[*Polymer Preprints (ACS)*, **38**, 1049-1050 (1997)] [Lab. of Pharm. Physical Chemistry]

Plasma-induced Surface Radicals of Low Density Polyethylene Studied by Electron Spin Resonance.

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Plasma-induced low density polyethylene (LDPE) radicals were studied in detail by electron spin resonance (ESR) on its comparison with those of high density polyethylene (HDPE). The observed ESR spectra of plasma-irradiated LDPE are largely different from those of HDPE. The systematic computer simulation disclosed that such observed spectra of LDPE consist of three kinds of radicals, mid-chain alkyl radical, allylic radical and dangling bond sites (DBS) at the surface cross-linked region. All these component radicals are essentially identical to those of HDPE. One of the most special features in LDPE, however, is the fact that DBS is a major component unlike a mid-chain alkyl radical in HDPE. Thus, the nature of radical formation of PE was found to be affected by the polymer morphology in a very sensitive manner.

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Nature of Auto-oxidations on Plasma-induced Surface Radicals of Polyethylene Studied by Electron Spin Resonance.

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In this paper, we report the ESR study of peroxy radical formation from Ar-plasma-irradiated polyethylene (PE), both low density PE (LDPE) and high density PE (HDPE), by its exposure to air (oxygen) immediately after plasma-irradiation. The difference in the nature of peroxy radical formation between LDPE and HDPE can be rationalized in terms of the fact that a large amount of dangling bond sites were formed at the amorphous cross-linked layer at the surface of plasma-irradiated LDPE, and was very sensitive to oxygen, whereas the mid-chain alkyl radicals mainly formed in plasma-irradiated HDPE were unimportant in the formation of peroxy radical, since most of such radicals are located at the crystalline region. Part of allylic radicals is considered to be located at crystalline region especially in the case of HDPE. We believe this is the first detailed spectral analysis of peroxy radicals of PE, and of the nature of progressive changes of its formation produced by any kind of radiation method.

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The Syntheses of Novel Hybrid Polymeric Prodrugs Prepared by Mechanochemical Polymerization and the Nature of their Drug Release.

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Polymeric prodrugs having a basic side chain were synthesized by mechanochemical solid-state polymerization, and the nature of drug release of these polymeric prodrugs was investigated. The rate of drug release of the polymeric prodrugs having 5-fluorouracil (5-FU) and pyridyl group as a side chain increased with an increase in the content of basic group in the copolymer. It was also shown that the rate of drug release is influenced by the nature of the basic group. The hybrid polymeric prodrugs were synthesized by mechanochemical polymerization of the methacryloyl derivatives of 5-FU and pyridoxamine which possesses a pyridyl group. The rate of drug release of 5-FU can be controlled by the amount of the pyridoxamine in polymeric prodrug. These results provided the fundamental and significant information for the syntheses of novel hybrid polymeric prodrugs possessing a wide variety of drug as a side chain.

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Antitumour Effects of 4-Pyridoxate Diammine Hydroxy Platinum, a Novel Cisplatin Derivative, Against Malignant Gliomas In-vitro and In-vivo: A Comparison with Cisplatin.

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Antitumour effects of a newly synthesized cisplatin derivative, 4-pyridoxate diammine hydroxy platinum (PyPt), against brain tumours in-vitro and in-vivo were evaluated and compared with cisplatin. It is shown that PyPt is more effective than cisplatin against the human A172 glioma cell line in vitro. Although in-vitro antitumour activity of PyPt was significantly lower than cisplatin in the 9L cell line, in-vivo activity was almost equal to that of cisplatin. Platinum concentration in the brain tumour, 30 min after administration of PyPt, was 2·4-times significantly higher than with cisplatin. This suggests that drug delivery efficiency to the 9L glioma is greater for PyPt than cisplatin. PyPt is an effective cisplatin derivative for the treatment of brain tumours.