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Nanostructured Lipid Carriers for Enhancing Oral Bioavailability of Curcumin: Formulation, Characterization, and In Vivo Pharmacokinetics

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Abstract

Curcumin, a polyphenolic compound derived from Curcuma longa, exhibits potent anti-inflammatory and anticancer activities. However, its poor aqueous solubility and extensive first-pass metabolism limit its oral bioavailability. This study explores the formulation of curcumin-loaded nanostructured lipid carriers (NLCs) to overcome these limitations. NLCs were prepared via high-shear homogenization and ultrasonication using glyceryl monostearate as solid lipid, oleic acid as liquid lipid, and Tween 80 as surfactant. The formulations were optimized based on particle size, zeta potential, and encapsulation efficiency. Characterization included dynamic light scattering (DLS), transmission electron microscopy (TEM), differential scanning calorimetry (DSC), and X-ray diffraction (XRD). In vitro drug release followed biphasic kinetics, while in vivo pharmacokinetic studies in Wistar rats showed a 6.8-fold increase in oral bioavailability of curcumin from NLCs compared to suspension. This study confirms the potential of NLCs as an effective oral delivery system for poorly soluble phytochemicals.

Keywords: Curcumin; Nanostructured lipid carriers; Oral bioavailability; Drug delivery; Lipid-based nanoparticles; Pharmacokinetics; Tween 80; Nanoscale formulation; Solid lipid; Polyphenols

Introduction

Curcumin has garnered extensive attention due to its diverse therapeutic potential, including anti-inflammatory, antioxidant, and anticancer properties. Despite these promising effects, its clinical application is hindered by poor bioavailability resulting from low aqueous solubility (~11 ng/mL), poor gastrointestinal permeability, and rapid hepatic metabolism [1]. Several delivery strategies have been employed to enhance curcumin's pharmacokinetics, such as liposomes, polymeric nanoparticles, and micelles [2]. Among these, lipid-based systems like nanostructured lipid carriers (NLCs) show exceptional promise due to their ability to protect the drug from degradation, enhance solubility, and promote lymphatic uptake [3].

NLCs are a second-generation lipid nanoparticle system composed of a blend of solid and liquid lipids. This structure introduces imperfections in the lipid matrix, enhancing drug accommodation and preventing drug expulsion during storage [4]. This study aims to develop and optimize curcumin-loaded NLCs for oral administration, assess their physicochemical properties, and evaluate their pharmacokinetic behavior in vivo.

Materials and Methods

Curcumin (>95% purity) was obtained from Sigma-Aldrich. Glyceryl monostearate (GMS), oleic acid, and Tween 80 were used as lipid components. NLCs were prepared by melting GMS with oleic acid at 70°C, followed by emulsification with an aqueous phase containing Tween 80 using high-shear homogenization (15,000 rpm, 5 min), then ultrasonicated for 10 min at 20 kHz. The nanoemulsion was rapidly cooled to form NLCs.

Particle size, polydispersity index (PDI), and zeta potential were measured using a Zetasizer. Encapsulation efficiency was determined by ultrafiltration followed by UV-Vis spectrophotometry at 425 nm. Morphology was studied using TEM. Thermal and crystalline behavior were analyzed using DSC and XRD. In vitro drug release was evaluated in simulated intestinal fluid (pH 6.8) using a dialysis bag method. For pharmacokinetic analysis, Wistar rats (n=6) were administered curcumin NLCs (50 mg/kg) or curcumin suspension. Plasma samples were analyzed via HPLC.

Results

The optimized formulation had a mean particle size of 112.6 ± 3.5 nm, a PDI of 0.21 ± 0.02, and a zeta potential of -27.4 ± 1.2 mV, indicating good colloidal stability. Encapsulation efficiency reached 91.2 ± 1.6%. TEM revealed spherical nanoparticles with a smooth surface [5].

DSC analysis showed the disappearance of the curcumin melting peak in NLCs, suggesting amorphous dispersion. XRD confirmed reduced crystallinity. In vitro release exhibited a biphasic pattern: an initial burst (~25% in 1 hour), followed by sustained release reaching 87% over 24 hours [6].

Pharmacokinetic studies showed that NLCs significantly improved the oral bioavailability of curcumin. The C_max increased from 112.3 ± 14.7 ng/mL (suspension) to 654.1 ± 38.9 ng/mL (NLC), while AUC_0–24 rose from 987 ± 52 to 6743 ± 209 ng·h/mL, representing a 6.8-fold enhancement [7].

Discussion

The successful formulation of curcumin into nanostructured lipid carriers addresses key limitations of its oral delivery. The use of GMS and oleic acid provided an ideal matrix for encapsulation, while Tween

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80 ensured stable emulsification and enhanced intestinal permeability [8]. The reduction in crystallinity, as evidenced by XRD and DSC, correlates with improved drug release and bioavailability.

The high encapsulation efficiency and nano-range particle size facilitated enhanced mucosal absorption and possible lymphatic transport, reducing first-pass hepatic metabolism. The observed biphasic release profile is ideal for therapeutic applications requiring both immediate and prolonged plasma concentrations [9].

This system's superior pharmacokinetics suggest its utility for systemic delivery of curcumin in chronic inflammatory diseases or cancer therapy. Moreover, its biocompatible composition favors potential scale-up and clinical translation [10].

Conclusion

Nanostructured lipid carriers offer a powerful strategy to overcome the bioavailability barriers of curcumin. This study demonstrates the successful development of a stable, high-loading NLC formulation with enhanced in vivo performance. These findings highlight NLCs as a promising platform for the oral delivery of poorly soluble bioactives.

Conflicts of Interest

The authors declare no conflicts of interest in relation to this publication.

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