



FORMULATION AND CHARACTERIZATION SENNOSIDES LOADED MICROSPONGES

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ABSTRACT

In present study, the modifications was to implement the drug delivery system to attain drug control release are applied topically from the past few years. The drug delivery system is altered by the micro sponges. The micro sponge method is used for the preparation of prescription products, sunscreen products, cosmetics and over the counter drugs. The preparation of Sennosides microsponges was performed and evaluated which was easy and has an advantage of nullifying solvent toxicity. It was observed that as drug: polymer ratio increased, particle size decreased. Microsponge formulation FS2 showed a good physical parameter study and was used for formulating into gel, incorporated in the carbopol.

Key Words:- Sennosides, Micro gels, Loading capacity.

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INTRODUCTION

In present study, the modifications was to implement the drug delivery system to attain drug control release are applied topically from the past few years. The drug delivery system is altered by the micro sponges. The micro sponge method is used for the preparation of prescription products, sunscreen products, cosmetics and over the counter drugs. The drug components are protected by micro sponges of patent, porous and polymeric microspheres. The micro sponge size of 5-300um in diameter and the particles which are small in

size and inert spheres not able to enter through the skin. Avoid the excessive drug compounds in the skin by micro sponge system. The micro sponge particles are collected in the crannies and tiny nooks in order to transfer the drug components into the skin. The conventional dosage forms such as ointments, powders, creams, gels and lotions are prepared by the micro sponge method.

MATERIALS & PREFORMULATION

Sennosides were supplied by the herbal store in the locality and was authenticated. It is dried and powdered properly and extracted with Ethanol using Soxhlet. It is then filtered and the crude filtrate is collected. This is used as such in further experiments. Carbopol- 940, Ethyl cellulose (EC), poly vinyl alcohol (PVA), Dichloro methane and Tri-ethanol amine are purchased from SD fine chem Ltd. Pure drug and polymer (ethyl cellulose) and their physical mixture were examined by Fourier Transform Infrared (FT-IR) spectra. The spectra were recorded in a Thermo-IR 200 FTIR spectrophotometer. Potassium bromide pellet method was employed and background spectrum was collected under identical conditions. Each spectrum was derived from 16 single average scans collected in the range of 400-4000 cm-1 at the spectral resolution of 20 cm-1.

DESIGN AND DEVELOPMENT

Four batches of micro sponges coded by FS1, FS2, FS3 and FS4 employing dissimilar proportions of ethyl cellulose (EC) and poly vinyl alcohol (PVA) were prepared by emulsion solvent diffusion method. briefly, the dispersed phase consists of Sennosides (100mg) and required quantity of ethyl cellulose (table No. 1) dissolved in 20ml of dichloromethane was slowly added to a certain amount of poly vinyl alcohol (table No.1) in 150 ml of aqueous continuous phase. The reaction mixture was stirred at 2000 rpm for two hours on a mechanical stirrer. The microsponges were collected by filtration and dried at room temperature for 24 hours. The dried microsponges were stored in vacuum desiccators to ensure the removal of residual content [5, 6, 7].

EVALUATION & CHARACTERIZATION

Drug entrapment efficiency

A sample of dried microsponges equivalent to 10 mg was taken in to mortar and pestle and add little amount of phosphate buffer of pH 5.5 and allowed to stand for 24 hours [8]. Then transfer content in to 100 ml volumetric flask and make up volume to 100 ml with phosphate buffer of pH 5.5. The solution was filtered through whatmann's filter paper. From the resulting solution take 1 ml in to 100 ml volumetric flask and then make up volume to 100 ml with phosphate buffer of pH 5.5. Drug content was determined by UV spectrophotometer at 225 nm. The entrapment was calculated by using following formula. The loading efficiency (%) of the microsponges can be calculated according to the following equation:

Loading efficiency = (Actual drug in microsponges / Theoretical drug concentration) 100

Size distribution study

The mean diameter of 100 dried microsponges was determined by optical microscopy (Metzer, India). The optical microscope was fitted with a stage micrometer by which the size of microsponges could be determined [9].

Drug content

1.0 g of each gel formulations were taken in 100 ml volumetric flask containing 20 ml of phosphate buffer (pH 5.5) and stirred for 30 minutes and allowed to stand for 24 hours in case of micro sponge loaded gel formulations. The volume was made up to 100mL and 1mL of the above solution was further diluted to 50 mL with phosphate buffer (pH 5.5). The resultant solution was filtered through membrane filter (0.45 µm). The absorbance of the solution was measured

spectrophotometrically at 268 nm using placebo gel as reference.

In vitro diffusion studies

Modified frenz diffusion cells were used in the in-vitro diffusion studies. The egg membrane was mounted between the compartments of the diffusion cell. In this study, 200 ml of phosphate buffer (pH 5.5) solution was used as receptor medium. The receptor medium was maintained at 37±0.5°C and stirred magnetically at 500 rpm. 1 ml of sample were withdrawn from the receptor compartment at predetermined time interval for 8 hours period, and replaced by same volume of fresh pre-warmed phosphate buffer (pH 5.5) solution to maintain constant volume. The amounts of Sennosides in the samples were assayed spectrophotometrically at 268 nm against appropriate blank.

RESULTS & DISCUSSION

FTIR spectrum of Sennosides micro sponges along with ethyl cellulose and physical mixture were obtained. The characteristic peaks of Sennosides shows 1069.04 (C-O stretch), 2882.55 (C-H stretch), 1361.92 (N=O stretch). Whereas the FTIR spectrum of Sennosides micro sponge formulation shows characteristic peaks at 1052.72 (C-O stretch), 2972.70 (C-H stretch), 1371.94 (N=O stretch). This indicates that characteristic peaks were present even in formulated Sennosides microsponges, indicates that the drug was found to be compatible with the polymers used. The loading efficiency of Sennosides micro sponge formulations are given in Table 2. The loading efficiency calculated for all microsponges ranged from 93.10-97.45% Loading efficiency is varied by changing the proportions of drug, polymer, and emulsifier. Higher loading efficiency is achieved with the formulation consists of drug, PVA, EC the ratio of 1:3:3 coded by FS2 which is selected for the gel preparation. Particle size of micro sponges is varied along with the change in the ratio of polymer (ethyl cellulose) and emulsifier (PVA). By keeping polymer concentration constant, particle size is increased by decreasing the emulsifier (FS1), (FS4). Optimum size is obtained by taking polymer and emulsifier at equal proportions (FS2). Lesser size is obtained by taking lesser proportion of emulsifier than polymer (FS3). At 8th hour the drug release of all formulations in ascending order is FS1>FS3>FS4>FS2. Highest release is from FS1 as it is free drug loaded i.e., 98.13% Among micro sponge loaded formulations FS2 is having highest drug release at 8th hour, i.e. 58.11%. This may be due to lower viscosity and higher content of permeation enhancer. Remaining formulations FS3, FS4 showed drug release at 8 hours 74.90% and 88.0% respectively.

Table 1. Formulation design

Ingredient	FS1	FS2	FS3	FS4
Drug (mg)	100	100	100	100
PVA (mg)	300	300	200	200
EC (mg)	200	200	300	300
DCM (ml)	20	10	20	10
Distilled water (ml)	150	150	150	150

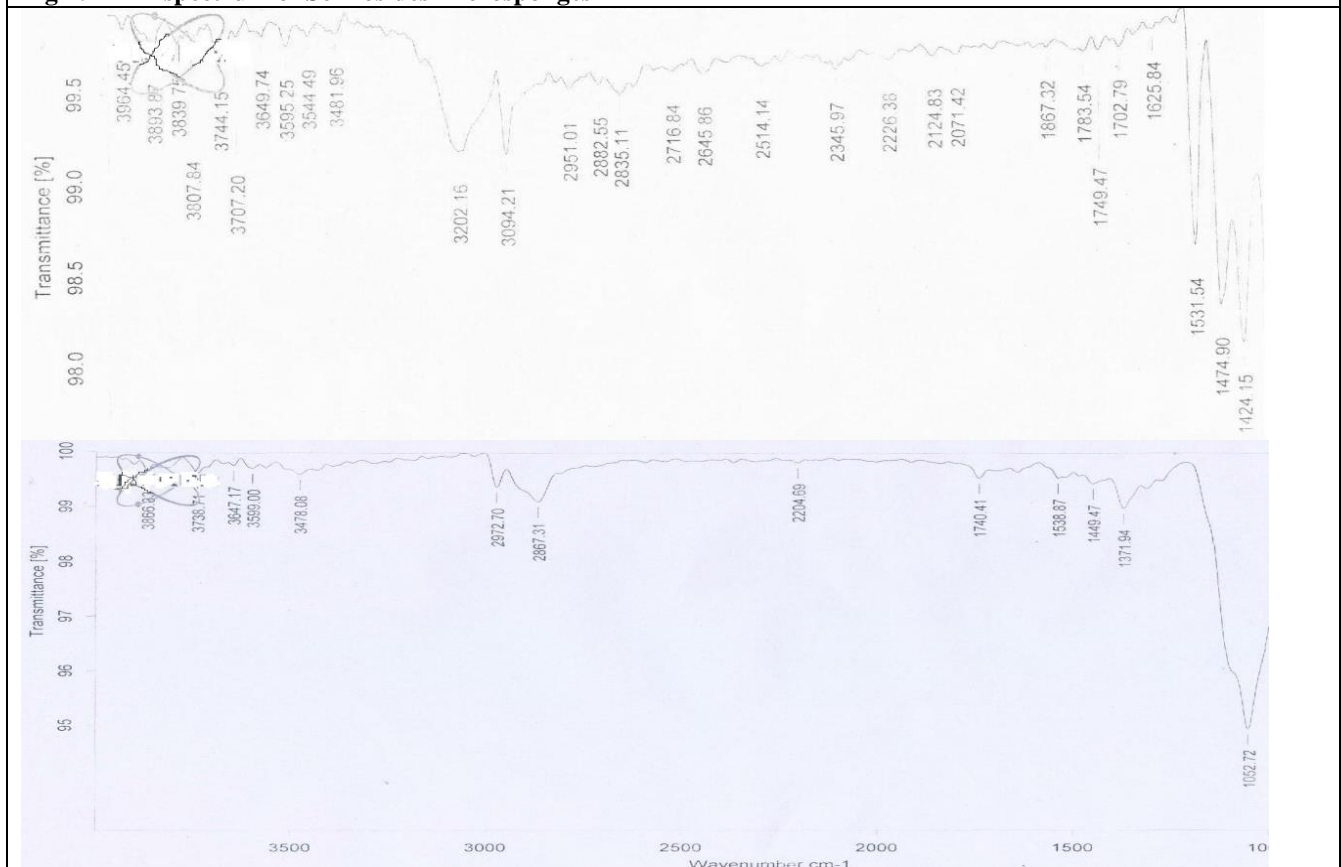
Table 2: Evaluation of the prepared microsponges

S. No.	Formulation code	Loading efficiency (%)	Mean particle size (µm)
1	FS1	93.10	45.9
2	Fs2	97.45	40.2
3	FS3	93.17	34.5
4	FS4	95.70	48.12

Table 3: In vitro drug release studies in formulations

Time hrs	FS1	FS2	FS3	FS4
1	12.74	4.02	7.19	9.23
2	38.42	6.57	13.63	23.72
3	26.58	15.9	28.34	41.45
4	61.83	29.24	34.56	42.7
5	70.1	34.13	46.70	60.11
6	77.96	12.64	59.10	64.38
7	88.25	50.02	65.8	76.84
8	98.13	58.11	74.90	88.0

Fig 1: FTIR spectrum of Sennosides microsponges



CONCLUSION

The preparation of Sennosides microsponges was performed and evaluated which was easy and has an advantage of nullifying solvent toxicity. It was observed that as drug: polymer ratio increased, particle size decreased. This is likely due to the fact that at higher relative drug content, the amount of polymer available per microsphere to encapsulate the drug becomes less,

thus reducing the thickness of the polymer wall and hence, smaller microsponges. Microsphere formulation FS2 showed a good physical parameter study and was used for formulating into gel, incorporated in the carbopol.

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