Nanostructured lipid carriers are the most advantageous and modified form of drug delivery system of recent time. They are found to be advantageous over other well known conventional drug delivery systems like emulsions, polymeric nanoparticles, liposomes, *etc*, The NLC formulations were also found to be advantageous over SLN, which is very popular among the lipid based drug delivery systems. Beside these advantages, NLC formulations suffer from several serious limitations. Some major limitations of NLC formulations are stability of the NLC systems, lipid modification inside NLC during storage, leakage of the incorporated drug, insufficient loading and fast release of the incorporated hydrophilic and amphiphilic drug molecules. In the present set of research work, new set of NLC formulations were developed and modified to overcome the mentioned drawbacks. The main aim of the entire works presented in the dissertation is to establish them as the novel drug carrier systems. Throughout the thesis, different NLC formulations were prepared using different combination of phospholipids, triglycerides, saturated and unsaturated fatty acids. Synthetic ion pair amphiphile (IPA) was also used as one of the lipidic components in enhancing the stability of the NLC formulations. Several amphiphilic drug molecules like curcumin (CUR), chrysin (CHR), long chain derivatives of chrysin (LCDs) and oleanolic acid (OLA) have been used throughout the work presented in this thesis. The work discussed in the CHAPTER 1 is mainly aim to investigate the effect of the different fatty acid and the role of the fatty acid chain length on the stability and performance of the NLC formulations. In this chapter the NLC formulations were designed to deliver the CUR as a topical drug delivery system and make it applicable as a potent anti bacterial agent. It was observed that the physicochemical character performance of the NLC formulations in terms of drug loading, drug incorporation efficiency and drug release rate were found to be dependent on the length of the hydrocarbon chain of the used fatty acid on the NLC. The biological activity of the CUR loaded formulations were also studied in terms of antibacterial activity against *Bacillus amyloliquefaciens* bacteria, isolated from soil. The activity of CUR was found to be improved in combination with the NLC systems. The aim of CHAPTER 2 was to improve the bioavailability and drug loading capacity of CHR in NLC formulations and use the drug loaded NLC formulation as anti cancer agent. In this work, lipophilicity of CHR was enhanced by synthesizing LCD by incorporating hydrocarbon chain on CHR molecule. Improved

physicochemical stability and performance were noted for LCD loaded NLC formulations. LCD loaded NLC formulations were also found to show higher anticancer activity in comparison to the CHR loaded NLC formulations. The aim of CHAPTER 3 is to enhance the physicochemical stability and performance of the conventional NLC formulations by substituting the natural phospholipid (SLC) by synthetic ion pair amphiphile (IPA) and using those IPA modified NLC formulations (NLC_{IPA}) as the drug delivery systems for anticancer drug, OLA. The physicochemical properties of the NLC_{IPA} formulations were compared with the conventional NLC formulations. The stability of the NLC_{IPA} were found to be improved in comparison to the conventional NLC formulations. *In vitro* cytotoxicity of the OLA loaded NLC and NLC_{IPA} formulations were studied against HepG2, Huh-7 and HCT-116 cancer cell lines using MTT assay technique. The activity of the OLA loaded NLC_{IPA} were higher than the OLA loaded conventional NLC formulation. The aim of CHAPTER 4 was focused on the development of suitable NLC systems for hydrophilic water soluble drug, PYZ. Low entrapment efficiency, drug loading capacity and very fast release of the incorporated drug restrict the use of the conventional NLC systems towards water soluble drug. In the present work the conventional NLC formulations were modified by incorporating nonionic polymer PEG 2000 and NLC_{PEG} formulations were developed. Significant improvement in the stability was noted for the NLC_{PEG} formulation due to the marked reduction in the coagulation rate and lipid modification. The presence of the additional PEG 2000 layer provided a large deal of steric stability, higher drug incorporation efficiency, high drug loading capacity and prolonged release of the incorporated drug. The present work is expected to be helpful for the further modification of NLC for the delivery of water soluble drug in the near future.