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Formulation and Evaluation of Gastro-retentive Floating tablets of Terbinafine

Abhinay Kumar,[1*]Himani Tiwari[2] and Md. Zulphakar Ali[3]

[1]Student of M.Pharm 2nd Year, [2]HOD, [3]Assistant professor

Department of Pharmaceutical Science, Mewar University Gangrar, Chittorgarh, (R.J.) – India

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Abstract

Delivery of drugs at a specific region in gastrointestinal tract, the so called absorption window needs the development of gastro retentive dosage forms. The attempts to develop gastro retentive drug delivery systems may be largely divided into two classes: those that rely on the natural physiology of the gastrointestinal tract and those that are designed to overcome it. Approaches such as size or floatation, which rely on delayed emptying from the stomach, depend on the normal physiological duration of the fed state of 4-8 hr, following a meal and rather reproducible transit time through the small intestine. The main objective of this work was to investigate the possibility of improving the solubility and dissolution rate of Terbinafine by preparing Gastro retentive Floating Tablets. Being a weak acid, the drug is well absorbed from the upper portion of duodenum. Therefore, a floating system is expected to produce a prolonged release of the drug.

Keywords: Terbinafine, Floating Tablets, Formulation

Introduction

Oral controlled release delivery systems are programmed to deliver the drug in predictable time frame that will increase the efficacy and minimize the adverse effects and increase the bioavailability of drugs. Oral drug delivery is most widely utilized route of administration among all the routes that have been explored for systemic delivery of drugs via pharmaceutical products of different dosage form. Oral route is considered most natural, uncomplicated, convenient and safe due to its ease of administration, patient acceptance, and cost-effective manufacturing process. [1]

Terbinafine, is an antifungal drug used to treat ringworm, pityriasis versicolor, and fungal nail infections. *It* is an Allylamine Antifungal. *It* is a synthetic allylamine derivative with antifungal activity. Like other allylamines, terbinafine inhibits ergosterol synthesis by inhibiting squalene epoxidase, an enzyme that catalyzes the conversion of squalene to lanosterol. In fungi, lanosterol is then converted to ergosterol; in humans, lanosterol becomes cholesterol. However, there is sufficient genetic divergence between fungal and human squalene epoxidases that terbinafine preferentially binds fungal squalene epoxidase, making it selective for inhibiting ergosterol production in fungi without significantly affecting cholesterol production in humans. This is thought to change cell membrane permeability, causing fungal cell lysis. [2-3]

***Corresponding Author**

It is a synthetic allylamine antifungal agent used in the treatment of superficial and systemic fungal infections such as, tinea corporis, tinea cruris, tinea manus and tinea pedis caused due to Trichophyton rubrum, Trichophyton mentagrophytes, Microsporum. canis and for the treatment of seborrheic dermatitis. Due to its dissolution and absorption properties, Terbinafine is classified in the Biopharmaceutics Classification Scheme as a class II drug, since it has a high permeability, but a solubility in aqueous media which is insufficient for the whole dose to be dissolved in the gastrointestinal fluids under normal conditions. [4]

Material and Methods

Formulation of Terbinafine HCl floating tablet

Floating tablets containing Terbinafine HCl were prepared by direct compression technique using varying concentrations of different grades of polymers of HPMC & Ethylcellulose with sodium bicarbonate, citric acid, Lactose and PVP K-30 are geometrically mixed all the powders were passed through sieve. No #80. Magnesium stearate and talc were finally added as glidant and lubricant respectively. The blend was directly compressed using tablet compression machine. The tablets were off white, round and flat. The hardness of the tablets was kept constant. Ten formulations were prepared and coded them from F1 to F10. [5-6] The detail of composition of each formulation is given in Table1**.**

Table 1: Composition of different floating tablet formulations of Terbinafine

Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9	F10
Terbinafine HCL	250	250	250	250	250	250	250	250	250	250
HPMCK4 M	50	75	100	-	-	-	-	-	-	75
HPMCK15M	-	-	-	50	75	100	-	-	-	25
Ethylcellulose	-	-	-	-	-	-	50	75	100	25
Lactose	55	30	5	55	30	5	55	30	5	20
Sodium Bicarbonate	75	50	50	50	50	50	50	50	50	50
Citric Acid	50	50	50	50	50	50	50	50	50	50
PVP K-30	15	15	15	15	15	15	15	15	15	15
Talc	2	2	2	2	2	2	2	2	2	2
Magnesium Stearate	3	3	3	3	3	3	3	3	3	3
Total	500	500	500	500	500	500	500	500	500	500

All quantities are in mg

Evaluation of Terbinafine floating tablet [7-8]

Post- compression parameters

Tablet Hardness

The crushing strength Kg/cm^2 of prepared tablets was determined for 10 tablets of each batch by using Monsanto tablet hardness tester. The average hardness and standard deviation was determined.

Uniformity of Weight

Twenty tablets were individually weighed and the average weight was calculated. From the average weight of the prepared tablets, the standard deviation was determined.

Friability

Twenty tablets were weighed and placed in the Electrolab friabilator and apparatus was rotated at 25 rpm for 4 minutes. After revolutions the tablets were dedusted and weighed again.

Uniformity of Content

Five randomly selected tablets were weighed and powdered. The powdered tablet equivalent to 20 mg drug in one tablet was taken and transferred in a 250ml flask containing 100ml of 0.1N HCl (pH 1.2). The flask was shaken on a flask shaker for 24 hours and was kept for 12 hours for the sedimentation of undissolved materials. The solution is filtered through Whatman filter paper. 10ml of this filtrate was taken and appropriate dilution was made. The samples were analyzed at 283 nm using UV visible spectrophotometer.

In Vitro Buoyancy Test

The prepared tablets were subjected to *in vitro* buoyancy test by placing them in 250 ml beaker containing 200ml 0.1 N HCl (pH 1.2, temp. 37±0.5 ºC). The time between introduction of the

dosage form and its buoyancy in the medium and the floating durations of tablets was calculated for the determination of lag time and total buoyancy time by visual observation. The Time taken for dosage form to emerge on surface of medium called Floating Lag Time (FLT) or Buoyancy Lag Time (BLT) and total duration of time by which dosage form remain buoyant is called Total Floating Time (TFT)

Swelling index

Swelling of tablet excipients particles involves the absorption of a liquid resulting in an increase in weight and volume. Liquid uptake by the particle may be due to saturation of capillary spaces within the particles or hydration of macromolecule. The liquid enters the particles through pores and bind to large molecule; breaking the hydrogen bond and resulting in the swelling of particle. The extent of swelling can be measured in terms of weight gain by the tablet. Each tablet from all formulations pre-weighed and allowed to equilibrate with 0.1N Hcl (pH-1.2) for 5hr, was then removed, blotted using tissue paper and weighed.

***In vitro* Dissolution Study**

In Vitro dissolution study was carried out using USP II apparatus in 900 ml of 0.1 N HCl (pH 1.2) for 8 hours. The temperature of the dissolution medium was kept at 37± 0.5ºC and the paddle was set at 50 rpm. 10 ml of sample solution was withdrawn at specified interval of time and filtered through Whatman filter paper. The absorbance of the withdrawn samples was measured at λ_{max} 283 nm using UV visible spectrophotometer.

Results and Discussion

The results for the evaluation of tablets were mentioned in table 2 and 3.

In vitro dissolution studies of the prepared floating/ non-floating matrix tablets of Terbinafine HCl was carried out on USP-II dissolution apparatus using paddle. The dissolution study of all the prepared tablets was carried under following conditions:-

Medium : 900 ml 0.1 N HCl (pH 1.2)
RPM : 50 rpm
Sample taken : 10 ml
λmax : 283 nm

Absorbance for the sample withdrawn was recorded and percent (%) drug release at different time intervals are shown in table.

Table 2: Post-compression parameters of Formulations

Parameters / Batch No.	Weight variation	Hardness (kg/cm2)	Friability (%)	Drug Content (%)
F1	Pass	5.6	0.51	98.5
F2	Pass	5.9	0.63	99.1
F3	Pass	6.2	0.69	98.1
F4	Pass	6.0	0.58	99.4
F5	Pass	6.4	0.69	99.5
F6	Pass	6.9	0.72	96.2
F7	Pass	7.2	0.53	97.3
F8	Pass	7.4	0.49	98.4
F9	Pass	7.6	0.41	99.2
F10	Pass	7.5	0.46	98.3

(n=3, the data represents the mean of three observations**)**

Table 3: *In vitro* Buoyancy study of formulations

Batch	Buoyancy Lag Time(sec.)	Total Floatation time(hr.)
F1	100	8
F2	115	8
F3	180	8
F4	105	8
F5	120	>12
F6	155	>12
F7	165	>12
F8	170	>12
F9	180	>12
F10	178	>12

Table 4: In vitro release profile of F1 formulation

S.no	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0.000	0.000
2	30.000	5.477	1.477	6.088	30.43	1.67786
3	60.000	7.746	1.778	9.028	45.13	1.768873
4	120.000	10.954	2.079	11.787	58.93	1.885695
5	180.000	13.416	2.255	13.971	69.85	1.483415
6	240.000	15.492	2.380	16.632	83.16	1.996256
7	300.000	17.321	2.477	19.494	97.46	1.996514

Table 5: In vitro release profile of Formulation F2

S.no.	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0	0
2	30.000	5.477	1.477	5.934	29.67	1.608745
3	60.000	7.746	1.778	9.527	47.63	1.677921
4	120.000	10.954	2.079	10.980	54.89	1.73957
5	180.000	13.416	2.255	12.674	63.37	1.801888
6	240.000	15.492	2.380	15.377	76.88	1.885841
7	300.000	17.321	2.477	16.837	84.18	1.925241
8	360.000	18.974	2.556	18.159	90.79	1.958068
9	420.000	20.494	2.623	18.469	92.34	1.965407
10	480.000	21.909	2.681	19.021	95.10	1.978194

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Table 6: In vitro release profile of Formulation F3

S.no	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0.000	0.000
2	30.000	5.477	1.477	4.620	23.10	1.363653
3	60.000	7.746	1.778	8.150	40.74	1.610115
4	120.000	10.954	2.079	9.877	49.38	1.693612
5	180.000	13.416	2.255	10.960	54.79	1.73878
6	240.000	15.492	2.380	11.930	59.64	1.775592
7	300.000	17.321	2.477	13.161	65.80	1.818264
8	360.000	18.974	2.556	13.891	69.45	1.841692
9	420.000	20.494	2.623	15.384	76.91	1.886032
10	480.000	21.909	2.681	15.983	79.91	1.902636

Table 7: In vitro release profile of Formulation F4

S.no.	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0.000	0.000
2	30.000	5.477	1.477	5.212	26.05	1.415948
3	60.000	7.746	1.778	8.503	42.51	1.628563
4	120.000	10.954	2.079	11.478	57.38	1.758832
5	180.000	13.416	2.255	14.713	73.56	1.866683
6	240.000	15.492	2.380	16.352	81.75	1.912536
7	300.000	17.321	2.477	17.631	88.15	1.945247
8	360.000	18.974	2.556	18.799	93.99	1.973097
9	420.000	20.494	2.623	19.791	96.95	1.995428

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Table 8: In vitro release profile of Formulation F5

S.no.	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0.000	0.000
2	30.000	5.477	1.477	5.058	25.29	1.402983
3	60.000	7.746	1.778	8.108	40.54	1.607905
4	120.000	10.954	2.079	11.235	56.17	1.749536
5	180.000	13.416	2.255	12.676	63.37	1.801937
6	240.000	15.492	2.380	15.377	76.88	1.885841
7	300.000	17.321	2.477	16.377	81.88	1.913215
8	360.000	18.974	2.556	17.850	89.25	1.950611
9	420.000	20.494	2.623	18.603	93.01	1.968549
10	480.000	21.909	2.681	19.045	96.22	1.97875

Table 9: In vitro release profile of Formulation F6

S.no.	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0	0
2	30.000	5.477	1.477	4.358	21.78	1.338224
3	60.000	7.746	1.778	6.578	32.89	1.517087
4	120.000	10.954	2.079	7.832	39.16	1.592852
5	180.000	13.416	2.255	8.781	43.90	1.642501
6	240.000	15.492	2.380	9.859	49.29	1.692803
7	300.000	17.321	2.477	11.310	56.55	1.752442
8	360.000	18.974	2.556	12.085	60.42	1.781207
9	420.000	20.494	2.623	13.228	66.13	1.820455
10	480.000	21.909	2.681	14.417	72.08	1.857831

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Table 10: In vitro release profile of Formulation F7

S.no	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0	0
2	30.000	5.477	1.477	6.526	32.62	1.513587
3	60.000	7.746	1.778	8.336	41.67	1.619903
4	120.000	10.954	2.079	10.973	54.86	1.739308
5	180.000	13.416	2.255	12.061	60.30	1.780352
6	240.000	15.492	2.380	13.447	67.23	1.827581
7	300.000	17.321	2.477	15.140	75.70	1.879107
8	360.000	18.974	2.556	16.529	82.64	1.917226
9	420.000	20.494	2.623	18.092	90.45	1.956452
10	480.000	21.909	2.681	19.787	92.93	1.995342

Table 11: In vitro release profile of Formulation F8

S.no	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0	0
2	30.000	5.477	1.477	4.139	20.69	1.315832
3	60.000	7.746	1.778	5.191	25.95	1.414211
4	120.000	10.954	2.079	7.387	36.93	1.567409
5	180.000	13.416	2.255	8.778	43.89	1.642379
6	240.000	15.492	2.380	10.538	52.68	1.721721
7	300.000	17.321	2.477	11.949	59.74	1.776304
8	360.000	18.974	2.556	13.183	65.91	1.81899
9	420.000	20.494	2.623	14.197	70.98	1.851177
10	480.000	21.909	2.681	15.385	76.92	1.88608

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Table 12: In vitro release profile of Formulation F9

S.no	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0	0
2	30.000	5.477	1.477	10.401	28.90	1.460975
3	60.000	7.746	1.778	19.542	37.93	1.579032
4	120.000	10.954	2.079	27.262	45.53	1.658386
5	180.000	13.416	2.255	42.852	51.60	1.712678
6	240.000	15.492	2.380	53.778	59.19	1.772262
7	300.000	17.321	2.477	62.051	67.33	1.828248
8	360.000	18.974	2.556	66.367	80.08	1.903536
9	420.000	20.494	2.623	72.741	88.14	1.945201
10	480.000	21.909	2.681	78.360	91.82	1.990452

Table 13: In vitro release profile of Formulation F10

S.no.	Time (min)	Root time	Log time	Cumulative Conc.	Cumulative % release	Log Cumulative Release
1	0.000	0.000	0.000	0.000	0.000	0.000
2	30.000	5.477	1.477	5.212	26.05	1.415948
3	60.000	7.746	1.778	8.503	42.51	1.628563
4	120.000	10.954	2.079	11.478	57.38	1.758832
5	180.000	13.416	2.255	14.713	73.56	1.866683
6	240.000	15.492	2.380	16.352	81.75	1.912536
7	300.000	17.321	2.477	17.631	88.15	1.945247
8	360.000	18.974	2.556	18.799	93.99	1.973097
9	420.000	20.494	2.623	19.791	96.95	1.995428

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Table 14: Swelling Index of Tablets of Batches F1 to F10

Batch	TIME (HRS)					
	0	1	2	3	4	5
F1	0	41.25	54.48	65.32	70.05	88.12
F2	0	49.25	61.54	72.90	82.37	92.54
F3	0	35.21	48.92	55.76	69.52	78.2
F4	0	36.09	47.45	55.32	67.12	78.97
F5	0	45.73	59.76	67.72	81.26	91.60
F6	0	32.55	43.35	57.32	62.45	74.09
F7	0	36.76	48.98	59.54	67.06	81.78
F8	0	28.45	42.78	53.87	61.58	75.02
F9	0	43.06	57.96	65.32	78.34	92.09
F10	0	36.19	43.44	51.12	60.02	77.79

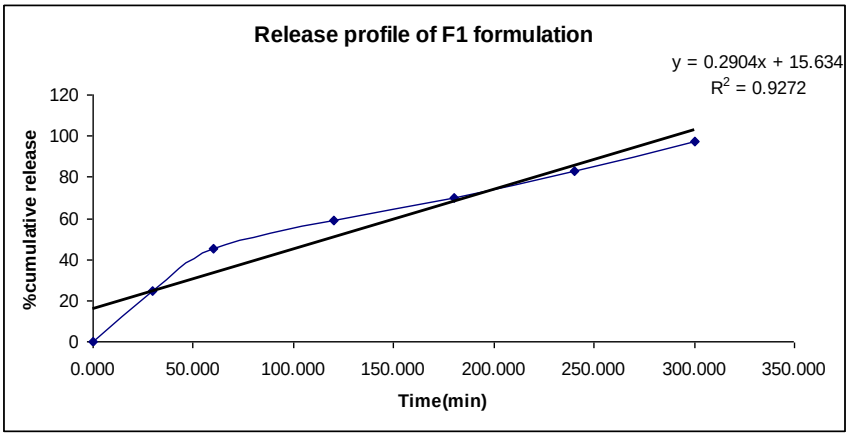

Figure 1: Release profile of Formulation F1

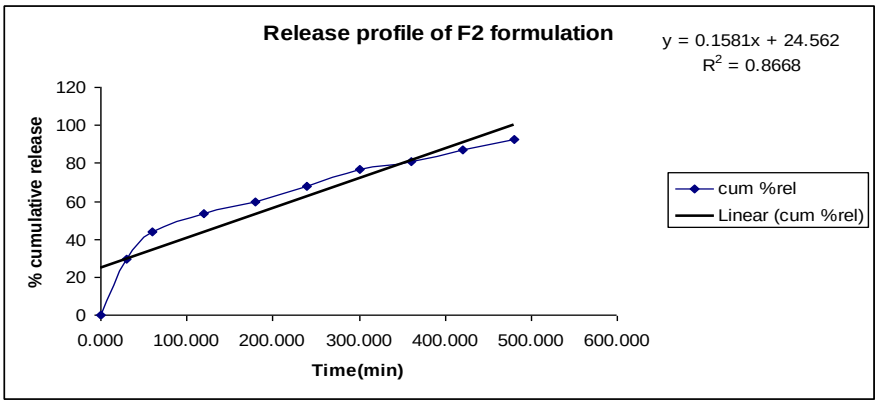

Figure 2: Release profile of Formulation F2

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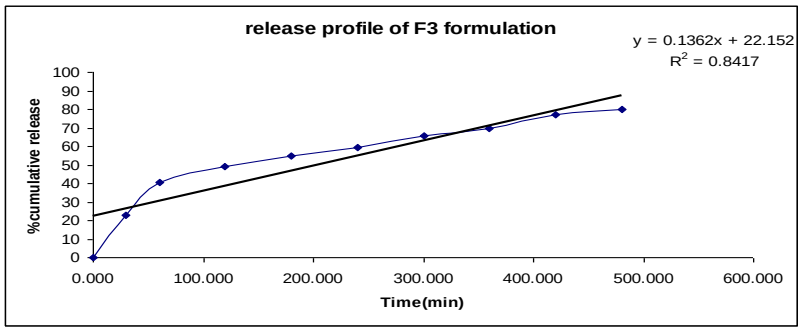

Figure 3: Release profile of Formulation F3

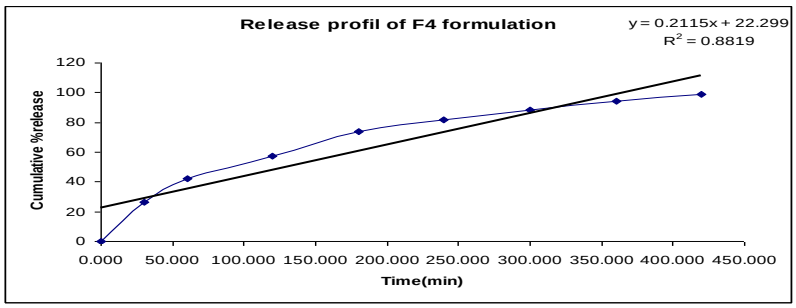

Figure 4: Release profile of Formulation F4

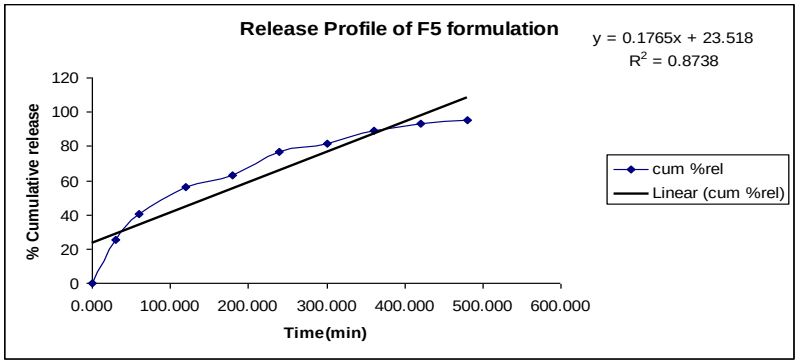

Figure: 5 Release profile of Formulation F5

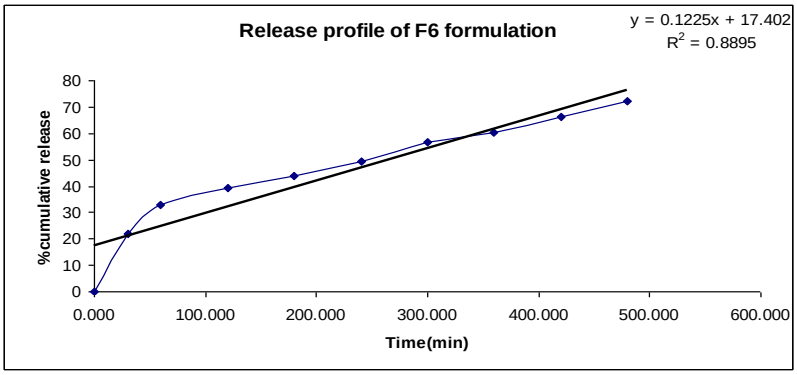

Figure: 6 Release profile of Formulation F6

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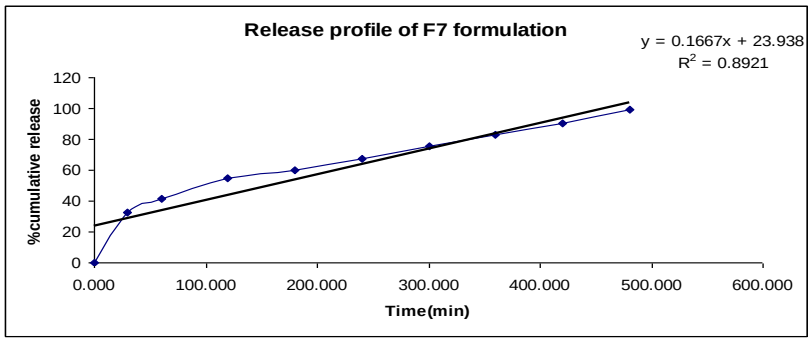

Figure 7: Release profile of Formulation F7

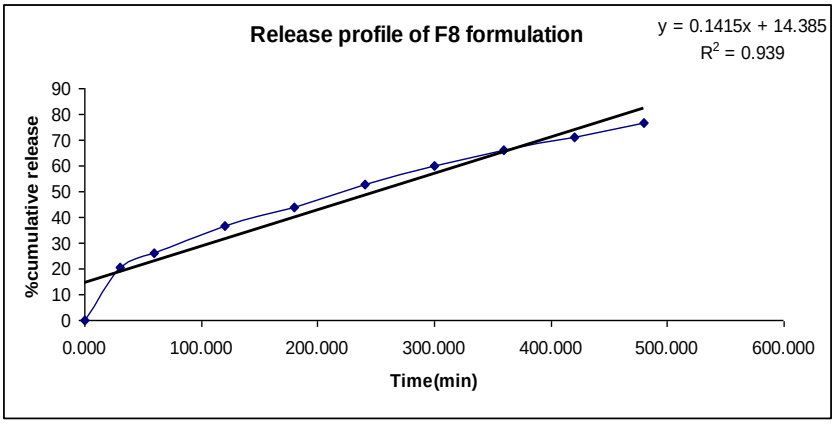

Figure 8: Release profile of Formulation F8

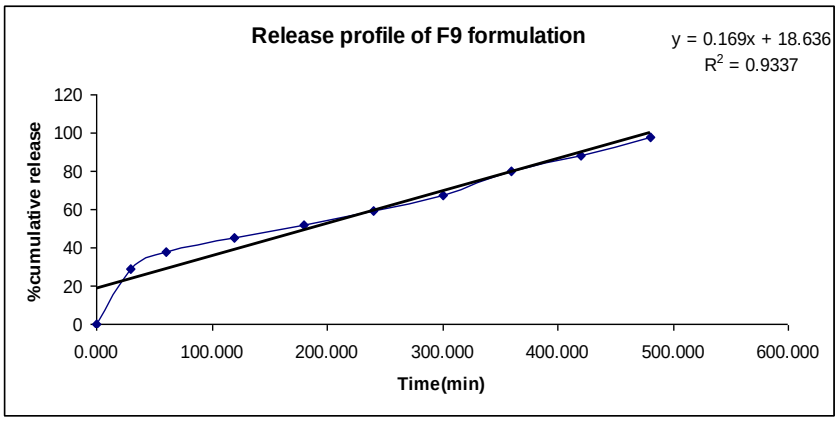

Figure: 9 Release profile of Formulation F9

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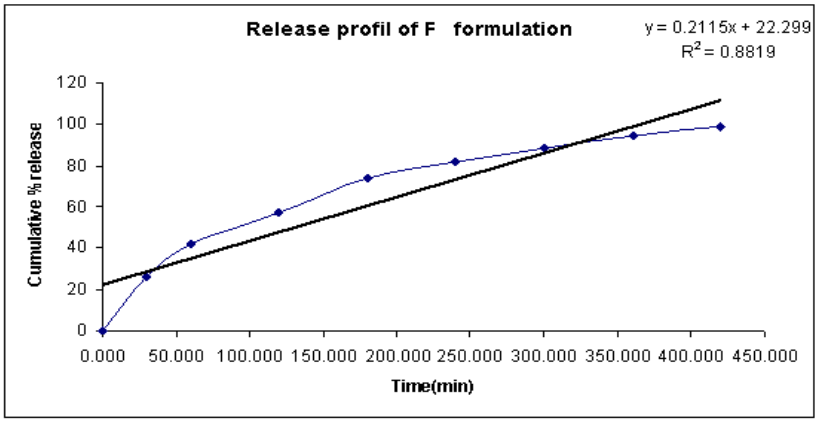

Figure 10: Release profile of Formulation F10

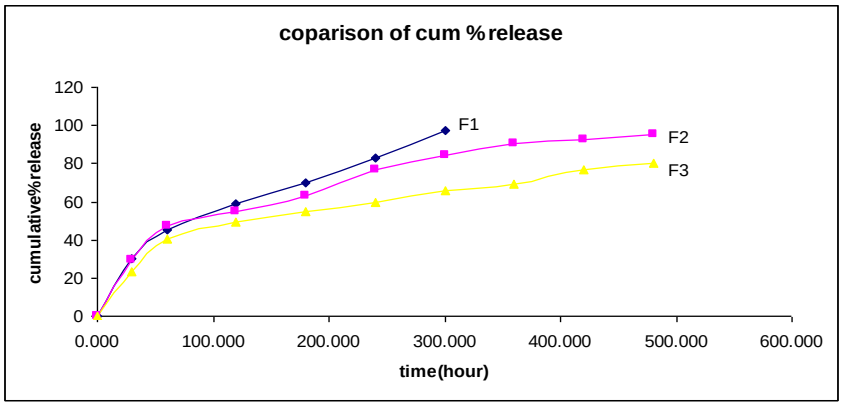

Figure 11: Comparative release profile of F1, F2and F3

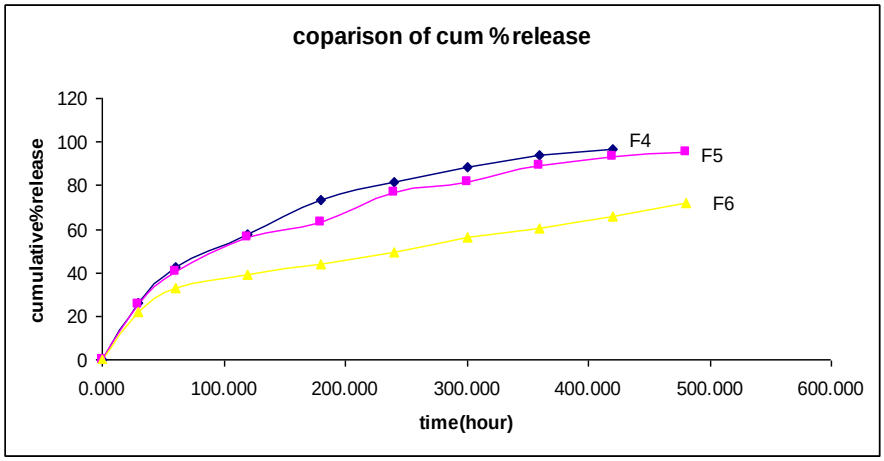

Figure 12: Comparative release profile of F4, F5 and F6

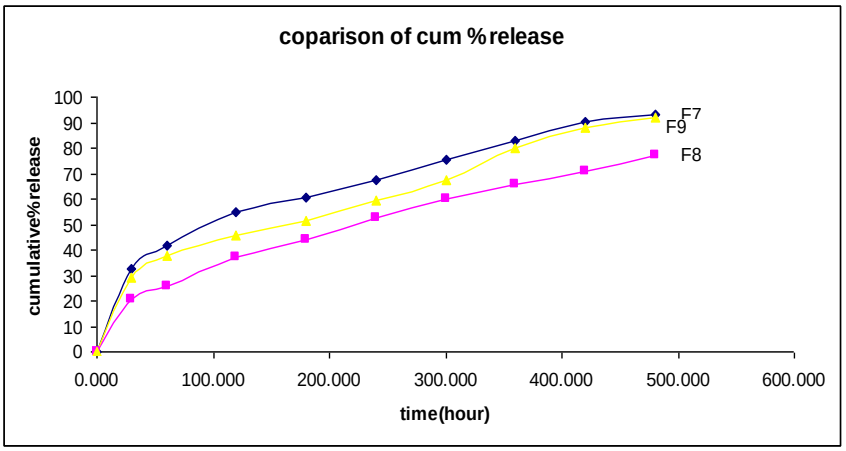

Figure 13: Comparative release profile of F7, F8 and F9

Conclusion

The batches F1 to F10 were prepared using polymers HPMC K4M, K15M, and K100M respectively and the polymer concentration in the batches was taken to be 30%-50%and combination of these polymers. Terbinafine HCl tablets were prepared for each batch and concentration of effervescent agent (sod. Bicarbonate) was taken to be 10% of the total tablet weight. The drug release rate decreased in the rank order K4M> K15M > K100M. This can probably be attributed to the different diffusion and swelling behavior in/of these polymers. With increasing molecular weight, the degree of entanglement of polymer chain increases. Thus, the mobility of the drug molecules in the fully swollen systems decreases. This leads to decreased drug diffusion coefficients and decreased drug release rate with increase molecular weight. It is stated that a faster and greater drug release was expected for reasons with the evolution of gas, the matrix would become more relaxed allowing water penetration and diffusion of drug might be easier.

The tablets of the batches F1-F6 were prepared by using HPMC K4M, K15M, and K100M respectively. The tablets of batches F7 to F10 were prepared with the combination of three polymers. The tablets with different concentration (30&50%of polymer respectively) were prepared in these batches. The percentage of drug released decreased with increasing the polymer concentration and molecular weight.

It is observed from the data that the dissolution rate also decreases with decrease in drug release as the molecular weight and concentration of polymer is increased. All the tablets of these batches degraded by surface erosion and eroded to a large extent at the end of the study but did not disaggregate.

From the above observation it is concluded that formulation F5 (HPMC-K15, 50%) is the best formulation among all other formulations because it is showing very controlled release of drug from Tablet formulations.

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