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“To Study Effect of Polymer, Core Ratio on Yield & Size Distribution of Microcapsules”.

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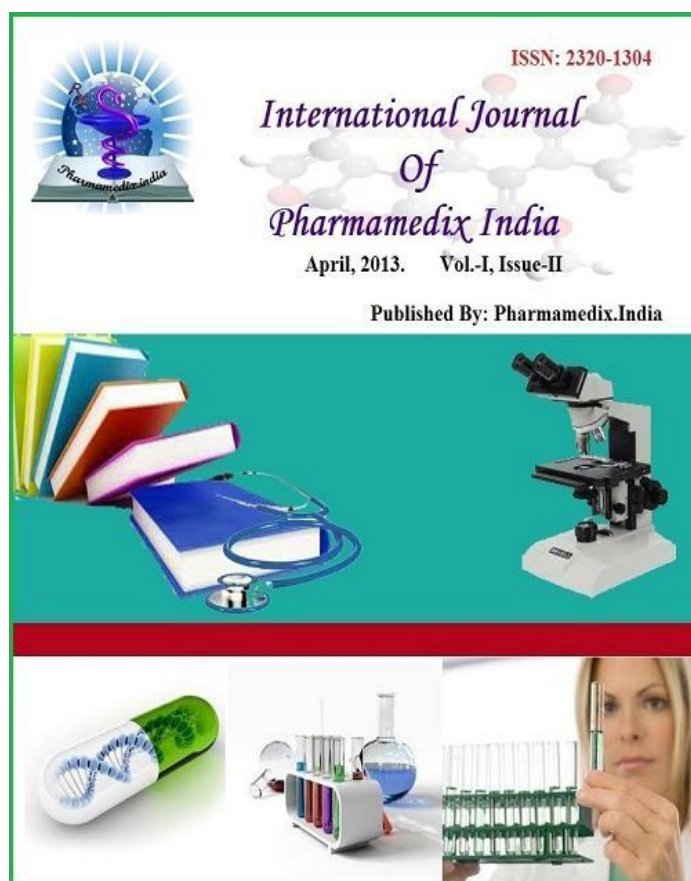
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Abstract:

As because of various applications of microspheres, microspheres are now in practice. Various techniques are available for preparations of microspheres. Utilization of polymer & its strength have great influence on product & its characteristics.. Mainly polymer, core ratio has big effect on practical yield & size distribution of microcapsules.

This is illustrated in one of technique as in this research paper.

Keywords: Microcapsules.

Introduction: ^[1]

- 1) **Microsphere:** it is the smaller particle which is ranging from 1 to 1000 μ .
- 2) **Microcapsule:** it is formed by covering the core material with the suitable polymer so that it acts as drug reservoir matrix from which the drug (core) is slowly released.
- 3) **Microencapsulation:** this is the technique in which the smaller particle like microcapsule, microsphere which coating can be done by different methods of microencapsulation.

Classification:-

Microcapsules can be classified on the basis of their size or morphology.

1) Micro/Nanocapsules:-

Microcapsules range in size from one micron (one thousandth of a mm) to few mm. Some

microcapsules whose diameter is in the nanometer range are referred to as nanocapsules to emphasize their smaller size.

2) Morphology Microcapsules:-

Microcapsules can be classified into three basic categories as monocored, polycored and matrix types

1. Monocored microcapsules have a single hollow chamber within the capsule.
2. The polycore microcapsules have a number of different sized chambers within the shell.
3. The matrix type microparticle has the active ingredients integrated within the matrix of the shell material.

However, the morphology of the internal structure of a microparticle depends largely on the selected shell materials and the microencapsulation methods that are employed.

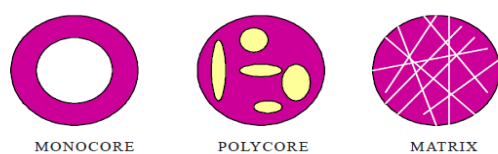


Figure 1. Different types of microcapsules.

Microencapsulation techniques

1. Emulsion polymerisation
2. Interfacial polycondensation
3. Suspension crosslinking
4. Coacervation/phase separation
5. Solvent evaporation/solvent extraction

Application: ^[2] ^[3]

(1) Microcapsules are used for sustained and controlled release.e.g. Drugs like riboflavin, indomethacin, aspirin and steroids like progesterone, testosterone, etc. can be incorporated in it to control their release.

(2) It is used in enteric release dosage form.e.g. Drugs like aspirin, salbutamol sulphate which irritant to the stomach and other side effects can be incorporated in microcapsules for their selective release in intestine.

(3) It is used for drug targeting.e.g. Casein and gelatin microcapsules containing Adriamycin were magnetically delivered to the tumor site.

(4) It is used as antigens carrier.e.g. Microcapsules prepared from poly (lactic acid) and its copolymer with glycolic acid (PLGA) of varying composition has been used to improve the ability of the antigens to provoke a mucosal immune response.

(5) To alter the residence time and to improve the bioavailability.e.g. Albumin and gelatin microcapsules containing pilocarpine nitrate (ophthalmic drug delivery) for delivery to eye increase residence time of drug in the eye and provide improved bioavailability.

(6) It is used to protect reactive materials against environment.e.g. Vitamins, aspirin.

(7) To separate incompatible substances.e.g. The stability of incompatible drugs like aspirin and chlorpheniramine maleate mixture was increased by microspheres of individual components. For isolation from tissues.e.g. KCl, aspirin.

(8) For administration in solid state and dry handling liquids such as eprazine can be converted to a pseudo solid by microcapsules as an aid to handling and storage.

(9) It is used to mask bitter or unpleasant taste of the drug.e.g. guanidine, clofibrate, paracetamol

(10) It is used as an antidote in the poisoning of heavy metals.e.g. Polymercaptal microcapsules on an antidote against mercury poisoning.

(11) To facilitate handling of toxic materials.e.g. Microcapsules have been used to decrease potential danger in handling toxic substances like pesticides, fertilizers and certain pharmaceuticals.

(12) It is used as diagnostically tool.e.g. Microencapsulated radios labeled molecules have been used for diagnostic testing of free

drug or hormone concentrations, such as thyroxin estimation

Requirement

Material

Ethyl cellulose, chloroform, Diclofenac sodium, 0.1 N HCL, 1% w/v sodium carboxy methyl cellulose

Equipment

Remi laboratory stirrer, 50 ml beaker measuring cylinder, glass rod, butter paper, spatula

Formula^[4]

Table: 1: Formula of microspheres

Sr. No.	Ingredient	Quantity	Use
1.	Ethyl cellulose	1 gm	Coating polymer
2.	Diclofenac sodium	1 gm	Core
3.	chloroform	25 ml	Solvent

Procedure^[5]

The Diclofenac Sodium microcapsules were prepared by emulsion solvent evaporation technique by employing the chloroform as solvent and ethyl cellulose as a polymer with

a core: coat ratio of 1:1, 2:3 and 2:1. The polymer (1, 1.5 and 0.5 g) was dissolved in chloroform (25 mL) to form a homogeneous polymer solution. Core material DS (1 g) was added to the polymer solution and mixed thoroughly. The resulting solution was then added in a thin stream to 100 mL of 0.1 N HCl containing 1% w/v sodium carboxy methylcellulose contained in a 250 mL beaker while stirring at 600 rpm to emulsify the added droplets. A Remi medium duty laboratory stirrer with speedometer was used for stirring. Stirring was continued for 10 min to disperse the added mixture as fine droplets. The dispersion was transferred to a Buchner flask and stirring was continued with laboratory stirrer. The solvent was then removed by evaporation at room temperature to produce spherical microcapsules. The microcapsules were collected by decantation and washed with water. The product was then dried at 60°C for 1 h to get discrete microcapsules.

Batches carried

Table: 2: Different ratios of ethyl cellulose drug in microspheres

Sr. no	Features	Batch-1	Batch-2	Batch-3
1	Ethyl cellulose	1.0 gm	3.0 gm	1 gm
2	Chloroform	25 ml	25 ml	25 ml
3	Diclofenac sodium	1.0 gm	2.0 gm	2.0 gm

Evaluation of microcapsules

1) Particle size distribution

Calibration of eye piece: (Stage /eye piece) X size = $2/15 \times 0.1\text{mm} = 13.33\mu$

Ratio of 1:1

Table: 3: Size distribution of microspheres

Range	Mean	No. of particle	% particle	no. of Nxd
500-510	505	10	10	5050
511-520	515	7	7	3605
521-530	525	0	0	0
531-540	535	18	18	8560
541-550	545	21	21	11445
551-560	555	13	13	7215
561-570	565	0	0	0
571-580	575	31	31	17825

Average particle size = $537,00/100$

=537 micron

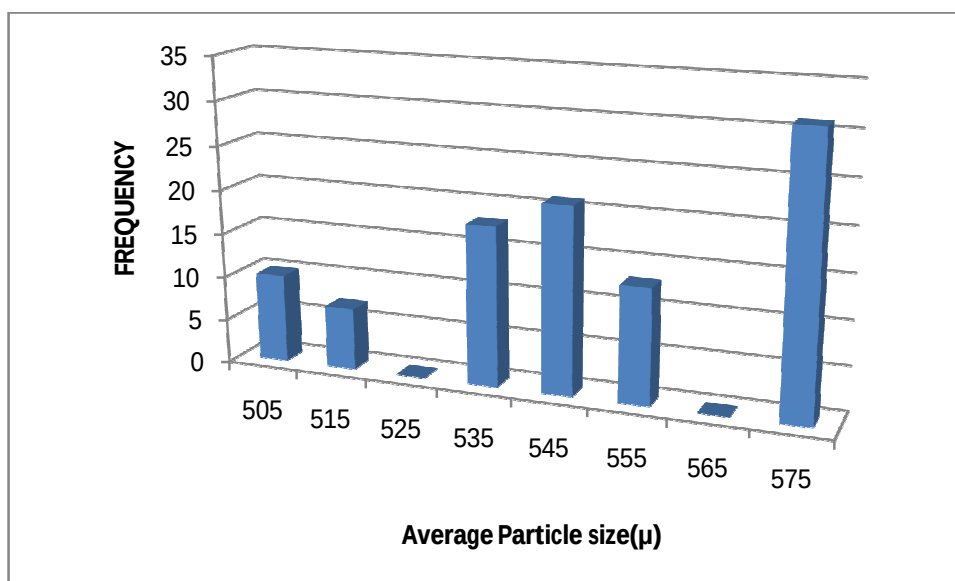


Figure:2: Size distribution of microspheres

Ratio of 2:3

Table:4: Size distribution of microspheres

Range	Mean	No. of particle	% particle	no. of Nxd
420-430	425	21	21	8925
431-440	435	18	18	7830
441-450	445	7	7	3115
451-460	455	10	10	4550
461-470	465	13	13	6045
471-480	475	28	28	13330

Average particle size = $43795/100$

= 437.95 micron

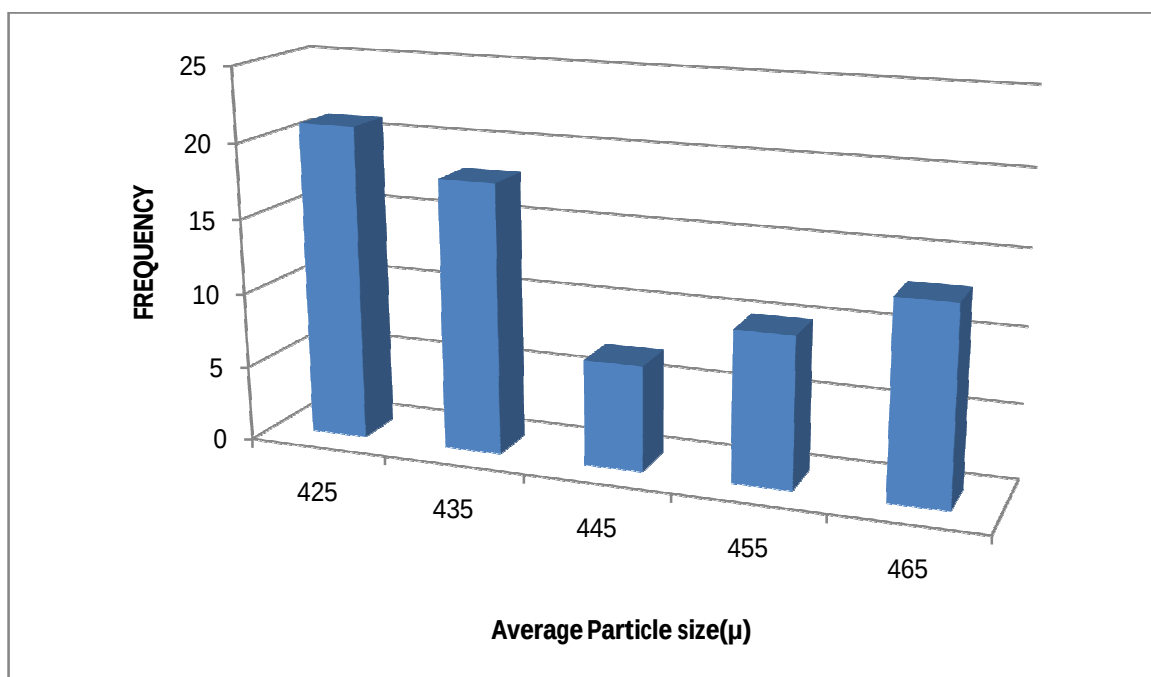


Figure: 3: Size distribution of microspheres

Ratio of 1:2:-

Table: 5: Size distribution of microspheres

Range	Mean	No. of particle	% no. of particle	Nxd
521-230	525	25	25	13125
531-240	535	9	9	4815
541-250	545	35	35	19075
551-260	555	11	11	6105
561-270	565	17	17	9605
571-280	575	3	3	1725

Average particle size = $54450/100$

= 544.50 micron

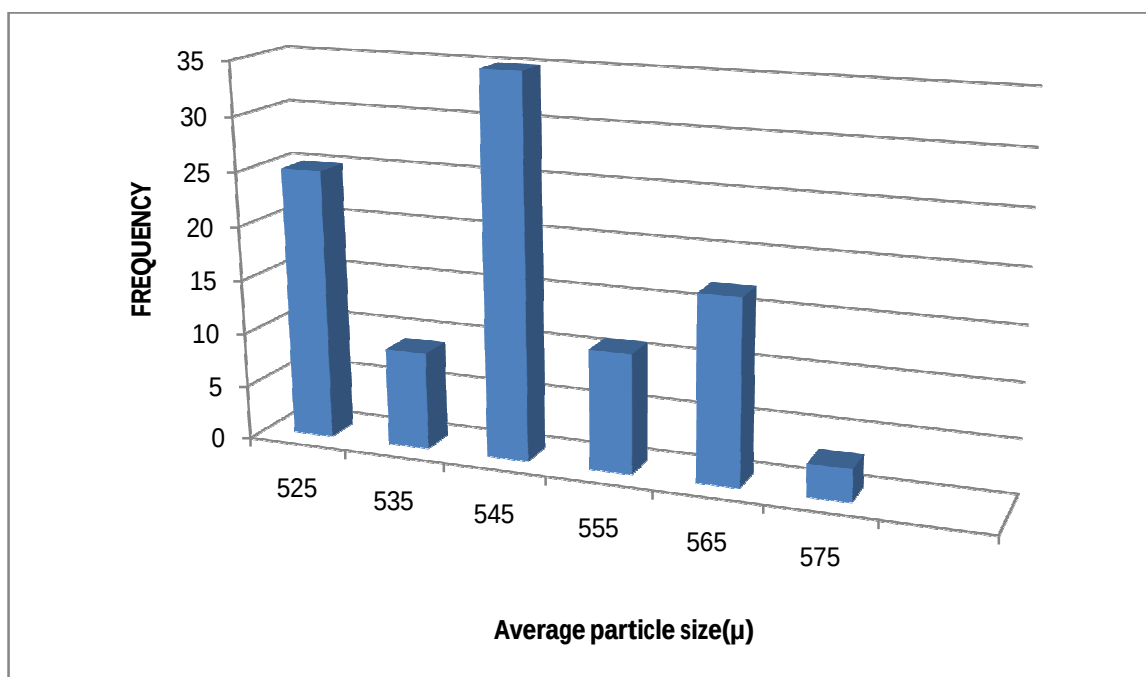


Figure: 4: Size distribution of microspheres

2) Practical yield :-

The % of yield of DS in the microcapsulated product is determined by using the formula,

a)For 1:1 ratio:-

$$\begin{aligned} \% \text{ yield:-} &= \frac{\text{weight of microcapsules}}{\text{Theoretical weight of drug \& polymer}} \times 100 \\ &= 0.3/2 \times 100 \\ &= 15 \% \end{aligned}$$

b)For 2:3 ratio:-

$$\begin{aligned} \% \text{ yield:-} &= \frac{\text{weight of microcapsules}}{\text{Theoretical weight of drug \& polymer}} \times 100 \\ &= 0.5/5 \times 100 \\ &= 10 \% \end{aligned}$$

c)For 1:2 ratio :

$$\begin{aligned} \% \text{ yield:-} &= \frac{\text{weight of microcapsules}}{\text{Theoretical weight of drug \& polymer}} \times 100 \\ &= 0.27/3 \\ &= 9.0 \% \end{aligned}$$

Table: 6: Different practical yield in different batches:

Sr. no.	Formulation code	Core: ratio	coat % yield	practical Average size (micron)
1	F-1	1:1	15	537.0
2	F-2	2:3	10	437.95
3	F-3	1:2	9	540.50

Result And Discussion

The % of practical yield decreased as the concentration of polymer increased in the formulation. The % practical yield was found to be in the range of 9.0 to 15%. The maximum % practical yield was found to be 15% for F-1. The microcapsules of all three batches were found to be discrete, spherical, free flowing and of the uniform size. The average size of microcapsules in various batches was found to be 538 micron, 459 micron, 527 micron, for F-1, F-2, F-3, respectively.

1. Viscosity of ethyl cellulose solution: as we decreases viscosity of ethyl cellulose

solution, the size of microcapsules is decreases with more spherical shape.

2. Stirring speed: as we increases the stirring speed, size of microcapsules decreases.

NOTE: - no disturbance is produce during stirring or else will lead to coalescence of microcapsules.

3. Temperature: temperature of aqueous phase should be about 60⁰ C for effective evaporation of solvent and drying of microcapsules should be achieved under sunlight.

4. Drug to polymer ratio should be 1 : 1 for best result.

Conclusion:

At a definite proportion of ethyl cellulose & drug with chloroform, spherical and uniform microcapsules obtained with uniform size distribution. Sustained release DS microcapsules could be prepared by using ethyl cellulose as a release retardant by emulsion solvent evaporation technique. The microcapsules of all the formulated batches were spherical, discrete and free flowing. The drug content was found to be uniform in a batch of microcapsules. Increasing the polymer concentration in microcapsules formulation decreases the rate of drug release dramatically.

References:

1. M.K.Samanta, R.Dube , B. Suresh, Formulation and evaluation of Trifluoperazine Hydrochloride microcapsules, Indian Journal of pharmaceutical sciences, 1999 , 61(6), 371-376.
2. Mingping Zhang, Zichao Yang, Li-Ling Chow, ,Chi-Hwa Wang*, Simulation of drug release from biodegradable polymeric microspheres with bulk and surface erosions Journal of pharmaceutical sciences, vol. 92, no. 10, October 2003,2040-2056
3. Cory J. Hitzman¹, William F. Elmquist¹, Lee W. Wattenberg², Timothy S. Wiedmann^{1,*} Development of a respirable, sustained release microcarrier for 5-fluorouracil I: *In vitro* assessment of liposomes, microspheres, and lipid coated nanoparticles Journal of pharmaceutical sciences, vol. 95, no. 5, May 2006. 1114-1126
4. MD. Sarfaraz, D. Hiremath, K.P.R. Chowdary, Formulation and characterization of Rifampicin microcapsules, Journal of pharmaceutical sciences, vol. 90, no. 12, December 2001. 2121
5. B.Apparao, M.R.Shivalingam, Y.V.KishoreReddy, T.Jyothibas, T.Shyam, N.Sunitha, Design and evaluation of sustained release microcapsules containing Diclophenac sodium, International journal and pharmaceutical and biomedical research 2010, 1(3) , 90-93
6. www.pharmscidirect.com.