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Short Communication

A Brief Note on the Enhancement of Bioavailability of D_2 Receptor Antagonist

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ABSTRACT

The objective of the resent work was to formulate fast dissolving film of D_2 receptor antagonist so as to achieve higher oral bioavailability by minimizing the first pass metabolism and to enhance the compliance by elderly and pediatric patients. D_2 receptor antagonist being water insoluble drug pose a challenge in formulating fast dissolving film. So, in order to enhance the solubility solid dispersion were prepared using β-Cyclodextrin as carrier. Polynomial equation was derived and validity of equation was checked by preparing and evaluating check point batches. Surface plots were constructed and desirability of the film was calculated and based on it optimized batch for both plain drug and solid dispersion film was determined. Mechanical properties and drug release was compared between the two films and based on it the best film was finally selected.

Keywords: Fast dissolving film; β-Cyclodextrin; Solid dispersion; Factorial design

INTRODUCTION

A route of administration in pharmacology and toxicology is the path by which a drug, fluid, poison, or other substance is taken into the body [1]. Routes of administration are generally classified by the location at which the substance is applied. Common examples include oral and intravenous administration. The route or course the active substance takes from application location to the location where it has its target effect is usually rather a matter of pharmacokinetics. Nevertheless, some routes, especially the transdermal or transmucosal routes are commonly referred to routes of administration. The location of the target effect of active substances is usually rather a matter of pharmacodynamics [2].

Oral administration is a part of enteral administration, which also includes recent trends in Pharmaceutical formulation development technology have presented viable dosage alternatives for patients who may have difficulty swallowing tablets or liquids. Many pediatric and geriatric patients are unwilling to take these solid preparations due to fear of choking. For example, a very elderly patient may not be able to swallow a daily dose of antidepressant in the form of a Caplet shaped Tablet. An eight-year-old with allergies could use a more convenient dosage form than antihistamine syrup. A schizophrenic patient in the institutional setting can hide a conventional tablet under his or her tongue to avoid their daily dose of an atypical antipsychotic. Fast-dissolving drug delivery systems have rapidly gained acceptance as an important new way of administering drugs [3].

FDTs disintegrate and dissolve rapidly in the saliva without the need for water. Some tablets are designed to dissolve in saliva remarkably fast, within a few seconds, and are true fast-dissolving tablets. Others contain agents to enhance the rate of tablet disintegration in the oral cavity, and are more appropriately termed fast-disintegrating tablets, as they may take up to a minute to completely disintegrate. When put on tongue, this tablet disintegrates instantaneously, releasing the drug, which dissolves or disperses in the saliva. Some drugs are absorbed from the mouth, pharynx and oesophagus as the saliva passes down into the stomach. In such cases, bioavailability of drug is significantly greater than those observed from conventional tablet dosage form [4].

Oral Disintegrating Tablets offer dual advantages of solid dosage forms and liquid dosage forms along with special features which include:

Accurate dosing: Being unit solid dosage forms, provide luxury of accurate dosing, easy Portability and manufacturing, good physical and chemical stability and an ideal alternative for pediatric and geriatric patients.

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Enhanced bioavailability: Bioavailability of drugs is enhanced due to absorption from mouth, pharynx and esophagus.

Rapid action: Fast onset of therapeutic action as tablet gets disintegrated rapidly along with quick dissolution and absorption in oral cavity.

Patient compliance: No need of water to swallow the dosage form. Hence, it is convenient for patients who are traveling and do not have immediate access to water.

Ease of administration: Convenient to administer specially for geriatric, pediatric, mentally disabled and bed ridden patients who have difficulty in swallowing.

Obstruction free: No risk of suffocation in airways due to physical obstruction when swallowed, thus providing improved safety and compliance.

Enhanced palatability: Good mouths feel, especially for pediatric patients as taste masking technique is used to avoid the bitter taste of drug.

CHARACTERISTICS OF FAST DISSOLVING DELIVERY SYSTEMS

Taste of the medicament: As most drugs are unpalatable, mouth dissolving delivery systems usually contain the medicament in taste masked form. Delivery systems dissolve or disintegrate in patient's mouth, thus releasing the active ingredients which come in contact with the taste buds and hence, taste masking of the drugs becomes critical to patient compliance [5].

Hygroscopicity: Several fast dissolving dosage forms are hygroscopic and cannot maintain physical integrity under normal condition from humidity which calls for specialized product packaging.

Friability: In order to allow fast dissolving tablets to dissolve in the mouth, they are made of either very porous and soft-molded matrices or compressed into tablets with very low compression force, which makes the tablets friable and/or brittle which are difficult to handle, often requiring specialized peel-off blister packaging.

Mouth feel: Mouth feel is critical, and patients should receive a product that feels pleasant. Any large particles from the disintegrating tablet that are insoluble or slowly soluble in saliva would lead to an unpleasant gritty feeling. This can be overcome by keeping the majority of the particles below the detectable size limit.

Semisolid casting: In semisolid casting method firstly a solution of water-soluble film forming polymer is prepared. The resulting solution is added to a solution of acid insoluble polymer which was prepared in ammonium or sodium hydroxide. Then appropriate amount of plasticizer is added so that a gel mass is obtained [6].

CONCLUSION

The aim of present work was to formulate and evaluate fast dissolving film of D_2 receptor antagonist. Advances in technology have led to the utilization of various newer routes of drug delivery which includes transdermal, nasal, injectable and various other routes of administration. Despite of all this oral route of drug delivery especially tablets remains still the most preferred delivery route. Oral dosage forms included tablets, capsules and liquid preparation which are meant to be taken orally and that transit through the GIT for postbuccal absorption. However, some patient, particularly pediatric and geriatric patients are unwilling to take this solid preparation due to fear of chocking.

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