



NANO- AND MICRO-EMULSIFYING SYSTEMS: A MODERN STRATEGY IN ORAL DRUG DELIVERY : A Review

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ABSTRACT :

The oral route remains the most convenient and widely accepted method of drug administration. However, many poorly water-soluble drugs suffer from limited bioavailability due to poor dissolution in gastrointestinal fluids. Nano- and micro-emulsifying systems (NES and MES) have emerged as modern lipid-based strategies to enhance solubility, stability, and permeability of lipophilic drugs. These self-emulsifying systems spontaneously form fine oil-in-water emulsions when exposed to gastrointestinal fluids, promoting efficient absorption through lymphatic transport and minimizing first-pass metabolism. This review summarizes the composition, mechanism, advantages, formulation aspects, and recent advancements of nano- and micro-emulsifying systems as a modern strategy for improving oral drug delivery.

Keywords: Nanoemulsion, Microemulsion, Oral Drug Delivery, Bioavailability, Solubility Enhancement.

1. INTRODUCTION

Oral administration remains the most preferred route for drug delivery due to its convenience, patient compliance, and cost-effectiveness. However, approximately 40% of newly developed drugs suffer from poor aqueous solubility, resulting in low and variable bioavailability. To overcome these challenges, nano- and micro-emulsifying drug delivery systems (N/MEDS) have gained considerable attention. These are isotropic mixtures of oil, surfactant, co-surfactant, and drug that spontaneously form fine emulsions upon mild agitation in the gastrointestinal fluids. Their small droplet size ensures a large interfacial surface area for drug dissolution and absorption, thus improving bioavailability of lipophilic compounds. Compared to conventional emulsions, nano- and micro-emulsions are thermodynamically stable and can be easily manufactured without complex equipment.

2. NEED OF THE STUDY

The pharmaceutical industry has witnessed a significant rise in the number of Biopharmaceutical Classification System (BCS) Class II and IV drugs, which exhibit poor aqueous solubility. Traditional formulation approaches, such as solid dispersions and micronization, often fail to ensure consistent absorption. Nano- and micro-emulsifying systems address this limitation by enhancing the dissolution rate and maintaining drugs in a solubilized state in the gastrointestinal tract. The necessity of such systems lies in their potential to improve therapeutic efficacy, reduce dose frequency, and minimize food effects on absorption.

3. REVIEW OF LITERATURE

Early studies on lipid-based formulations established that the inclusion of surfactants and co-solvents can improve the dissolution of hydrophobic drugs. Pouton (2006) introduced the concept of self-emulsifying drug delivery systems (SEDDS) as a key advancement for oral lipid formulations. Subsequent research by Kommuru et al. (2001) and Constantinides (1995) highlighted the role of lipid excipients in enhancing intestinal lymphatic transport. Nano-emulsions (droplet size <200 nm) and micro-emulsions (droplet size 10–100 nm) have been extensively investigated for drugs such as cyclosporine, ritonavir, and fenofibrate, demonstrating significant improvements in absorption and bioavailability. Recent innovations include the use of novel surfactants, polymeric stabilizers, and solid-state conversions to form solid self-emulsifying drug delivery systems (S-SEDDS) that offer enhanced stability and patient compliance.

4. MECHANISM OF DRUG DELIVERY THROUGH NANO/MICRO EMULSIONS

Upon oral administration, the self-emulsifying system encounters gastrointestinal fluids and mild peristaltic movements, which trigger spontaneous

emulsification. The resulting nano or micro droplets encapsulate the drug within the oil core, allowing for enhanced surface area and improved contact with the intestinal membrane. These systems can promote drug absorption via multiple pathways, including passive diffusion, facilitated transport, and lymphatic uptake. The avoidance of hepatic first-pass metabolism further contributes to higher systemic availability. The physicochemical properties such as droplet size, interfacial tension, and surfactant composition critically influence absorption kinetics.

5. ADVANTAGES AND LIMITATIONS

Nano- and micro-emulsifying systems provide several advantages including enhanced solubility, improved bioavailability, and better reproducibility of plasma concentration. They can be easily scaled up and are suitable for both hydrophobic and amphiphilic drugs. Moreover, the use of natural oils and biocompatible surfactants ensures safety and tolerability. However, limitations such as potential drug precipitation upon dilution, surfactant toxicity, and instability during storage pose challenges that require careful formulation optimization.

6. APPLICATIONS IN PHARMACEUTICALS

Nano- and micro-emulsifying systems have been successfully applied in the formulation of drugs with poor solubility, such as cyclosporine (Neoral®), ritonavir (Norvir®), and saquinavir (Fortovase®). They are also explored for nutraceuticals and herbal formulations to improve the bioavailability of bioactive phytoconstituents. Beyond oral use, these systems are being investigated for topical, parenteral, and ocular drug delivery.

7. FUTURE PROSPECTS

Future research is focused on developing solid self-emulsifying formulations, integrating nanotechnology with lipid systems, and exploring smart emulsions responsive to pH and enzymes. Advancements in analytical and imaging techniques will enable better understanding of in vivo mechanisms, leading to optimized formulations with predictable performance.

8. CONCLUSION

Nano- and micro-emulsifying systems represent a breakthrough in oral drug delivery, offering a versatile platform for enhancing solubility and bioavailability. By improving dissolution rate, intestinal permeability, and lymphatic transport, these systems hold immense potential for future pharmaceutical development. Continuous innovation in excipient selection, solidification techniques, and clinical validation will further strengthen their application in modern therapeutics.

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