Use of Response Surface Methodology to Optimize Chitosan Microparticles for Sustained Release of Curcumin

Yingqian SUN, Shuxin WAN* & Lijun ZHOU

Key Laboratory of Modern Drug Delivery and High Efficiency, School of Pharmaceutical Science and Technology, Tianjin University, Tianjin 300072, People's Republic of China

SUMMARY. Chitosan microparticles (Cs-MPs) for the dissolution and oral bioavailability improvement of curcumin (Cur) were prepared with sodium tripolyphosphate (TPP) by ionotropic gelation method. Response surface methodology (RSM) based on a three-factor, three-level Box-Behnken Design (BBD), was used to optimize the preparative conditions of Cs-MPs. The Cs-MPs were characterized for particle size distribution, morphology, X-ray diffractometry, Fourier transform infrared spectroscopy, dissolution profiles, and oral absorption. The optimum conditions were found to be: 1 % of acetic acid concentration, 0.83 of Cs/Cur ratio, 0.15 % of TPP concentration. Loading capacity, encapsulation efficiency and yield of the optimized Cs-MPs were 62.92, 95.41, and 66.20 %, respectively with 83.60 % cumulative release and 18.45 % burst release. Solid-state characterization techniques revealed the decreased crystallinity nature of Cur in Cs-MPs. Cs-MPs provided an improved pharmacokinetic parameter ($C_{max} = 782.84$ ng/mL, t_{max} = 3.15 h) in rats as compared with pure drug (C_{max} = 86.39 ng/mL, t_{max} = 1.05 h).

KEY WORDS: Chitosan microparticles, Curcumin, Oral bioavailability, Response surface methodology, Sustained release.

Author to whom correspondence should be addressed. E-mail: sunyq9335@126.com