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RESEARCH ARTICLE

FORMULATION AND *IN VITRO* EVALUATION OF METOPROLOL TARTRATE MICROSPHERES

Sunita Dahiya[1]* and Om Narayan Gupta[2]

[1]*Dept.of Pharmaceutics, Bhopal Institute of Technology and Science - Pharmacy, Bhojpur Road, Bhopal - 462 045, Madhya Pradesh, India*
[2]*Dept.of Pharmaceutics, Bhagyoday Tirth Pharmacy College, Khurai Road, Sagar - 470 001, Madhya Pradesh, India.*

**E-mails*: sunitadahiya73@rediffmail.com, omnarayan_gupta@rediffmail.com
Tel.: +91-9009484272, +91-9993873800.

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The aim of this study was to prepare and characterize microspheres of a highly water soluble drug metoprolol tartrate by *w/o/o* double emulsion solvent diffusion method using ethyl cellulose polymer. A mixed solvent system consisting of acetonitrile and dichloromethane in a 1:1 ratio, and light liquid paraffin as a primary and secondary oil phase along with span 80 as a secondary surfactant for establishing the external oil phase were employed. The microspheres obtained were found to be spherical and free flowing in nature. The prepared microspheres were characterized by particle size analysis, entrapment efficiency, scanning electron microscopy and *in vitro* drug release studies. It was found that mean particle size and entrapment efficiency of the microspheres were enhanced with increasing drug-polymer ratio but reduced with increasing stirring speed, processing medium and surfactant concentration. SEM studies confirmed that the formulated microspheres were spherical and uniform in shape, porous and non aggregating in nature. Among all formulations, F5 (Drug:EC::1:1) was found to be the best as it released 91.40% of the drug at the end of 8 h following Higuchi matrix model (R^2 =0.987).

Key words: Metoptolol tartrate, Microspheres, w/o/o method, Controlled drug delivery.

INTRODUCTION

In the last few decades, several new techniques for delivery of drugs called controlled drug delivery systems have been developed. These delivery systems are capable of controlling the rate of drug delivery, sustaining the duration of therapeutic activity and targeting the delivery of drug to a specific site. Controlled release drug delivery systems offer both convenience and therapeutic benefits to the patients (Singh, 2000; Bhalerao *et al* 2001; Brayden, 2003). Though numerous routes and dosage forms have been explored in the development of controlled release drug delivery systems, oral controlled release systems have long been and still the most exploited route due to its flexibility in dosage form design. For drugs that are considered to be unsafe or which are rapidly absorbed, have short half-life and well absorbed along the gastrointestinal tract. Controlled release systems provide a useful means of presenting a safer dosage forms with prolonging drug action following a single oral dose (Atyabi *et al* 2004). The ideal release mechanism for controlled release systems should be at a constant rate (zero order). Controlled drug delivery may be achieved through the use of polymers as in the case of microcapsules, transdermal patches, hydrogels, matrix tablets or without polymer as in the case of liposome drug delivery systems in which the drug is encapsulated in vesicles formed by phospholipids, and erythrocytes, which may be impregnated with the drug using hypotonic saline solution and then to be administered