Development and Evaluation of Novel Fast Disintegrating Acetaminophen Tablets

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ABSTRACT

In this study, attempts were made to design and developed disintegrating drug delivery system, Acetaminophen fast disintegrating tablet (AFDT) by combining super disintegrants and direct compression method. Acetaminophen is widely used as “over the counter” and “common household drug” as analgesic and antipyretic along with poor absorption due to first pass metabolism. So we aimed to use our novel delivery system to achieve rapid absorption in patients like mentally ill, bed ridden and those who do not have easy access to water. The (AFDT) were produced by combining three super disintegrants viz. Croscarmellose, Crospovidone and Sodium starch glycolate in 4% w/w as ratio of (1:1, 1:2, 2:1) using direct compression method. The optimized batch (A3) of tablet were evaluated for post – compression parameters like hardness (4.5 ± 0.75 kg.cm²), friability (0.76 %), wetting time (42 ± 0.92 sec), water absorption ratio (98.6 %), disintegration time (24.00 ± 0.83 sec.) were found to be acceptable according to standard limits. The in vitro release rate of acetaminophen from (AFDT) was found to be more than that simple formulation in pH (5.8) using USP dissolution test apparatus type-II. These results indicated that, the new (AFDT) formulation system combined advantage of faster release of acetaminophen, which had better effects of rapid oral absorption. Therefore, the AFDT may be used as fast disintegrating delivery system for OTC drug with poor absorption due to first pass metabolism.

KEYWORDS: Acetaminophen; Superdisintegrants; Direct Compression; Compression parameters.

Introduction

The tablet is the most widely used dosage form because of its convenience in terms of self-administration, compactness and ease in manufacturing. For the past one decade, there has been an enhanced demand for more patient - friendly and compliant dosage forms. As a result, the demand for developing new technologies has been increasing annually. Since the development cost of a new drug molecule is very high, efforts are now being made by pharmaceutical companies to focus on the development of new drug dosage forms for existing drugs with improved safety and efficacy together with reduced dosing frequency and the production of more cost-effective dosage forms (Aulton 1998, Carter 1998). However, geriatric and pediatric patients experience difficulty in swallowing conventional tablets, which leads to poor patient compliance. To overcome this weakness, scientists have developed innovative drug delivery systems known as “melt in mouth” or “mouth dissolve” (MD) or sometimes “dispersible” tablets. These are novel types of tablets that disintegrate/ dissolve/ disperse in saliva. Their characteristic advantages such as administration without water, anywhere, anytime lead to their suitability to geriatric and pediatric patients. They are also suitable for the mentally ill, the bed- ridden and patients who do not have easy access to water. The benefits, in terms of patient compliance, rapid onset of action, increased bioavailability and good stability make these tablets popular as a dosage form of choice in the current market (Chang et al., 2000; Dobetti et al., 2001; Gissinger et al., 1980). Directly compressed tablet’s disintegration and solubilisation depends on various factors such as single or combined action of disintegrant, water-soluble excipients and effervescent agent. Disintegrant efficacy is based on force equivalent concept, which is the combined measurement of swelling force development and the production of more cost-effective dosage forms (Aulton 1998, Carter 1998). However, geriatric and pediatric patients experience difficulty in swallowing conventional tablets, which leads to poor patient compliance. To overcome this weakness, scientists have developed innovative drug delivery systems known as “melt in mouth” or “mouth dissolve” (MD) or sometimes “dispersible” tablets. These are novel types of tablets that disintegrate/ dissolve/ disperse in saliva. Their characteristic advantages such as administration without water, anywhere, anytime lead to their suitability to geriatric and pediatric patients. They are also suitable for the mentally ill, the bed- ridden and patients who do not have easy access to water. The benefits, in terms of patient compliance, rapid onset of action, increased bioavailability and good stability make these tablets popular as a dosage form of choice in the current market (Chang et al., 2000; Dobetti et al., 2001; Gissinger et al., 1980). Directly compressed tablet’s disintegration and solubilisation depends on various factors such as single or combined action of disintegrant, water-soluble excipients and effervescent agent. Disintegrant efficacy is based on force equivalent concept, which is the combined measurement of swelling force development and amount of water absorption and defines the capability of disintegrant to transform absorbed water into swelling force (Shrivastava et al., 2013; Wayne et al., 2006).

Acetaminophen is considered to be the inhibition of cyclooxygenase (COX), and recent findings suggest that it is highly selective for COX-2 while it has analgesic and antipyretic properties comparable to those of aspirin or other NSAIDs; its peripheral anti-inflammatory activity is usually limited by several factors, one of which is high level of peroxides present in inflammatory lesions.