Bioavailability and bioequivalence are the widely used terminologies in any drug development and approval process. Mostly bioavailability studies, whether for a new or generic product will be conducted to identify the quantitative nature of a specific drug/product comparison. The comparison for a new drug may be to assess the performance of an oral dosage form relative to that of an intravenous dose, or perhaps the performance of a modified-release formulation in comparison to a conventional capsule. Similarly for generic product, it is often to compare new formulation with a reference/innovator product. Several factors which majorly effects the bioavailability are physiological/pathological, physicochemical and dosage regimen considerations. Bioequivalence studies should be carried out when bio-inequivalence may have therapeutic significance. If a new formulation is intended to be a substitute for an approved formulation, the equivalence with this product should be shown or justified. The bioavailability and bioequivalence of the novel drug delivery systems are very challenging and great prospects are associated. In recent years there are several organizations working for the design of the regulatory guidelines in the areas of novel and targeted drug delivery systems.