Controlled–release Formulations of Carvedilol–loaded Oleogels

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Abstract

Carvedilol is a non–selective beta blocker that blocks both β_1 and β_2 receptors on the heart and other organs in the body. Additionally it has the ability to block α_1 receptors found on blood vessels. The overall result of these actions is a negative chronotropic and inotropic effect with subsequent vasodilation. Carvedilol is used in the management of high blood pressure and as prophylactic treatment in heart failure and after a first myocardial infarction. To decrease re-hospitalization and to achieve maximum benefits of treatment, it is very important for patients to maintain their dosage regimen. In order to increase patient's compliance, the goal of this study was to formulate controlled–release delivery systems of carvedilol to minimize the frequency of drug administration. Two formulations were prepared and evaluated: carvedilol based–oleogel (conventional oleogel) and carvedilol nanoemulsion–based oleogel (carvedilol NE–based oleogel). Oleogels were prepared using the organogelator Compritol® 888. The oil phase, surfactant and cosurfactant were chosen depending on solubility studies. The optimized nanoemulsion system was used for the preparation of carvedilol NE–based oleogel. Rheological studies and dissolution tests were conducted for carvedilol oleogels. Both

oleogels exhibit storage modulus G’ values higher than loss modulus G” which indicates more elastic behavior. Carvedilol NE–based oleogel exhibited higher viscoelastic property compared with that of carvedilol conventional oleogel. Owing to the self–emulsifying property of carvedilol NE–based oleogel, this oleogel showed higher dissolution rate compared with that of carvedilol conventional oleogel. In addition, carvedilol NE–based oleogel has the ability to control the drug release for 8 to 10 h compared to that for carvedilol conventional oleogel.