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Colon Targeting of Self-Emulsifying and Solid Dispersions of Curcumin Using Pectin Beads as a Delivery Vehicle

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***SUMMARY*. This study aimed to develop and characterize pectin beads loaded with self-emulsifying curcumin (SE-Cur) or a solid dispersion of curcumin (SD-Cur) in polyvinyl pyrrolidone for colon targeting. The pectin beads were prepared by the ionotropic gelation technique and contained 5% w/v SE-Cur or 1% w/v SD-Cur (equivalent to curcumin 222 mg). The optimized pectin beads loaded with SE-Cur released 30% of the curcumin content in simulated gastric fluid in 2 h and 60 % in simulated colonic fluid over 24 h. The droplet size of the curcumin-microemulsion released ranged from 150 to 220 nm. In comparison, the optimized beads loaded with SD-Cur exhibited lower release. Samples delivered 20% of the curcumin content in simulated gastric fluid in 2 h and 35% in simulated colonic fluid over 24 h. Moreover, the beads containing SE-Cur resulted in a 50-150% increase in curcumin release in fluid with pectinase enzymes. The SE-Cur released exhibited higher cytotoxicity towards human colon cancer cells (HT-29) (IC_{50} of 4-10 μg/mL) than normal human colon epithelial (CRL-1790) cells (IC_{50} ≥ 25 μg/mL). Furthermore, SE-Cur released displayed potent antioxidant activity similar to the standard agent, butylatedhydroxytoluene, in both the beta (β)-carotene-linoleate model and the DPPH radical scavenging assay. In conclusion, enzyme-sensitive carriers such as pectin, loaded with self-emulsifying curcumin provide a useful strategy for targeted delivery of poorly water soluble compounds to the colon.**

RESUMEN. Este estudio tuvo como objetivo desarrollar y caracterizar perlas de pectina cargadas con curcumina autoemulsionante (SE-Cur) o una dispersión sólida de curcumina (SD-Cur) en polivinilpirrolidona para el colon. Las perlas de pectina se prepararon mediante la técnica de gelificación ionotrópica y contenían SE-Cur al 5% p/v o SD-Cur al 1% p/v (equivalente a 222 mg de curcumina). Las perlas de pectina optimizadas cargadas con SE-Cur liberaron 30% del contenido de curcumina en fluido gástrico simulado en 2 hy 60% en fluido de colon simulado durante 24 h. El tamaño de gota de la microemulsión de curcumina liberada varió de 150 a 220 nm. En comparación, las perlas optimizadas cargadas con SD-Cur mostraron una liberación menor. Las muestras entregaron 20% del contenido de curcumina en fluido gástrico simulado en 2 h y 35% en fluido colónico simulado durante 24 h. Además, las perlas que contienen SE-Cur dieron como resultado un aumento del 50-150% en la liberación de curcumina en el fluido con pectinasas. El SE-Cur liberado exhibió una citotoxicidad más alta hacia células de cáncer de colon humano (HT-29) (IC_{50} de 4-10 μg/mL) que las células epiteliales de colon humano (CRL-1790) (IC_{50} ≥ 25 μg/mL). Además, SE-Cur liberado mostró una potente actividad antioxidante similar al agente estándar, el hidroxitolueno butilado, tanto en el modelo beta (β)-caroteno-linoleato como en el ensayo de eliminación de radicales DPPH. En conclusión, los portadores sensibles a enzimas tales como pectina, cargados con curcumina autoemulsionante proporcionan una estrategia útil para la administración dirigida al colon de compuestos poco solubles en agua.

KEY WORDS: colon targeting, curcumin, pectin beads, self-emulsifying drug delivery system, solid dispersion,

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