The aim of the present work was to prepare and evaluate sublingual fast dissolving films containing Metoprolol Tartrate-loaded niosomes. Niosomes were utilized to allow for prolonged release of the drug, whereas, the films were used to increase the drug’s bioavailability via the sublingual route. Niosomes were prepared using Span 60 and Cholesterol at different drug to surfactant ratios. The niosomes were characterized for size, zeta-potential and entrapment efficiency. The selected niosomal formulation was incorporated into polymeric films using HPMC and MC as film-forming polymers and Avicel as superdisintegrant. The physical characteristics (appearance, texture, pH, uniformity of weight and thickness, disintegration time, palatability) of the prepared films were studied, in addition to evaluating the in vitro drug release, stability, and in vivo pharmacokinetics in rabbits. The release of the drug from the medicated film was fast (99.9% of the drug was released within 30 min), while the drug loaded into the niosomes, either incorporated into the film or not, showed only 22.85% drug release within the same time. The selected sublingual film showed significantly higher rate of drug absorption and higher drug plasma levels compared to that of
commercial oral tablet. The plasma levels remained detectable for 24 h following sublingual administration, compared to only 12 h after administration of the oral tablet. In addition, the absolute bioavailability of the drug (i.e. relative to intravenous administration) following sublingual administration was found to be significantly higher (91.06 ± 13.28%), as compared to that after oral tablet administration (39.37 ± 11.4%). These results indicate that the fast dissolving niosomal film could be a promising delivery system to enhance the bioavailability and prolong the therapeutic effect of Metoprolol Tartrate.