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RESEARCH ARTICLE

FORMULATION, EVALUATION AND OPTIMIZATION OF MUCOADHESIVE MICROSPHERES OF ACYCLOVIR

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Acyclovir-loaded mucoadhesive microspheres using gum tragacanth as a mucoadhesive polymer and barium chloride as cross-linker were prepared for the purpose of improving oral bioavailability of acyclovir. The prepared microspheres were characterized for parameters such as percent yield, percent mucoadhesion, entrapment efficiency, *in vitro* release and flow properties. The formulations were optimized using central composite design using two variables *viz.* gum tragacanth and sodium alginate at three levels. Pharmacokinetic based mathematical models applied to drug release data suggested that the release of drug from microspheres followed fickian diffusion.

Key words: Acyclovir, Mucoadhesion, Microspheres, Gum tragacanth.

INTRODUCTION

For controlled release systems, oral route of administration has received more attention and success because gastrointestinal physiology offers more flexibility in dosage form design than other route. A gastroretentive system means retention of the drug in the GIT for long period of time and sustaining the effect of drug. There are various approaches to increase the gastric retention time of dosage form and mucoadhesive drug delivery systems are one of the methods for drug delivery of drugs which are absorbed from stomach and upper small intestine (Shinde and More, 2008).

Acyclovir is a drug having high solubility at the stomach pH, short $t_{1/2}$, low bioavailability. It has narrow absorption window and absorbed from upper part of GIT. The drug is administered for long period of time and with high dosing frequency and drug amount is also high as 200-400 mg 5 times a day. Due to this, more drug is accumulated in the body, that increases the side effects. Many reports have been found in literature indicating potential role of multi-particulate systems for controlled drug delivery (Dahiya and Gupta, 2011; Kumar and Dureja, 2011; Tripathi *et al* 2011; Basarkar *et al* 2013) Mucoadhesive systems (tablets, capsules, microspheres) are prepared for minimizing the side effects of drug and to enhance the patient compliance (Wikipedia, acyclovir; Shinde *et al* 2010).

The aim of present study was to develop controlled release mucoadhesive gastro-retentive system using acyclovir as drug and Gum tragacanth as mucoadhesive polymer. This targeted delivery of the drug reduces the side effects and also provide an effective and safe therapy with reduced dose and dosing frequency.

MATERIALS AND METHODS

Acyclovir was a gift sample from Ranbaxy (Devas). Gum tragacanth and sodium alginate were obtained from S.D. Fine-Chem Limited, Mumbai and Loba Chemie Private Limited,