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Preparation and pre-formulation estimation method of floating microsphere of ofloxacin

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Abstract---Floating drug delivery systems are mainly expected to remain buoyant in gastric contents and consequently to enhance the bioavailability of drugs. Microsphere is loaded with a drug in their outer polymer shells was prepared by a novel emulsion-solvent diffusion method. It is floated continuously over the surface of acidic dissolution media containing the surfactant for invitro time 12 hours. Floating microspheres of ofloxacin were prepared by novel o/w emulsion solvent evaporation technique using Ethyl cellulose polymers order to retain drug in body for longer period of time. Ofloxacin has short half-life of 9 hrs. So we prepared a microsphere for increasing their activity.

Keywords---Ofloxacin, Microsphere, CDDS

Introduction

Floating drug delivery systems are mainly expected to remain buoyant in gastric contents and consequently to enhance the bioavailability of drugs.[1] A controlled drug delivery system remains longed time at residence time in stomach and the absorption of drugs in upper small intestine. The variability in GI tract time and the non-uniformity of drug absorption through the alimentary canal.[2]. Microsphere is loaded with a drug in their outer polymer shells was prepared by a novel emulsion-solvent diffusion method. hours.[3,4]

Method

The Drug Ofloxacin is used. The other chemicals Ethyl Cellulose, Ethanol (95%), Dichloromethane, n- Octanol are used. All chemicals are A grade reagent [6]

Methods of Experiments

- A) Pre-formulation Study[7,8]
 1. Organoleptic Properties
 2. Determination of Solubility
 3. Melting Point Determination
 4. Analytical Estimation by UV Spectrophotometer
 5. Partition coefficient
 6. Drug and Excipients Compatibility Study by FT-IR Spectra Analysis
- B) Evaluation of Ofloxacin microsphere:[8]
 1. Percentage Yield
 2. Particle Size Analysis
 3. Entrapment Efficiency
 4. Scanning Electron Microscopy (SEM)
- C) Micromeritic properties:[9]
 1. Bulk Density
 2. Tapped Density
 3. Carr's Compressibility Index
 4. Hausner's index
 5. Angle of Repose (θ)
 6. In- vitro buoyancy of Microsphere
 7. In-vitro Release Studies of Microsphere

Preparation of formulation

Ofloxacin microspheres were prepared by solvent evaporation technique. Polymer Ethyl Cellulose was dissolved in dichloromethane:ethanol (1:1). Ofloxacin was dispersed in polymer solution. This solution was added slowly to a beaker having 300 ml of water containing 0.1 % w/w tween-80 under constant stirring (1000 rpm). When stable emulsion formed organic solvents were evaporated by stirring. After evaporation of solvents, formed microspheres were collected by decantation then filtration and dried at room temperature.

Table 1
Composition of various Formulations using EC

Formulation code	Ofloxacin(mg)	Ethyl Cellulose(mg)	Tween-80 (%)
EC1	100	100	0.1%
EC2	100	200	0.1%
EC3	100	300	0.1%
EC4	100	400	0.1%

EC5	100	500	0.1%
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Result and Discussion

A) Pre-formulation Study

1. Organoleptic properties of Ofloxacin

Table 2
Organoleptic properties of Ofloxacin

Test	Specification	Observations
Color	Pale yellow	Complies
Taste	Bitter	Complies
Odor	Odorless	Complies

2) Solubility

Table 3
Solubility profile of ofloxacin in different solvent

Sr. No.	Solvent	Solubility
1	Water	Soluble
2	Ethanol	Freely Soluble
3	Methanol	Freely Soluble
4	0.1N HCl	Soluble
5	Glacial acetic acid	Soluble

3) Melting Point

Table 4
Melting Point of ofloxacin

Compound	Reported Melting Point	Observed Melting Point
Ofloxacin	250-257°C	250-252°C

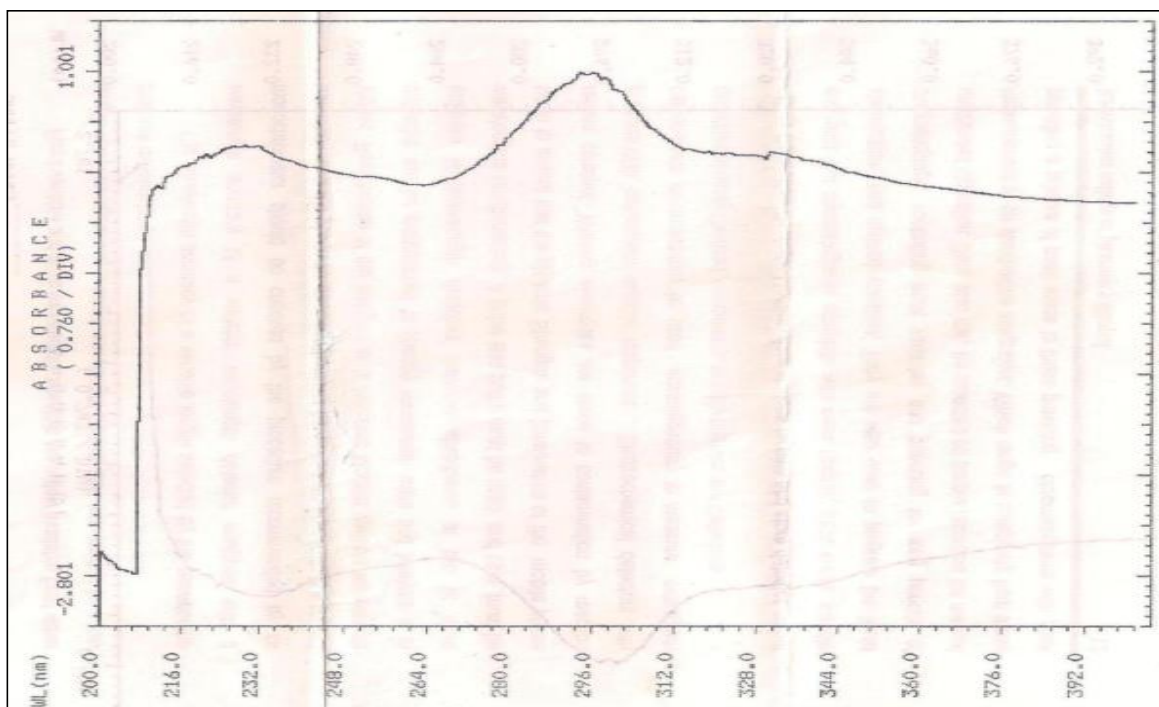


Fig 1 Determination of Wavelength of Maximum Absorbance (λ_{max})

Table 5
Wavelength of Maximum Absorbance

Conc. ($\mu\text{g/mL}$)	Scanning range(nm)	λ_{max}
10	200-400	296.0

4)Preparation of the Calibration Curves of Ofloxacin

Table 6
Linearity of Ofloxacin in 0.1N HCl

Conc. ($\mu\text{g/ml}$)	0	5	10	15	20	25
Absorbance	0	0.158	0.280	0.476	0.604	0.777

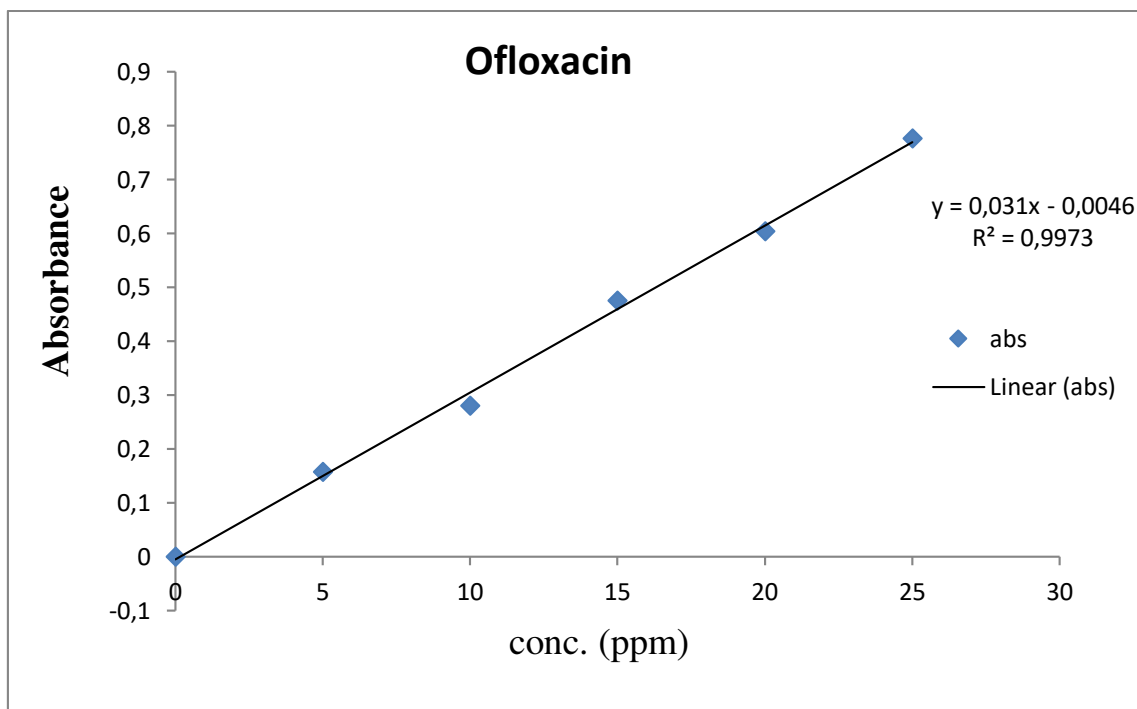


Fig. no. 2: Standard Calibration Curve of Pure Ofloxacin

5) Partition Co-efficient

Sr. No.	Solvents	Absorbance
1.	Water	1.378
2.	n- Octanol	1.363

Table no. 6: Partition Co-efficient

6) Drug excipients compatibility study:

Physical Compatibility Study of Ofloxacin with polymer

Table 7
Physical Compatibility Study of Ofloxacin with polymer

Sr. no.	Material	Storage at room temperature	Storage at 45°C -50°C	Storage at 2°C -8°C
1	Pure Drug (10mg)	Stable, No change in color	Stable, No change in color	Stable, No change in color
2	Ofloxacin+ EC	Stable, No change in color	Stable, No change in color	Stable, No change in color

Compatibility Study by FT-IR

Table 8
Interpretation of FT-IR spectrogram

Standard Peaks(Cm ⁻¹)	Ofloxacin (Cm ⁻¹)	Ofloxacin +EC (Cm ⁻¹)
3500-3000 (O-H str)	3425	3424
3000-2840 (CH ₃ str)	2833	2823
1650-1600 (C=C str)	1630	1620
1750-1700 (C=O str (acid))	1715	1718
1050-1000 (C-F str)	1007	1054

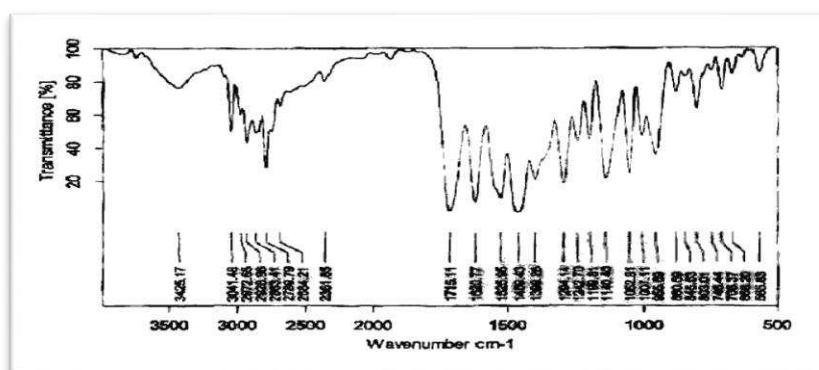


Fig. no. 3: FT-IR spectrogram of Ofloxacin

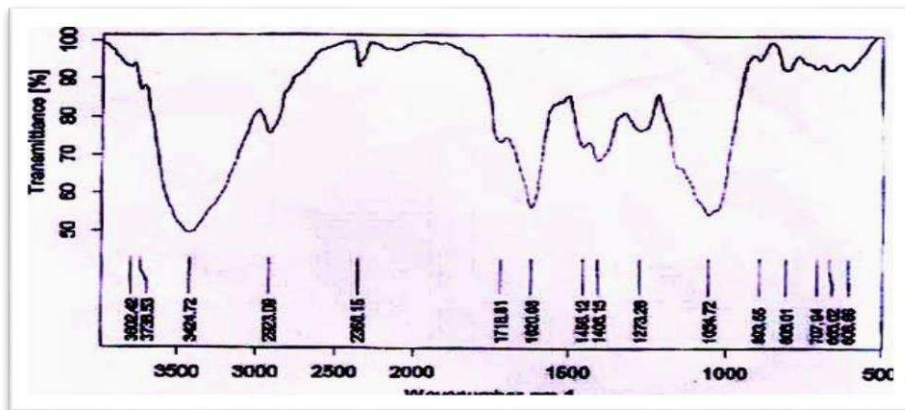


Fig. no. 4: FT-IR spectrogram of Ofloxacin + Ethyl Cellulose

Discussion

During the Preformulation studies it is found that the organoleptic properties of Ofloxacin comply as reported. Pale yellow, bitter, odorless, amorphous powder of ofloxacin was soluble in water, 0.1N HCl and slightly soluble in methyl cellulose and methanol. Melting point was observed at 250-252 °C and λ_{\max} at 296nm. Standard calibration curve was prepared using concentration range 5- 25 ug/ml and linearity equation as $y = 0.031x - 0.004$ with $R^2 = 0.997$. Partition coefficient was found 0.989. Drug ofloxacin was also compatible with used excipients, physically stable, no color change reaction observed at 2°C - 8°C, room temperature and 45°C -50°C, also chemically stable as observed in FT-IR spectra.

Five different formulations were prepared by o/w emulsion solvent evaporation method using different concentration of Ethyl Cellulose EC) and fixed amount (100mg) of ofloxacin and tween-80 (1%).

Conclusion

Floating microspheres of ofloxacin were prepared by novel o/w emulsion solvent evaporation technique using Ethyl cellulose polymers order to retain drug in body for longer period of time. Ofloxacin has short half life of 9 hrs. The drug requires a novel gastroretentive drug delivery system which can provide an extended period of time in stomach and improve oral bioavailability. Floating microspheres were characterized for floating ability, compatibility study, particle size and shape, entrapment efficiency, *in-vitro* drug release. Due to their low density, these multi particulate drug delivery systems showed good floating ability and remained in gastric environment for more than 24 hrs, required for sustained therapeutic activity. Major advantages of the system include ease of preparation, good floating ability, high encapsulation efficiency and sustained drug release over 24 hours.

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