Comparative Three Different Types of Cilostazol-Loaded Solid Dispersion: Physicochemical Characterization and Oral Bioavailability

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Purpose
The aim of this research was to compare three different types of cilostazol-loaded solid dispersion system including solvent-evaporated, solvent-wetted and surface-attached solid dispersion.

Methods
The effect of polymers and surfactants on the aqueous solubility of cilostazol was investigated, leading to the selection of polyvinylpyrrolidone (PVP) and sodium lauryl sulphate (SLS). Employing a spray-drying technique, numerous surface-attached, solvent-evaporated and solvent-wetted solid dispersions were prepared with various amounts PVP and SLS using water, 90% ethanol and acetone, respectively. Their physicochemical properties, solubility, dissolution and oral bioavailability in rats were assessed compared to the drug powder.

Results
Among each solid dispersion system tested, the surface-attached, solvent-evaporated and solvent-wetted solid dispersions composed of cilostazol, PVP and SLS at weight ratios of 3.0:0.75:1.5, 3.0:3.0:1.5 and 3.0:3.0:1.5, respectively, provided the highest drug solubility and dissolution. The solvent-evaporated solid dispersion gave homogeneous and very small spherical particles, in which the drug was changed to an amorphous state. In the solvent-wetted solid dispersion, the amorphous drug was attached to the polymer surface. Conversely, in the surface-attached solid dispersion, the carriers were adhered onto the surface of the unchanged crystalline drug. The solubility, dissolution and oral bioavailability were significantly increased in the order of solvent-evaporated > solvent-wetted > surface-attached > drug powder.

Conclusion
The type of solid dispersion considerably affected the physicochemical properties, aqueous solubility and oral bioavailability. Furthermore, the cilostazol-loaded solvent-evaporated solid dispersion with the highest oral bioavailability would be actively recommended as a practical oral pharmaceutical product.