The focus of pharmaceutical research is being steadily shifted from the development of new chemical entities (NCEs) to the development of novel drug delivery systems of existing drug molecules to maximize their effectiveness in terms of therapeutic action and patent protection. This is due to decreasing number of NCEs approved by FDA, in 2008 only 21 new drugs were approved for marketing in the United States. To add to this issue, more than 50% of the NCEs are poorly soluble and poorly bioavailable. Lipid based drug delivery systems have been known to enhance the bioavailability of poorly soluble and/or poorly permeable drugs. Among the lipid based formulations, proliposomes are one of the very promising drug delivery systems in enhancing oral bioavailability of drugs. The oral delivery of liposomes could be improved by enhancing the ability of liposomes to retain their integrity at the site of absorption which could be achieved by formulating them into proliposomes. We have developed the proliposomal formulations of exemestane, a breast cancer drug, which suffers from poor solubility, first pass metabolism and bioavailability problems. These proliposomal formulations of exemestane might lead to improved oral bioavailability due to enhanced solubility, permeation and, thus absorption.