

http://baudrugdesign.org/2018/congressbook.pdf

6th International BAU Drug Design Congress

13-14-15 DECEMBER 2018

CONGRESS BOOK



P-93

Entrapment efficiency, *in vitro* release testing and release kinetics of chitosan nanoparticles for prolonged antihistaminic treatment

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Background: Levocetirizine dihydrochloride (LCD) is an orally active, third generation non-sedative antihistaminic agent widely used in the treatment of chronic idiopathic urticaria, seasonal allergic rhinitis and hay fever [1]. LCD is rapidly absorbed after oral administration, with the peak plasma concentration attained in 0.9-1h [2]. The fast disintegration behavior of conventional LCD formulations may result in initial burst-released kinetics, permitting the drug to be absorbed very quickly and resulting in high serum concentrations. Under these conditions, local irritation to gastrointestinal mucous membranes and other side-effects are inevitable [1,3]. Therefore, it is desirable to design and develop a rational delivery system for LCD.
Methods: In this study, LCD was successfully incorporated into the chitosan nanoparticles (F-1 and F-2 contains 0.05 g and 0.1g LCD, respectively) by spray drying method aiming oral application. In order to determine the amount of LCD in drug loaded nanoparticles, drug entrapment efficiency (EE) study was performed by validated HPLC method. Release characteristics of the nanoparticles were evaluated with dialysis membrane method at 37°C ±1°C in freshly prepared simulated intestinal fluid (SIF, pH 6.8) during 72 hours. Release kinetics were investigated using DDSolver software in order to evaluate the mechanism of drug release from nanoparticles.
Results: LCD was successfully loaded to the polymeric matrices by spray drying method. In this study, EE % was determined to be 71.37 ± 1.32 and 67.328 ± 2.09 (EE % ± SE) for F-1 and F-2, respectively. LCD release from nanoparticles was much slower than its pure form showing time dependent release manner in release media. Also there was no significant difference between F-1 and F-2 formulations as stated by the release profiles ($p > 0.05$). Moreover, drug release was extended more than 2-fold with nanoparticles which enhances the possible use of them for better treatment. *In vitro* release profiles indicated prolonged release of LCD from nanoparticles which followed Korsmeyer-Peppas kinetic model.
Conclusions: *In vitro* studies showed that cationic chitosan nanoparticles containing LCD are effective carrier candidates for reducing local irritation to GI membranes and other side-effects and optimizing plasma drug concentration that can improve bioavailability and protection the sensitive drug against light, thus also improving the stability.

Keywords: *Levocetirizine dihydrochloride, chitosan, polymeric nanoparticle, in vitro release, release kinetic*