Effects of Polymer and Surfactant on the Dissolution and Transformation Profiles of Cocrystals in Aqueous Media

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Abstract:

Capturing solubility advantages of cocrystals is of great interest, and thus to understand the mechanism by which different excipients could maintain the supersaturation generated by cocrystals at the course of absorption in aqueous media is essential. To achieve this aim, the impact of different excipients on dissolution behavior of indomethacin:saccharin (IND:SAC) were monitored by measuring the concentrations of cocrystal components in the absence and presence of various concentration of excipients by HPLC, and solid phases were analyzed by differential scanning calorimetry after each experiment and the potential of Raman spectroscopy for monitoring phase transformations in situ was tested. No dissolution advantage was offered by cocrystals in the absence of any solution additive. The polymer and surfactant used in the study increased the solubility of IND but not SAC. This differential solubilization effect is believed to have stabilized the cocrystals for a relevant period for the absorption to take place. This could be attributed to either decreased gap between supersaturation and saturation of the drug or drug interaction with the additives. Understanding the effects of excipients type and concentration on the transformation profile is vital for designing enabling formulations for cocrystals. The eutectic constant may be useful in selecting excipients for stabilizing cocrystals.

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