

[PE2-13] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Effects of difructose anhydrides on the accumulation and disposition of iron in rats

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Promoters such as monosaccharides, polysaccharides and so on are necessary to improve the iron absorption. We investigated the effects of difructose anhydrides (di-D-fructosefuranose dianhydrides, DFAs) on the iron absorption. We prepared the Fe(III)⁵⁹-DFAs complexes which have optimal molar ratio (1:1). Then, the prepared reagents were single and multiple (three times a day, for five days) administered to rats (0.671 mg Fe(III)/100 uCi Fe(III)⁵⁹/kg), respectively. An aliquot of 100 ul of whole blood was withdrawn from the rat femoral artery at 15, 30, 45, 60, 90, 120, 180, 240 and 360 min. And the radioactivities of the sample were measured by γ -counter (COBRA 5002, Packard Inst., Downers Grove, IL, USA). The blood concentration of iron was significantly higher in rats fed with Fe(III)⁵⁹-DFAs complexes than Fe(III)⁵⁹ alone both for the single and for the multiple dosing. And also, to investigate the distribution of iron, we performed the whole-body autoradiography (WBA). The relative intensities were represented by [photo-stimulated luminescence (PSL)-background (BG)/ area (S)] values in WBA. The intensities of Fe(III)⁵⁹ in case of Fe(III)-DFA III complexes were higher than those of iron alone and Fe(III)-DFA IV complex in all organ such as liver, spleen, heart and kidney and so on. These all results showed that the DFAs might be used as a promoter of iron absorption for the treatment of iron deficiency anemia.

[PE3-1] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Crystal Structure of Probucol, an Antihyperlipidemic Agent

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The crystal structure of probucol, an antihyperlipidemic agent was determined by X-ray diffraction technique. The crystals of the compound, which is recrystallized from an ethanolic solution, melts at 128°. It is monoclinic, with $a=19.037(2)$, $b=10.541(2)$, $c=16.984(2)$ Å, $\beta=113.68(1)^\circ$. The space group is $P2(1)/a$, and $Z=4$ with $D_{\text{calc}}=1.099$. The structure was solved by direct method with SIR88 incorporated in maXus1.1 software package. The structure was refined to the R-value of 0.084 by full-matrix least-squares procedure for 4612 independent reflections. Hydrogen bonds were not found despite of the two hydroxy groups of the molecule.

[PE3-2] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Effect of Shearing Stress on Rheological Properties of Hydrophilic Polymers

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Introduction: Hydrophilic polymers were widely used in pharmaceutics and cosmetics as suspending, stabilizing and gelling agent. However, physicochemical properties of them could be

changed in the processing of large-scale production. Especially, their change has been caused by shearing stress rather than other factors. **Objective:** In this study, the effects of shearing stress on the physical properties of hydrophilic polymer solutions were investigated. **Methods:** Each concentration of hydrophilic polymers was determined within the range of the preparation of suspension. Hydrophilic polymer solutions were sheared with homogenizer. After shearing, viscosity, molecular weight and thixotropic area were measured with Brookfield digital viscometer, gel permeation chromatography (GPC), and rheometer. **Results:** As the shearing stress was increased, the viscosity of polymer solutions were decreased, but their molecular weights were not changed significantly except for 1% carbomer 971P solution. It indicated that the degradation of polymer might be promoted in proportion to the shearing stress and cause its viscosity and molecular weight decrease. In case of hydrophilic polymer solutions, the molecular aggregates may be broken down to reduce the viscosity of polymer solutions but the decrease of viscosity of 1% carbomer 971P solution may result from molecular weight. Additionally, the resistance of these polymers to shearing may be attributed to molecular rigidity.

[PE3-3] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Preparation of WGA lectin-conjugated ellagitannin and its application

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Ellagitannins(ET), which are polyhydric phenol compounds found in plants, possess a variety of biological activities such as DNA-breaking effect, antibacterial effect, and especially antitumor activity to melanoma. The present study was performed to investigate the usefulness of wheat germ agglutinin(WGA), which specifically binds to the human melanoma cell, as a targeting protein. WGA lectin-conjugated ellagitannin(LET) and physical mixture of WGA-ellagitannin(PM) were prepared with zero-length method and non-specific binding, respectively. The binding ratio of both LET and PM with the molar ratio of 1:10 were about 70-80%, however it was significantly decreased after 24hrs except LET. In hemagglutination test and ELLA method, LET maintained its property as a lectin at room temperature. The IC₅₀ value for topoisomerase II-DNA complexes induced by LET was 20 μ g/ml. The in vivo release of ET from LET as well as the binding capacity of LET to melanoma cell are underway in our lab.

[PE3-4] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Charge Transfer Complexes Photosensitize the Activation of Molecular Oxygen.

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In this laboratory, it was found that simple aromatic compounds such as salicylic acid, p-aminobenzoic acid and many other drug molecules showed strong photosensitization reaction oxidizing potassium iodide or p-phenylenediamine dihydrochloride on irradiation with UVA in the presence of electron acceptor such as menadione, anthraquinone, benzoquinone and chloranil. Test with the continuous variation method revealed that the 1:1 complex between the electron donor and acceptor should be ascribed to the photosensitization reaction. The presence of 5mM DABCO, a singlet oxygen quencher completely blocked this reaction. These results suggest that the photosensitization reaction follows the Type II mechanism.

[PF1-1] [10/19/2000 (Thr) 10:00 – 11:00 / [Hall B]]