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FORMULATION AND EVALUATION OF FLOATING CONTROLLED DRUG DELIVERY SYSTEM OF IMATINIB MESYLATE FOR PROLONGED GASTRIC RESIDENCE

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Summary

The objective of the present study was to design and develop a novel gastroretentive drug delivery system for Imatinib Mesylate in the form of floating matrix tablet with an aim to increase the gastric residence time over conventional approaches for Gastroretention. Imatinib Mesylate is an anti cancer drug, it is manly used in the treatment of Gastro intestinal stromal tumors (GIST), Chronic myeloid leukemia (CML). Most of the Gastro intestinal stromal tumors, that means 70% of tumors frequently present in the stomach region only. In the treatment of GIST its a site specific drug and dosing frequency is also high. With the conventional dosage form drastic drug release will takes place, its leads to dose related side effects like Myelosuppression during long term therapy. More over it is having absorption window in upper GIT region. So prolonged release dosage form to be used for controlled drug delivery for this drug.

Systematic studies were conducted using the combination of different polymers in different concentrations to prepare Imatinib Mesylate floating and tablets. All the prepared systems were evaluated for the different properties. Before the preparation of tablets, preformulation studies were conducted like drug- excipient stability studies to find out the interaction, micromeritic properties to assess flowability, compressibility properties and solubility studies. And all the formulations gave good results for above preformulation studies. Formulated tablets gave satisfactory results for various physical tablet evaluation parameters like tablet dimensions, hardness, friability, weight variation, content uniformity and swelling index, all the formulations were found within the permissible range. Tablets were evaluated for floating properties like floating lag time and floating duration time by using 0.1N HCL. All tablets are exhibits good lag time to flow in the medium. And all the tablets remain buoyant for more than 12 hours.

Then prepared tablets were evaluated for *invitro* drug release. In all formulations, combination of HPMC K4M and Corbopol polymers has significantly influenced the drug release due to Corbopol retarding property. Comparing the four different polymers (HPMC K 15M, Sodium CMC, Ethyl cellulose, Carbopol) with the combination of Hydroxypropyl methyl cellulose K4M, and HPMC K4M alone, it was found that hydrophilic polymers, HPMC

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K4M formulations and combination of HPMC K15 M,Sodium CMC released drug rapidly compared to Ethyl Cellulose and Carbopol although it's a hydrophilic polymer it retards the drug release greatly due to the formation of diffusion layer around the formulation when exposed to the medium. Among all formulations combination of HPMC K4M and Carbopol provided better controlled release characteristics with excellent drug release and in-vitro buoyancy.

Drug release profiles are fitted to kinetic modelings like zero order, first order, Higuchi model and Korsemeyer peppas models. And it was found that the formulations were best fitted to Zero order and Higuchi model. And diffusion coefficient (n) is found to be 0.118 – 0.488, that Fickian diffusion. Stability studies were conducted for optimized formulation at 40°C and 75% RH, evaluated for some parameters after 3 months. And the formulation is found stable for all evaluation parameters.