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PREPARATION AND CHARACTERIZATION OF FLOATING DRUG DELIVERY SYSTEM OF TELMISARTAN

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Abstract:

In this study, the gastro retentive floating drug delivery system for the hypertension medication Telmisartan, which is made from HPMC and sodium alginate, will be developed and evaluated. assessed for in vitro buoyancy, swelling, dissolution, and release mechanism, as well as physico-chemical characteristics. Based on the buoyancy and dissolving tests, F 9 was chosen as the best formulation. There was a non-Fickian diffusion mechanism and zero order rate kinetics in the optimised formulation. When FTIR tests were used to characterise the optimised formulation, no drug-polymer interaction was found.

Keywords: Telmisartan, Sodium Alginate, Sodium Bicarbonate, Calcium Carbonate

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INTRODUCTION:

Floating drug delivery systems are known as low-density systems because their density is lower than gastric contents, they float in the stomach. The floating drug delivery system is based on the mechanism system of float, these systems are mainly two different technologies that have been utilized in the development of FDDS which are: effervescent system and non-effervescent system. Effervescent Floating system are matrix-type, arranged with the help of swellable polymers, for example, methylcellulose and chitosan and various effervescent compounds, example Sodium bicarbonate, tartaric acid and citric acid. They are planned so that when in contact with the acidic gastric substance, CO_2 is freed and gets entangled in swollen hydrocolloids, which gives lightness to the measurement dosage forms.

MATERIALS AND METHODS:

Budesonide was obtained as a gift sample from MSN Labs Pvt. Ltd. HPMC K100,Sodium Alginate, Sodium Bicarbonate, Calcium Carbonate were purchased S.D fine chemicals from Mumbai, India.

Methodology:

Preparation of Telmisartan-loaded microspheres

Each of the other polymers, including telmisartan, was run through sieve number 60. The necessary amounts of HPMC K100 M, sodium alginate, and NaHCO3 were dissolved in filtered water to create a uniform polymer solution. Following its addition to the polymer solution, Telmisartan was vigorously stirred with a stirrer to create a viscous dispersion. A syringe fitted with a size 18 needle was then used to manually add the resultant dispersion drop by drop into a calcium chloride (10% w/v) solution. The spherical stiff microspheres were created by keeping the additional droplets in the calcium chloride solution for 15 minutes in order to finish the curing reaction. After collecting the microspheres using decantation, the separated product was periodically cleaned.

Table 1: Formulation Table of Telmisartan floating microspheres

Ingredients (mg)	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10	F11	F12
Telmisartan	40	40	40	40	40	40	40	40	40	40	40	40
Sodium alginate	10	20	30	20	40	60	30	60	90	40	80	120
HPMC K100M	30	20	10	60	40	20	90	60	30	120	80	40
$NaHCO_3$	75	75	75	75	75	75	75	75	75	75	75	75
$CaCl_2$	5	5	5	5	5	5	5	5	5	5	5	5

Evaluation parameters:

Drug encapsulation efficiency

The microspheres, at 100 mg, were precisely weighed. After dissolving, the medication was evaporated from dichloromethane into a pH 7.4 buffer. To reach the 100 ml volume, buffer was added. To ascertain the drug concentration, the solution was then suitably diluted before being subjected to spectrophotometry at 236 nm against a pH 7.4 buffer.

$$\frac{\text{Concentration of drug in sample}}{\text{Total concentration of drug}} \text{ X } 100$$

Particle size determination

Photon correlation spectroscopy and a Zetasizer were used to determine the average particle size and polydispersity index of the dispersions (DTS Ver. 4.10, Malvern Instruments, UK).

Buoyancy Study and Floating Behavior of Microspheres

The surface of a 200 ml glass beaker filled with 100 ml of 0.1 N HCL containing 0.02% v/v Tween 80 was covered with 100 mg of prepared microspheres. The combination was left for overnight 12 hours. By using decantation, floating microspheres were isolated. Filtration was used once again to separate sinking particles. Both sorts of particles were desiccated until they had a constant weight.

$$\% \text{ Buoyancy} = \{[wf / wf + ws] \times 100\}$$

Swelling index

Using100 mg worth of microspheres that have been loaded with Drug, a known quantity, we measured the swelling index of microspheres by allowing them to expand within100 mL of so-called fake intestinal fluid (pH 7.4, phosphate buffer) over the course of the necessary time. Blotting with filter paper removed the surface-adhered liquid drops, and a microbalance was used to measure the increased mass of the microspheres. Using the method, we were able to determine the degree of swelling by comparing the microspheres' original weight with their final weight [385, 386]:

$$\% \text{ swelling index} = \frac{Wf - Wi}{Wi}$$

Where, Wi and Wf are the initial and final weights of microspheres.

Scanning electron microscopy (SEM)

The surface powder was coated with a thin coating of metal palladium using an automatic fine coater (Model: JFC1600, Jeol Ltd., Tokyo, Japan). Double-sided carbon tape was used to attach an optimized formula to a metal rod. All samples were examined using scanning electron microscopy (LEO 435 VP) with an acceleration voltage of 30 kV.

Determination of Particle Size and Zeta Potential

Once Telmisartan-loaded microspheres were created, the Zetasizer was used to quantify the microparticle size and polydispersity index (PDI) 300HS (Malvern Instruments, UK). They also had their appropriate zeta potentials determined by laser Doppler anemometry. Every sample was diluted ten times using fresh double-distilled water.

Fourier Transform Infrared Spectroscopy (FTIR)

The KBr disc method (5 mg samples for every 100 mg dry KBr)) was used to record FTIR spectra of the produced microspheres using a Bruker spectrophotometer (model IFS 66/S). performed in the 4000-400 cm1 wavelength range.

RESULTS AND DISCUSSION:

DRUG ENTRAPMENT EFFICIENCY

% Drug entrapment efficiency of Telmisartan floating microspheres ranged from 27 % to 95 %. The drug entrapment efficiency of the prepared microspheres increased progressively with an increase in proportion of the polymers. The particle size increases exponentially with viscosity.

PARTICLE SIZE ANALYSIS

A considerable increase in viscosity caused the mean size to rise with increasing polymer concentration, which in turn caused an increase in emulsion droplet size and, ultimately, a larger microsphere size.

Table 2: % Entrapment Efficiency and Particle Size of all formulations

FORMULATION	% Entrapment Efficiency	Average Particle Size (µm)
F1	75.00	751
F2	95.00	962
F3	27.50	819
F4	56.25	883
F5	29.75	849
F6	42.50	906
F7	50.00	735
F8	40.00	783
F9	56.00	816
F10	73.00	773
F11	45.00	1068
F12	37.50	1153

Fourier transforminfrared spectroscopic (FT-IR) analysis

C-N stretching vibrations between 1350 and 1000 cm1, CH3 bending vibrations between 1455 and 1381 cm1, C-C aromatic band and stretching at 1599 cm1, C-H bending vibrations between 1460 and 1695 cm2, and C=O stretching vibrations at 1695 cm2 were all observed in telmisartan's IR spectra. The absorption bands within the carbohydrate fingerprint range (1500e800 cm-1) demonstrate greater breadth and significant spectral overlap in comparison to the crystalline SC spectra. Absorption of the C-H aliphatic bending was seen as peaks in the inulin spectral absorbance between 600 and 800 cm-1. The OH bending signal of adsorbed water was replicated through the manifestation of a significantly elevated peak at approximately 1653 cm-1, a finding that is in line with prior research.

SHAPE AND SURFACE MORPHOLOGY (SEM):

The microspheres' morphology was examined using scanning electron microscopy. The Formulation F9 micrographs, which were captured using a scanning electron microscope, are displayed in Figure 5.5.

According to the SEM research, the surface of the microspheres had pores, which may indicate that the medicine is released through a diffusion mechanism.

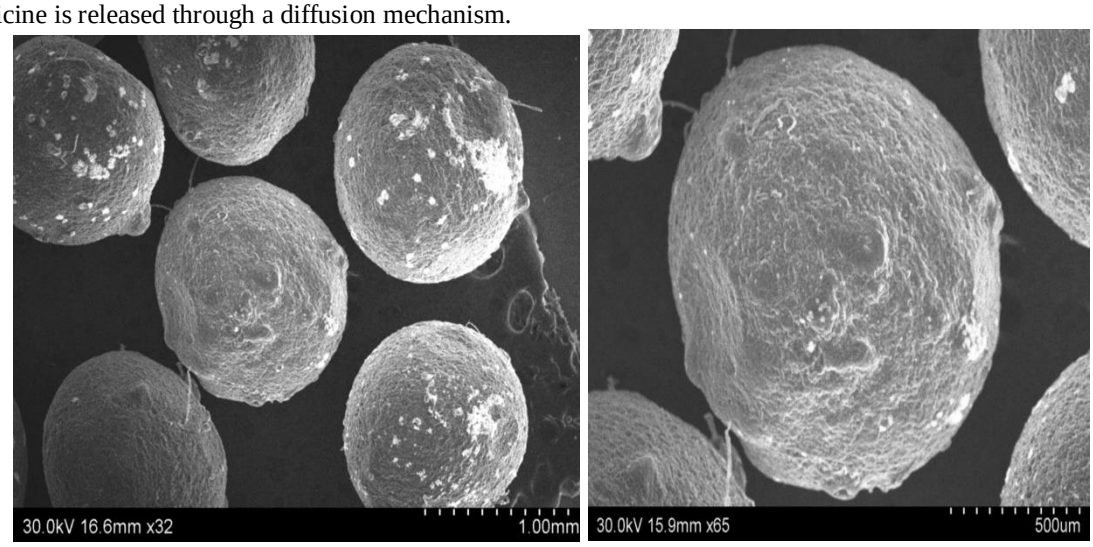

Figure 15 : SEM micrograph of optimized formulation

***IN-VITRO* DRUG RELEASE STUDY:**

Telmisartan's in vitro dissolution from produced microspheres showed a biphasic process. Due to drug particles on the microspheres' surface, there was a burst effect (greater release) during the first phase of telmisartan release from the microspheres, followed by a second phase of moderate release. Formulations with larger concentrations of the rate-controlling polymers have been found to have a higher sustained release activity. At 180 minutes, it was discovered that the optimised formulation F9's cumulative percent drug release was 95.42 percent.

Table 6: In-vitro dissolution profiles of Telmisartan floating microspheres (F1-F12)

TIME (mins)	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10	F11	F12
0	0	0	0	0	0	0	0	0	0	0	0	0
5	10.50	12.62	6.52	10	12.61	19.68	21.81	9.06	9.15	9.62	10.17	8.34
10	23.53	17.25	10.36	12.57	17.30	23.80	28.63	19.57	16.52	14.53	13.67	10.60
15	26.27	20.90	15.74	14.46	22.72	29.09	27.27	20	19.09	26.36	18.18	151.45
20	32.63	29.09	30.61	16.43	27.27	37.27	33.63	31.81	20.90	33.63	21.18	36.36
25	41.90	37.27	38.55	19.13	30.90	54.54	60.21	46.36	46.36	55.45	28.18	71.81
30	46.50	44.54	46.52	36.43	38.18	60.90	71.81	72.72	79.09	62.72	42.72	73.63
45	63.56	50.75	57.81	47.43	45.45	60.90	73.63	73.63	80.90	71.81	55.45	75.45
60	80.90	64.54	65.43	55.57	51.81	62.72	80.90	73.63	84.54	72.72	66.36	84.54
120	82.72	64.54	66.37	65.43	55.45	62.72	81.81	73.63	86.36	73.63	79.09	82.72
180	82.72	64.54	71.81	70.45	55.45	62.72	82.72	73.63	95.45	73.63	84.54	88.18

Floating lag Time:
Using a timer, the microspheres' time to surface after being added to the dissolution medium—a simulated stomach fluid lacking pepsin—at pH 1.2, 37°C, and with the paddle rotating at 50 rpm is measured. The floating lag time for formulas F4, F10, F11, and F12 was less than 5 seconds, while the remaining formulations did not exhibit any floating.

Total floating time:
The time taken by the microspheres to float constantly on the surface of the gastric fluid without pepsin, at pH 1.2, temprature37oC, paddle rotation at 50 rpm, it is measured using stopwatch. From the observations the total floating time was high for formulations F7, F8, F9.

Table 7: Floating lag time & Total Floating time of all formulations

FORMULATIONS	FLOATING LAG TIME	TOTAL FLOATING TIME
F1	5 sec	45 minutes
F2	7sec	40 minutes
F3	5sec	1 hour 25 minutes
F4	No floating	-
F5	48 5sec	49 Minutes
F6	5sec	2 hours 51 minutes
F7	5sec	>3 hours
F8	5sec	>3 hours
F9	5sec	>3 hours
F10	No floating	-
F11	No floating	-
F12	No floating	-

STABILITY STUDIES:
The compositions did not change in terms of colour or physical appearance. This demonstrated the stability of the formulations under storage circumstances. As a result, the optimised formulation F9 should have a shelf life of at least two years.

Table 9: Percentage entrapment efficiency of Formulations F9 after 1 month

Formulation code	Time in month	Accelerated storage condition	% Drug remaining after 1months	% Decrease in entrapment efficiency
F9	1 month	40 °C ± 2 °C/75 % RH	54.02	1.98

SUMMARY AND CONCLUSION:

A promising method for achieving in vitro buoyancy using hydrophilic polymers is gastroretentive floating medication delivery. In terms of the necessary floating lag time and overall floating time, the optimised formulation produces the optimum outcome. FTIR analyses of the optimised formulation (F 9) revealed no drug-polymer interactions.

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