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Nanostructured Lipid Carriers: As an Efficient Drug Delivery Carrier

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Abstract

The objective of present review is to utilize potential of Nanostructured lipid carriers as an effective novel carrier system. Being a popularity of oral route, it is used more predominantly for administration of variety of drugs. However, oral route is having certain issues regarding instability of certain drugs in upper gastro intestinal tract, poor solubility, first pass metabolism, fluctuation in blood plasma and inappropriate bioavailability with conventional dosage form. Therefore, there is a need for development of some novel carrier that can deliver the drug moiety efficiently with optimum therapeutic response. Since few years, Nanostructured lipid carriers are gaining attention of researchers towards suitable oral application of drug molecules. Nanostructured lipid carriers are superior then solid lipid nanoparticles with regards of drug entrapment and drug expulsion during storage period. This review shows some findings of Nanostructured lipid carriers of some drugs for improvement of their physicochemical properties followed by enhancement in pharmacokinetics which makes it a suitable novel carrier for better therapeutic response of poorly soluble drugs.

Keywords: Nanostructured lipid carriers; Poorly soluble drugs; Enhancement in pharmacokinetic parameters

Abbreviations: NLCs: Nanostructured Lipid Carriers; GIT: Gastro Intestinal Tract; SLNs: Solid Lipid Nanaoparticles; BCS: Biopharmaceutics Classification System; HCl: Hydrochloride

Introduction

Oral delivery system is engaged as a vital delivery for variety of drugs available in market. This route is more favorable amongst the community due to easiness in medication, improved patient compliance, needleless delivery and many more. In spite of most famous route for drug administration, certain factors like less aqueous solubility, poor Gastro Intestinal Tract (GIT) absorption, pre systemic metabolism, plasma concentration fluctuation and food effects may create inappropriate drug therapeutic response which may results in disparity in vivo outcomes causing to disappointment of the conventional delivery systems [1]. Thus there is a need for the development for newer alternative to resolve all these major issues. Since few decades, some Novel Drug Delivery Systems (NDDS) have been implemented for improvement in drug therapy. Lipid nanocarriers are one of them that tremendously utilized to enhance therapeutic response of drug [2].

Lipid nanocarriers are prepared with materials having natural and biological origins which put them in front then other polymeric nanoparticles. Solid lipid Nanoparticles (SLNs) are the prominent lipid nanocarriers. They are prepared from highly pure lipids that may crystallize in a perfect lattice which permits limited space for drug to be incorporate in. Thus, in spite of a popular drug delivery carrier, moderately low entrapment efficiency and drug expulsion during ageing makes researchers to think of other efficient carrier system. As a result of this, Nanostructured Lipids Carriers (NLCs) have been developed that significantly alter the therapeutic limitations discussed above. NLCs can be defined as a next generation of SLNs with solid and liquid lipids matrix which creates a less ordered or imperfect structure that helps in significantly improving drug entrapment and decreasing the drug expulsion from the matrix during ageing [3-5].

Discussion

A significant improvement in bioavailability and prolonged plasma concentrations in NLCs make it a choice of formulation for oral administration of drugs. The NLCs can protect the sensitive drugs from the unfavorable environment of GIT. Insolubility issue of Bio pharmaceutics Classification System (BCS) class- II drugs can be also resolved by entrapment within NLCs. The NLCs delivery can bypass hepatic first pass metabolism that results

into significant improvement in bioavailability of variety of drugs. This manuscript has included few findings from published articles that make the NLCs as a superior carrier system for enhancing drug therapy.

Raloxifene HCl loaded NLCs prepared by solvent diffusion method using glyceryl monostearate and Capmul MCM C8 as solid lipid and liquid lipid, respectively, showed tremendous 3.75 fold improvement in bioavailability than plain drug suspension of poorly soluble raloxifene HCl [3]. Testosterone Undecanoate has only 7% oral bioavalability in men. Testosterone Undecanoate was encapsulated as NLCs and developed as nanocrystals. In vivo study was conducted between drugs loaded NLCs and Andriol Testocaps (marketed product) for evaluating bioavailability improvement in both formulations. The result showed two times higher AUC compared to marketed product putting NLCs as a better delivery system for said drug [6].

Repaglinide NLCs were prepared with Gelucire 50/13 as an amphiphilic lipid excipient. The NLCs showed a significantly two fold decrease of the blood glucose level in rats compared to marketed tablets of repaglinide [7]. Oral bioavailability of lovastatin was studied by developing NLCs with Precirol® and squalene. More than 70% of drug entrapment was observed with NLCs formulation. The oral lovastatin NLCs administration leads to significant enhancement of bioavailability from 4% to 24% in rats [8]. Clotrimazole loaded SLNs and NLCs were prepared to perform comparative study between these two dosage forms. Both formulations showed sustained drug release with good entrapment efficiency of more than 82%. The stability result showed better results for NLCs compared to SLNs with respect to particle size, drug entrapment and loading efficiency. During the storage period, SLNs showed significant changes in drug release to compare with initial drug release while NLCs have insignificant drug release profile. These findings showed better stability of NLCs formulation in comparison of SLNs [9].

Fenofibrate loaded NLCs were prepared by hot homogenization for improving the oral bioavailability. NLCs showed a round shape with a particle size of 84.9±4.9nm. The high entrapment efficiency up to 99% was observed with biphasic drug release pattern. In vivo pharmacokinetic study of optimized NLCs showed fourfold enhancement in bioavailability compare to plain drug suspension. These findings showed a potential of NLCs for improvement in drug entrapment, drug loading followed by bioavailability [10]. From the findings of all of above published articles, it can be said that NLCs have more potential for improving drug solubility, entrapment efficiency and loading. It also showed improved characteristics in vitro release profile with sustained effect. Drug encapsulated in NLCs have dramatically enhancement in oral bioavailability which can play significant role in improving therapeutic response of drug.

Conclusion

In present scenario, NLCs have made attention to all researchers for oral administration of drugs with increased bioavailability and prolonged plasma levels. NLCs can be considered as one of the most prominent drug carriers as it meets these entire requirements. Other than oral route, NLCs have been also developed to deliver the drugs by different application routes including parenteral, topical, ocular delivery, pulmonary inhalation and gene therapy too. From the various finding it was also found that NLCs can remain stable for a longer period of time with intactness of all physicochemical properties. Therefore, at the end it can be concluded that NLCs can be utilized as a promising carrier system in enhancing therapeutic efficiency of various drugs.

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