THE AUGMENTATION IN BIOAVAILABILITY OF BILASTINE BY INCLUSION COMPLEXATION

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ABSTRACT

Problem Statement: Allergic rhinitis is a type of inflammation in the nose which occurs when the immune system over reacts to allergens in the air. Allergic rhinitis is the most common form of non-infectious rhinitis, affecting between 10% and 30% of all adults and as many as 40% of children. Epidemiologic studies show that the prevalence of AR continues to increase worldwide as the disease occurs due to air pollution, humidity, cold temperatures, cigarette smoking, etc. Conventional formulation of bilastine (tablet) is having low bioavailability (61%) because the solubility of API in biological fluid is very less and if the food is present more decrement in bioavailability of drug has been observed.

Purpose: The purpose of this study was to overcome the problem associated with the solubility of API- Bilastine. To increase the solubility of Bilastine by inclusion complexation technique, using HP-β-cyclodextrin as a drug carrier. Although the purpose of this study was formulating the orally disintegrating tablet for the desire bioavailability of Bilastine

Methods: HP-β-cyclodextrin was chosen as drug carrier. 3^2 factorial design was applied and total 9 batches of complexation were prepared and evaluated for solubility. From the results batch F8 was considered as optimized batch having higher solubility. Based on the preliminary study Crospovidone was chosen as

superdisintegrant for the preparation of ODT. Drug-polymer complex were evaluated by Solubility study, FTIR study, DSC study, % yield and % drug entrapment. Formulation was evaluated by disintegration time, wetting time, dispersion time, drug content, in-vitro drug release study and stability study.

Results: The solubility of optimized complexation batch was found to be 0.827 ± 0.07 mg/ml. While % yield was 95.37 ± 0.032 % and % drug entrapment was 98.11± 0.09 %. The result of FTIR and DSC of complexation shows that Bilastine is complexed into the HP-β-CD. Disintegration time of prepared ODT was 42 ± 1.68 seconds. *In-vitro* dissolution study shows prepared tablet was having drug release of 99.21 ± 0.49 % within 300 seconds. Stability study shows prepared tablet was stable at 40 ± 2^{o} C and 75 ± 5 % RH after 1 month.

Conclusion: In this study, an enhanced solubility of Bilastine was achieved by forming an inclusion complex with HP-β-cyclodextrin. The prepared orally disintegrating tablet of that complexation provides quick release of drug from the formulation.

Keywords: Bilastine, HP-β-Cyclodextrin, Inclusion Complexation, Orally disintegrating Tablet, Allergic Rhinitis.