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Research Article

Design and Development of Controlled Release Floating Tablet of Carvedilol

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ABSTRACT

The current study's objective is to develop and evaluate floating controlled release delivery systems (FCDT) for carvedilol using polymers like Hydroxypropyl Methyl Cellulose and Ethyl Cellulose. Carvedilol, non-selective α, β-receptor blocking agent. It is indicated for the treatment of moderate heart failure and hypertension. The floating tablets of carvedilol were designed and formulated with different concentrations and a combination of the polymers like Hydroxy Propyl Methyl Cellulose (HPMC,) Ethyl Cellulose with lubricants magnesium stearate and Talc. Sodium bicarbonate is used as a gas generating agent by direct compression technique. The optimum concentrations of the above ingredients were determined under experimental conditions and on the basis of trial batches of the tablets. Nine formulations were prepared and evaluated for various evaluation parameters of floating tablet for physical properties like thickness, hardness, friability, drug content, floating and in vitro drug release. For three months, the stability investigations for the F6 formulation were conducted at 45oC and 75% RH. F6 was the formulation with the best buoyancy time (45 sec.) and 24-hour drug release (99.88%).

INTRODUCTION

Gastro retentive drug delivery systems (GRDDS) prolong the retention time of dosage form in the stomach or upper gastro intestinal tract, as to improve solubility, bioavailability and therapeutic efficacy of the drugs. Carvedilol having higher solubility in gastric region is a suitable candidate for GRDDS. Depending on material characteristics these systems may swell, gel, erode, and finally dissolve in the gastrointestinal tract. Thus in the present study it was intended to formulate and evaluate bilayer floating matrix tablet of carvedilol for controlled release and to increase bio availability

Criteria for selection of drug candidate for GRDF : The gastric retentive drug delivery systems are suitable for following types of drug therapy: 1. Drugs have a specific place for

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maximum absorption. 2. Drugs having low P Ka, which remains unionized in stomach for better absorption. 3. By creating gastro-retentive dosage forms, it is possible to boost the bioavailability of drugs that breakdown in alkaline PH and those whose solubility is reduced at higher PH. 4. Local action as it is seen in the treatment of H. Pylori by amoxicillin and misoprostol for ulcers. 5. To minimize gastric irritation which may be caused by sudden increase of drug concentration in the stomach. 6. Improve effectiveness of particular drugs

Types of Floating Drug Delivery Systems (FDDS): Based on the mechanism of buoyancy, two distinctly different technologies have been utilized in the development of FDDS. A. Effervescent System B. Non- Effervescent System

EFFERVESCENT SYSTEMS:

Carbonates (sodium bicarbonate) and other organic acids (citric acid and tartaric acid) are used in effervescent systems to create carbon dioxide (CO2) gas, which lowers the system's density and causes it to float on the stomach juice. There are two further categories for these effervescent systems.

CLINICAL PHARMACOLOGY OF CARVEDILOL :

Norepinephrine stimulates the nerves that control the muscles of the heart by binding to the β1, β2- and α1-adrenergic receptors causing them to constrict and raise blood pressure. Carvedilol blocks the binding to those receptors, which both slows the heart rhythm and reduces the force of the heart's pumping. This lowers blood pressure and reduces heart failure. Relative to other beta blockers, carvedilol has minimal inverse agonist activity. This suggests that carvedilol has a reduced negative chronotropic and inotropic effect compared to other beta blockers, which may decrease its potential to worsen symptoms of heart failure.

Pharmacodynamics: Carvedilol lowers total peripheral resistance by inhibiting α1-adrenoreceptors and by suppressing β-adrenoreceptor-mediated compensatory mechanisms to some extent. Many of the side effects connected with conventional -blocker or vasodilator treatment are avoided by this combination action.

FORMULATION OF CARVEDILOL FLOATING TABLETS:

Floating controlled release tablets were prepared by direct compression method. Carvedilol was mixed with the required quantities of polymers (HPMC and Ethyl Cellulose) Sodium Bicarbonate, Magnesium Stearate by geometric mixing. The powder blend was then lubricated with magnesium stearate and Talc and mixed for 3 minutes. Finally this mixture was compressed on a 16-station rotary tablet machine using 9mm standard flat-face punches.

Formulation composition of gastroretentive tablets of carvedilol

Table no. 1: Quantity of Raw materials Per Tablet (In mg)

Sr. No.	Ingredients	F1	F2	F3	F4	F5	F6	F7	F8	F9
1	Carvedilol	40	40	40	40	40	40	40	40	40
2	HPMC	25	30	35	40	45	50	55	60	65
3	Ethyl Cellulose	75	70	65	60	55	50	45	40	35
4	Sodium Bicarbonate	60	60	60	60	60	60	60	60	60
5	Microcrystalline Cellulose	142	142	142	142	142	142	142	142	142

6	Magnesium Stearate	5	5	5	5	5	5	5	5	5
7	Talc	3	3	3	3	3	3	3	3	3
8	Total	350	350	350	350	350	350	350	350	350

EVALUATION PARAMETERS OF TABLET

Tablet thickness:

Vernier callipers were used to measure the thickness of each of the ten pre-weighed tablets in millimetres (mm). The standard deviation and average thickness were given.

Tablet hardness:

A Monsanto hardness tester was used to gauge the hardness of tablets. A zero reading was taken once the bottom plunger made contact with the tablet. The tablet eventually broke when the plunger was turned by a threaded bolt against a spring. The crushing strength of the 10 tablets, each with a given weight and thickness, was measured in kg/cm2, and the standard deviation and average hardness were reported.

Friability:

From each batch, twenty (20) pills were chosen, and they were weighed. In the Roche friabilator, each batch of tablets was spun 100 times in 4 minutes at a speed of 25 rpm. The weight reduction was then calculated after dusting and reweighing the pills. Next, the percentage of weight reduction from the initial pills was used to compute friability.

Content uniformity:

Ten tablets were randomly selected from each batch of produced tablets and pulverised. One tablet's worth of powder was put into a 100 ml volumetric flask, 100 ml of methanol was then added, and the mixture was then exposed to sonication for around two hours. Methanol was added to the solution to bring it up to par. The solution was filtered, and methanol was used to make the appropriate dilutions. A standard solution with the same concentration was also made. By measuring the absorbance at 240 nm with a UV- Visible spectrophotometer, the drug content was determined.

Buoyancy / Floating Test:

The floating lag time was used to determine the in vitro buoyancy. 0.1N HCl was added to a 100-ml beaker that contained the pills. The overall amount of time by which the dosage form remains buoyant is known as overall Floating Time (TFT), and it was calculated as the time needed for the tablet to rise to the surface and float.

Swelling Index:

One can examine a dose unit's dimensional changes, weight growth, or water intake to determine how swollen it is. The USP dissolving apparatus-II was used in 900 ml of distilled water that was kept at 37o+ 0.5oC and spun at 50 rpm to conduct the dosage form's water absorption research. The pill was taken out at certain regular intervals and weighed. The percentage water absorption (%WU) was used to represent the tablet's percentage swelling.

$$\%WU = (Wt - Wo) * 100 / Wo$$

Where Wt is the weight of the swollen tablet and Wo is the initial weight of the tablet.

Dissolution study of tablets:

The dissolving vessel held the tablet within. A sample of 5ml was taken out every hour at

intervals of 1hr, 2hr, 3hr, 4hr, 5hr, 6hr, 7hr, 8hr, 10hr, 12hr, 14hr, 16hr, 20hr, and 24hr. After each sampling, 5 ml of the dissolving media were replaced, bringing the dissolution fluid volume to 900 ml. Six pills were used for the release trials, and the mean value was calculated. After that, a time plot was created using the mean values. Using a double beam UV and visible spectrophotometer and a reagent blank, each sample was examined at 240 nm. The drug concentration was calculated using standard calibration curve.

Stability Studies:

The optimized formulation was subjected to stability studies as per I.C.H guidelines. Samples were kept at 400c with 75% RH and analyzed for weight variation, hardness, friability, drug content and In vitro dissolutions study for every month for a period of three months.

RESULT AND DISCUSSION

STANDARD CURVE OF CARVEDILOL PURE DRUG:

By graphing absorbance (nm) vs concentration (g/ml) at 240 nm, the calibration curve for carvedilol was found. These were the outcomes that were reached.

Table -2: Standard curve of Carvedilol

Concentration (µg/ml)	Absorbance (nm)
0	0
2	0.195
4	0.420
6	0.615
8	0.801
10	0.986

Data points related to absorbance were used in the linear regression analysis. The equation, which creates a straight line to make drug dosage calculations easier, is as follows:

$$Y = mx + c$$

Where Y=absorbance, m=slope, x=concentration

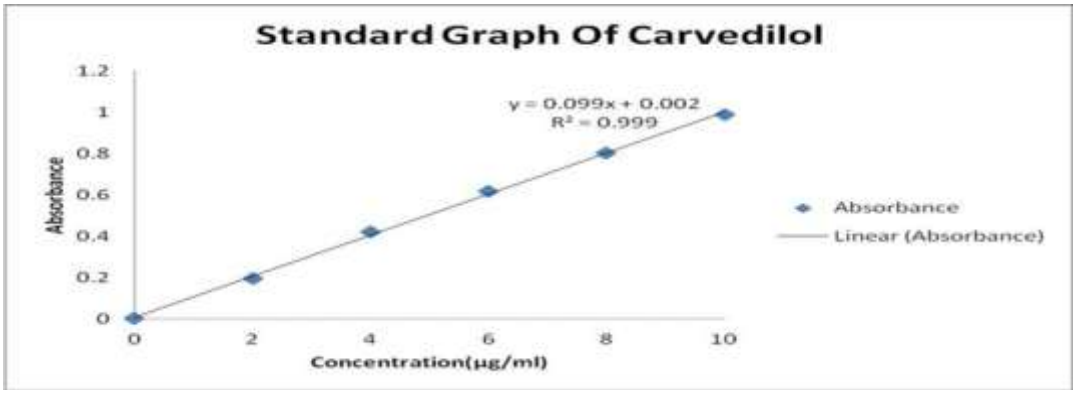

Fig No.1: standard plot for Carvedilol in 0.1 N HCL

EVALUATION OF PRECOMPRESSION PARAMETERS

The following parameters were carried out by the procedure given in 6.2.5. The results were illustrated in the below table no.17

Table-3: Evaluation of powder characteristics:

Formulation code	Angle of repose (± SD)	BD (gm/ml) ± SD	TD (gm/ml) ± SD	Carr's index (%) (± SD)	Hausner's ratio (± SD)
F1	26.12±0.04	0.317±0.01	0.367±0.02	14.65±0.06	1.08±0.05
F2	27.07±0.01	0.327±0.03	0.389±0.04	15.21±0.07	1.09±0.04
F3	26.04±0.03	0.337±0.06	0.381±0.01	13.63±0.04	1.11±0.02

F4	29.0i±0.07	0.347±0.04	0.391±0.07	16.52±0.01	1.19±0.06
F5	26.97±0.09	0.296±0.03	0.320±0.03	13.12±0.03	1.16±0.03
F6	25.71±0.06	0.260±0.01	0.336±0.01	15.27±0.01	1.15±0.01
F7	26.16±0.03	0.266±0.04	0.372±0.02	14.56±0.04	1.16±0.03
F8	27.11±0.09	0.307±0.05	0.332±0.03	13.41±0.07	1.17±0.05
F9	26.16±0.04	0.312±0.02	0.356±0.01	16.31±0.05	1.18±0.04

All formulations' angles of repose were looked carefully. The numbers were discovered to fall between 26.040.03 and 29.010.07. This demonstrated that the powder blend has acceptable flow characteristics. It was discovered that the values for the bulk density and tapped density fell between the ranges of 0.2600.01 to 0.3470.04 and 0.3200.03 to 0.3910.07, respectively.

The Hausner's ratio values were discovered to fall between 1.08 to 1.19.006. This demonstrated that the powder blend has acceptable flow characteristics.

EVALUATION OF FORMULATED TABLETS

Table -4: Evaluation of formulated tablets

Formulation code	Weight variation in mg (± SD)	Hardness in kg/cm2 (± SD)	Friability (%)	Drug content (± SD)	Thickness in mm (± SD)
F1	322±2.99	4.5±0.34	0.47	98.76±0.19	4.3±0.12
F2	325±1.98	4.2±0.73	0.68	99.16±0.27	4.2±0.21
F3	318±3.7	4.4±1.92	0.47	100.87±0.41	4.3±0.53
F4	324±6.5	4.3±0.34	0.46	100.92±0.21	4.3±0.16
F5	319±1.3	4.6±0.28	0.72	98.48±0.26	4.3±0.42
F6	320±6.59	4.3±0.37	0.74	99.67±0.17	4.2±0.53
F7	321±1.6	4.4±0.89	0.63	99.87±0.32	4.3±0.24
F8	322±3.06	4.3±0.42	0.45	99.28±0.33	4.2±0.16
F9	317±3.9	4.4±0.56	0.83	98.87±0.16	4.42±0.29

The physical properties of the developed floating tablets, such as thickness, weight fluctuation, hardness, friability, and drug content, were subsequently assessed. Tablet weight variations were consistent across all formulations and varied from 99.02 to 101.06 grammes. The percentage variance was between 8% and 10%. The acceptable percent variance for a 100 mg pill should be 10%. F1–F9 batches passed the test since they were under the limit. The produced tablets ranged in hardness from 4.2 to 4.5 and in friability from 0.45 to 0.83, both of which fell within the acceptable range of 0.1 to 0.9 percent. Tablets' drug contents varied from 98.760.19 to 100.920.21, with F4 showing the highest drug level. The homogeneous thickness of the tablets ranged from 1.2 0.000 to 1.3 0.011.

Buoyancy / Floating Test:

The tablets floated while being submerged in 0.1 N HCL solution PH (1.2) at 37^0C and stayed buoyant without disintegrating. Table 20 presented the findings of the buoyancy investigation and indicates the buoyancy character of the manufactured tablet.

Table 5: Buoyancy and floating time

Sr. No	Batch No	Buoyancy lag time (sec)	Floating duration (hrs)
1	F1	60	>12 hrs
2	F2	60	>12 hrs

3	F3	50	>12 hrs
4	F4	75	>12 hrs
5	F5	70	>12 hrs
6	F6	45	>12 hrs
7	F7	90	>12 hrs
8	F8	50	>12 hrs
9	F9	55	>12 hrs

Formulation F6 containing HPMC and Ethyl cellulose in 1:1 proportion showed good BLT of 45 sec, while other formulations have showed highest BLT and TFT of greater than 12hrs. The gas generated cannot be entrapped inside the gelatinous layer, and it escaped leading to variation in BLT and TFT.

From the results it can be concluded that the batch containing 1:1 proportion of HPMC and ethyl cellulose showed good buoyancy lag time (BLT) and total floating time (TFT).

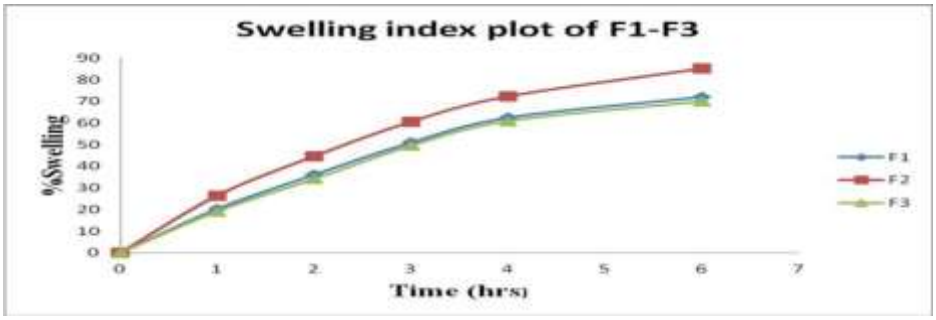

Fig No.2: Swelling index plot of F1-F4

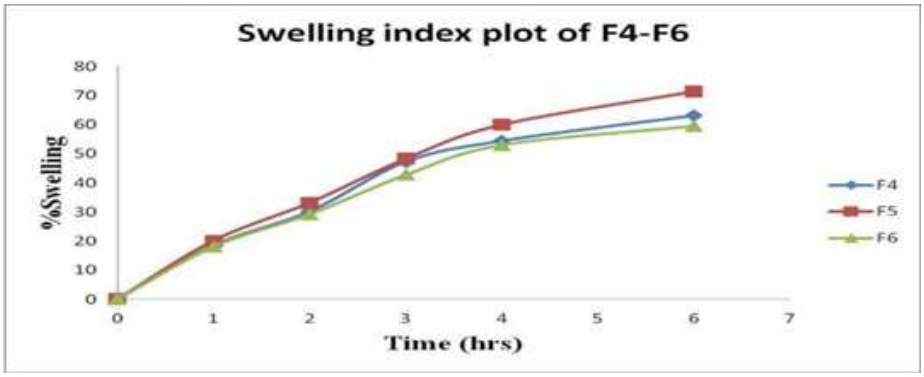

Fig No.3: Swelling index plot of F4-F6

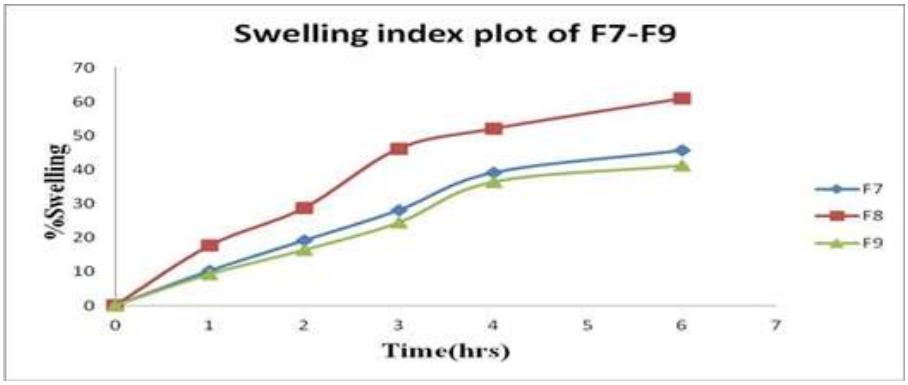

Fig No. 4: Swelling index plot of F7-F9

The percentage swelling obtained from the water uptake studies of the formulations was shown in Figure 19-21. The formulation with nearly equal proportion of the both polymers have showed the swelling and tablet integrity. Complete swelling was achieved at the end of 6 hr, then diffusion and

erosion takes place. The swelling index of the tablets increased with an increased in the polymer viscosity grades.

Table 6: % swelling index of formulated floating tablets

TIME	F1	F2	F3	F4	F5	F6	F7	F8	F9
1hr	20.27	26.43	19.21	18.06	20.11	18.48	10.24	17.64	9.25
2hr	36.09	44.60	34.12	29.18	33.16	30.12	19.19	28.72	16.37
3hr	51.02	60.57	49.56	42.70	48.32	47.23	28.12	46.16	24.43
4hr	62.47	72.22	60.89	53.04	60.06	54.42	39.21	52.09	36.45
6hr	72.09	85.11	70.06	59.56	71.51	63.15	45.79	60.99	41.28

Invitro drug release study of formulated floating controlled release formulations

The dissolution research was conducted. The findings are displayed in Table No. 7 contains information for F1-F9 formulas.

Table 7: Invitro drug release study

TIME	CUMULATIVE PERCENTAGE DRUG RELEASE (%)								
	F1	F2	F3	F4	F5	F6	F7	F 8	F 9
0	0	0	0	0	0	0	0	0	0
1	15.68	21.98	20.18	9.31	20.16	10.23	8.14	15.27	8.00
2	26.22	44.03	31.77	13.16	31.52	14.76	11.56	25.32	10.02
3	37.04	60.07	41.91	16.56	39.23	17.86	15.12	36.59	14.56
4	48.09	72.17	52.76	20.96	50.36	21.97	17.56	45.62	16.23
5	55.71	79.46	58.82	25.43	56.51	26.53	22.16	50.06	20.58
6	62.05	85.18	63.11	30.62	61.68	31.65	26.28	54.42	24.12
7	69.16	92.71	67.99	36.25	66.79	38.15	34.47	58.69	30.16
8	76.96	99.51	72.34	40.17	71.24	44.87	37.52	63.16	34.19
10	88.34	-	81.19	50.21	80.11	56.01	44.75	70.28	39.78
12	99.11	-	90.76	58.75	89.16	70.03	49.89	78.44	43.65
14	-	-	94.42	63.23	92.14	77.36	52.13	83.59	47.57
16	-	-	99.85	70.11	99.58	84.06	56.14	90.16	52.18
20	-	-	-	76.51	-	97.02	62.78	99.31	56.24
24	-	-	-	82.09	-	99.64	66.25	-	60.12

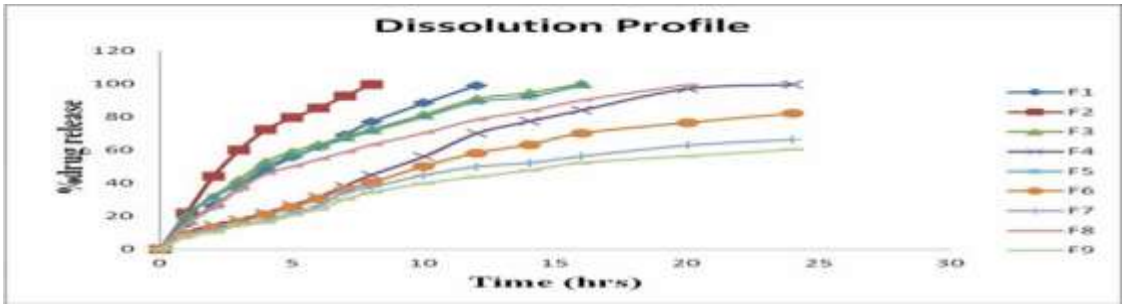

Fig No 5.: Invitro drug release study

To assess the drug release from the formulation, an in vitro dissolving test was performed on the controlled release floating tablets. The Invitro dissolving test was conducted in 900 ml of 0.1 N HCL using a USP-II paddle type equipment at 50 rpm and 37 0.5 °C. The concentration of the

polymer had an impact on the dissolving study's findings. Formulation from F2, F5 and F8 released fastly compared to that of formulation F3, F6 and F9 due to the controlled release property and less binding nature. Formulation F6 containing HPMC and Ethyl Cellulose in 1:1 proportion had given drug release 99.76% in 24hrs.

STABILITY STUDIES:

The optimised formulation underwent stability testing for three months at 40°C 2°C / 75% RH 5%, where weight fluctuation, hardness, friability, and drug content were examined.

Table-8: Stability studies

TEST	0 days	15 days	30 days	45 days
Weight variation	320±0.87	320±0.55	320±0.84	320±0.76
Hardness	4.5	4.4	4.4	4.3
Friability	0.68	0.69	0.69	0.70
Drug content	99.83±0.04	99.59±0.07	99.39±0.07	99.28±0.06

The findings showed that the weight fluctuation, hardness, friability, and drug content were unchanged.

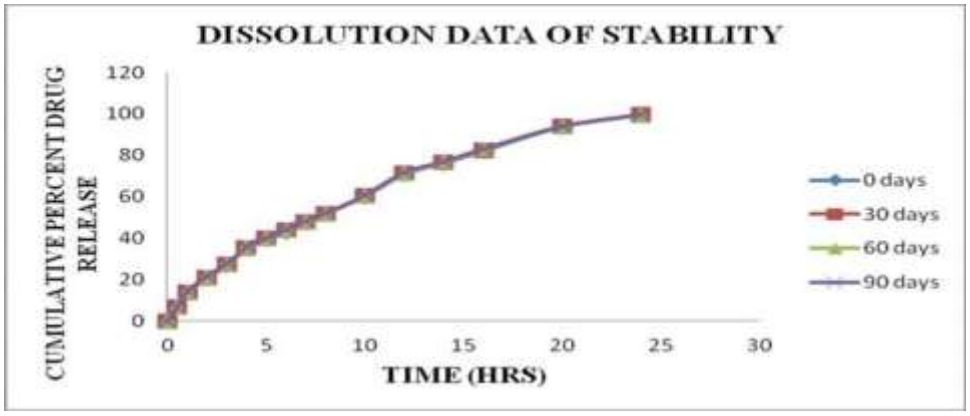

Fig. No. 6: Dissolution data of stability for formulation F6

The stability studies for the optimised formulation F6 were conducted using accelerated stability conditions, and they included the examination of various parameters at intervals of 0, 15, 30 and 45 days. The results were satisfactory, and they showed that the optimised formulation was stable under these conditions.

CONCLUSION

The major goal of the current study was to create a floating controlled release formulation using natural polymers like HPMC and Ethyl Cellulose that contains 40mg of carvedilol for once-daily treatment. GRDDS increased the drug's therapeutic effectiveness and bioavailability. Carvedilol was studied using FTIR in the preformulation process as well as carvedilol in combination with excipients. Drugs and excipients were therefore proven to be compatible.

All of the formulations F1–F9 were found to have hardness and friability values between 4.2–0.73 and 4.5–0.28, and 0.45 to 0.83, respectively. All of the formulations' drug contents ranged from

98.76±0.19 to 100.92±0.21. All of the formulas' buoyancy lag times ranged from 45 to 90 seconds.

The drug release for the F6 formulation was shown to follow zero order kinetics, followed by non-fickian diffusion, according to the kinetic analysis that was conducted on it. For three months, the stability investigations for the F6 formulation were conducted at 45oC and 75% RH. Data showed that there wasn't much of a difference.

According to the results of the abovementioned trial, F6 was the formulation with the best buoyancy time (45 sec.) and 24-hour drug release (99.88%). However, further in-vivo research may be done to back up the finding

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