

< 知的財産翻訳検定 > 答案用紙

科 目：化学

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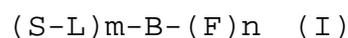
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以下に解答を記入してください

【問 1】

[Claim 1]

A probe for detecting a site in vivo where a test compound is accumulated, wherein the probe is represented by formula (I):



wherein S denotes a residue of the test compound; L denotes a biocompatible polymer residue which is capable of stereospecifically and chemically linking S and B therethrough; F denotes an imaging reagent residue; B denotes a linker which is capable of linking 1 to 60 Ls and 1 to 10 Fs therethrough of capable of linking 1 to 60 Ls; m is an integer from 1 to 60; and n is an integer from 0 to 10.

[Claim 2]

The probe of claim 1 wherein L is an N-(2-hydroxypropyl)methacrylamide residue.

[Claim 3]

A transmitting compound comprising a residue of either an oligosaccharide (LNnT) represented by Gal 1-4GlcNAc 1-3Gal 1-4Glc or an oligosaccharide derivative having an LNnT motif

in its structure and a residue of a physiologically active compound, wherein the transmitting compound is a compound such that when being administered to an animal, it is accumulated specifically in at least one organ selected from the group consisting of pancreas, thymus gland, orchis and prostate, thereby delivering the physiologically active compound to the organ.

[0011]

The therapeutic effectiveness of a pharmaceutical varies depending upon the amount of a drug arriving at an in vivo site where the drug acts, the pharmacokinetics of the drug and the sensibility of the body to the drug. The exertion of efficacy at a non-active site may result in a side effect. Site-specific drug delivery (henceforth, referred to as targeting) is capable of not only enhancing the efficacy through increase in drug concentration at the target site but also relieving such side effects.

[0012]

Examples of a technique of drug targeting include a method using prodrugging and a method using a drug carrier. The former is a technique in which a drug is chemically modified so that the drug will change to an activated substance in the active site environment, whereas the latter is a technique in which a drug is linked to a device which is capable of delivering the drug

specifically to an active site. The method using a carrier includes active targeting and passive targeting. In the active targeting, a ligand which exhibits affinity to an active site is added to a carrier. In the passive targeting, a carrier is provided with a physicochemical property such that the accumulation of a drug at a non-active site is avoided. In general, in comparison to the passive targeting, the active targeting can achieve a higher selectivity of drug distribution in the body and can obtain a high efficacy in a lower dose.

[0021]

Compound (b) may also be prepared by allowing compound (a) to react with an acid anhydride such as succinic anhydride and glutaric anhydride in the presence of base the same as that mentioned supra. Compound (b) can be purified and isolated in an arbitrary purity by conventional techniques such as anion exchange chromatography, hydrophobic chromatography, reversed phase chromatography, two-phase distribution and recrystallization.

[0031]

Compound (C) can be obtained also by dissolving or dispersing compound (b) in an appropriate solvent such as dichloromethane, N,N-dimethylformamide, dimethyl sulfoxide, toluene, tetrahydrofuran and acetonitrile containing a greatly excessive molar equivalents of alcohol such as methanol and ethanol, and allowing the compound (b) to react with 1-30 molar equivalents

of trimethylsilyldiazomethane at a temperature of from -20 to 150degC for a period of time of from one hour to ten days.

[0041]

(Step 4)

Oligosaccharide A (LNnT), oligosaccharide B (6'-SLNnT) and oligosaccharide D (O-acetyl-LNnT) were prepared according to the method disclosed in W099/40205. As oligosaccharide C (lactose: Gal 1-4Glc), a commercially available product (available from Kokusan Chemical Co., Ltd.) was used. Compounds 4A, 4B, 4C and 4D were obtained by introducing compound 3 into oligosaccharides A, B, C and D, respectively, according to the method disclosed by Cabacungan et al. [Analytical Biochemistry, Vol. 124, pp 272-278 (1982)]. Compounds 4A, 4B, 4C and 4D were purified by passing them through a tower Sephadex G-25 (manufactured by Amersham Pharmacia Biotech Co.) in which the reaction solution was put in equilibrium using water.

【問2】

(ii) two groups present on the same carbon atom forms a saturated carbon ring together with the carbon atom within R1, R2, R3 and R4;

(iii) two groups present on two carbon atoms adjacent to each other form a saturated carbon ring together with the carbon atoms within R1, R2, R3 and R4; or

(iv) two groups present on carbon atoms adjacent to each other

represent a bond together within R1, R2, R3 and R4 (the groups form a double bond together with an existing bond).