Hydrodynamically Balanced Gastro-Retentive Site Specific Drug Delivery System: An Innovative Approach

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Introduction

Conventional oral dosage forms suffer with many disadvantages. To avoid such limitations controlled release dosage forms are preferred. When these controlled release dosage forms administered by oral route retained in the stomach and release the drug in a controlled fashion continuously in gastrointestinal tract [1]. Controlled release systems also suffer with some limitations such as short gastric retention time and unpredictable short gastric emptying time, which leads to incomplete drug release in the absorption zone results into reduced efficiency of the dose administered [2]. To achieve a desirable prolonged gastric residence time, it is essential to design a site-specific controlled oral dosage form. The prolonged gastric retention of a dosage form increases the total duration of drug release, improves bioavailability, minimizes the drug loss and enhances solubility of poorly soluble drugs in gastric environment [3]. Furthermore, prolonged gastric retention time in the stomach also leads to effective local action in the upper part of the small intestine especially in the treatment of peptic ulcer. Hydrodynamically balanced gastroretentive drug delivery is an innovative approach which plays important role in prolonging gastric residence time by targeting site specific drug release in the upper intestine for local as well as systemic effect. Over the last few decades different kinds of gastroretentive drug delivery systems being developed. Main among these are: high density (sinking) systems that are designed to sink into the gastric fluid and settle at the bottom of stomach [4], low density (floating) systems that are designed to float on the gastric fluid causing buoyancy [5-7], mucoadhesive systems that are designed to adhere to stomach mucosa [8], unfoldable, extendable, or swellable systems that are designed to limit the emptying of the dosage forms through the pyloric sphincter of stomach [9], superporous hydrogel systems [10] and magnetic systems [11]. The current editorial focused mainly on concise information about hydrodynamically balanced site specific gastroretentive approach for orally administered controlled release dosage forms.

Hydrodynamically Balanced Floating Drug Delivery system

In these system drug is intimately mixed with one or more gel-forming hydrocolloids facilitates the dosage form to remain buoyant on the stomach content. These systems are unit dosage forms prepared either with natural polymeric materials such as agar, carrageenan or alginic acid or synthetic polymers such as hydroxypropyl methylcellulose (HPMC), hydroxyethyl cellulose (HEC), hydroxypropyl cellulose (HPC), sodium carboxymethyl cellulose (NaCMC), polycarbophil, polyacrylate, and polystyrene. The mixed content is placed in a capsule shell and administered orally. The capsule shell dissolves in gastric fluid, content swells to form a gelatinous layer, which imparts buoyancy for an extended period. Due to continuous erosion of surface allows penetration of fluid to maintain hydration and buoyancy. Use of hydrophobic excipients provide low-density dosage form minimize surface erosion. The balance of drug loading and effect of polymer on release profile decides the effectiveness of drug deliveries. Number of strategies have been tried and investigated to improve efficiencies of the floating hydrodynamically balanced systems. Floating drug delivery system is another important approach to achieve gastric retention of drug. These drug delivery systems are mainly meant for drugs with an absorption window in the stomach or in the upper small intestine. The dosage forms are so designed to have a bulk density less then gastric fluid and so remain buoyant in the stomach without affecting gastric emptying rate for a prolonged period and the drug is released slowly as a desired rate from the system. The polymer residual content remained after drug release is emptied from the stomach and this system helps to increase the gastric retention time with better control of the fluctuation in plasma drug concentration. Hollow microspheres or microballoons are other kind of hydrodynamically balanced floating drug delivery systems which are loaded with drug in their polymer shell and prepared by simple solvent evaporation or solvent diffusion / evaporation methods provide prolonged gastric retention time. Amount of polymers used, the plasticizer polymer ratio and the solvent used for formulation decides the buoyancy and drug release from dosage form. Presently microballoons are considered to be one of the most promising buoyant systems due to their combined advantages of multiple-unit system and good floating. Microporous system is another system based on the principle of the encapsulation of a drug reservoir inside a microporous compartment with pores along its top and bottom walls. The surrounding peripheral walls of the device are absolutely sealed to prevent any direct contact of the gastric surface with the un-dissolved drug. When such systems are administered orally, the floatation chamber containing entrapped air causes the delivery system to float in the gastric fluid which penetrates through the aperture, dissolves the drug and causes the dissolved drug for continuous transport across the intestine for drug absorption.

Conclusion

Absorption of drug in the gastrointestinal tract is a highly variable process and prolonging gastric retention of the formulations extends the time for drug absorption. Hydrodynamically balanced site-specific gastric drug delivery systems promises to be a potential approach for gastric retention. In spite of several difficulties to be worked out to achieve prolonged gastric retention, numerous pharmaceutical companies are concentrating towards commercializing this technique. Development of effective hydrodynamically balanced floating drug delivery system is a real challenge to formulation scientists and the
technology available. Currently, plenty of work is going on development of different types of gastroretentive delivery systems of various drugs. In the coming days it is anticipated that these systems may lead to improved efficiency of different pharmacotherapy.

References