

PREPARATION AND EVALUATION OF A TIMED-RELEASE TABLET USING GLYCERYL BEHENATE (COMPRITOL® 888 ATO) AND POLYETHYLENE GLYCOL, AS A CHRONOPHARMACEUTICAL PREPARATION

Yoshiteru Watanabe^{1,2}, Baku Mukai¹, Takumi Ishige¹, Tatsuya Ishikawa¹, Naoya Koizumi¹ and Makiko Fujii^{1,2}

¹Department of Pharmaceutics and Biopharmaceutics and ²High Technology Research Center, Showa Pharmaceutical University, 3-3165 Higashi-Tamagawagakuen, Machida-shi, Tokyo 194-8543, Japan

ABSTRACT

The timed-release preparation is a suitable dosage form for chronopharmacotherapy. In 2002, we demonstrated that a new timed-release tablet (compression-coated tablet), a chronopharmaceutical preparation, can be prepared using conventional hydrophilic polymers such as microcrystalline cellulose (MCC), polyethylene glycols (PEGs), low-substituted hydroxypropylcellulose (L-HPC) and so on, by the compression method.¹⁾ The objective of the present research is to establish the preparation for a novel timed-release tablet using glyceryl behenate (GB, Compritol® 888 AT, NF) instead of MCC, a hydrophilic excipient. GB has excellent tableting properties (the ideal lipid for tableting) and is chemically inert. In the first step, a core tablet including acetaminophen, a model drug, was constructed using L-HPC by direct compression. Subsequently, a core tablet was coated with the mixture of GB and polyethylene glycol (PEG) 6000 in various mixing ratio by the compression method. In dissolution tests (JP), typical delayed-release profiles of acetaminophen from compression-coated tablets prepared were observed and the time required to begin the drug release (lag time of drug release) was markedly prolonged when content of GB in the outer shell of tablet was increased. At a maximum mixing ratio of GB:PEG 6000=9:1, acetaminophen did not dissolve from the compression-coated tablet even at 10 h after start of the dissolution test. We confirmed the preparation of the compression-coated tablet with timed-release (pH-independent delayed-release) profiles of acetaminophen, using excipients of L-HPC (core tablet), GB and PEG 6000 (outer shell).

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