Delivery of Curcumin-Loaded Polymeric Nanoparticles in Granulates for Oral Administration

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Keywords: Delivery, polymeric nanoparticles, curcumin, oral solid dosage form

Abstract:

Turmeric (Curcuma longa Linn) possesses strong antimicrobial, anti-inflammatory, anticancer, and immunomodulatory properties, attributed primarily to its curcuminoids: curcumin (CUR), dimethoxycurcumin (DMC), and bisdemethoxycurcumin (BDMC), which, combined, are more effective than the individual molecules, suggesting a synergistic effect. However, their therapeutic use is limited by poor oral and parenteral bioavailability, resulting from low water solubility, rapid degradation at neutral-alkaline pH, limited tissue absorption, and rapid systemic clearance.

To address these limitations, PMDA polymer nanoparticles were prepared for the encapsulation of curcuminoids via direct nanoprecipitation, specifically for oral administration. The nanoparticles had an average size below 100 nm and a ζ potential of −40 mV, remaining stable after being incorporated into oral granules. HPLC analysis showed a drug loading of 5.1 ± 0.8% and an encapsulation efficiency of 53 ± 4%.

To evaluate the behavior of the nanoparticles from a biopharmaceutical perspective, an oral solid dosage form (granules) was formulated containing ~90 µg of curcumin, corresponding to 1.78 mg of loaded nanoparticles.

Dissolution tests according to the United States Pharmacopeia (USP 48) were performed to evaluate the released profile of the curcumin. Free curcumin reached complete release within 120 minutes. In contrast, nanoparticles released the full dose within five minutes. For the granules containing curcumin, ~60% of the active ingredient was also released within five minutes and then remained stable throughout the test, likely due to interactions between curcumin and an excipient in the formulation.

Moreover, the stability of nanoparticles under gastrointestinal pH conditions was evaluated to fully validate their suitability for oral administration.