CHAPTER 19

Advanced Solid Oral Controlled-Release Formulations

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1. INTRODUCTION

Controlled release is achieved usually by employing a device, where in the aim is to deliver the medicament at a predetermined rate for a predefined duration of time, irrespective of the surrounding environment. Controlled release sometimes also implies to the methods of imparting localization of medicament at the desired site [1, 2].

The history of oral dosage form preparations, including pills and powders, dates back to medieval times. Coating pills with mucilage and silvering and gilding of pills have been practiced since the time of Rhazes and Avicena till the 19th century. However, the initial attempt to control the release of drugs in the gastrointestinal tract (GIT) was done by a German physician, Dr. Paul Unna, who in 1884 introduced a keratin-coated pill that disintegrated in the stomach, but released the drug in the intestine [3].

Most approaches used today for achieving controlled release are not novel but derived from ancient literature, except for the innovation in coating materials and excipients. Still, a large number of controlled release products available in the market today are based on proprietary technologies. The latest scientific advances have made possible for scientists to work with a broad range of materials that include carbon nanotubes and systems such as gels, films, micelles, hydrogels, dendrimers, and liposomes that are collectively termed as advanced drug delivery systems [4–7]. A report from the Grand View Research, Inc. estimated controlled release drug market size to reach US $ 69.8 billion, and a CAGR of 7.8% by 2027 [8].

In this chapter, advanced solid oral controlled release drug delivery systems have been discussed. Gastroretentive drug delivery systems (GRDDS) emerged to enhance the effectiveness of the drugs that have a narrow absorption window in the upper GIT or for local action in the stomach and/or duodenum [9]. Colon-targeted drug delivery systems are effectively used for local and systemic effect by targeting the colon [10]. Feedback-regulated systems use the inherent biological molecules for the delivery of drugs [11]. Enteric-coated systems rely on pH for the delivery of drug and ensure that the drug is released in the small intestine [12]. Osmotic drug delivery systems (ODDS) are found to be effective in achieving the sustained release of the drug [13]. In addition to this, 3D printing-based drug delivery systems, ultra-long acting drug delivery systems, and various patented technologies have also been explained. All the advanced controlled release systems are classified in Table 1.

Controlled release drug delivery systems have many advantages when compared to conventional dosage forms. The conventional dosage forms cause fluctuation in the plasma drug concentration of drugs due to ADME. Controlled release formulations prevent these fluctuations from occurring. They cause a reduction in the dose and dosing frequency, which is very helpful in the case of chronic conditions because of the decrease in patient's burden. Moreover, this has also resulted in an increase in the efficacy of treatment [15–17]. These systems have improved patient compliance and adherence remarkably.

Advanced controlled release systems such as the oral ultra-long acting delivery systems have found wide applications in the treatment of chronic diseases such as HIV, Alzheimer's disease, tuberculosis, and malaria [18–20].

2. GASTRO-RETENTIVE DRUG DELIVERY SYSTEMS

Controlled release oral drug delivery systems are associated with various physiological constraints, such as inability to locate and restrict the delivery system in a specific region of the GIT for localized therapeutic effect. This can be attributed to the variations in gastric emptying and GI motility. Additionally, the shorter gastric

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