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FORMULATION AND EVALUATION OF GASTRORETENTIVE FLOATING MICROSPHERES OF TELMISARTAN BY USING NATURAL POLYMERS

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ABSTRACT

Background FORMULATION AND EVALUATION OF GASTRORETENTIVE FLOATING MICROSPHERES OF TELMISARTAN USING NATURAL POLYMERS

Abstract

Background: Telmisartan is a BCS class II antihypertensive drug with low aqueous solubility and limited bioavailability. This study aimed to develop gastroretentive floating microspheres using natural polymers to enhance gastric retention and sustain drug release.

Microspheres were prepared by ionotropic gelation using sodium alginate, tamarind gum, guar gum, and calcium chloride. Six formulations (F1–F6) were developed by varying polymer concentrations. Prepared microspheres were evaluated for percentage yield, drug entrapment efficiency, micromeritics, in vitro buoyancy, swelling index, drug release profile, release kinetics, and stability.

Formulation F1 exhibited the highest percentage yield (96.74%), drug entrapment efficiency (99.80%), buoyancy (84.3%), and swelling index (87%). All batches demonstrated excellent flow properties. In vitro drug release over 12 hours revealed sustained release, with F6 showing maximum release (92.00 ± 0.94%) and F1 exhibiting prolonged controlled release (36.14 ± 0.36%). Release kinetics followed zero-order and Higuchi models, indicating diffusion-controlled non-Fickian release. Stability studies at 40°C ± 2°C/75% RH for 60 days confirmed formulation stability.

Keywords: Telmisartan, Floating microspheres, Gastroretentive drug delivery, Natural polymers, Controlled release.

1. Introduction

Oral drug delivery remains the most preferred route due to ease of administration and patient compliance. However, conventional dosage forms often fail to maintain consistent plasma drug levels due to rapid gastrointestinal transit and limited absorption. Gastroretentive drug

delivery systems (GRDDS) prolong gastric residence time, thereby enhancing drug absorption, bioavailability, and therapeutic efficacy.

Telmisartan, an antihypertensive agent, exhibits low aqueous solubility and absorption primarily in the upper gastrointestinal tract. Its short absorption window makes it a suitable candidate for gastroretentive formulations. Floating microspheres represent an effective strategy for sustained release and prolonged gastric retention.

The present study focuses on developing.

INTRODUCTION

Oral routes are attracting the greatest attention of all drug agency methods, especially as they a re easy to manage and provide more freedom in designing doses than most other routes. A cont rolled drug delivery system for administering oral medications ideally creates the required plas ma levels and should remain constant over time. For certain drugs, Advancements in oral drug delivery systems necessitate enhancements in the physiological characteristics of dosage form and gastrointestinal dynamics.[1]

To provide intended therapeutic benefits, the drug tax system promotes the release of active medical ingredients. Traditional drug collection methods (such as pills, capsules, syrups, ointments) cannot provide continuous release due to low bioavailability and change in plasma drug intervertebral discs. Without an effective tax system, the entire course of treatment may fail. To get the best security and effectiveness, you need to take your medication at a specific time and at a controlled pace. Traditional drug collection problems are solved by controlled drug delivery systems. [2]

The stomach aspiration procedure can extend the amount of time the stomach remains in the medicine for a number of hours. The expansion of the gastric transporter (GRT) of a rate-controlled orally drug administration system enhances dose form consistency and bioavailability, especially with compounds with small absorption windows, by lowering the variability between persons and SO known as "peak and valley" effects.[3]

Because the whole stomach intestine is enlarged, the medicine dissolves better and requires a lower dosage. There is reduced solubility in high pH conditions.[4]

Nearly spherical solid microspheres have a diameter ranging from 1 to 1000 µm. The terms "microcapsules" and "microspheres" are frequently used interchangeably. Medications with high gastrointestinal tract (GIT) absorption and brief half-lives are rapidly eliminated from the bloodstream.

In order to address this challenge, oral formulations were developed for controlled or sustained releases (CRS). These formulations load drugs with differences over time. Long-term plasma drug levels remain constant. Over the course of treatment, the therapeutic level of plasma comics provides the optimal dose. Solid doses and standard cans administered at a given interval can achieve this.[5]

The health system is significantly impacted by Drug Delivery Systems (DDS), which enable exact control of the drug's release rate or alignment with a particular bodily location.

During treatment, the best way to deliver a drug is to transport the active ingredient to the effe ctive location and manage the drug at a speed based on the body's requirements.

Therefore, by modifying the drug's release and absorption characteristics, affixing a drug to carriers like liposomes as nanoparticles that or microsphere providers offers a clever method of acquiring pharmaceuticals.

Telmisartan is widely used as an antihypertensive. Telmisartan mainly absorb from stomach site. Telmisartan shows pKa 4.45. BCS class II (high permeability and low solubility), Telmisartan has the longest half-life about 24 hrs and bioavailability 42-100%. The partition coefficiers of telmisartan log P-3.2 (at 7.4 pH). The properties make this drug candidate suitable for suitable for method for medication delivery with continuous release.

Preparation of microspheres using natural polymers has been proposed for sustained the drug in stomach for prolonged duration. This can improve better lowering dosage to increase patient compliance frequency and better Bioavailability as drug resides at stomach for longer duration.

The goal of this study was to develop and evaluate gastroretentive drifting microspheres of telmisartan in order to extend stomach retention following oral administration and so improve the drug's bioavailability.

METHODS

The following drug, excipients and chemicals were selected for the formulation and evaluation of floating Telmisartan microspheres.

Telmisartan from Balaji Enterprises Surat (Gujarat), Sodium alginate (Vishal chem, Mumbai), Tamarind gum (Modern chemical lab, Nashik), Gum guar (Vishal chem, Mumbai), Calcium chloride (Vishal chem, Mumbai).

Pre-formulation Study:

Characterization of API

1. Organoleptic properties
2. Determination of melting point
3. Determination of pH and solubility
4. Spectrophotometric characterization using IR spectroscopy

Organoleptic Properties

The powder was examined for appearance (colour, odour) and nature

Determination of Melting Point

The melting point of Telmisartan, Gum tamarind, and Sodium alginate was determined by using melting point apparatus. The melting point was determined by introducing small amount of substance in the capillary attached to the graduated thermometer and constant heat was applied with the assembly suspend in the paraffin bath (Thiel's tube) and point at which drug melt was noted.

Determination of pH and Solubility

pH of Telmisartan in distilled water 10mg/ml drug solution was prepared and check pH by using digital pH meter and the reading was note. The solubility of Telmisartan by using different solvents. The quantitative solubility of drug is determined and it is found that drug freely soluble in, chloroform and dichloromethane practically insoluble in water or an aqueous solution in the pH range of 3 to 9, sparingly soluble in strong acid and with the exception of hydrochloric acid in which it is insoluble.[6]

Spectroscopic Characterization of Telmisartan:

UV-Visible Spectroscopy Characterization

Determination of λ Max:

Accurately weighed 10mg quantity of telmisartan was transferred in the 100mL volumetric flask and volume made up to 100ml with distilled water from this solution, 1 mL was withdrawn and added to 10ml, volumetric flask, diluted up to 10ml with distilled water Finally the sample was scanned in the range of 200-400nm. The wavelength of maximum absorption was noted and UV Spectrum was recorded.[7]

Calibration Curve in Methanol:

10 mg of API was dissolved in 10 ml of methanol to obtain 1000 µg/ml, Take I mf from above solution and diluted up to 10ml gives the working standard of 100 µg/ml, the solution representing 2 to 16 µg/ml of drug were transferred to 10 ml volumetric flask and the volume was adjusted to 10 ml with water. The absorbance's of the above solutions were taken at λ max 296 nm against the blank solution prepared in the same manner without adding the drug. Hence when the UV spectrum of drug solution in water was scanned at 296 nm.

Calibration Curve in Phosphate Buffer:

10 mg of API was dissolved in 10 ml of the pH 6.8 phosphate buffer to obtain 1000 µg/ml Take ml from above solution and diluted up to 10ml gives the working standard of 100 µg/ml the solution representing 2 to 16 µg/ml of drug were transferred to 10 ml volumetric flask and the volume was adjusted to 10 ml with pH 6.8 phosphate buffer The absorbance's of the above solutions were taken at λ max 296 nm against the blank solution prepared in the same manner without adding the drug. Hence when the UV spectrum of drug solution in pH 6.8 phosphate buffer was scanned at 296 nm. Then, the calibration curve was plotted by taking concentration on x-axis and absorbance on y-axis.

Calibration Curve in 0.1N HCL:

10 mg of API was dissolved in 10 ml of 0 IN HCL to obtain 1000 µg/ml Take | ml from above solution and diluted up to 10ml gives the working standard of 100 µg/ml the solution representing 2 to 16 µg/ml of drug were transferred to 10 ml volumetric flask and the volume was adjusted to 10 ml with water The absorbance's of the above solutions were taken at Amax 296 nm against the blank solution prepared in the same manner without adding the drug. Hence when the UV spectrum of drug solution in water was scanned at 296 nm.[8]

Fourier Transfer Infrared Spectrophotometer (FTIR)

The infrared spectrum of Telmisartan was studied using FTIR spectrometer. The obtained spectra were interpreted with standard spectra for identification of pure drug.[9]

Formulation and Development:

Microsphere Creation and Development:

Gum tamarind was used in the ionotropic gelation process to create the Telmisartan microspheres.

The Steps Involved in Creating Drug-Loaded Microspheres:

The ionotropic gelation process was used to create Telmisartan microspheres using sodium alginate, gum tamarind, and calcium chloride. The drug and polymer were weighed and added to the sodium alginate solution while being stirred at a speed of approximately 300 rpm. Next, 100 ml of the calcium chloride solution was added drop by drop using a 26-gauge syringe,

while stirring continuously for 30 minutes. The microspheres were then filtered and cleaned with purified water, and finally dried at 40°C for six hours.[10]

Table No.1: Composition of Floating Microspheres of Telmisartan

Sr.No.	Formulations	F1	F2	F3	F4	F5	F6
1	Telmisartan (mg)	40	40	40	40	40	40
2	Sodium alginate (mg)	1000	1000	1000	1000	1000	1000
3	Tamarind gum(mg)	1000	900	800	-	-	-
4	Guar gum (mg)	-	-	-	600	500	400
5	Chloride of calcium (%W/V)	5	5	5	5	5	5

Evaluation Of Microspheres:

Yield As a Percentage:

Microspheres that had been thoroughly dried were gathered and precisely weighed. The yield % was then computed using the following formula.[11-13]

$$\text{Percentage yield} = \frac{\text{Total microsphere weight}}{\text{Total weight of drug+polymer}} \times 100$$

Drug Entrapment Efficiency:

0.1N HCL was used to suspend powdered drug-loaded microspheres (10 mg). In order to fully extract the medicine from the microcapsules, the content suspended within the water was then subjected to sonication for around 20 minutes and mechanical shaker shaking for another 20 minutes. A 0.45 µm the membrane filter was used to filter the final solution. The UV-visible spectrophotometer was used to calculate the drug content at 240 nm. The following formula was used to determine the percentage of entrapment.[14-16]

$$\%\ \text{drug entrapment efficiency} = \frac{\text{Practical drug content}}{\text{Therotical drug content}} \times 100$$

Studies On in Vitro Dissolution:

The USP dissolution testing apparatus-I (basket assembly) was used for the in vitro dissolution investigation. The basket was filled with an amount of microspheres equal to 25 mg of medication. The basket was filled with the dissolving medium. At C & 100 rpm, 900 cc of 0.1N HCL was utilized as the dissolving media. After appropriate dilution against a suitable blank, 5 ml were taken out at predetermined intervals and examined by an UV-visible spectrophotometer at the corresponding and maximum value of 240 nm. An equivalent volume of brand-new 0.1 N HCL was used to replace the removed.[17-19]

Table No. 2: Criteria For Calculating the Percentage of Medication Release

Sr.No.	Details	Value Standard
1	Devices	USP dissolution device I
2	Speed	100 rpm
3	The dissolving medium's volume	900 milliliters
4	Media for dissolution was employed.	0.1 N HCL
5	Type	Basket

6	Each time interval, an aliquot is taken.	5 milliliters
7	Temperature	37±0.5°C.
8	λ max	296 nm

Morphology:

Microspheres have been morphologically characterized using scanning electron microscopy. The improved batch of microspheres' external surface morphology was assessed using a vacuum-operated scanning electron microscope. The sample for the SEM was made up of dried microparticles put on double-sided tape that was affixed to an aluminum stud. The studs were then coated with platinum to a thickness of around 10°A in an argon environment using a gold sputtering module in a high vacuum evaporator. The stud that held the coated sample was then placed inside the scanning electron microscopy chamber. The samples were then randomly scanned, and photomicrographs were taken using an acceleration voltage of 5 KeV.[20-22]

Buoyancy In Vitro:

The buoyancy percentage was calculated by spreading 300 mg of precisely weighed microspheres on the surface of a USP type dissolution apparatus using 900 ml of 0.1 N HCL with a tween 80 (0.02%) solution, shaking the mixture with a paddle at 100 rpm for 12 hours, and then separating the floating and settling parts of the microspheres. The tiny particles were then dried and weighed.[23-25]

Buoyancy (%) = $\frac{Qf100}{Qf+Qs}$

The weights of the floating and settled hollow microspheres are denoted by Qf and Qs.

Swelling Microsphere Index:

To assess the swelling index, weighted 100 mg microspheres were allowed to swell in 0.1 N HCL for 24 hours. Any excess surface-adhered liquid droplets were blotted away, and the inflated microspheres were weighed using a microbalance. The degree of edema was calculated using the formula below.[26-28]

$$\text{Swelling index} = \frac{\text{final weight} - \text{initial weight}}{\text{final weight}}$$

Properties Of Micromeritics:

It is clear that individual simple testing methods cannot adequately characterize the flow characteristics of pharmaceutical powders. Therefore, microcobores and drugs were characterized by frequently used testing methods that are valuable during the development of pharmaceuticals.[29-31]

Angle of Repose (θ):

The angle of repose of different formulations was measured using the fixed funnel standing technique. The height of the funnel was kept at 2 cm. After allowing the microspheres to exit the funnel opening onto horizontally positioned paper, the pile's diameter was measured. The angle of repose was calculated by substituting the base radius (r) and pile height (h) in the following equation.[32, 33]

$$\theta = \tan^{-1}\frac{h}{r}$$

Table No.3: Angle of repose limits

Repose angle	**Flow type**
<25	Excellent
25–30	Good
30 to 40	Acceptable
>40	very poor

Density In Bulk:

Without compacting, a little amount of the microsphere (m) material is gently added to a 10 ml graduated container to ascertain the bulk density. The initial apparent volume (V0) is then read to the closest graduated unit. Use the formula to determine the density of the bulk in g/ml. powder's bulk density weight divided by the packing volume prior to tapping.[34-36]

Carr's The Compressibility Index:

This was determined by using the following equation to substitute the values of the density of the tapping and bulk density. [37-39]

Carr's compressibility index $= \frac{\text{Tapped density} - \text{Bulk density}}{\text{Tapped density}} \times 100$

Table No. 4: Carrs's Compressibility Percentage Limits

Compressibility percentage	**The ability to flow**
5.0-14.9	Excellent
12.0-15.9	Good
18.0-20.9	Fairly acceptable
23.0-34.9	poor
33.0-37.9	Very poor
>40.0	Very Very poor

The Hausner Ratio:

$$\text{Hausner ratio} = \frac{\text{Tapped density}}{\text{bulk density}}$$

Table No. 5: Flowability and Hausner ratio

Hausner ratio	**The ability to flow**
<1.25	Good
>1.25	poor

Stability Investigations:
According to ICH norms, the microspheres generated for this investigation were kept for two months at about 40°C and 75 RH. The samples' percentage drug content was determined.[40-42]

RESULT AND DISCUSSION:

The aim of present study was formulated and evaluate floating microspheres of Telmisartan using natural polymer tamarind gum and gum guar in the present study, microspheres were prepared to floating microspheres of Telmisartan and evaluated for various in-vitro parameters.

Pre-formulation Study:

Characterization Of Telmisartan:

In characterization of drug was done by the organoleptic properties, melting point, pH, solubility, UV spectroscopy, FTIR spectroscopy.

Organoleptic Properties:

Table No. 6: Organoleptic Properties of Telmisartan

Sr.no	Properties	Telmisartan
1.	Colour	White to off-white powder
2.	Appearance	Crystalline powder
3.	Odour	Odourless

Organoleptic properties of Telmisartan was compiled as per official monograph IP.

Melting Point:

Table No. 7: Standard And Practical Melting Point of Telmisartan.

Sample Name	Standard	Practical
Telmisartan	261-263°C	256-258°C

Melting point of Telmisartan was found to be 256-258 C It was complied with the standard melting point official monograph IP

PH Study:

Table No. 8: pH of Telmisartan in Distilled Water

Sample Name	pH
Telmisartan	3-9

pH of Telmisartan in distilled water was found to be 3-9

Solubility Study:

Table No. 9: Solubility Study of Telmisartan

Telmisartan	Solubility(mg/ml)
Distilled Water	0.078 mg/ml
0.1N HCL	14.023 mg/ml

UV Spectrum of Telmisartan:

The UV spectrum of Telmisartan in 0.1 N HCLis show in fig respectively, the wavelength of maximum absorption (λ max) was found at 296 nm.

Table No. 10: λ Max Value of Telmisartan

Solvent	λ max (nm)
0.1 N HCL	296 nm

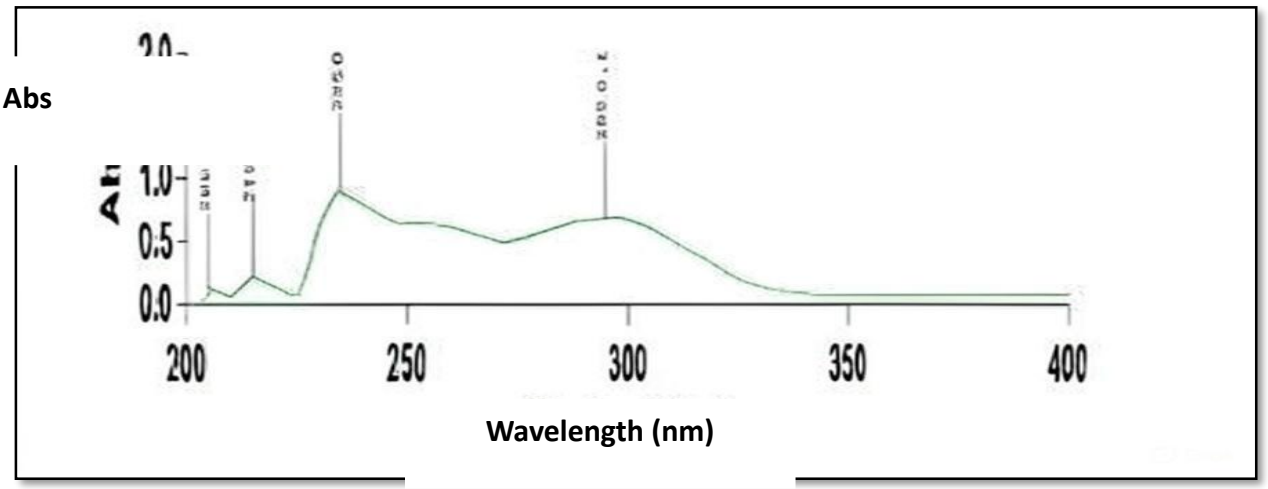

Figure No.1: UV Spectrum of Telmisartan

From the organoleptic properties, melting point, pH, solubility study and UV spectrum, the purity of Telmisartan was identified and complied as per BP

Calibration Curve of Telmisartan:

The UV absorption data at the wavelength 296 tum (in 0.1 N HCL) is shown in table. The maximum linearity was obtained at 296 nm (λ max). Regression coefficient was 0.998 in 0.1 N HCL and Beer's law was obeyed in the concentration range of 2-16 µg/ml. The equation obtained in 0.1 N HCL was y 0.119 +0.091. These equations were used for % drug entrapment and for dissolution studies.

Table No.11: Conc. And Absorbance Data of Telmisartan In 0.1 N HCL

Conc. of Telmisartan (ppm)	Absorbance in 0.1N HCL at 296nm
2	0.226
4	0.3239
6	0.4416
8	0.5586
10	0.6862
12	0.8262
14	0.9208
16	1.0496

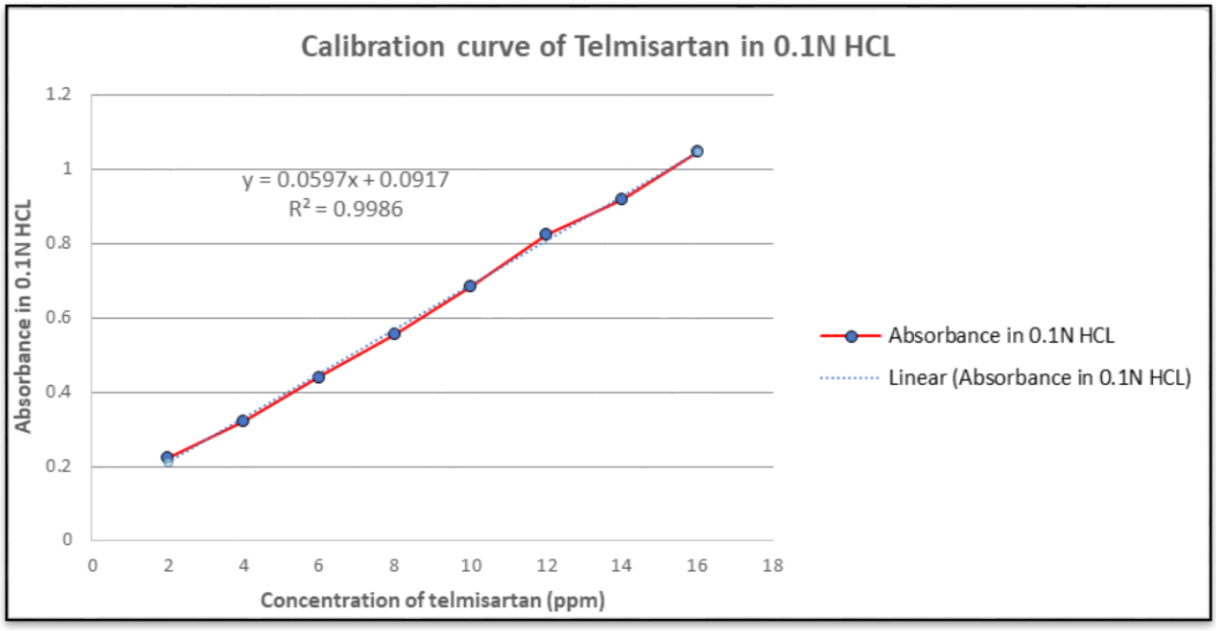

Figure No.2: Calibration Curve of Telmisartan In 0.1 N HCL

Calibration Curve of Telmisartan in Methanol:

Table No. 12: Conc. And Absorbance Data of Telmisartan in Methanol

Concentration of telmisartan(ppm)	**Absorbance**
2	0.132
4	0.257
6	0.376
8	0.502
10	0.627
12	0.745
14	0.867

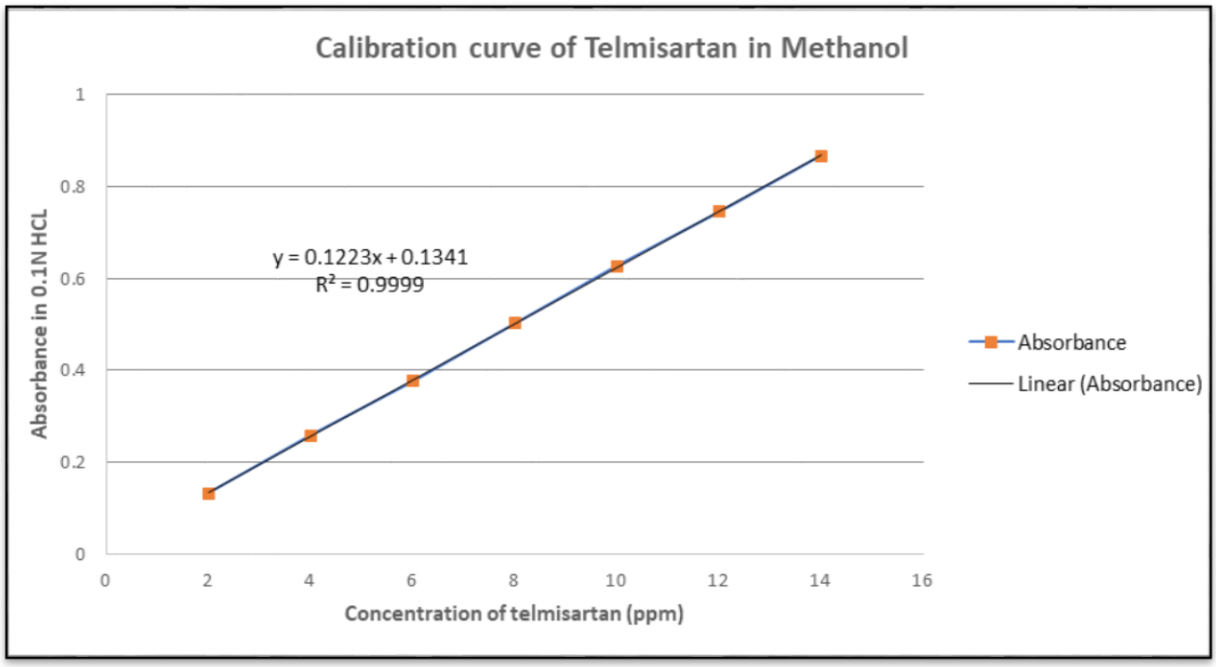

Figure No.3: Calibration Curve of Telmisartan In Methanol

Calibration Curve of pH 6.8 Phosphate Buffer:

Table No.13: Conc. And Absorbance Data of Telmisartan In pH 6.8 Phosphate Buffer

Concentration of Telmisartan (ppm)	**Absorbance**
5	0.098
10	0.195
15	0.298
20	0.392
25	0.490

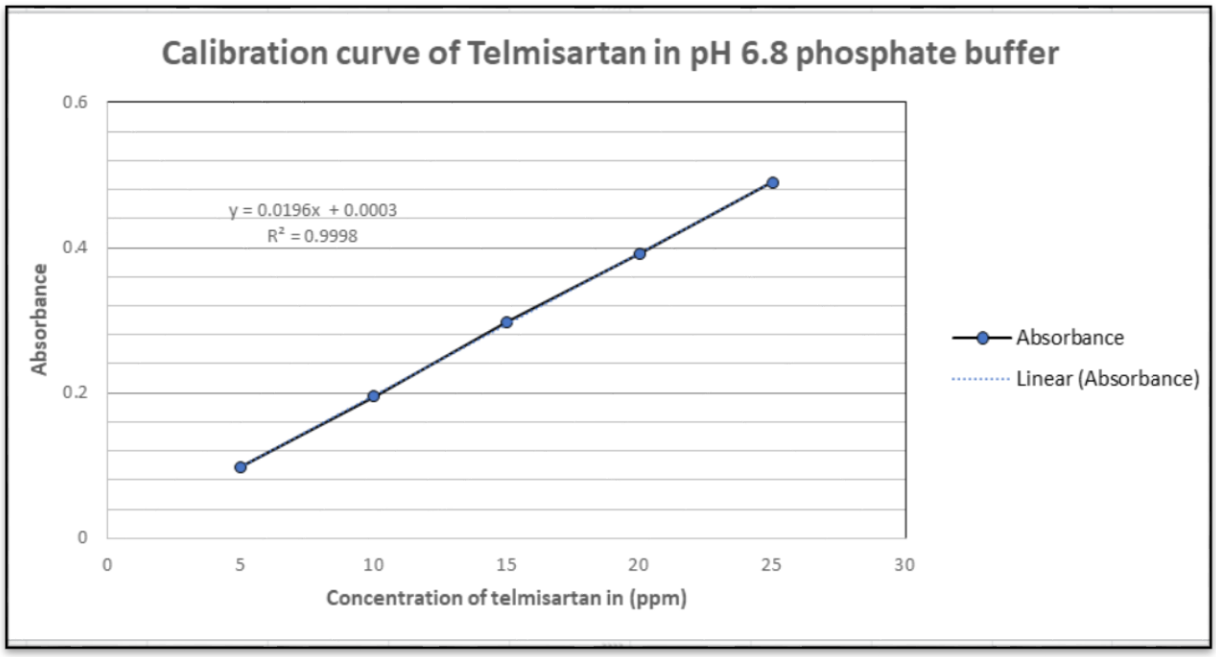

Figure No. 4: Calibration Curve of Telmisartan In pH 6.8 Phosphate Buffer

FTIR spectrum of telmisartan:

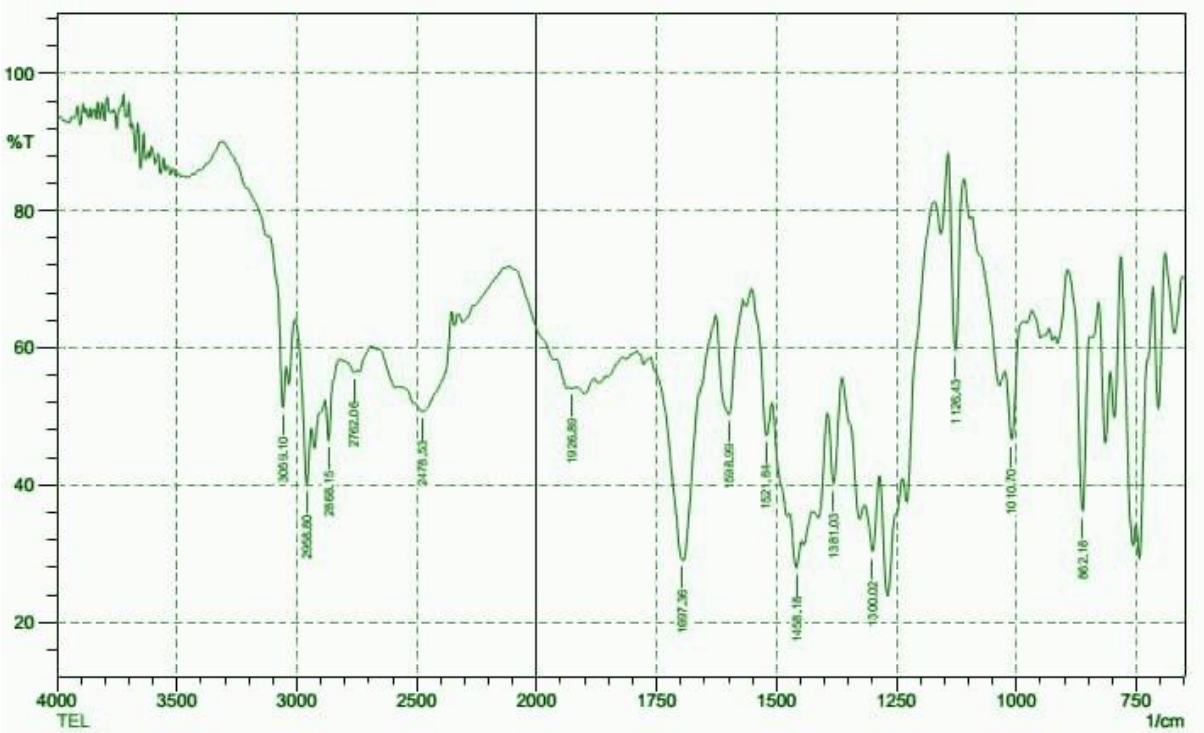

Figure No. 5: FTIR Spectrum of Telmisartan

Table No.14: Interpretation FTIR of Telmisartan

Functional group	Reported peaks (cm-1)	Observed peaks (cm-1)
C-H	900-690	862
C-N	1350-1000	1126
C=C	1450-1600	1508
N-H	3000-2850	2958

The FTIR spectrum of Telmisartan was compared with its reported spectrum. It was observed that obtained peaks of Telmisartan match with reported and purity was identified.

Characterization of Polymers:

Gum Tamarind:

Organoleptic Properties:

Table No.15: Organoleptic Properties of Gum Tamarind

Identification test	**Result**
Colour	Brown
Appearance	Crystalline powder
Odour	Practically odourless

Gum Guar:

Organoleptic Properties:

Table No.16: Organoleptic Properties of Gum Guar

Identification test	**Result**
Colour	White to cream
Appearance	Fine powder
Odour	Practically odourless
Taste	bland

Sodium Alginate:

Organoleptic Properties:

Table No.17: Organoleptic Properties of Sodium Alginate

Identification test	**Result**
Colour	Pale yellowish
Appearance	Powdered form
Odour	Practically odourless

Melting Point:

Table No.18: Standard And Practical Melting Point of Sodium Alginate

Sample Name	**Standard**	**Practical**
Sodium alginate	300°C	296-298°C

Formulation And Development Of Microspheres:

The aim was formulated and evaluated microspheres of Telmisartan using natural polymers Evaluation of Microspheres.

Organoleptic Properties:

Table No.19: Organoleptic Evaluation of Formulations

Formulation code	**Colour**	**Odour**
Light brown	Light brown	odourless

Percentage Yield:

Table No.20: % Yield Of Formulation

Batch code	% yield
F1	96.74
F2	95.60
F3	94.42
F4	93.04
F5	92.20
F6	91.05

The maximum percentage yield was obtained for Fl formulation 96.74% as shown in table

Drug Entrapment Efficiency:

Table No.21: Drug Entrapment Efficiency Study of Formulation

Batch Code	% Drug Entrapment Efficiency
F1	99.80
F2	98.43
F3	96.70
F4	96.05
F5	96.45
F6	94.85

The maximum percentage entrapment efficiency was obtained for F1(99.80) formulation as shown in table.

In-Vitro Buoyancy:

Table No 22: In-Vitro Buoyancy

Batch code	In-Vitro Buoyancy (%)
F1	84.3
F2	80.4
F3	76.5
F4	74.4
F5	69.3
F6	65.5

% Swelling Index of Formulation:

Table No 23: % Swelling Index of Formulation

Batch code	% Swelling index
F1	87
F2	82
F3	77
F4	74
F5	70
F6	65

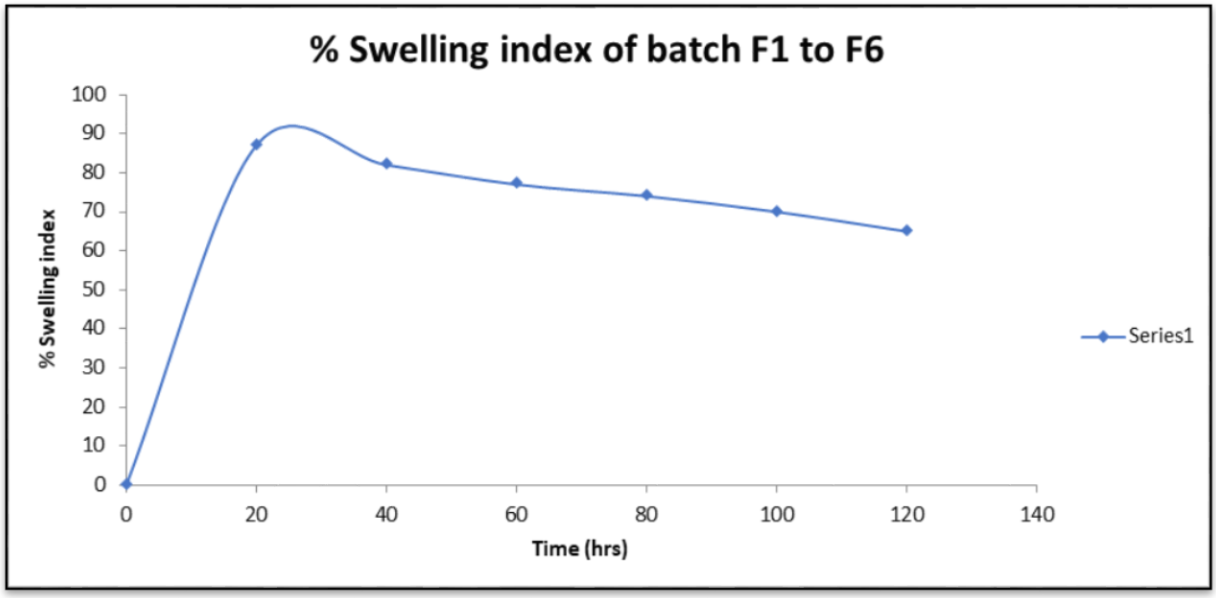

Figure No. 6: % Swelling Index Of Batch F1 to F6

The maximum percentage swelling index was obtained for Fl formulation (87%), as shown in the table.

Flow Properties of Microspheres:

Table No. 24: Flow Properties Study of Formulation

Batch Code	Angle of Repose (°)	Bulk Density	Tapped Density	Carr's Index	Hausners Ratio	Flowability
F1	24	0.52	0.53	5.2	1.05	Excellent
F2	22	0.54	0.56	5	1.04	Excellent
F3	26	0.54	0.57	5.3	1.04	Excellent
F4	25	0.56	0.57	5.5	1.04	Excellent
F5	26	0.58	0.58	5.7	1.04	Excellent
F6	29	0.59	0.62	5.4	1.03	Excellent

Result showed that all formulations had Excellent flow properties.

Dissolution Study:

Table No.25: % Cumulative Release of Formulation

Time (hr)	Batch code					
	F1	F2	F3	F4	F5	F6
0	0	0	0	0	0	0
1	2±0.66	2±0.04	6±1.02	6±1.2	6±1.4	23±0.82
2	5±0.64	5±1.24	13±1.25	13±1.0	13±0.92	37±0.96
3	10±1.2	11±0.92	23±0.96	25±0.8	25±0.84	41±0.48
4	26±1.4	29±0.98	39±0.92	28±0.6	39±0.68	56±0.86
5	30±0.82	37±1.0	49±1.32	39±0.6	49±0.72	63±0.94
6	31±0.96	42±0.42	52±1.42	49±1.0	52±0.93	69±1.4
7	33±0.12	46±0.98	56±1.22	52±0.9	56±0.58	77±1.6
8	34±0.84	48±0.46	61±1.42	56±0.8	61±0.74	86±1.2
9	35±0.96	50±1.80	67±0.86	58±0.4	67±0.98	89±0.84
10	36±1.0	51±1.42	68±1.64	60±0.8	68±1.02	90±0.92
11	36±0.87	52±0.97	70±1.04	60±0.9	69±1.02	91±0.87
12	36±0.90	53±0.98	73±1.02	61±1.0	75±1.04	92±0.94

The in vitro performance of microspheres of Telmisartan showed sustained release of Telmisartan.

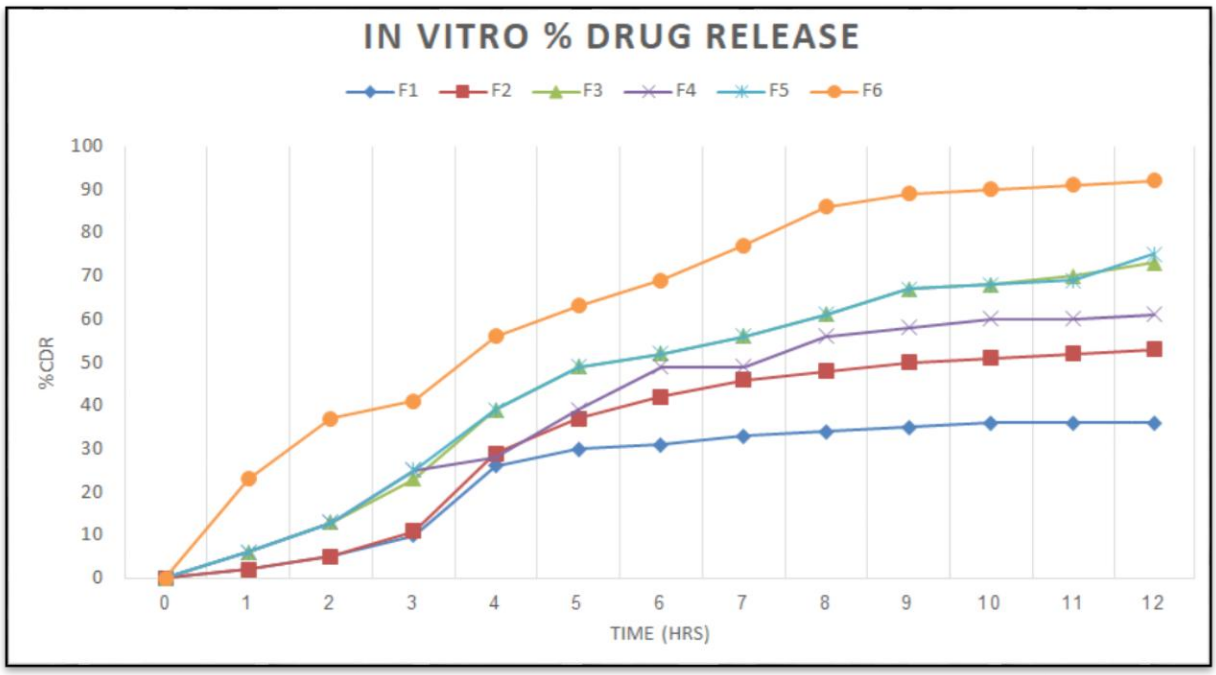

Figure. No.7: In Vitro % Drug Release Profile of Telmisartan Microspheres

Zero Order:

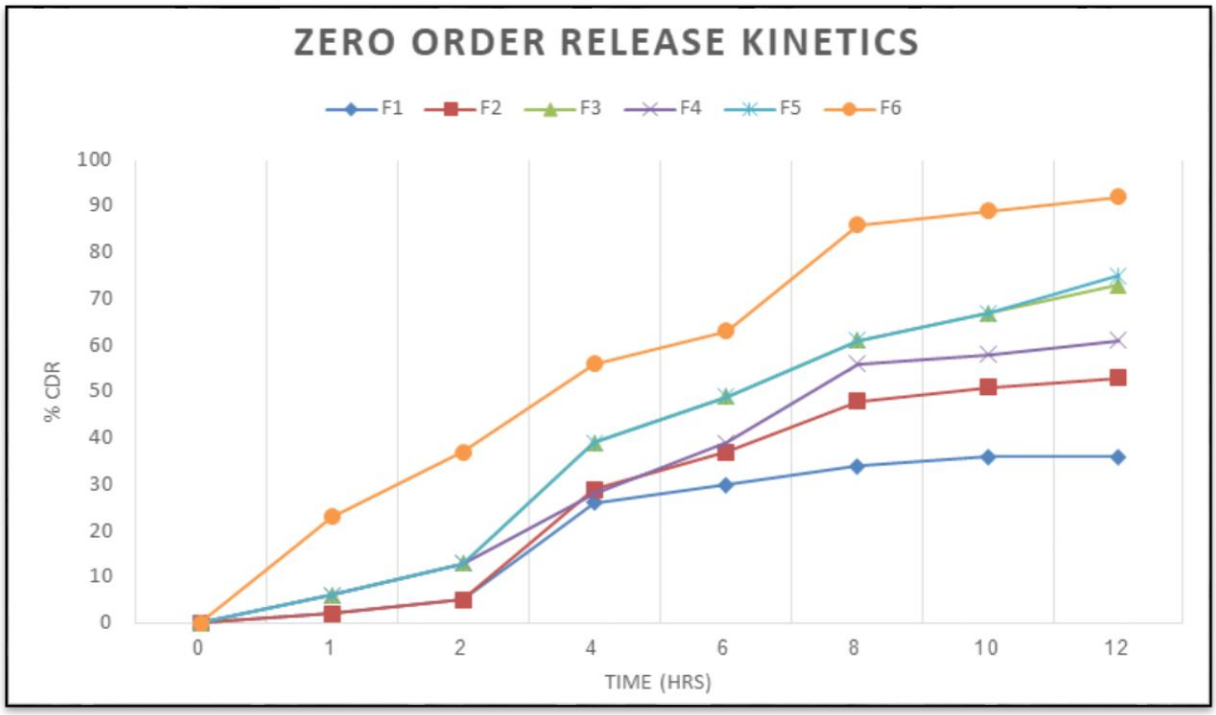

Figure. No.8: Zero Order Release Kinetic Profile Of Formulations F1-F6

First Order:

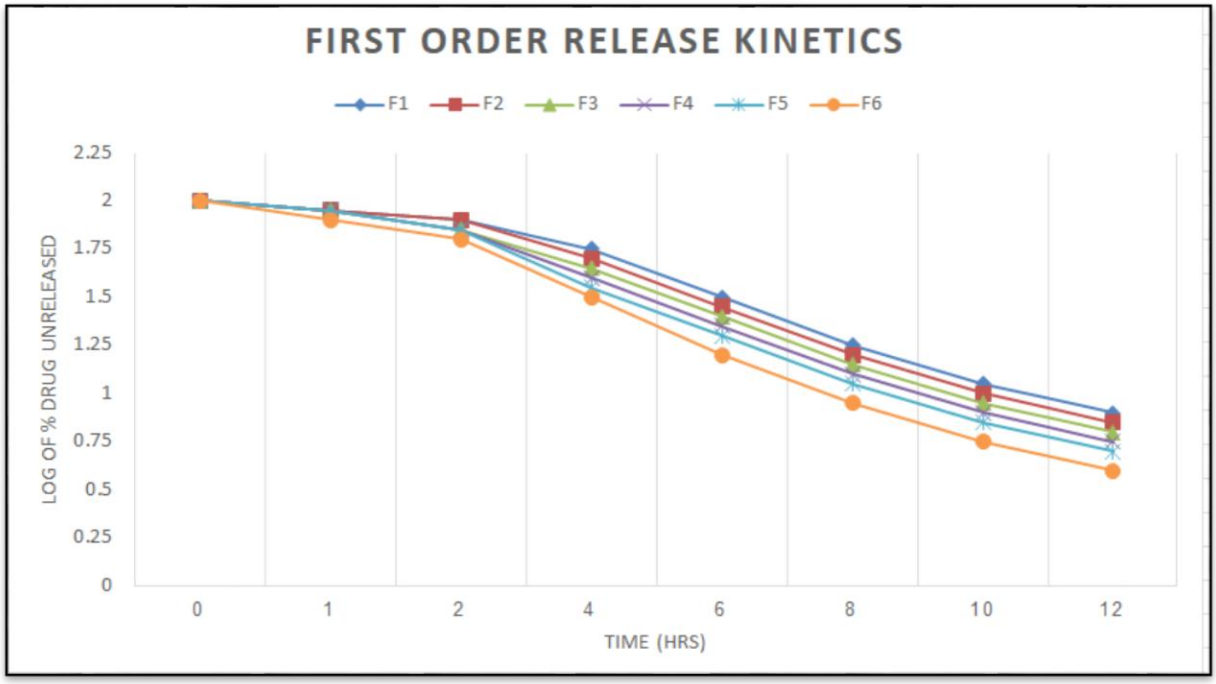

Figure No. 9: First Order Release Kinetics Profile of Formulations F1-F6

Higuchi Model:

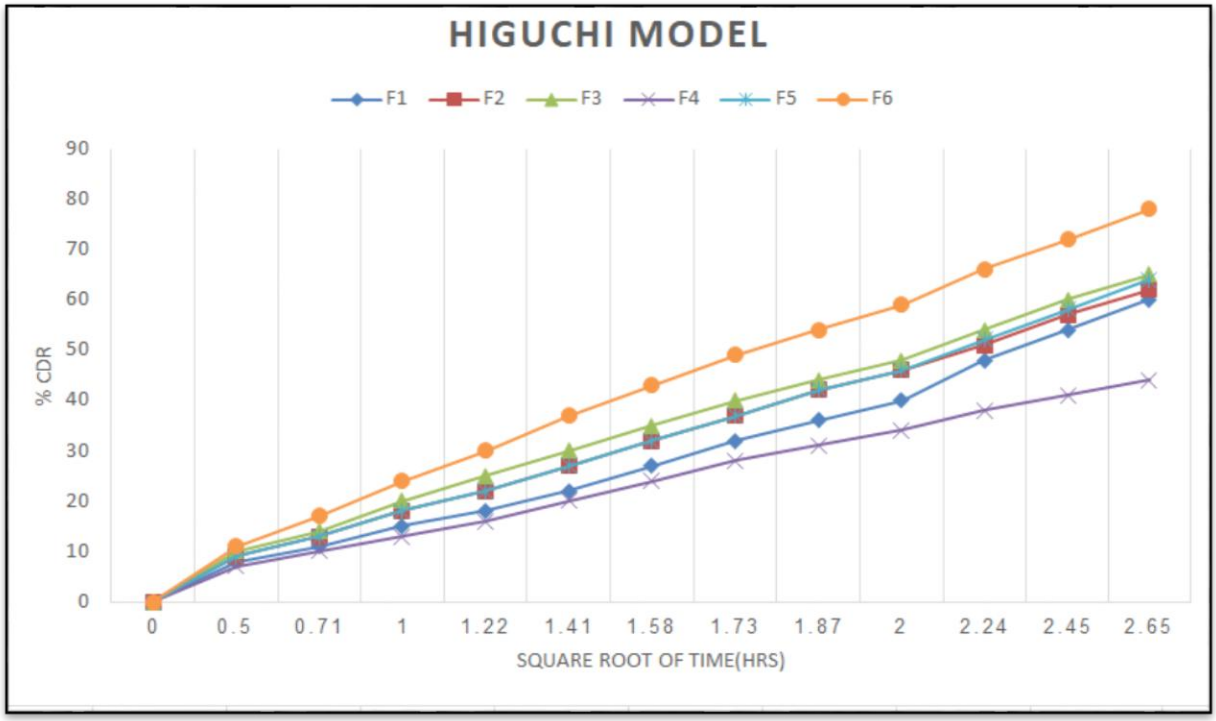

Figure No.10: Higuchi Model

Korsmayer-Peppas Model:

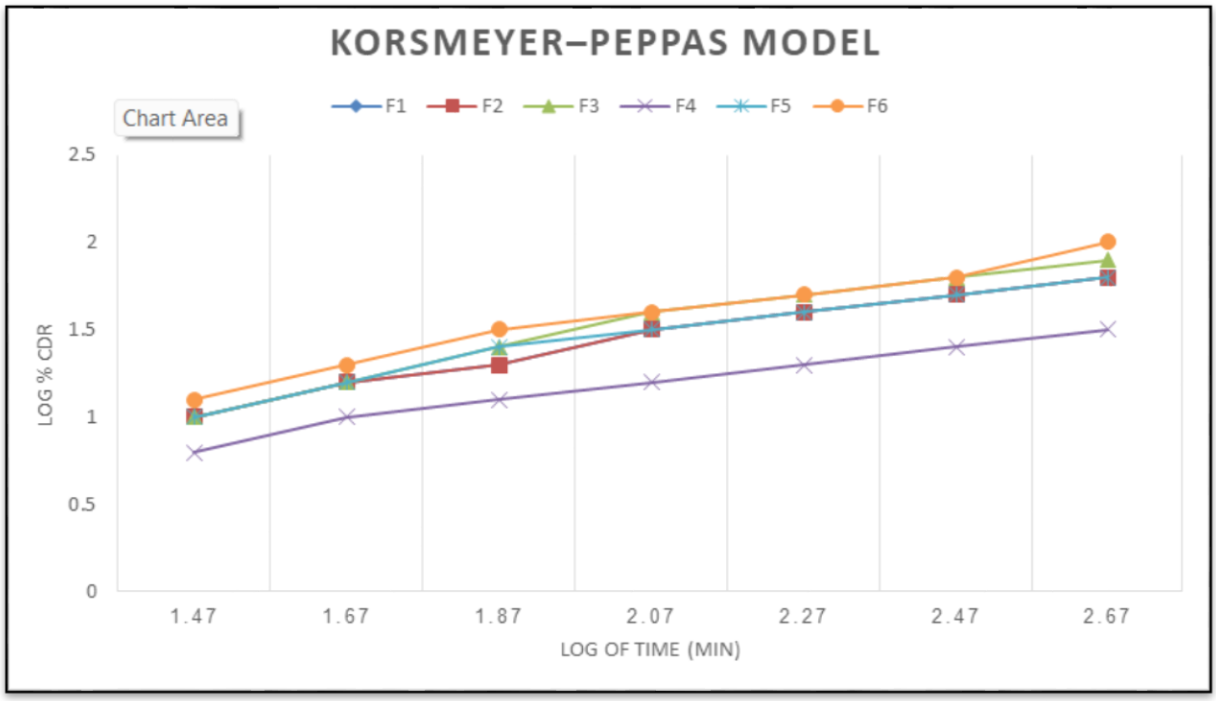

Figure No.11: Korsmayer-Peppas Model

Drug Release Kinetic Study:

Table No.25: Drug Release Kinetic Study

Formulation Code	Zero Order (R^2)	First Order (R^2)	Higuchi Model (R^2)	Korsmayer's-Peppas	
				(R^2)	(n)
F1	0.827	0.850	0.911	0.985	0.867
F2	0.898	0.934	0.935	0.985	0.935
F3	0.931	0.985	0.975	0.981	0.970
F4	0.923	0.964	0.973	0.994	0.967
F5	0.935	0.987	0.978	0.983	0.960
F6	0.897	0.986	0.989	0.985	0.981

The plots of % cumulative drug release v/s tune, log % drug unrelease v/s time, % drug release v/s square root of time, log % drug release v/s log time were drawn and represented graphically.

The regression coefficient of determinations (R^2) were listed in table. The coefficient of determination that the release data was best fitted with zero order kinetics, higuchi equation explains the diffusion-controlled release mechanism. All formulations indicating non-fickian of drug through microspheres.

Stability Study:

The stability studies were carried out on best formulation of microspheres the stability of sustained microspheres was determined by keeping the optimized formulation at 25°C±2°C

and 40°C±2°C The samples were tasted after 30 and 60 day for percentage buoyancy drug entrapment efficiency and in vitro drug release.

Table No. 26: Stability Study Data of Best Formulation

Sr.no	Formulations		Parameters	
			40°C±2°C/75%RH±5%	
			30 days	60 days
1	F1	% Drug content	95.38±1.46	94.76±1.38
		In-vitro Dissolution	36.14±0.36	35.86±0.13
2	F2	% Drug content	96.45±0.76	95.35±0.70
		In-vitro Dissolution	92.00±0.94	86.82±1.20

Stability study was conducted for 60 days. All developed formulations were found stable condition at 40°C temperature.

CONCLUSION:

The identification of Telmisartan was confirmed by physical characteristics, spectrophotometric analysis such as UV spectrophotometric, FTIR and the thermal behaviour like melting point.

Telmisartan loaded microspheres were formulated by using ionotropic gelation technique. The effect of different concentration of polymer on microspheres were studied. The formulated microspheres were evaluated from % entrapment efficiency, % swelling index, flow properties, In vitro Buoyancy and In vitro % drug release.

Sodium alginate in small concentration was selected for gelling purpose and also it increases cross linking ability of calcium chloride and it results in formulation of highly cross-linked microspheres. Concentration of sodium alginate was constant 1000 mg for all F1-F6 formulations. Concentration of Tamarind gum was varied from 1000 mg-500 mg and guar gum was 900 mg 400 mg for F1-F6. Change in the concentration of polymers also showed significant effect on % swelling index, % entrapment efficiency and % drug released of microspheres.

Telmisartan microspheres using Tamarind gum and Guar gum were successfully prepared by the ionotropic gelation method. The release rate of Telmisartan from the microspheres depended on the concentration of polymers used. It was also concluded that increased polymer concentrations in the formulations led to a more sustained drug release effect. Among the different formulations prepared in this study, F1 showed better drug entrapment efficiency (99.80%), while F6 showed maximum *in vitro* drug release (92 ± 0.94%) up to 12 hours.

The coefficient of regression indicated that the release data best fitted the zero-order kinetics and Higuchi equation. The diffusion exponent "n" values of the Korsmeyer–Peppas model were found to be in the range of 0.867–0.981 for Telmisartan microspheres prepared with Guar gum, indicating non-Fickian diffusion.

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