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Formulation and evaluation of floating tablet of levofloxacin

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***Abstract*---**Floating drug delivery system is a system to retained the drug in the stomach which is applicable only for poorly soluble and less stable in intestinal fluids. It is basis on the dense on gastric fluids which is float on gastric fluids. Floating tablet Formulations of levofloxacin were prepared by simple blending and punching with carbopol, HPMC K4M, sodium bicarbonate, citric acid and talk for oral application. Levofloxacin is an antibiotic drug having higher protein binding, hepatic metabolism low oral bioavailability and lower half life. The drug requires a drug delivery system that provides a solution of these problems and improves bioavailability. Prepared floating batches were characterized for compatibility study, pre compression and post compression evaluation, % drug content, *in-vitro* drug release. Major advantages of the system include ease of preparation, high % drug release and long duration over 12 hours. From this study, it was concluded that floating tablet batch F3 offers better sustained release in continuous manner that helpful to maintain bioavailability for long duration and reduces frequency of dose, also reduces dose.

***Keywords*---**floating tablets, leavofloxacin, Carbopol.

Introduction

Floating drug delivery system:

Floating drug delivery system is a system to retained the drug in the stomach which is applicable only for poorly soluble and less stable in intestinal fluids. It is basis on the dense on gastric fluids which is float on gastric fluids.[1]

Approaches to design floating dosage forms [2]

Single-unit dosage forms
Multiple-unit dosage forms

Classification of floating drug delivery system (FDDS) [3]

Effervescent system (gas generating system)
Non-effervescent systems

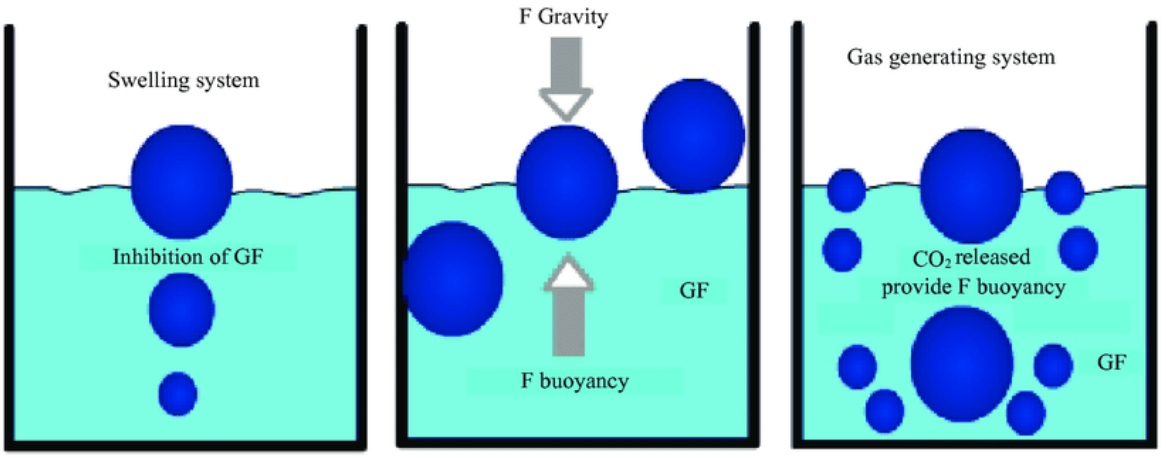
Applications of floating drug delivery system [4]

Sustained drug delivery
Site-specific drug delivery
Absorption enhancement

Floating drug delivery systems and its mechanism[5]

$$F = F_{buoyancy} - F_{gravity} = (df - ds)\, gv \quad \text{--- (1)}$$

Where, F= total vertical force, df = fluid density, ds= object density, V = volume and
G = acceleration due to gravity, gf= gastric fluid



Methods and Materials

Materials: - Levofloxacin, HPMC, Sod. Bicarbonate, Citric Acid, talk, Magnesium stearate and PVP. It is prepared by Wet granulation method [7]

Result and Discussion

Pre-formulation Study

A) Organoleptic properties of Levofloxacin

Table no. 2: Organoleptic properties of pure drug levofloxacin

S. no.	Properties	Reported	Observation
1	Color	Yellowish	Complies
2	Odor	Odorless	Complies
3	Taste	Bitter	Complies
4	Physical state	Crystalline powder	Complies

B) Solubility:

Table No.3: Solubility profile of Levofloxacin

Sr. No.	Compound	Solubility
1	Water	Sparingly soluble
2	Methanol	Freely soluble
3	0.1N NaOH	Soluble
4	Ethyl Acetate	Slightly soluble
5	0.1N HCl	Soluble

C) Melting Point

Table No. 4: Melting Point of Levofloxacin

Compound	Reported Melting Point	Observed Melting Point
Levofloxacin	220 ^{0}C	218 ^{0}C

D) Partition Coefficient

Table No. 5: Partition coefficient of Levofloxacin

S.No.	Solvent	Wave length	Absorbance
1.	n-Octenol	290.0	1.213
2.	Distill water	290.0	1.367

E) Determination of λ_{max} of Levofloxacin

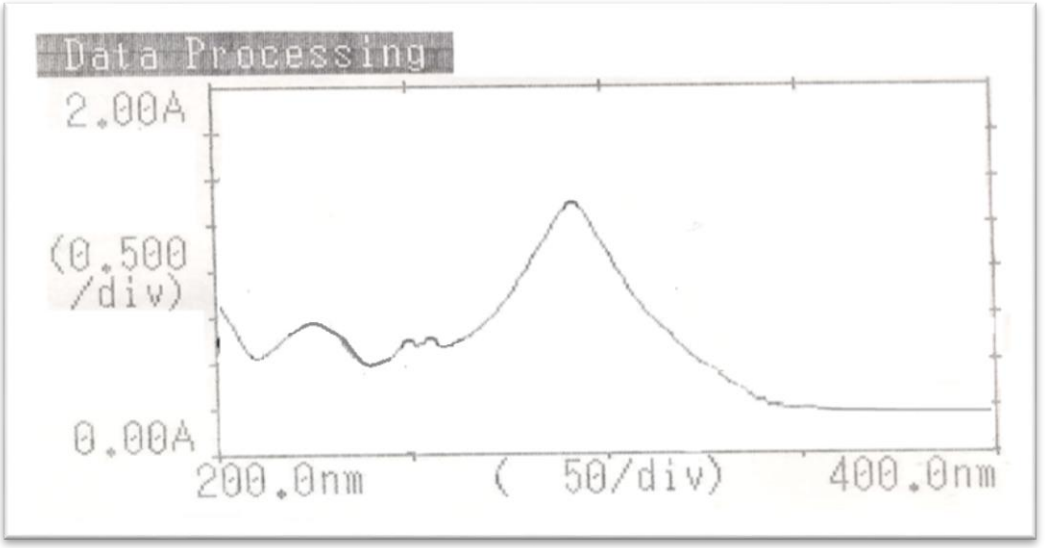


Figure no. 2: Scanning UV- spectrogram of levofloxacin from 200-400nm

F) Calibration Curve in 0.1 N HCl

Table no. 6: Absorbance of drug at different concentrations in 0.1N HCl

S. No.\	Concentration (µg/ml)	Wave length (nm)	Absorbance
1.	2	290.0	0.218
2.	4	290.0	0.429
3.	6	290.0	0.611
4.	8	290.0	0.759
5.	10	290.0	0.935

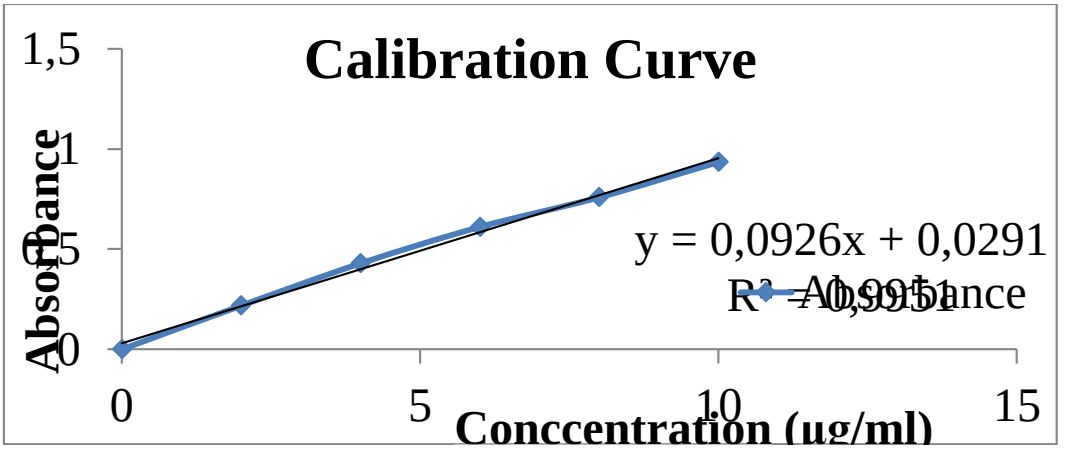


Figure no. 3: Calibration curve of Levofloxacin in 0.1N HCl

G) FT-IR spectrum of Levofloxacin + excipients

2) Evaluations Parameter of drug:

Table no. 7: Pre-compression Evaluation of levofloxacin floating tablet

Formulation	Angle of repose (θ)	Bulk Density (gm/ml)	Tapped Density (gm/ml)	Carr's Compressibility Index (%)	Hausner's Ratio
F1	26.54	0.33±0.12	0.45±0.018	26.66 ± 0.18	1.36 ± 0.05
F2	27.63	0.35±0.25	0.46±0.028	23.91 ± 0.82	1.31 ± 0.01
F3	26.25	0.33±0.15	0.46±0.028	28.26 ± 1.33	1.39 ± 0.01
F4	29.47	0.32±0.11	0.44±0.024	27.27 ± 1.60	1.37 ± 0.02
F5	28.36	0.35±0.16	0.48±0.026	27.08 ± 0.84	1.37 ± 0.01
F6	30.25	0.33±0.14	0.47±0.029	29.78 ± 0.14	1.42 ± 0.04

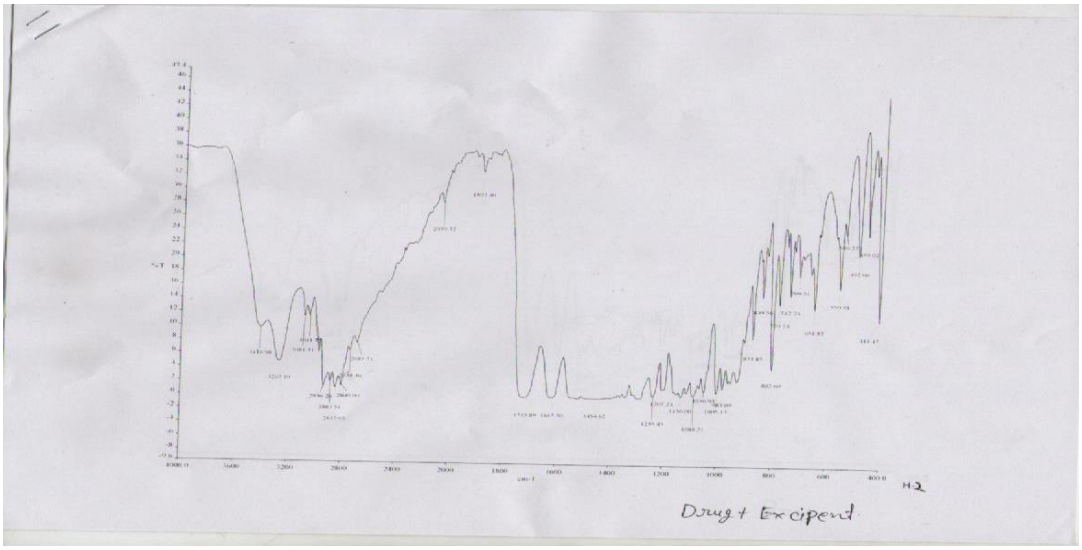


Figure 4: IR spectrum of Levofloxacin + Excipients

***In -vitro* dissolution studies**

Table.no.9: *In -vitro* dissolution studies data

Time (hrs)	% of Drug Release					
	F1	**F2**	**F3**	**F4**	**F5**	**F6**
0	0	0	**0**	0	0	0
1	5.45	4.27	**8.36**	6.72	3.79	7.18
2	12.13	7.23	**16.41**	12.50	9.51	15.41
3	19.32	17.05	**24.76**	19.95	21.59	23.13
4	25.57	24.20	**33.18**	27.03	28.11	32.91
6	41.39	35.77	**51.57**	44.57	38.13	55.39

8	58.57	48.15	**65.95**	56.64	49.66	64.29
10	69.85	65.82	**83.63**	67.21	66.32	79.75
12	88.53	81.09	**95.74**	83.12	82.42	92.33

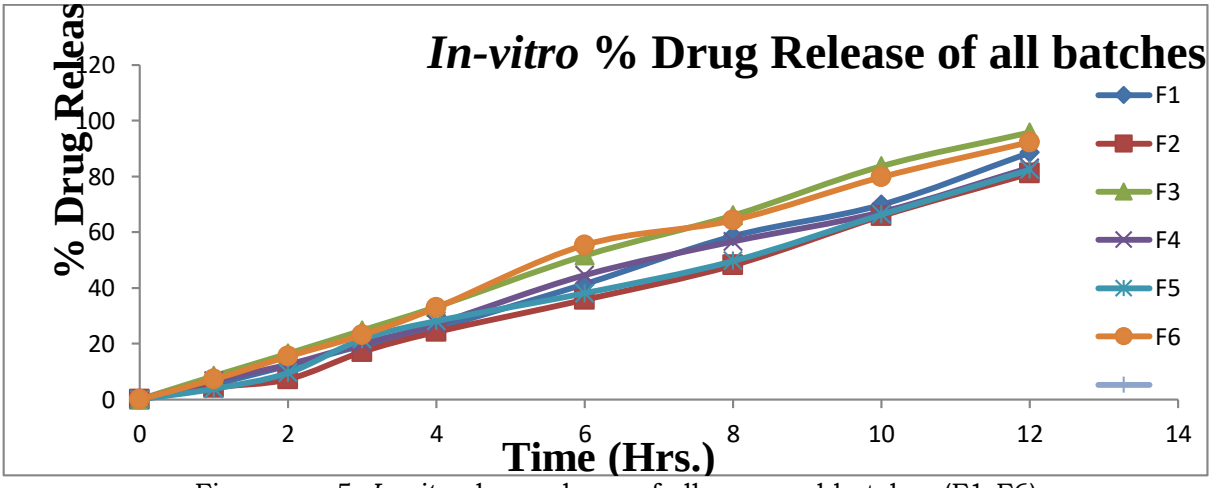


Figure no. 5: *In-vitro* drug release of all prepared batches (F1-F6)

Table no. 10: *In-vitro* drug release of all prepared batches (F1-F6)

Formulation code	**Linearity equation**	**Regression coefficient R^2**
F1	y = 7.401x - 2.185	R^2 = 0.997
F2	y = 6.828x - 3.391	R^2 = 0.993
F3	**y = 8.137x + 0.586**	**R^2 = 0.998**
F4	y = 6.953x - 0.235	R^2 = 0.997
F5	y = 6.821x - 1.582	R^2 = 0.993
F6	y = 7.905x + 0.746	R^2 = 0.992

Drug Release Kinetic modeling

Table no. 11: i*n-vitro* drug release data of optimized micro floating tablet batch (F-3)

Time (hr.)	S.R.T.	Log T.	Abs. (After 10times dilution)	Conc. (µg/ml) (After 10times dilution	Amt. in 5ml (mg)	Amt. in 900ml (mg)	Correction factor	% C.R	Log % C.R	% Drug remaining	Log% drug remaining
0	0	0	0	0	0	0	0	0	0	100	2
1	1	0	0.243	2.322	0.116	20.90	-	8.36	0.922	91.64	1.962
2	1.141	0.301	0.449	4.56	0.228	41.03	0.116	16.41	1.215	83.59	1.922
3	1.732	0.477	0.664	6.91	0.345	62.19	0.228	24.76	1.394	75.24	1.876
4	2	0.602	0.881	9.26	0.463	83.29	0.345	33.18	1.521	66.82	1.825
6	2.449	0.777	1.352	14.38	0.719	129.38	0.463	51.57	1.712	48.43	1.685

8	2.828	0.903	1.714	18.32	0.916	164.88	0.719	65.95	1.819	34.05	1.532
10	3.162	1.000	2.176	23.33	1.166	209.99	0.916	83.63	1.922	16.37	1.214
12	3.464	1.079	2.476	26.59	1.329	239.35	1.166	95.74	1.981	4.26	0.629

Zero order models

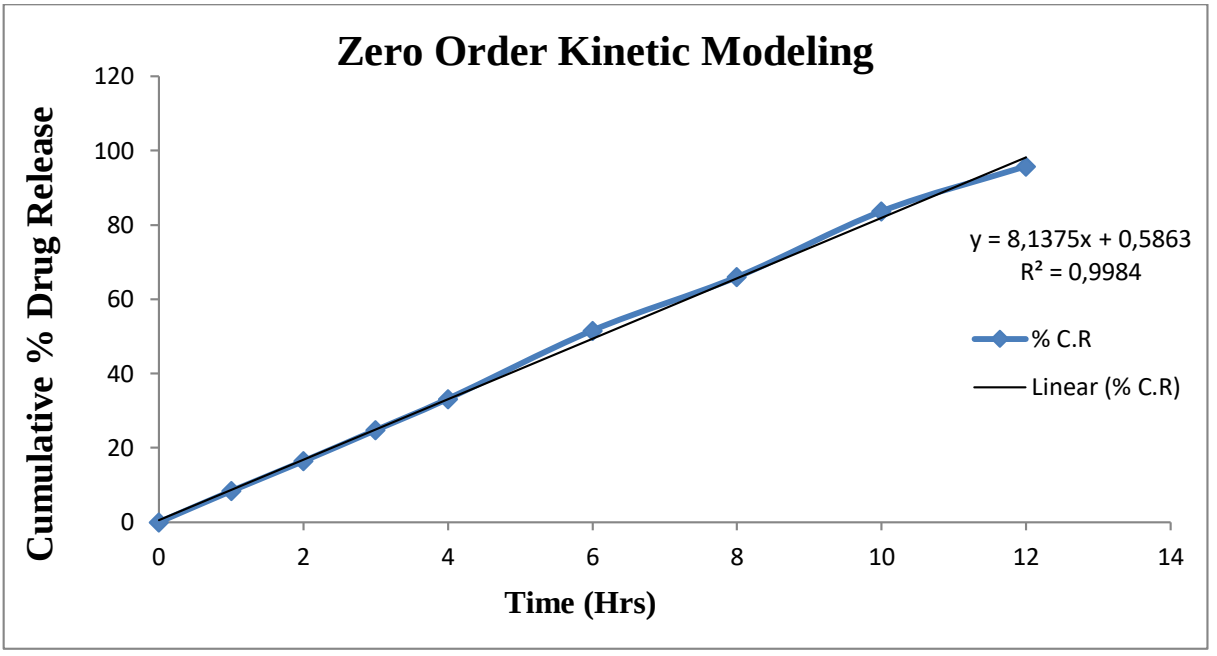


Figure no. 6: Zero order kinetic models for batch F-3

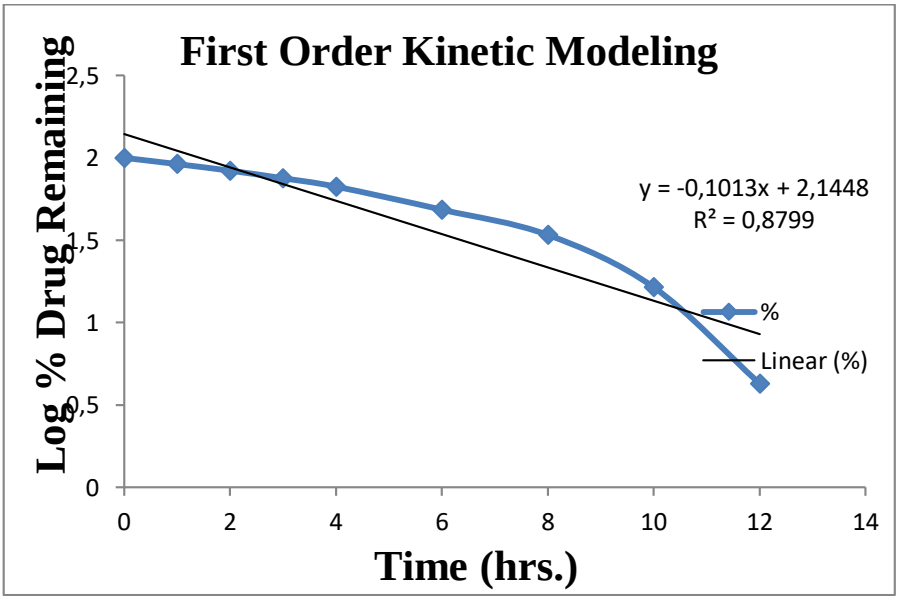


Figure no. 7: First order kinetic models for batch F-3

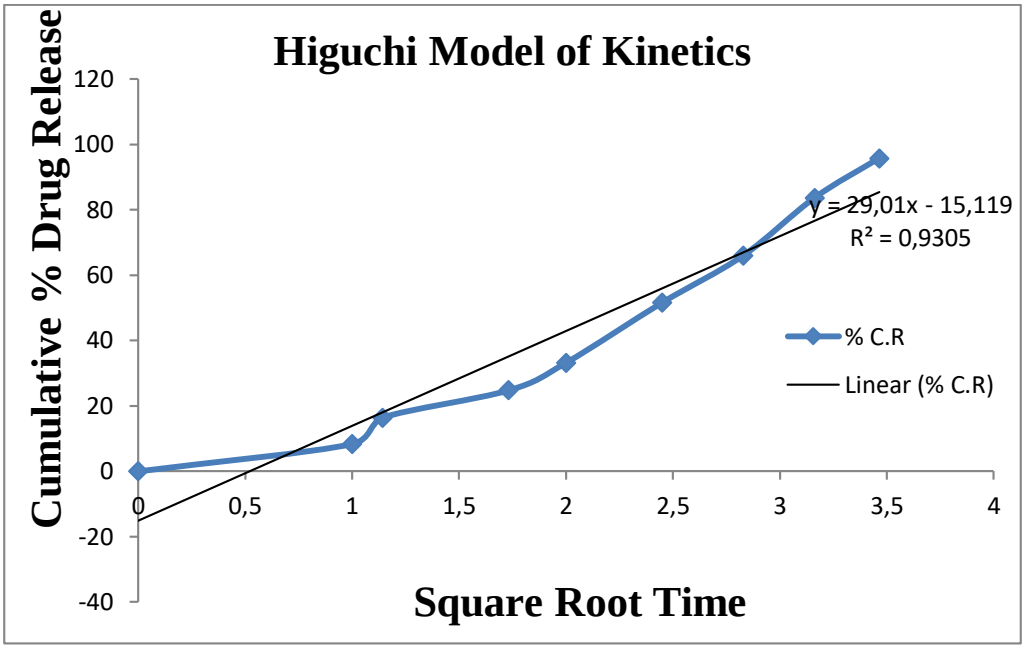


Figure no. 8: Higuchi kinetic models for batch F-3

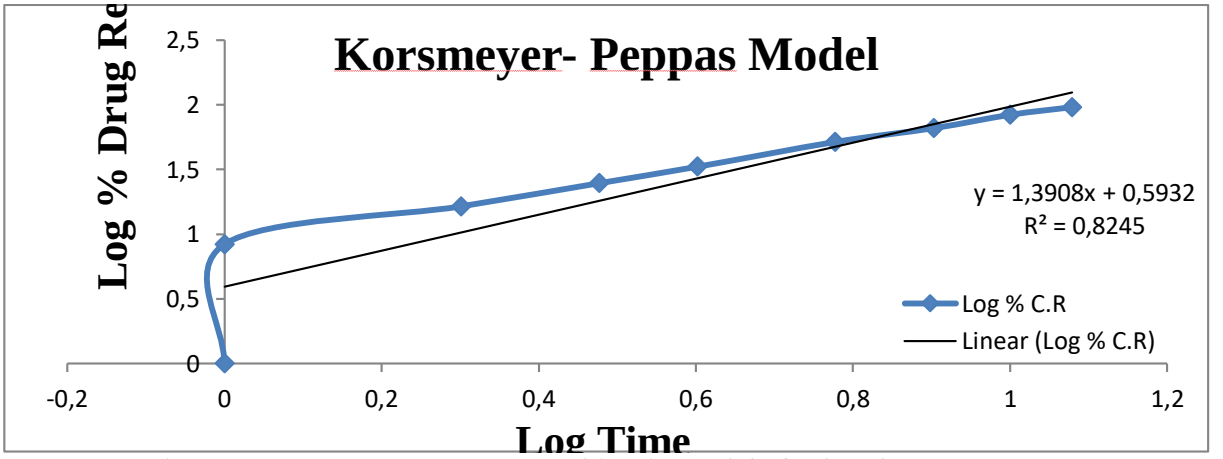


Figure no. 9: Korsmeyer- Peppas kinetic models for batch F-3

Table no. 11: I*n-vitro* curve fits for various release systems for optimized gel F-3

Model	**Equation**	**R^2**
Zero order	y = 8.137x + 0.586	**R^2 = 0.998**
First order	y = -0.101x + 2.144	R^2 = 0.879
Higuchi	y = 29.01x - 15.11	R^2 = 0.930
Korsmeyer –Peppas	y = 1.390x + 0.593	R^2 = 0.824

Stability Studies

Table no. 13: Stability studies of optimized floating tablet batch –F3

S. no.	Parameter	4 °C	27 °C	45 °C
1	Drug content (%)	95.50± 2.36	95.15± 0.63	94.70± 0.61
2	Buoyancy lag time (minutes)	15.3 ± 1.70	14.8± 0.18	14.4± 0.25
3	Duration of buoyancy(Hours)	9.00± 0.06	8.95± 0.45	8.84± 0.42

Conclusion

Floating tablet Formulations of levofloxacin were prepared by simple blending and punching with carbopol, HPMC K4M, sodium bicarbonate, citric acid and talk for oral application. levofloxacin is an antibiotic drug having higher protein binding, hepatic metabolism low oral bioavailability and lower half life. The drug requires a drug delivery system that provides a solution of these problems and improves bioavailability. Prepared floating batches were characterized for compatibility study, pre compression and post compression evaluation, % drug content, *in-vitro* drug release. Major advantages of the system include ease of preparation, high % drug release and long duration over 12 hours. From this study, it was concluded that floating tablet batch F3 offers better sustained release in continuous manner that helpful to maintain bioavailability for long duration and reduces frequency of dose, also reduces dose.

References

1. Hirtz J.The git absorption of drug in man: a review of current concept and method of investigation. *Br J Clin Pharmacol.*1985; 19: 77-83.
2. Arora S, Ali J, Ahuja A. Floating drug delivery system: a review. *AAPS Pharma Sci Tech.*2005; 6(3): 372-390.
3. Moursy NM, Afifi NH, Ghorab DM, EI-Saharty Y. Floating drug delivery system. *Pharmazie.*2003; 58:38-43.
4. Hwang SJ, Park H, Park K. Gastroretentive delivery system. *Crit Rev Ther Drug Carrier Syst.*1998; 15(3):243-284.
5. Kawashima Y, Niwa T, Takeuchi H, Hino T, Itoh Y. Floating drug delivery system. *J Pharm* Sci.1992; 81:135-140.
6. Sato Y, Kawashima Y, Takeuchi H, Yamamoto H, Fujibayashi Y. Pharmacoscintographic evaluation of riboflavin containing microballoons for a floating controlled drug delivery system in healthy humans. *J Control Release.*2004; 98:75-85.
7. Streubel A, Siepmann J, Bodmeier R. Floating matrix tablet based on low density foam powder: effect of formulation and processing parameter on drug release *Eur J Pharm Sc.*2003; 18:37-45.
8. Havelund T, Aalykke C, Rasmassen L. Efficacy of a pectin reflux agent on acid reflux and recurrence of symptoms and oesophagitis reflux disease. *Eur J Gastroentrol Hepatol.*1997; 9:509-514.
9. Klausner EA, Lavy E, Stepensky D, Freidman M, Hoffman A. Floating drug delivery system. *Pharm Res.*2002; 19:1516-1523.

10. Hilton AK, Deasy PB. *In vitro* and *in vivo* evaluation of an oral sustained release floating dosage form of amoxicillin trihydarte. *Int J Pharm.*1992; 86(34):79-88.
11. Reddy L.H, Murthy R.S. Floating dosage system in drug delivery. *Crit Rev Ther Drug Carrier Syst.*2002; 19(6):553-585.
12. Seth PR, Tossounian J.The hydrodynamically balanced systems (HBS): a novel *drug* delivery system for oral use. *Drug Dev Ind Pharm.*1984; 10:313-339.