bovine serum albumin. Average potencies of these assays are presented in Column 3 of the Table below relative to FIA having the value of one.

On day 42 all surviving animals are skin tested using 10 µg of protein (either BSA, when this is present in the antigen mixture, or ovalbumin). The potencies at 24 hours relative to FIA having the value of one of the test compounds in stimulating the delayed hypersensitivity reaction to the protein are then determined exactly as described above for the delayed hypersensitivity reaction to arsanilic tyrosine and are given in Column 2 of the Table below.

TABLE

	Compound	Dose µg	Average Potency ^a		
			Column 1 Delayed Hypersensi- tivity to Arsanilic Tyrosine 1	Column 2 Delayed Hyper sensi- tivity to Protein Antigen 1	Column 3 Antibody Titre to Protein Antigen 1
	FIA	—			
35	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	50 ^a	5.7	12.0	8.1
40	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-valyl-D-isoglutamine	52 ^a	12.0 ^b	37.2 ^b	56.7 ^b
45	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-α-aminobutyryl-D-isoglutamine	51 ^a	7.2	21.8	10.5
50	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-threonyl-D-isoglutamine	52 ^a	9.1	30.4	9.2
55	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-tyrosyl-D-isoglutamine	20	6.2 ^c	12.2 ^c	15.6 ^c
60	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-prolyl-D-isoglutamine	50	11.5	12.0	15.7

83

72

1,571,133

72

TABLE (Cont.)

Average Potency*

			Column 1 Delayed Hypersensi- tivity to Arsanilic Tyrosine	Column 2 Delayed Hyper sensi- tivity to Protein Antigen	Column 3 Antibody Titre to Protein Antigen	
5	Compound	Dose μg				5
10	2-(2-benzamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	55 ^a	4.3	22.3	12.3	10
15	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	50	10.0	10.2	13.4	15
20	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-valyl-D-isoglutamine	51 ^a	4.7	15.0	8.1	20
25	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-α-amino-buteryl-D-isoglutamine	49 ^a	10.4	38.3	22.6	25
30	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-seryl-D-isoglutamine	50	9.3 ^d	4.2 ^d	19.3 ^d	30
35	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-threonyl-D-isoglutamine	51 ^a	5.0	21.5	7.9	35
40	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-prolyl-D-isoglutamine	50 ^a	10.1	8.6	17.4	40
45	2-glycolamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	49 ^a	16.4	20.3	12.9	45
50	2-benzamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	54 ^a	8.1	13.8	11.6	50
55	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-butyryl-L-alanyl-D-isoglutamine	51 ^a	4.1	21.0	9.6	55
60	2-(2-acetamido-3-deoxy-D-glucos-3-O-yl)-D-hexanoyl-L-alanyl-D-isoglutamine	53 ^a	14.5	14.4	16.6	60
65	2-(4,6-di-O-acetyl-2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	58 ^a	12.0	10.8	5.2	65

	6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
5	6 - O - lauroyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	5
	acetyl - L - phenylalanyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
10	6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	10
	acetyl - L - valyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
15	acetyl - L - seryl - D - isoglutamine,	15
	6 - O - lauroyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
20	6 - O - lauroyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -	20
	yl - acetyl - L - alanyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
	6 - O - lauroyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
25	6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	25
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
	6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
30	acetyl - L - prolyl - D - isoglutamine,	30
	6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - stearyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
35	acetyl - L - phenylalanyl - D - isoglutamine,	35
	6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
40	6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	40
	acetyl - L - prolyl - D - isoglutamine,	
	6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - stearyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
45	acetyl - L - phenylalanyl - D - isoglutamine,	45
	6 - O - stearyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - stearyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -	
	yl - acetyl - L - alanyl - D - isoglutamine,	
50	6 - O - stearyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -	50
	yl - acetyl - L - alanyl - D - isoglutamine,	
	6 - O - stearyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	
	6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
55	acetyl - L - alanyl - D - isoglutamine,	55
	6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - valyl - D - isoglutamine,	
	6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - prolyl - D - isoglutamine,	
60	6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	60
	acetyl - L - seryl - D - isoglutamine,	
	6 - O - behenoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - phenylalanyl - D - isoglutamine,	
	6 - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -	
	acetyl - L - alanyl - D - isoglutamine,	

6 - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - valyl - D - isoglutamine,

6 - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - prolyl - D - isoglutamine,

6 - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - seryl - D - isoglutamine,

6 - O - behenoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - phenylalanyl - D - isoglutamine,

6 - O - behenoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - alanyl - D - isoglutamine,

6 - O - behenoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -
yl - acetyl - L - alanyl - D - isoglutamine,

6 - O - behenoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -
yl - acetyl - L - alanyl - D - isoglutamine,

6 - O - behenoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - alanyl - D - isoglutamine,

6 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - alanyl - D - isoglutamine,

6 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - valyl - D - isoglutamine,

6 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - prolyl - D - isoglutamine,

6 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - seryl - D - isoglutamine,

6 - O - benzoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - phenylalanyl - D - isoglutamine,

6 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - alanyl - D - isoglutamine,

6 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - valyl - D - isoglutamine,

6 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - prolyl - D - isoglutamine,

6 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - seryl - D - isoglutamine,

6 - O - benzoyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - phenylalanyl - D - isoglutamine,

6 - O - benzoyl - 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - alanyl - D - isoglutamine,

6 - O - benzoyl - 2 - trifluoroacetamido - 2 - deoxy - D - glucos - 3 - O -
yl - acetyl - L - alanyl - D - isoglutamine,

6 - O - benzoyl - 2 - methoxyacetamido - 2 - deoxy - D - glucos - 3 - O -
yl - acetyl - L - alanyl - D - isoglutamine, and

6 - O - benzoyl - 2 - myristamido - 2 - deoxy - D - glucos - 3 - O - yl -
acetyl - L - alanyl - D - isoglutamine.

By the use of techniques well known to those skilled in the art of carbohydrate chemistry, and in particular by crystallization, the compounds of Formula (13) [and/or Formula (I)] are separated into the individual α - and β -anomers,

EXAMPLE 16

The antigen mixture used consists of 20 μ g of arsanilic tyrosine and 100 μ g of protein [either bovine serum albumin (BSA) or ovalbumin]. The antigen mixture and the compounds to be tested, at the dose levels per guinea pig shown in the Table below, are dissolved in saline and emulsified with an equal volume of Freund's Incomplete Adjuvant (FIA). Groups of ten guinea pigs are used for each test compound unless otherwise noted, and each guinea pig is injected with 0.1 ml of the above emulsion, subcutaneously, in the nuchal region. In all assays a control group is given the antigen mixture above emulsified with FIA, and in the vast majority of assays the test compound is also compared to 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine under the same conditions.

After 14 days, all animals are skin tested using 25 μ g arsanilic tyrosine conjugated to either BSA or ovalbumin (BSA is used in this test when ovalbumin is present in the antigen mixture and vice versa) which is given in 0.1 ml saline intradermally in the flanks of the animals. The potencies of the test compounds in stimulating the delayed hypersensitivity reaction to arsanilic tyrosine are then

TABLE (cont.)

	Compound	Dose μg	Average Potency ^a			
			Column 1 Delayed Hypersensi- tivity to Arsanilic Tyrosine	Column 2 Delayed Hyper sensi- tivity to Protein Antigen	Column 3 Antibody Titre to Protein Antigen	
5						5
10	2-(4,6-di-O-acetyl- 2-acetamido-2- deoxy-D-glucos-3- O-yl)-D-propionyl- L-valyl-D- isoglutamine	60 ^a	17.0	16.6	11.9	10
15	2-(4,6-di-O- octanoyl-2-aceta- mido-2-deoxy-D- glucos-3-O-yl)-D- propionyl-L-alanyl- D-isoglutamine	74 ^a	7.6	15.1	18.2	20
20	4,6-di-O-acetyl-2- acetamido-2-deoxy-D- glucosyl-3-O-yl- acetyl-L-valyl-D- isoglutamine	59 ^a	14.1	13.1	7.2	25

Footnotes to Table

- ^a 0.1 μmole dose.
- ^b Five animals in test group.
- ^c Potency relative to potency of a 20 μg dose of 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine
- ^d Potency relative to FIA.
- ^e The actual response, whether it be a skin test or humoral antibody synthesis, to FIA is extremely variable in contrast to the response obtained with either FCA or the test compounds given in FIA. This results in a high degree of randomness to potencies computed by taking the quotient of the average titre of the test compound to the average titre of the FIA control group in the same assay. We have therefore calculated the potencies of the test compounds as follows: The median potency of 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine from a large number of assays is determined and the potency of the test compound is related to FIA having the value of one by multiplying the potency of the test compound relative to the potency of 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine in the same assay by the median potency of 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine.

EXAMPLE 17

- A. 48 Dogs were divided into groups and inoculated with the amounts of compound (per kilogram of body weight) as shown in the following Table:

TABLE

	Group No.	No. of Dogs	2-acetamido-2-deoxy-D-glucos-3-O-yl-D-lactyl-L-alanyl-D-isoglutamine	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	
5	1	4	1500 μ g	Nil	5
	2	4	600 μ g	Nil	
	3	4	240 μ g	Nil	
	4	4	96 μ g	Nil	
10	5	4	38.4 μ g	Nil	10
	6	4	Nil	1500 μ g	
	7	4	Nil	600 μ g	
	8	4	Nil	240 μ g	
	9	4	Nil	96 μ g	
15	10	4	Nil	38.4 μ g	15
	11	8	Nil	Nil	

The results were as follows:

(a) All of the dogs in Groups 1 and 2 and two of the dogs in Group 3 showed severe depression, excessive salivation and vomiting.

(b) None of the dogs in Groups 6 through 11 showed the severe symptoms described in (a) above. However, all of the dogs in Group 6, one dog in Group 7 and one dog in Group 11 (the controls) did show fasciculation (twitching) of the eye muscles, which may have been an aberrant symptom since all of the dogs having this symptom were from the same litter (and caged together during the test).

B. Seven cats were divided into groups and inoculated with the amounts of compounds (per kilogram of body weight) as shown in the following Table:

TABLE

	Group No.	No. of Cats	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	2-acetamido-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	
30	1	3	1500 μ g	Nil	30
	2	3	Nil	1500 μ g	
	3	1	Nil	Nil	

The results were as follows:

(a) All of the cats in Group 1 vomited 4—6 times over a period of 2—5 hours post-inoculation. Initial vomitus was a dark fluid and later it became a clear froth after the stomach had emptied. All animals had diarrhea during the same period. Following the initial vomiting and diarrhea, animals became depressed and unkempt. Chin, neck, and forepaws became matted with saliva and vomitus remained hanging from their mouths. Food was refused when offered. Rectal temperatures elevated to a peak of 104°F seven hours post-inoculation. At 24 hours post-inoculation all animals had returned to normal.

(b) All of the cats in Group 2 remained normal following inoculation. They continued to play, climb the walls of the cage, wrestle, eat normally, and all physiological functions remained normal.

(c) The cat in Group 3 (the control) remained normal throughout the test.

EXAMPLE 18

Acute Toxicity Study in Mice

Protocol

The test substance was dissolved or uniformly suspended in an aqueous vehicle containing 0.9% sodium chloride, 0.5% sodium carboxymethylcellulose, 0.4% polysorbate-80 and 0.9% benzyl alcohol. The concentration is adjusted so that the indicated dose can be administered in a volume of 10 ml/kg body weight. The test substance is then injected subcutaneously (s.c.) into groups of six male Swiss-Webster mice at a dose of 60 mg/kg body weight and the animals are observed daily for mortality for a period of 21 days. A control group of mice receiving no drug is simultaneously examined. As can be seen from the accompanying Table, all of the compounds tested showed LD₅₀ values greater than 60 mg/kg body weight. This particular dose was selected since it corresponds to roughly 30 times that necessary

to elicit enhanced delayed hypersensitivity and circulating antibody levels as described in the Examples.

TABLE

	Compound	Dose mg/kg	No. of mice	Route	No. of deaths	LD ₅₀ mg/kg	
5	Control	0	6	s.c.	0	—	5
10	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60	10
15	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-leucyl-D-isoglutamine	60	6	s.c.	0	>60	15
20	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L- α -aminobutyl-D-isoglutamine	60	6	s.c.	0	>60	20
25	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-threonyl-D-isoglutamine	60	6	s.c.	0	>60	25
30	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-phenylalanyl-D-isoglutamine	60	6	s.c.	0	>60	30
35	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-tyrosyl-D-isoglutamine	60	6	s.c.	1	>60	35
40	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-prolyl-D-isoglutamine	60	6	s.c.	0	>60	40
45	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-hydroxyprolyl-D-isoglutamine	60	6	s.c.	0	>60	45
50	2-(2-benzamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	60	6	s.c.	0	>60	50
55	2-(2-benzamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-valyl-D-isoglutamine	60	6	s.c.	1	>60	55
60	2-(2-benzamido-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-prolyl-D-isoglutamine	60	6	s.c.	0	>60	60

87

76

1,571,133

76

TABLE (cont.)

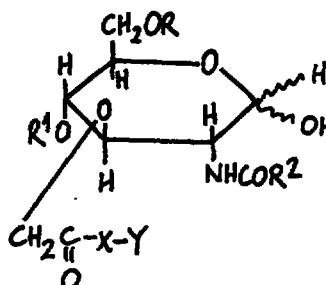
	Compound	Dose mg/kg	No. of mice	Route	No. of deaths	LD ₅₀ mg/kg	
5	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-acetyl-D- isoglutamine	60	6	s.c.	0	>60	5
10	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-valyl-D- isoglutamine	60	6	s.c.	0	>60	10
15	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-leucyl-D- isoglutamine	60	6	s.c.	0	>60	15
20	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L- α -amino- butyryl-D- isoglutamine	60	6	s.c.	1	>60	20
25	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-seryl-D- isoglutamine	60	6	s.c.	1	>60	25
30	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-threonyl- D-isoglutamine	60	6	s.c.	0	>60	30
35	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-phenyl- alanyl-D- isoglutamine	60	6	s.c.	0	>60	35
40	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-tyrosyl- D-isoglutamine	60	6	s.c.	0	>60	40
45	2-acetamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-prolyl-D- isoglutamine	60	6	s.c.	0	>60	45
50	2-benzamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-alanyl-D- isoglutamine	60	6	s.c.	0	>60	50
55	2-benzamido-2-deoxy- D-glucos-3-O-yl- acetyl-L-valyl-D- isoglutamine	60	6	s.c.	0	>60	55
60	2-(2-acetamido-2- deoxy-D-glucos-3- O-yl)-D-butyryl- L-valyl-D- isoglutamine	60	6	s.c.	0	>60	60
	2-(2-acetamido-2- deoxy-D-glucos-3- O-yl)-D-butyryl- L-prolyl-D- isoglutamine	60	6	s.c.	0	>60	

TABLE (cont.)

	Compound	Dose mg/kg	No. of mice	Route	No. of deaths	LD ₅₀ mg/kg
5	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-hexanoyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60
10	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-hexanoyl-L-prolyl-D-isoglutamine	60	6	s.c.	0	>60
15	2-(2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	60	6	s.c.	0	>60
20	2-(2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60
25	2-(2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-prolyl-D-isoglutamine	60	6	s.c.	0	>60
30	2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	60	6	s.c.	1	>60
35	2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl-acetyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60

WHAT WE CLAIM IS:—

1. A compound selected from those of the formula:



wherein each of R and R¹ are the same or different and are selected from hydrogen or an acyl radical containing from 1 to 22 carbon atoms;

R² is selected from an unsubstituted or substituted alkyl radical containing from 1 to 21 carbon atoms, or an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms;



77

1,571,133

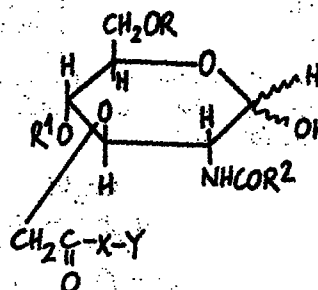
77

TABLE (cont.)

	Compound	Dose mg/kg	No. of mice	Route	No. of deaths	LD ₅₀ mg/kg
5	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-hexanoyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60
10	2-(2-acetamido-2-deoxy-D-glucos-3-O-yl)-D-hexanoyl-L-prolyl-D-isoglutamine	60	6	s.c.	0	>60
15	2-(2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-alanyl-D-isoglutamine	60	6	s.c.	0	>60
20	2-(2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60
25	2-(2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl)-D-propionyl-L-prolyl-D-isoglutamine	60	6	s.c.	0	>60
30	2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl-D-isoglutamine	60	6	s.c.	1	>60
35	2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl-acetyl-L-alanyl-D-isoglutamine	60	6	s.c.	0	>60
40	2-acetamido-4,6-di-O-acetyl-2-deoxy-D-glucos-3-O-yl-acetyl-L-valyl-D-isoglutamine	60	6	s.c.	0	>60

WHAT WE CLAIM IS:—

1. A compound selected from those of the formula:



wherein each of R and R¹ are the same or different and are selected from hydrogen or an acyl radical containing from 1 to 22 carbon atoms;

R² is selected from an unsubstituted or substituted alkyl radical containing from 1 to 21 carbon atoms, or an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms;

89



78

1,571,133

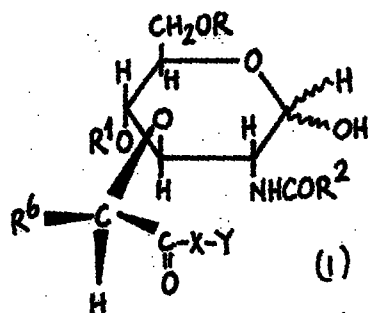
78

X is an aminoacyl radical selected from

L-alanyl,	L-tryptophanyl
L-valyl,	L-lysyl,
L-leucyl,	L-ornithyl,
L-isoleucyl,	L-arginyl,
L- α -aminobutyryl,	L-histidyl,
L-seryl,	L-glutamyl,
L-threonyl,	L-glutaminyl,
L-methionyl,	L-aspartyl,
L-cysteinyl,	L-asparaginyl,
L-phenylalanyl,	L-prolyl, or
L-tyrosyl,	L-hydroxyprolyl;

Y is an amino acid moiety selected from D-isoasparagine and D-isoglutamine; and the wavy lines (i) represent the α - or β -configuration or mixtures thereof.

2. A compound selected from those of the formula:



wherein each of

R and R¹ are the same or different and are selected from hydrogen or an acyl radical containing from 1 to 22 carbon atoms;

R² is selected from an unsubstituted or substituted alkyl radical containing from 1 to 21 carbon atoms, or an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms;

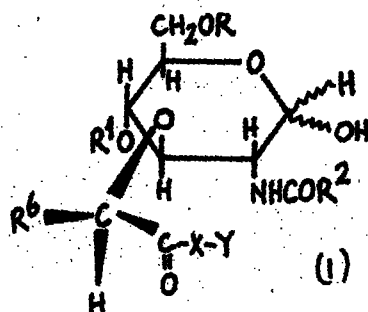
R⁶ is selected from an alkyl radical containing from 1 to 4 carbon atoms;

X is an aminoacyl radical selected from

L-valyl,	L-phenylalanyl,
L-leucyl,	L-tyrosyl,
L-isoleucyl,	L-tryptophanyl,
L- α -aminobutyryl,	L-lysyl,
L-threonyl,	L-ornithyl,
L-methionyl,	L-arginyl,
L-cysteinyl,	L-histidyl,
L-glutamyl,	L-asparaginyl,
L-glutaminyl,	L-prolyl, or
L-aspartyl,	L-hydroxyprolyl;

Y is an amino acid radical selected from D-isoasparagine and D-isoglutamine; and the wavy lines (i) represent the α - or β -configuration or mixtures thereof.

3. A compound of the formula:





* C L M S *

79

1,571,133

79

wherein each of

R and R' are the same or different and are selected from hydrogen or an acyl radical containing from 1 to 22 carbon atoms;

5 R² is selected from an unsubstituted or substituted alkyl radical containing from 1 to 21 carbon atoms, or an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms;

R⁶ is selected from hydrogen or an alkyl radical containing from 1 to 16 carbon atoms;

X is an aminoacyl radical selected from

10	glycl,	L-cysteinyI	10
	L-alanyl,	L-phenylalanyl,	
	L-valyl,	L-tyrosyl,	
	L-leucyl,	L-tryptophanyl,	
	L-isoleucyl,	L-lysyl,	
15	L- α -aminobutyryl,	L-ornithyl,	15
	L-seryl	L-arginyl,	
	L-threonyl,	L-histidyl,	
	L-methionyl,		
	L-glutamyl	L-asparaginyI,	
20	L-glutaminyI,	L-prolyl, or	20
	L-aspartyl,	L-hydroxyprolyl.	

Y is an amino acid radical selected from D-isoasparagine and D-isoglutamine; and the wavy lines (i) represent the α - or β -configuration or mixtures thereof, with the proviso that when R and R' are each hydrogen, R² is methyl or hydroxymethyl and R⁶ is methyl; X is not glycyl, L-alanyl or L-seryl.

25 4. A compound according to Claim 2 or Claim 3 wherein R⁶ is hydrogen. 25

5. A compound according to Claim 2 or Claim 3 wherein R⁶ is methyl.

6. A compound according to Claim 2 or Claim 3 wherein R⁶ is ethyl.

7. A compound according to Claim 2 or Claim 3 wherein R⁶ is n-butyl.

30 8. A compound according to any one of Claims 1, 2 and 3 wherein each of R and R' are hydrogen. 30

9. A compound according to any one of Claims 1, 2 and 3 wherein R² is an unsubstituted alkyl radical containing from 1 to 21 carbon atoms.

35 10. A compound according to any one of Claims 1, 2 and 3 wherein R² is an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms. 35

11. A compound according to any one of Claims 1, 2 and 3 wherein R and R' are the same acyl radical containing from 1 to 22 carbon atoms.

12. A compound according to Claim 3 wherein Y is D-isoglutamine.

40 13. A compound according to Claim 3 wherein X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl. 40

14. A compound according to Claim 3 wherein R² is methyl.

15. A compound according to Claim 3 wherein R² is hydroxymethyl.

45 16. A compound according to Claim 3 wherein R and R' are both hydrogen, R² and R⁶ are both methyl, Y is D-isoglutamine and X is selected from L-valyl or L-prolyl. 45

17. A compound according to Claim 3 wherein R and R' are both acetyl, R² and R⁶ are both methyl, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.

50 18. A compound according to Claim 3 wherein R and R' are both butyryl, R² and R⁶ are both methyl, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl. 50

19. A compound according to Claim 3 wherein R and R' are both octanoyl, R² and R⁶ are both methyl, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.

55 20. A compound according to Claim 3 wherein R and R' are both stearoyl, R² and R⁶ are both methyl, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl. 55

21. A compound according to Claim 3 wherein R² is n-pentyl, R⁶ is methyl, R and R' are both hydrogen, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.

60 22. The compound according to Claim 3 wherein R and R' are both hydrogen, R² and R⁶ are both methyl, Y is D-isoglutamine and X is L-valyl; i.e. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine. 60

91



80

1,571,133

80

23. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² and R⁶ are both methyl, Y is D-isoglutamine and X is L-prolyl; i.e. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine.
24. The compound according to Claim 3 wherein R and R¹ are both acetyl, R² and R⁶ are both methyl, Y is D-isoglutamine and X is L-valyl; i.e. 2 - (4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine.
25. The compound according to Claim 3 wherein R and R¹ are both butyryl, R² and R⁶ are both methyl, Y is D-isoglutamine and X is L-valyl; i.e. 2 - (4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine.
26. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is hydroxymethyl, R⁶ is methyl, Y is D-isoglutamine and X is L-valyl; i.e. 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine.
27. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is hydroxymethyl, R⁶ is methyl, Y is D-isoglutamine and X is L-prolyl; i.e. 2 - (2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - prolyl - D - isoglutamine.
28. The compound according to Claim 3 wherein R and R¹ are both acetyl, R² is hydroxymethyl, R⁶ is methyl, Y is D-isoglutamine and X is L-valyl; i.e. 2 - (4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine.
29. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is phenyl, R⁶ is methyl, Y is D-isoglutamine and X is L-valyl; i.e. 2 - (2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine.
30. A compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is methyl, R⁶ is hydrogen Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.
31. A compound according to Claim 3 wherein R and R¹ are both acetyl, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.
32. A compound according to Claim 3 wherein R and R¹ are both butyryl, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.
33. A compound according to Claim 3 wherein R and R¹ are both octanoyl, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.
34. A compound according to Claim 3 wherein R and R¹ are both stearoyl, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.
35. A compound according to Claim 3 wherein R² is n-pentyl, R and R¹ are both hydrogen, R⁶ is hydrogen, Y is D-isoglutamine and X is selected from L-alanyl, L- α -aminobutyryl, L-valyl, L-seryl, L-threonyl or L-prolyl.
36. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-alanyl; i.e. 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine.
37. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is methyl, R⁶ is hydrogen Y is D-isoglutamine and X is L-seryl; i.e. 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - seryl - D - isoglutamine.
38. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-valyl; i.e. 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine.
39. The compound according to Claim 3 wherein R and R¹ are both hydrogen, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-prolyl; i.e. 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine.
40. The compound according to Claim 3 wherein R and R¹ are both acetyl, R² is methyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-alanyl; i.e. 4,6 - di - O -



92

81

1,571,133

81

acetyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine.

41. The compound according to Claim 3 wherein R and R' are both butyryl, R² is methy, R⁶ is hydrogen, Y is D-isoglutamine and X is L-alanyl; i.e. 4,6 - di - O - butyryl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine.

42. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is hydroxymethyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-alanyl; i.e. 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - alanyl - D - isoglutamine.

43. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is hydroxymethyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-seryl; i.e. 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - seryl - D - isoglutamine.

44. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is hydroxymethyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-valyl; i.e. 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine.

45. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is hydroxymethyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-prolyl; i.e. 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine.

46. The compound according to Claim 3 wherein R and R' are both acetyl, R² is hydroxymethyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-valyl; i.e. 4,6 - di - O - acetyl - 2 - glycolamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine.

47. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is phenyl, R⁶ is hydrogen, Y is D-isoglutamine and X is L-valyl; i.e. 2 - benzamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - valyl - D - isoglutamine.

48. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is methyl, R⁶ is ethyl, Y is D-isoglutamine and X is L-alanyl; i.e. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - butyryl - L - alanyl - D - isoglutamine.

49. The compound according to Claim 3 wherein R and R' are both hydrogen, R² is methyl, R⁶ is n-butyl, Y is D-isoglutamine and X is L-alanyl; i.e. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - hexanoyl - L - alanyl - D - isoglutamine.

50. A process for preparing a compound of Claim 1, Claim 2 or Claim 3 which comprises removal of the protecting groups of the precursors thereof of Formulae (11), (12D), (12E) and (12F) as defined hereinbefore by catalytic hydrogenolysis.

51. A process according to Claim 50 wherein the protecting groups removed are selected from a benzyl ester, benzyl ether, benzylamino or benzylidene acetal.

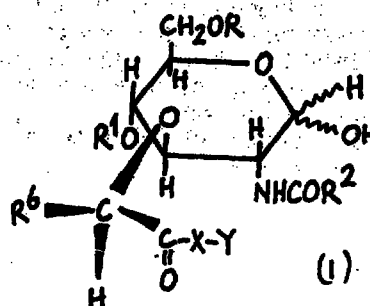
52. A compound according to Claim 1, as exemplified herein.

53. A process for preparing a compound as defined in any one of Claims 1 to 49 and 52, substantially as described herein.

54. A compound according to Claim 1 when prepared by a process according to any one of Claims 50, 51 and 53.

55. A vaccine or bacterin containing a mineral oil or vegetable oil and incorporating an immunological adjuvant comprising a compound according to any one of Claims 1 to 49, 52 and 54.

56. A compound of the formula:



(93)



82

1,571,133

82

wherein each of

R and R' are the same or different and are selected from hydrogen or an acyl radical containing from 1 to 22 carbon atoms;

5 R² is selected from an unsubstituted or substituted alkyl radical containing from 1 to 21 carbon atoms, or an unsubstituted or substituted aryl radical containing from 6 to 10 carbon atoms;

R³ is selected from hydrogen or an alkyl radical containing from 1 to 16 carbon atoms;

X is an aminoacyl radical selected from

10	glycyl,	L-cysteinyl,	10
	L-alanyl,	L-phenylalanyl	
	L-valyl,	L-tyrosyl,	
	L-leucyl,	L-tryptophanyl,	
15	L-isoleucyl,	L-lysyl,	15
	L- α -aminobutyryl	L-ornithyl,	
	L-seryl,	L-arginyl,	
	L-threonyl,	L-histidyl,	
	L-methionyl,		
20	L-glutamyl,	L-asparaginylyl,	20
	L-glutaminyl,	L-prolyl, or	
	L-aspartyl,	L-hydroxyprolyl.	

Y is an amino acid radical selected from D-isoasparagine and D-isoglutamine; and the wavy lines (f) represent the α - or β -configuration or mixtures thereof, with the proviso that when R and R' are each hydrogen, R² is methyl or hydroxymethyl and R³ is methyl, X is not glycyl, L-alanyl or L-seryl; with the further provisos that:

25 (i) when R³ is hydrogen or alkyl of 2 to 7 carbon atoms and Y is D-isoglutamine, then X is not glycyl, L-alanyl, L-valyl, L-leucyl, L-isoleucyl, L- α -aminobutyryl or L-seryl and/or

30 (ii) when R³ is methyl, Y is D-isoglutamine and X is glycyl, L-alanyl, L-valyl, L-leucyl, L-isoleucyl, L- α -aminobutyryl or L-seryl, then R² is unsubstituted methyl or substituted methyl group having one carbon atom.

57. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine.

35 58. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - α - aminobutyryl - D - isoglutamine.

59. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - threonyl - D - isoglutamine.

60. 2 - (2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - tyrosyl - D - isoglutamine.

40 61. 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - threonyl - D - isoglutamine.

62. 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl - acetyl - L - prolyl - D - isoglutamine.

45 63. 2 - (4,6 - di - O - acetyl - 2 - acetamido - 2 - deoxy - D - glucos 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine.

64. 2 - (4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - alanyl - D - isoglutamine.

65. 2 - (4,6 - di - O - octanoyl - 2 - acetamido - 2 - deoxy - D - glucos - 3 - O - yl) - D - propionyl - L - valyl - D - isoglutamine.

50 66. A process for preparing a compound of Claim 56, which comprises removal of the protecting groups of the precursors thereof of Formulae (11), (12D), (12E) and (12F) as defined hereinbefore by catalytic hydrogenolysis.

67. A process according to Claim 66 wherein the protecting groups removed are selected from a benzyl ester, benzyl ether, benzylamino or benzylidene acetal.

55 68. A process for preparing a compound as defined in any one of Claims 56 to 65 substantially as described herein.

69. A compound of any one of Claims 56 to 65 when prepared by a process according to Claim 66, 67 or 68.

70. A vaccine or bacterin containing a mineral oil or vegetable oil and incorporating an immunological adjuvant comprising a compound according to any one of Claims 56 to 65 and 69.

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