# 制癌剤含有リポソームの腫瘍細胞取り込みに対するpolyethyleneglycol修飾化の影響

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**Effect of polyethyleneglycol modification on tumor cell uptake of antitumor agent encapsulated liposomes**

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**Abstract** We prepared the liposomes, changed the entrapped amount of adriamycin(ADR) per the amount of liposome composing lipid, and after the addition of these liposomes with the same concentration of ADR(therefore, different dose of lipid), the tumor cell uptake of ADR was examined. The high entrapped amount of ADR demonstrated the usefulness in the tumor cell uptake of the ADR liposome *in vitro*. The cell uptake of the liposome depended on additional amount of ADR and liposomal lipid. Next, using ADR contained liposome and irinotecan contained liposome, its usefulness on tumor cell uptake by the polyethyleneglycol (PEG) modification of the surface on the liposome in vitro examined. In both liposome, PEG modification of the surface on the liposome facilitated the initial rate of the liposome uptake into the tumor cell. We have considered that this facilitation was attributed to the lipo-hydrophilic property of PEG and the fixed aqueous layer around the liposome. Therefore, PEG modification of the surface on the liposome, prevents the adhesion of serum opsonine and avoids reticuloendothelial system, does not inhibit tumor cell uptake rather facilitates. From the results of dextran sulfate contained liposome, it is expected that these liposome passed through the membrane of the tumor cell. Therefore, a higher entrapped amount of antitumor agents in the liposome and PEG modification have been confirmed to be beneficial in

the tumor cell uptake.

**抄録**　adriamycin(ADR)を含むリポソームを調製し、高濃度のADRが試験管内で癌細胞に有効性を持って取り込まれることが証明された。イリノテカンを含むリポソームやADRを含むリポソームは試験管内でリポソーム表面のポリエチレングリコール修飾によって腫瘍細胞の取り込みが有効であった。リポソーム表面のポリエチレングリコール修飾は腫瘍細胞中のリポソーム取り込みの初速度を亢進した。すなわち、ポリエチレングリコール修飾は血清オプソニンの接着を防ぎ、細胞内皮系を避けるため、腫瘍細胞への取り込みを阻害しないと考えられる。また、デキストラン硫酸を含むリポソームの実験から、ポリエチレングリコール修飾したこれらのリポソームは腫瘍細胞の膜を通過するため非常に有効に多く取り込まれ、そのため抗腫瘍剤も高く取り込まれると考えられる。

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