Effect of TPGS as an Absorption Enhancer on the Physicochemical Characterization and Oral Bioavailability of L-Sulpiride in the Quaternary Microcapsule

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Purpose
To assess the effect of D-γ-tocopheryl polyethylene glycol 1000 succinate (TPGS) on the physicochemical characterization and oral bioavailability of novel L-sulpiride-loaded quaternary microcapsule (QMC).

Methods
The effect of carriers on drug solubility was investigated. Among the carriers tested, polyvinyl pyrrolidone (PVP), sodium lauryl sulfate (SLS) and TPGS were selected as a polymer, surfactant and absorption enhancer, respectively, due to their high drug solubility. Using the solvent evaporation method, numerous QMCs with different ratios of L-sulpiride, PVP, SLS and TPGS were prepared, and their physicochemical properties, solubility and dissolution were evaluated. In addition, the influence of TPGS concentration on the oral bioavailability of various drug doses was evaluated.

Results
All QMCs converted the crystalline drug to the amorphous form and remarkably improved the solubility, dissolution and oral bioavailability of the drug. Furthermore, the TPGS concentration in the QMCs hardly affected the crystallinity, particle size and dissolution, but considerably increased the solubility and oral bioavailability of the drug. In particular, as the dose of administered drug was increased, TPGS provided a greater improvement in oral drug bioavailability.

Conclusion
TPGS played an important role in improving the oral bioavailability of L-sulpiride. Moreover, the QMC with a drug/PVP/SLS/TPGS weight ratio of 5:12:1:20 with approximately 3.3-fold improved oral bioavailability is recommended as a commercial pharmaceutical product for the enhanced oral bioavailability of L-sulpiride.