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[Lab. of Pharm. Synthetic Chemistry]

1,6-Asymmetric Induction during the Conjugate Addition of Arylcopper Reagents to Chiral Sulfanyl-Substituted Pyrrolyl α, β -Unsaturated Amides.

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The asymmetric conjugate addition of arylcopper reagents derived from aryl Grignard reagents and copper(I)iodide to a chiral 1-[2-(*p*-tolylsulfanyl)]pyrrolyl cinnamide proceeded smoothly to give (3*R*)-adducts with high diastereoselectivities ($\geq 92\%$ de) in high yields. Conjugate additions either of the cinnamide with the alkyl Grignard reagent—copper(I) iodide combination or of the crotonamide derivative with aryl Grignard reagent—copper(I) iodide gave moderate to good diastereoselectivities. With these sulfanyl pyrrolyl α, β -unsaturated amides, the chiral auxiliary was efficiently recovered without any loss of optical purity after asymmetric conjugate addition.

[*Yakugakuzasshi*, **121**, 289-293 (2001)]

[Lab. of Pharm. Physical Chemistry]

Estimation of Radiation Exposed Area by the Nuclear Accident Occurred at Tokai Village Using ESR Measurements of Household Sugar.

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The area of radiation exposure by the nuclear accident occurred at Tokai village in 1999 was estimated by the ESR measurement of 95 household sugar samples collected from the accident area. These samples were roughly classified into three types of sugar, fine white sugar, fine brown sugar and coarse brown sugar. By comparison with control sugar, the fine white sugar is considered to be more suitable for the estimation of the level of radiation exposure. The radical concentration of each fine white sugar sample was plotted against the distance from the site of the nuclear accident with a correction of the difference in the shielding effect between concrete houses and wooden houses. The samples obtained at more than 2 km north of the site of nuclear accident showed no ESR spectral signal to a detectable extent. On the other hand, the ESR spectra were observed from the samples obtained within 10 km south and 4 km west of the accident site. These results suggest that the radiation exposure by the contaminant blown by the northeast wind blowing on the day of the accident may occur at the south and west areas.

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[Lab. of Pharm. Physical Chemistry]

A New Drug Delivery System Using Plasma-Irradiated Pharmaceutical Aids. VIII. Delayed-Release of Theophylline from Double-Compressed Tablet Composed of Eudragit as Wall Material.

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The rapid release from a double-compressed tablet containing theophylline as a core drug with the pH-dependent water-soluble polymers, Eudragit L100, S100 or L100-55 used as a wall material was suppressed by argon plasma-irradiation due to an effect of inter-segmental cross link reactions on the decrease in the surface polymer solubility of outer layer. In addition, the rapid theophylline release from the double-compressed tablet of L100-55 with a lower glass transition temperature (T_g) has converted into the delayed-release system under a set of plasma operational conditions due to an additional effect of plasma heat flux on softening of Eudragit L100-55 surface resulting in the formation of the film-like surface with a particle-particle interlinking of the outer layer.

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[Lab. of Pharm. Physical Chemistry]

A New Drug Delivery System Using Plasma-Irradiated Pharmaceutical Aids. IX. Controlled-Release of Theophylline from Double-Compressed Tablet Composed of Cellulose Derivatives as Wall Material.

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The rapid release from a double-compressed tablet containing theophylline with the water-soluble polymer, hydroxypropylmethylcellulose (HPMC) or hydroxypropylmethylcellulose phthalate (HPMCP), used as a wall material can be suppressed by argon plasma-irradiation and changed into the sustained-release system due to a decrease in solubility of the outer layer. It was shown that the release profiles can be varied so as to cause theophylline release at different rates, depending on the set of conditions chosen for tablet manufacture and for plasma operation.