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リポソーム表面に標識されたリガンドのトポロジーに関する評価

○李 尚軒[1], 佐藤 悠介[1], 兵藤 守[1], 原島 秀吉[1]（[1]北大院薬）

【Purpose】The surface topology of ligands on liposomes is an important factor in active targeting in drug delivery systems. Accurately evaluating the density of anchors and bioactive functional ligands on a liposomal surface is critical for ensuring the efficient delivery of liposomes. For evaluating surface ligand density, it is necessary to clarify that on the ligand-modified liposomal surfaces, some anchors are attached to ligands but some are not.

【Methods】To distinguish between these situations, a key parameter, surface anchor density, was introduced to specify amount of total anchors on the liposomal surface. Second, the parameter reaction yield was introduced to identify the amount of ligand-attached anchors among total anchors, since the conjugation efficiency is not always the same nor 100%.

【Results and Discussion】Combining these independent parameters, we derived: incorporation ratio = surface anchor density × reaction yield [1]. The term incorporation ratio defines the surface ligand density. Since the surface anchor density represents the density of polyethylene glycol (PEG) on the surfaces in most cases, it also determines liposomal function. It is possible to accurately characterize various PEG and ligand densities and to define the surface topologies. In conclusion, this quantitative methodology can standardize the liposome preparation process and qualify the modified liposomal surfaces.

[1] Lee S-H, Sato Y, Hyodo M, Harashima H: Topology of surface ligands on liposomes: characterization based on the terms, incorporation ratio, surface anchor density, and reaction yield. *Biol. Pharm. Bull.*, **12**, 1983-1994 (2016).