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Comparison of three different types of cilostazol-loaded solid dispersion: Physicochemical characterization and pharmacokinetics in rats

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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. 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. 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. Thus, 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.