ملخص باللغة الانجليزية:

أ- Controlled crystallization as a tool to enhance the dissolution and anti-Inflammatory Properties of indomethacin

Abstract:

Indomethacin (IND) is a water insoluble non-steroidal anti-inflammatory drug with analgesic and antipyretic effects. The aim of this study was to enhance the dissolution rate and anti-inflammatory effect of IND by controlled crystallization in different solutions (0.05, 0.1 and 0.2%) of protective colloids. The investigated polymers were hydroxypropyl methylcellulose, sodium alginate and polyvinyl alcohol. Physicochemical properties of the prepared crystals were characterized using differential scanning calorimetry and x-ray powder diffractometry. In addition, the dissolution rate was studied using USP-II apparatus (paddle method). Finally, the anti-inflammatory and analgesic effects of optimal indomethacin crystals (exhibited good dissolution results) versus raw IND were investigated. The differential scanning calorimetry and x-ray powder diffractometry results showed polymorphism change from γ- to α- form of indomethacin with marked reduction in crystallinity in the processed crystals especially at 0.05% sodium alginate. IND crystals processed at 0.05% sodium alginate showed 5.6- and 1.5-folds increase in the dissolution percent after 10 min and the dissolution efficiency after 1 hour, respectively, when compared to the raw drug. These crystals when stored for one year at ambient conditions, exhibited transformation into γ- form but with marked reduction in crystallinity. Finally, IND crystals (processed in presence of 0.05% sodium alginate) showed rapid onset of anti-inflammatory effect when compared to the raw drug (significant reduction in paw edema after 30 min) with less gastric adverse effects. However, both the processed IND crystals and the raw drug had nearly similar analgesic effect.