医薬品医療機器レギュラトリーサイエンス
Pharmaceutical and Medical Device Regulatory Science
Vol. 48, No. 1, 63～69 (2017)

報告書

平成27年度 「日本薬局方の試験法等に関する研究」研究報告
共結晶（コクリスタル）医薬品への製剤試験法適用に関する検討

伊豆津 健一*

Study on Characterization of Pharmaceutical Cocrystals and their Formulations

Ken-ichi IZUTSU*

Summary

Cocrystals composed of active pharmaceutical ingredients (API) and coformer components, which construct a crystal lattice through non-ionic interactions, are attracting increasing attention as a means to improve the dissolution, stability, and handling of a wide range of chemical entities. The purpose of this study was to review methods to characterize the structure and physical properties of pharmaceutical cocrystals, in order to support rational development and safe and effective use of these materials. Physical characterizations (e.g., thermal analysis and X-ray powder diffraction) and formulation tests (e.g., dissolution test) defined in the Japanese Pharmacopeia should serve as core tools for control of product quality. We also applied these analytical methods to a model co-crystal (glycine-glutaric acid) prepared by freeze-drying. We suggest that physical instability of some cocrystals caused by process-related stresses remains a challenging issue, and there is also a need to develop new methods to analyze the state of cocrystals in formulations for clinical use. Differences of regulatory classifications between recent FDA guidance and an EMA guideline are also discussed to examine their relevance to quality control strategies for pharmaceutical cocrystals.

Key words

Cocrystal, Thermal analysis, X-ray powder diffraction, Freeze-drying