How to Cite:
Dhone Pravin, G., Kavita, K., Tanaji, S., & Khandelwal, P. N. (2022). Different evaluation parameters of floating microsphere of ofloxacin. *International Journal of Health Sciences*, *6*(S4), 4863–4870. https://doi.org/10.53730/ijhs.v6nS4.9859

Different evaluation parameters of floating microsphere of ofloxacin

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***Abstract*---**The Floating Microsphere of Ofloxacin 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. From this study, it was concluded that formulation of floating microspheres of ofloxacin offers prolonged gastric residence time and continuous release of the medication over an extended period of time thus oral bioavailability of the drug and subsequent efficacy is improved.

***Keywords*---**microsphere, floating tablet, ofloxacin.

Introduction

The Floating Microsphere of Ofloxacin requires a novel gastroretentive drug delivery system which can provide an extended period of time in stomach and improve oral bioavailability.[1] Floating microspheres were characterized for

floating ability, compatibility study, particle size and shape, entrapment efficiency, *in-vitro* drug release.[2] 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.[3,4] Microsphere are spherical microparticles having diameter of 1–1000 µm. Biodegradable polymers are frequently used for the development of microsphere matrixes such as polylactic acid and copolymer of lactic acid and glycolic acid.[5] Different Administration of drug via microparticulate systems having their advantageous because microspheres can be ingested or injected; they can be tailored for desired release[6]

Different Methods of evaluation floating microsphere of ofloxacin. [7,10] *In that firstly prepared the floating microsphere of ofloxacin and then get evaluated by certain parameter.

A) Evaluation parameter
   1. Percentage yield
   2. Particle size determination
   3. Entrapment efficiency
   4. Surface morphology by SEM
B) Micromeritics of microsphere [8,9]
C) *In-vitro* release studies
D) *In-vitro* buoyancy studies
E) Sem Analysis
F) Stability Studies

Results

Evaluation of Prepared Floating Microsphere

Table no. 1: Evaluation of prepared floating Microsphere

Batch code	Yield (%)	Mean Particle size(µm)	Encapsulation Efficiency (%)
EC1	94.28±0.045	644±0.016	89.80±0.025
EC2	92.46±0.038	663±0.012	92.70±0.038
EC3	91.69±0.052	676±0.007	98.20±0.059
EC4	95.43±4.7	463+2.6	78.6±1.3
EC5	93.24±2.6	521±4.4	86.2±2.0

Micromeritic properties of floating Microspheres

Table no. 2: Evaluation of micromeritic properties of floating microsphere

Batch Code	Bulk Density g/cm^3	Tapped Density g/cm^3	Carr's Index (%)	Hausner's Ratio	Angle of Repose (θ)
EC1	0.102	0.169	39.65 %	1.657	31
EC2	0.106	0.170	37.65 %	1.604	35

EC3	0.112	0.118	05.08 %	1.054	17
EC4	0.123	0.174	29.31 %	1.415	28
EC5	0.128	0.184	30.44 %	1.438	29

In-vitro buoyancy studies

Table no. 3: Percentage buoyancy studies

Formulation	% Buoyancy			
	6 Hrs.	12 Hrs.	18 Hrs	24 Hrs
EC1	90.4 ± 0.224	91.3 ± 0.520	80.3 ± 0.120	68.2 ± 0.111
EC2	89.3 ± 0.322	78.4 ± 0.621	69.3 ± 0.021	51.4 ± 0.733
EC3	93.9 ± 0.663	82.1 ± 0.123	71.7 ± 0.221	65.2 ± 0.191
EC4	73.6 ± 0.812	62.2 ± 0.413	51.5 ± 0.271	41.1 ± 0.505
EC5	78.5 ± 0.632	74.4 ± 0.102	61.9 ± 0.621	51.2 ± 0.353

***In-vitro* drug release study**

Table no. 4: *In-vitro* % cumulative drug release of floating microspheres

Time (hrs)	EC-1	EC-2	EC-3	EC-4	EC-5
0	0	0	0	0	0
1	17.249	19.62	21.6	29.7	22.68
2	29.835	31.68	33.12	34.365	30.726
4	32.34	39.68	44.64	37.435	41.876
6	44.566	48.7	49.692	41.781	48.227
8	50.931	59.22	60.405	49.39	49.932
10	60.57	65.62	70.276	58.3	55.785
12	78.541	82.18	73.72	65.998	61.489
16	81.49	84.6	81.681	71.937	67.403
18	84.273	88.56	87.011		72.808
20	88.329	93.18	93.092	85.162	76.621
24	92.765	95.56	97.913	96.241	81.533

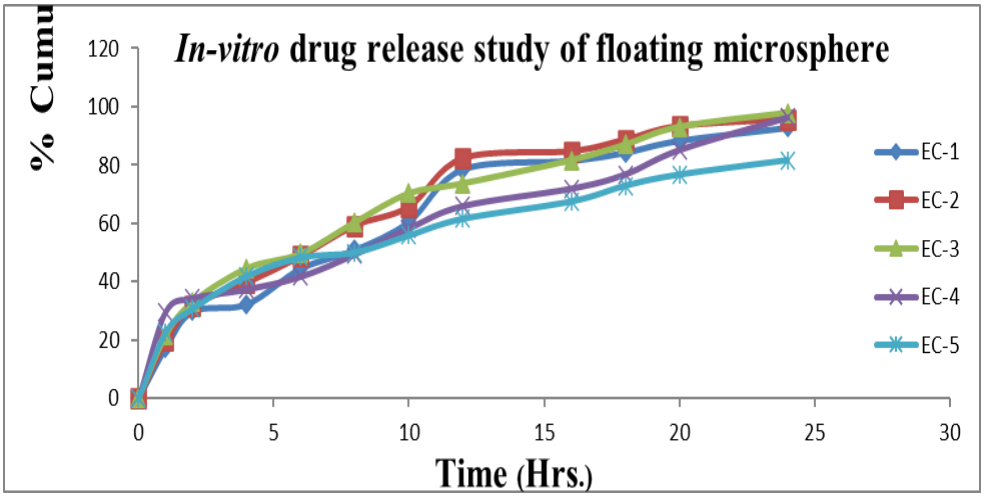

FIG 1: *In-vitro* drug release study of floating microsphere

Kinetic Release Modeling

Table no. 5: *In-Vitro* Release Profile of optimized Ofloxacin Microsphere batch EC-3

Time (hr.)	S.R.T.	Log T.	Abs.	Conc. (µg/ml)	Amt. in 5ml (mg)	Amt. in 900ml (mg)	Correction factor	C.R	Log % C.R	Drug remaining	Log% drug release
0	0	0	0	0	0	0	0	0	0	100	2
1	1	0	0.745	24.166	0.120	21.6	-	21.6	1.334	78.4	1.894
2	1.141	0.301	1.141	36.944	0.184	33.12	0.120	33.12	1.521	66.76	1.824
4	2	0.602	1.539	49.722	0.248	44.64	0.304	44.64	1.652	55.056	1.74
6	2.449	0.777	2.048	66.388	0.273	49.14	0.552	49.692	1.696	50.308	1.701
8	2.828	0.903	2.381	76.944	0.331	59.58	0.825	60.405	1.781	39.591	1.597
10	3.162	1.000	2.483	80.277	0.384	69.12	1.156	70.276	1.846	29.724	1.473
12	3.464	1.079	2.747	88.611	0.401	72.18	1.54	73.72	1.86	26.18	1.419
16	4	1.204	2.925	94.166	0.443	79.74	1.941	81.681	1.912	18.319	1.263
18	4.242	1.255	3.102	100.27	0.470	84.6	2.411	87.011	1.939	12.989	1.113
20	4.472	1.301	3.446	111.38	0.501	90.18	2.912	93.092	1.968	6.908	0.839
24	4.898	1.380	3.253	105.27	0.525	94.6	3.|413	97.913	1.990	2.087	0.319

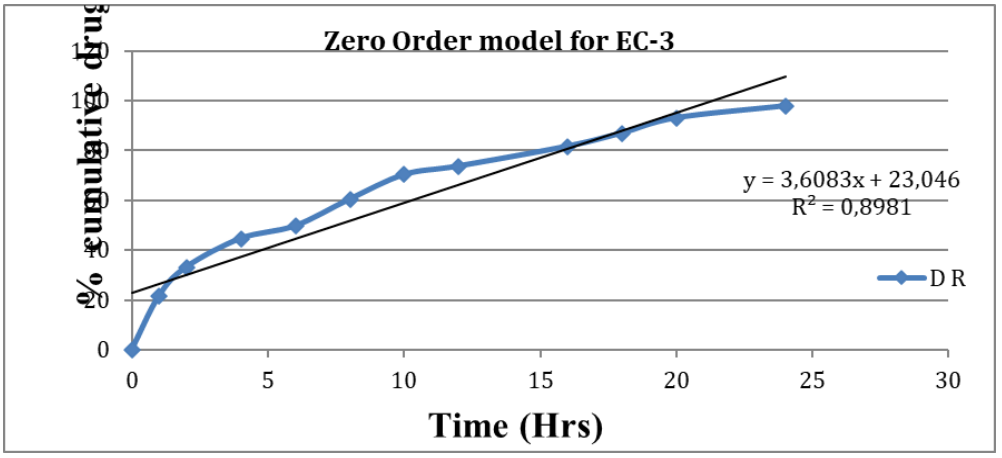

Fig. no. 2: Zero order model for EC-3

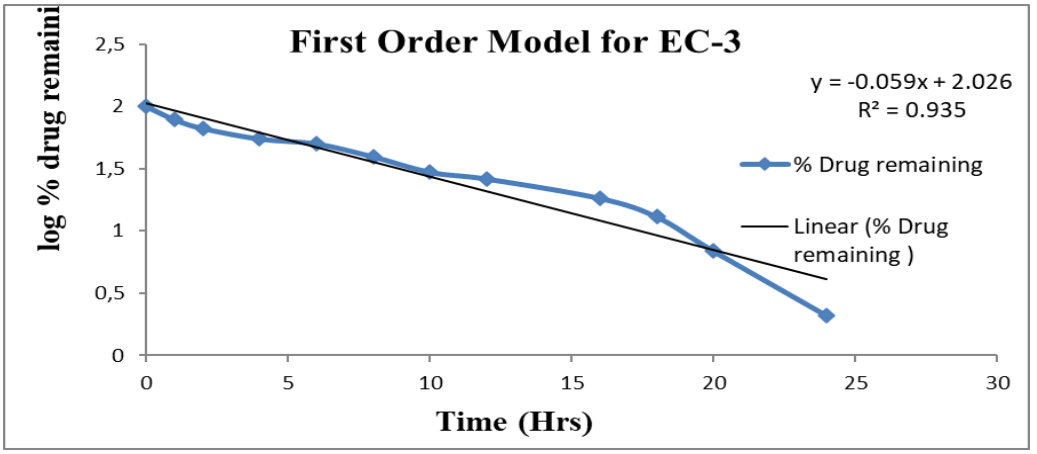

Fig. no. 3 First order model for EC-3

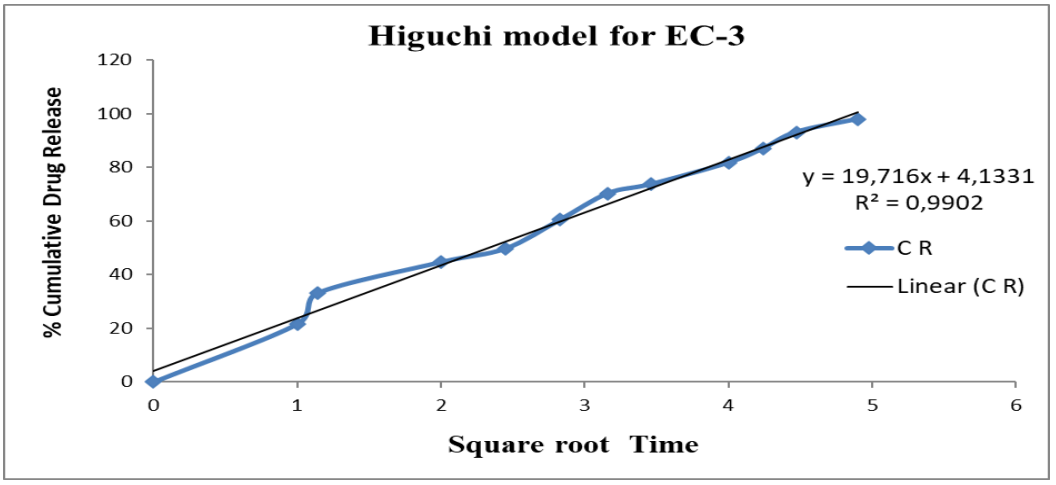

Fig. no. 4: Higuchi model for EC-3

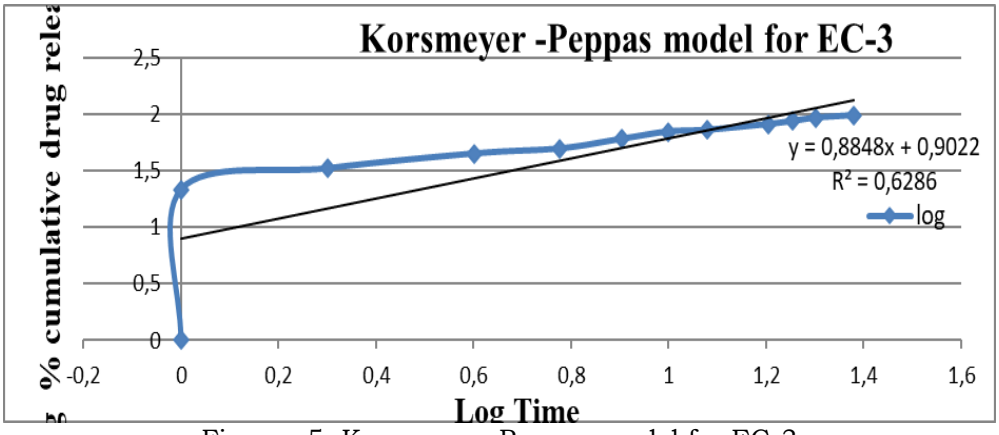

Fig. no. 5: Korsmeyer- Peppas model for EC-3

Table no. 6: i*n-vitro* curve fits for various release systems for optimized

Model	Equation	R^2
Zero order	y = 3.608x + 23.04	0.898
First order	y = -0.059x + 2.026	0.935
Higuchi	y = 19.71x + 4.133	0.990
Korsmeyer –Peppas	y = 0.884x + 0.902	0.628

SEM study of optimized floating Microsphere batch EC-3

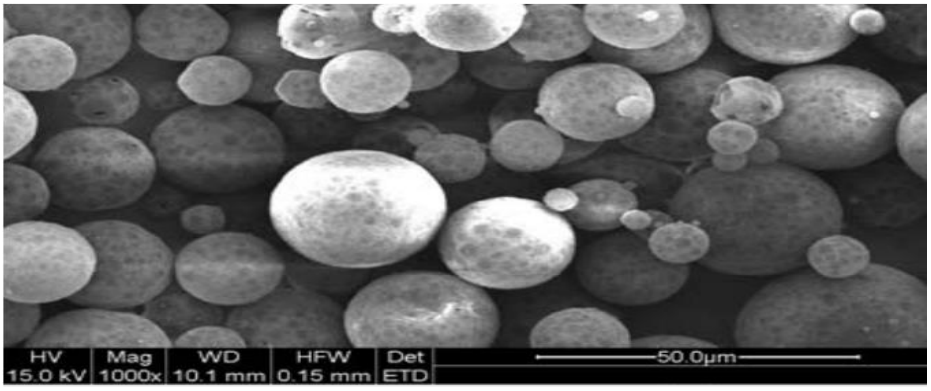

Fig. no. 6: SEM study of optimized floating Microsphere batch EC-3

Stability Studies

Table no. 7: Stability studies of optimized floating microsphere batch EC-3

Time (Days)	% Drug release		
	4 °C	25 °C	45 °C
0	97.1	97.1	97.1
15	97.1	97.1	93.32

30	94.02	97.1	95.06

Discussion

- Evaluation of prepared floating microsphere were found yield between 91.69 to 95.43%, mean particle size between 463 to 676 μm and encapsulation efficiency between 78.6 to 98.2%.
- On the basis of various parameter of evaluation of floating microspheres formulations, EC-4 has greater yield 95.43 % but its encapsulation efficiency was lower 74.6. EC-1, EC-2, EC-4 and EC-5 were possessed poor mircomeritic properties e.g. Carr's Index 39.65, 37.65, 29.31 and 30.44% respectively, Hausner's ratio 1.657, 1.604, 1.415 and 1438 respectively and angle of repose (θ) 31, 35, 28 and 29 respectively that indicates irregular shape, improper size distribution and poor to very poor flow properties of the prepared microsphere. Hence, all formulations except EC-3 were not suitable for further investigation EC-3 microsphere batch possessed yield (91.69%), particle size (676 μm), encapsulating efficiency (98.2), Carr's Index (5.08%), Hausener's ratio (1.054) and 65.2 % *in-vitro* buoyancy which was excellent among all prepared formulations. Also drug release was 97.913 %.
- SEM analysis also indicated that floating Microsphere batch EC-3 had smooth surface and regular in shape. *In-vitro* drug release data was further expended for kinetic modeling. Kinetic modeling revealed that floating microsphere batch EC-3 was followed Higuchi model with regression value (R^2) 0.990.
- Stability studies for 30 days was performed on three different temperatures (4, 25 & 45°C) and found that no significant variation in % drug release of optimized floating microspheres batch EC-3 during whole study.

Conclusion

From this study, it was concluded that formulation of floating microspheres of ofloxacin offers prolonged gastric residence time and continuous release of the medication over an extended period of time thus oral bioavailability of the drug and subsequent efficacy is improved.

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