Specific delivery of drugs to diseased sites in the body is a major topic in the development of drug delivery systems today. Especially, the field of cancer treatment needs improved drug delivery systems as the strong dose-limiting side effects of chemotherapy today often present a barrier for an effective cure. Liposomes have attracted much attention since they were first proposed as potential drug carrier agents in the 1970s. Chapter one gives an introduction to the strategies used in liposomal drug delivery today. The important issues as enhanced specific uptake in diseased tissue and effective unloading of the encapsulated drug have been tried optimized in a variety of ways. Many propose the use of small molecules, such as vitamins and peptides, for active targeting of the liposomes to overexpressed receptors on the cancerous tissue. Once located close to the diseased site a trigger mechanism for releasing the drug from the liposome interior is often needed. Several approaches have been suggested to work as release mechanisms such as pH changes, the presence of enzymes or external applied stimulus as heat or light. Chapter two deals with the synthesis of the functionalized phospholipids, which function as the targeting moiety on the surface of the liposomes. Several examples of synthetic procedures known from the literature are presented. The chapter is completed with a study covering the conjugation efficiencies of a variety of chemical functionalities. Large differences are revealed between the conjugation efficiency in solution and directly on the surface of the pre-formed liposomes. In chapter three the efficiency of the targeted liposomes is investigated. In vitro experiments using fluorescent phospholipids and in vivo experiments using radiolabeled liposomes and a PET imaging technique. The results were encouraging and proved the large potential of radiolabeled liposomes as candidates for revealing the biodistribution of drug delivery systems. Chapter four deals with one of the large dilemmas, when using liposomes as drug delivery agents. The presence of a shielding polymer layer on the surface of the liposome is important in order for it to circulate in the blood stream. However, the presence of the polymer obstructs the uptake pattern of the liposomes, limiting the therapeutic efficacy of the liposomes. We developed liposomal formulations which present a targeting moiety on the surface to guide the uptake, in addition to an enzymatically cleavable peptide sequence, whose cleavage would result in removal of the polymer layer as well as uncovering cationic charges on the liposomal surface. These systems were shown to have superior drug efficacy in vitro.