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FORMULATION DEVELOPMENT AND EVALUATION OF TINIDAZOLE MICROSPHERES

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ABSTRACT:

The particulate form of albumin has been regarded as a potential carrier of drug for the site specific action and to mask the faint odour and taste. Tinidazole is an antiprotozoal drug used to treat amoebiasis, which has plasma life of 12 hours in adult with bitter and faint odor. Microspheres are suitable drug delivery system for such candidates. In present research endeavor, tinidazole oral microspheres were attempted with a view to reduce the frequency of dosing and to attain steady state drug levels in addition to mask the bitter taste and faint odor of the drug. Various formulations of tinidazole-loaded albumin microspheres were prepared by heat stabilization process and chemical stabilization process. Preformulation studies for compatibility were carried out by infrared spectroscopy Fourier transform infra-red (FTIR), analysis confirm the absence of any drug polymer interaction. Method development for the drug estimation was carried out by using double beam UV spectrophotometer. The concentration range which obeyed was found between (0-10µg/ml) at absorption maxima 277nm, which had a regression coefficient of 0.983. All the batches of formulated tinidazole were evaluated for various physicochemical parameters like mean particle size, percentage yield, entrapment efficiency, angle of repose. Effect of stirring rate on the size distribution, effect of albumin concentration, effect of in-vitro release rate studies were carried out. In vitro release profile for formulation containing tinidazole –loaded albumin microsphere with cross linking agent shows slow sustained release upto 24 hours. It also obeys first order kinetics. Hence albumin microspheres prepared by the heat stabilization process and chemical stabilization process could be used for the treatment of hepatic amoebiasis where the sustained action is needed.

INTRODUCTION:

Microspheres are defined as solid, approximately spherical particles ranging from 1 to 1000micrometers. They are made up of polymeric, waxy protective substances, where the entrapped substances (the drug) are completely surrounded by a distinct wall, or the substance is dispersed throughout the microsphere matrix. The most important characteristic of microspheres is the microspace separation morphology which endows it with a controllable variability in degradation rate and also drug release.

OBJECTIVE:

The main objective of the work is develop and standardizes oral microspheres formulation for the drug tinidazole which is widely used for the management of hepatic amoebiasis. An oral microsphere is proposed to investigate with respect to its potential to be developed into novel drug delivery systems.

EXPERIMENTAL METHODS:

PRE FORMULATON STUDIES:

- Drug, egg albumin compatibility studies by FITR and IR spectra were recorded for pure drug sample of tinidazole and physical mixture of drug tinidazole and egg albumin.
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- Estimation of tinidazole in ph7.4 phosphate buffer medium using double beam-uv spectrophotometer.

FORMULATION STUDIES:

FORMULATION DEVELOPMENT OF TINIDAZOLE MICROSPHERES:

Tinidazole dissolved in 3ml of water and 5ml of various percentages of egg albumin is added. The aqueous phase consistency of drug and albumin is added drop wise to 10ml of sunflower oil and the resulting emulsion is added to 100ml pre heated oil at 120degrees with constant stirring. The microspheres were kept washed with petroleum ether, later kept in desiccators for 24 hrs.Dried microspheres were passed through sieve no.30 and stored in glass vials.

EVALUATION OF FORMULATED TINIDAZOLE MICROSPHERE

Randomly selected quantities of microspheres from each batch of the formulations were crushed together after pulverization and power sieving, the mixture was analysed by FT-IR.

RELEASE KINETICS:

The zero order models describe the system, where the drug release is independent of its concentration. According to Higuchi model, the drug release from matrix is directly proportional to square root of time and is based on the Fickian diffusion.

RESULTS AND DISCUSSIONS:

The particles were mostly discrete, round or spherical. It was found that on increasing the rate of stirring from 400rpm to 2000rpm the size of the microsphere is reduced to 90 to 5 micrometers in heat stabilization method and 100 to 10 micrometers in chemical stabilization method.

It is found that as protein concentration increases, the particle size also increases. Even though the particle size is increased the drug entrapment has to be improved by in heat and chemical stabilization process it may be due to the increase in the stirring of the medium by mechanical stirrer.

In vitro drug release profiles of the formulation tinidazole microspheres

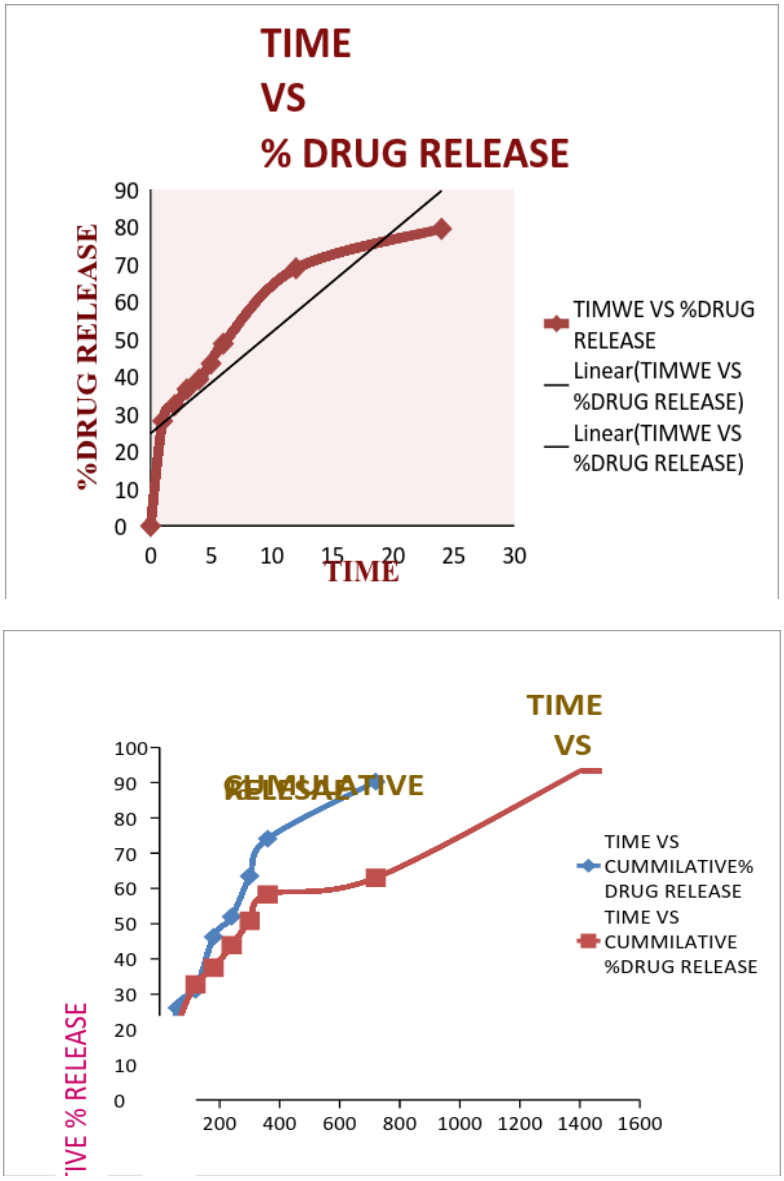

Fig 1:In vitro drug release profile of Tinidazole microspheres F-1:

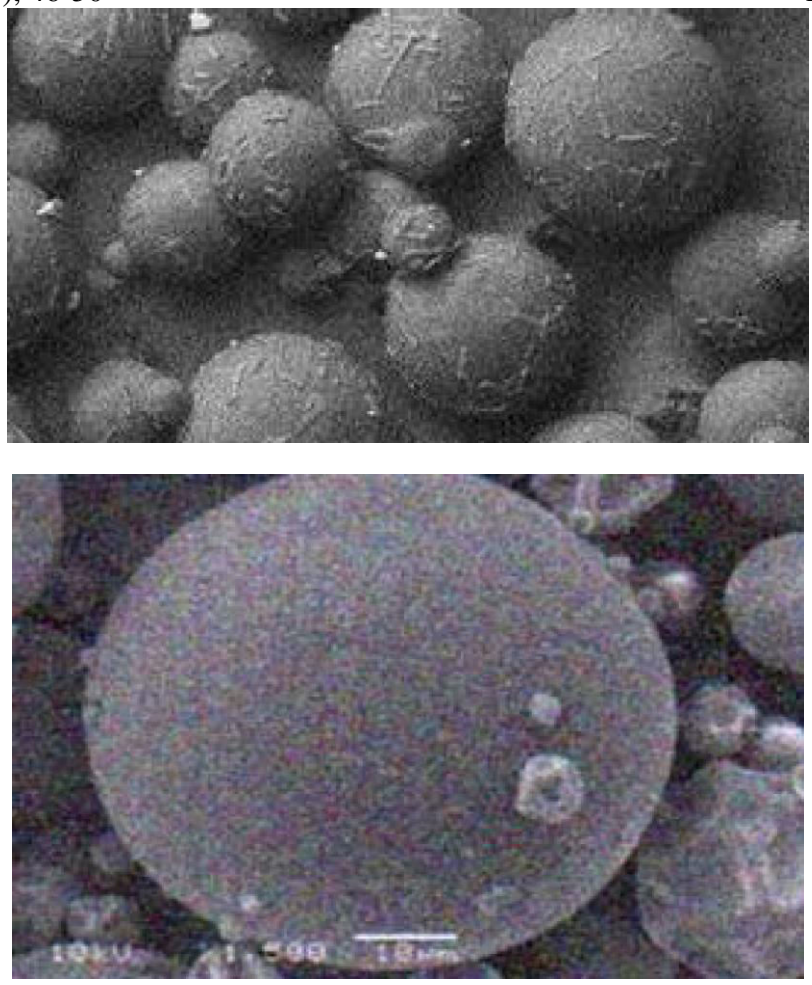

Fig 2: scanning electron microscopic analysis

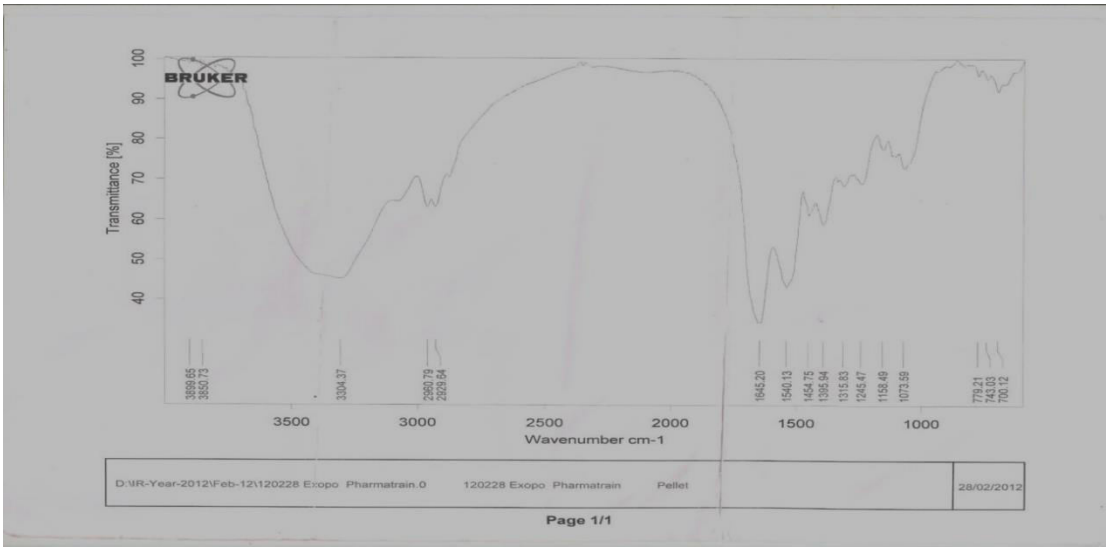

Fig 3:FT-IR of Formulation F1

CONCLUSION:

Microspheres prepared at optimum speed (400rpm) with 2% protein concentration with cross linking agent shows good percentage drug entrapment and sustain release. Hence while formulating microspheres rpm should be taken into account as main criteria. In this study chemical stabilization was found to be superior over the heat stabilization process in the drug entrapment.

Hence the method employed for preparing microspheres and the parameters observed were reproducible albumin microsphere loaded with tinidazole can be used for the treatment of hepatic amoebiasis were sustain action needed.

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