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Research Article

FABRICATION AND CHARACTERIZATION OF ROSUVASTATIN TABLETS BY EFFERVESCENT GASTRO-RETENTIVE DRUG DELIVERY TECHNIQUE

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Abstract

The purpose of present study was to develop, optimize a gastro retentive drug delivery formulation for oral drug delivery system of Rosuvastatin calcium in order to ensure maximum controlled drug release. Oral solid dosage forms are the preferred administration route for many drugs, especially for modified release products. However, for optimal therapeutics effect the drug must be well absorbed throughout the GIT. Challenges arise when drugs have a narrow absorption window GIT or when they are unstable in GI fluids. Developing oral controlled release dosage forms crucial not only to prolong drug delivery but also to enhance retention within stomach or intestine. Successful formulation of gastro retentive drug delivery system for Rosuvastatin calcium was achieved using Direct Compression technique, Employing the HPMC K 100M, PVPK 30 as binder, karaya-gum and Carbopol 940 as Polymers, micro crystalline cellulose as Diluent, sodium bicarbonate, citric acid as gas generating agents, Talc and magnesium stearate as lubricant for effervescent system. We have Effervescent system from F1 to F6. These formulations are demonstrated over 12 hrs. All the prepared formulations were evaluated for pre- compressional studies as angle of repose, bulk density, tapped density, Hausner's ratio, Carr's index and for post- compressional studies as thickness, weight variation, hardness, friability, in-vitro buoyancy studies, swelling index and in-vitro dissolution studies are found satisfactory.

Key words: Rosuvastatin calcium, Effervescent, karaya gum, Carbopol 940, HPMC K 100M, Micro crystalline cellulose, Sodium bicarbonate, Citric acid, Gas generating agents, Buoyancy.

INTRODUCTION

Oral administration represents the most adaptable, convenient, and widely utilized method for delivering drugs intended for systemic effect. Recently, there has been a growing interest in oral controlled release drug delivery system within the pharmaceutical sector, aimed at enhancing therapeutic benefits such as ease of administration. Patient adherence, and formulation flexibility.[1 2 3] A controlled drug delivery system that ensure an extended residence time in the stomach is particularly advantageous for medication that are locally active in the stomach, have a narrow absorption window within the gastrointestinal tract, are primarily absorb in the stomach and upper gastrointestinal tract, are unstable in the intestinal or colonic environment disrupt normal colonic microbiota and demonstrate low solubility at elevated pH levels. The retention of solid dosage forms in the stomach can be achieved through floating systems, which allow gastro-retentive dosage forms to remain in the gastric region for several hours, thereby significantly extending the gastric residence time of the drugs. This prolonged gastric retention enhance bioavailability, minimize drug wastage and improves the solubility of drug that are less soluble in high pH condition. Gastroretention facilities better availability of new products with appropriate therapeutic efficacy, offering substantial advantages for patients. Rosuvastatin is used in the treatment of high cholesterol, high triglycerides and prevention of heart attack and stroke. It exhibits poor water solubility and high permeability, classified as Class II drug according to the biopharmaceutics classification system. [4 5] The oral absorption of nifedipine is characterized as uniform, rapid and completed with a bioavailability of approximately 45-50% and an elimination half-life 19 to 20 hours, necessitating dosing once daily for many patients, which often results in non compliance. The development of floating (effervescent technology) nifedipine tablets involved the direct compression of natural polymers and the resulting formulation were assessed for a number of physico-chemical properties. These administration method helps to improve the sustained delivery of drugs with an absorption window in a specific area of the gastrointestinal tract by keeping them in the stomach. By constantly releasing the medication before it enters the absorption window, these

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system contribute to the best possible bioavailability, additionally the formulation is an economical procedure. [6] [7] [8]

Drug profile

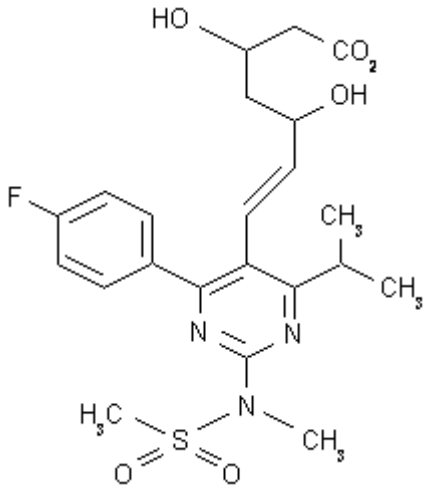


Figure. No.1 Structure of rosuvastatin [9]

Floating system : Floating mechanism since their bulk density is lower than that of gastric fluids, floating drug delivery system (FDDS) float in the stomach without slowing down the rate of gastric emptying. While the body is floating on the content of the stomach , the medication is gradually removed from the system at the appropriate rate.[10] [11] Drug release is followed by the emptying of the stomachs residual system.

MATERIALS AND METHODS:

The Materials that are used for the formulation i.e Carbopol 940, Karaya gum, HPMC K 100M, PVPK 30, MCC, Sodium bicarbonate, Citric acid, Magnesium stearate, Talc.

The Instruments that are used i.e Vernier Calliper, Monsanto hardness tester, Roche Friabilator, Bulk density apparatus, Digital balance, Dissolution apparatus, Tablet punching machine, UV-Spectrophotometer.

METHOD OF PREPARATION

Direct Compression Method:

Direct Compression is a streamlined process for making tablets by directly compressing a powder blend of an API and excipients into tablets.

This method is favoured for its cost effectiveness, reduced production time, and lower energy use.

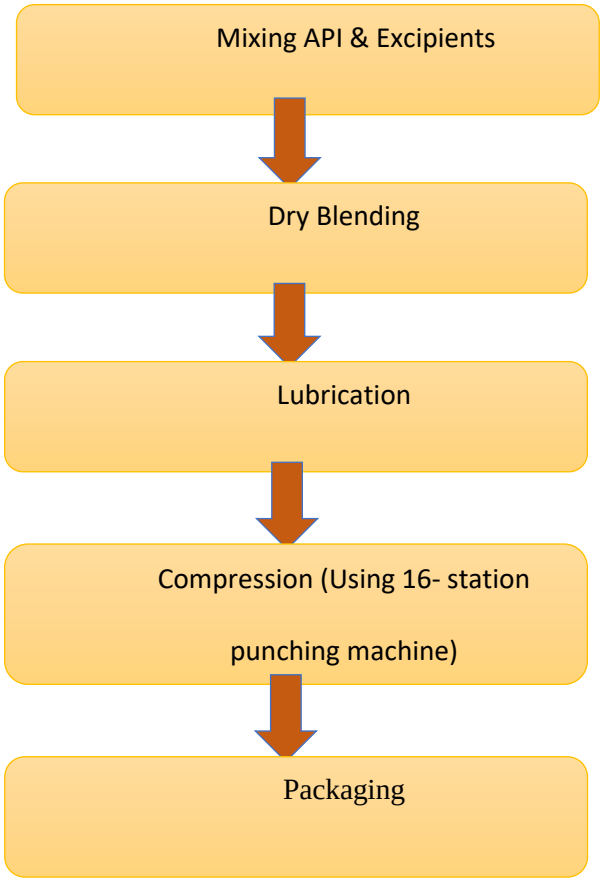
Success in direct compression depends on selecting the right excipients – inactive ingredients that bind and stabilize the active pharmaceutical components.

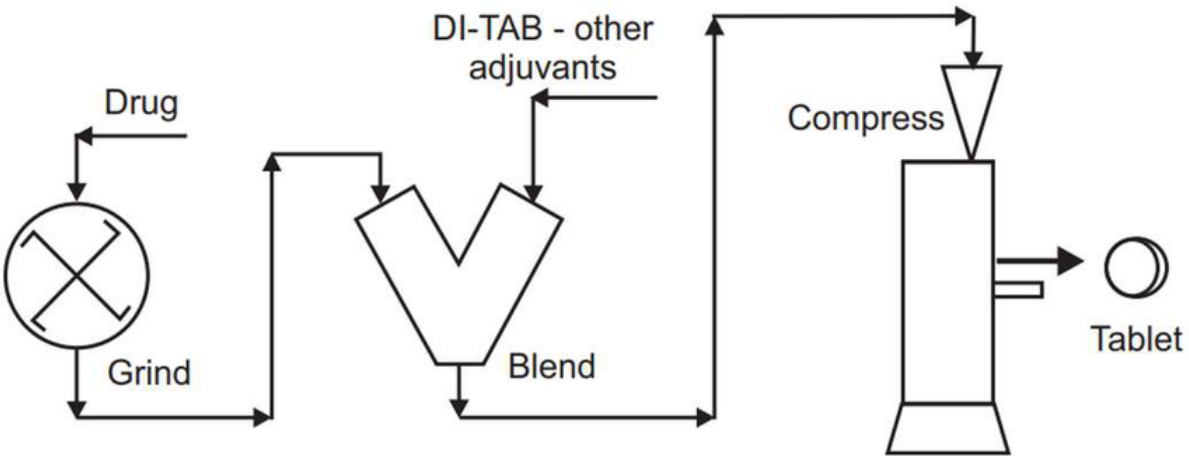
These include:

- Binders
- Lubricants
- Disintegrators
- Fillers

Each plays a critical role in maintaining tablet structure and ensuring accurate medication delivery. On the other hand, Direct Compression is a dry process where in the powdered material (tablet formulation) is compressed directly into the tablets without the physical nature of the former being modified.

Steps involved in Direct Compression:





(Figure. No.2 Flow chart representing Direct compression method)

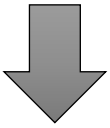
Formulation of Rosuvastatin Calcium Gastro-retentive Floating tablets by Direct Compression Method:

Floating tablets containing Rosuvastatin were prepared by Direct Compression technique using varying concentrations of polymers with Sodium bicarbonate, Citric acid.

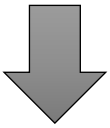
Steps:

All the ingredients were accurately weighed & passed through different mesh sieves (#40) accordingly.

Then all other ingredients were blended uniformly in a glass mortar.



After sufficient mixing of the drug as well as other components, tablets were compressed using rotary tablet machine.



The weights of the tablets were kept constant for all formulations.

Advantages of Direct Compression:

- It requires less labour cost.
- Moisture and heat sensitive substance can be compressed.
- It requires less time.
- Stability of tablet is improved.
- Easy to operate.
- Faster drug release.

Disadvantages of Direct Compression

- Non uniform distribution of drugs in tablet.
- Large dose cannot be compressed directly.
- Reaction of excipients may occur.
- Drug incompatibility may also occur.
- The process is carried out in dry conditions, static charges may exist and result in mixing problems (hindrance of flowability).
- Difference in particular size may leads to segregation.

A) Standard Calibration Curve of Rosuvastatin Calcium Using 0.1N HCL Method:

Instrument: A double beam UV/ Visible Spectrophotometer with a pair of 1cm quart 2 cells for reading absorbance.

A) Preparation of 0.1N HCL:

Pour 100ml of distilled water into 1000ml volumetric flask. Add 8.1744 (about 8.2ml of 37% concentrated HCL) carefully. Pour in 700ml of water. Allow the solution to cool to room temperature. Add the distilled water to increase the volume up to 1000ml. Thus, the required 0.1N HCL is prepared.

B) Preparation of Standard Stock Solution:

10 mg drug was taken accurately in 10ml volumetric flask. It was dissolved in few ml of ethanol and make up the volume up to the mark with 0.1N HCL to gives 1000µg/ml. The standard stock solution was then serially diluted with 0.1N HCL to get 1 to 10µg/ml of Rosuvastatin Calcium. The absorbance was measured against 0.1N HCL as blank at 243nm using UV-Spectrophotometer.

The above stock solution was diluted to below concentrations (µg/ml)

1microgram per ml:

Collect 0.1ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

2 microgram per ml:

Collect 0.2ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

3 microgram per ml:

Collect 0.3ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

4 microgram per ml:

Collect 0.4ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

5 microgram per ml:

Collect 0.5ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

6 microgram per ml:

Collect 0.6ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

7 microgram per ml:

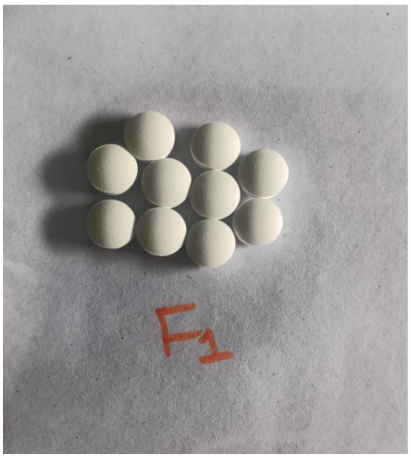
Collect 0.7ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

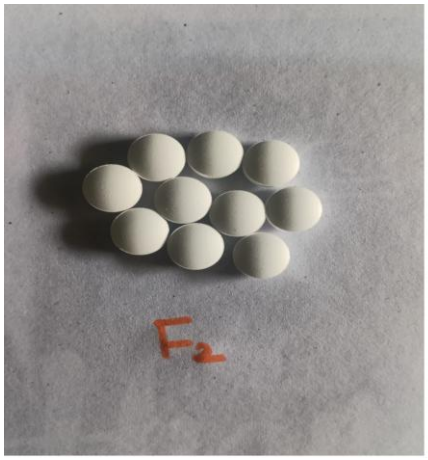
8 microgram per ml:
Collect 0.8ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.
9 microgram per ml:
Collect 0.9ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.
10 microgram per ml:
Collect 1.0ml from the above 100ml solution and dilute to 10ml with acidic buffer PH 1.2.

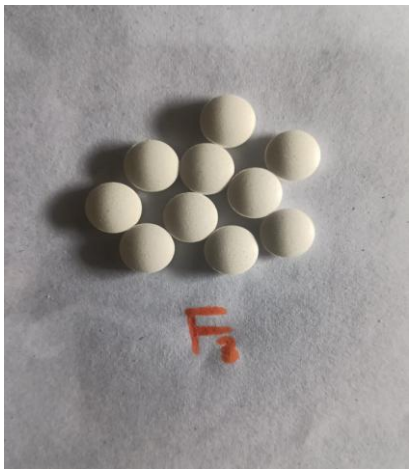
B) Composition of Rosuvastatin calcium Tablets:

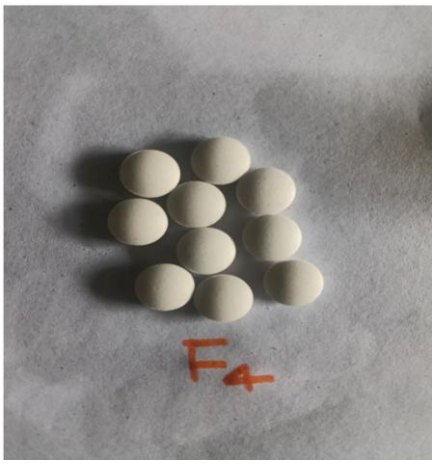
(Table 1: Composition of Rosuvastatin Calcium floating Tablets in mg)

Ingredients	F1	F2	F3	F4	F5	F6
API	10	10	10	10	10	10
Carbopol	60	90	-	-	-	-
Karaya gum	-	-	60	90	-	-
HPMC	-	-	-	-	60	90
PVP	6	6	6	6	6	6
MCC	62	32	62	32	62	32
Sodium bicarbonate	50	50	50	50	50	50
Citric acid	5	5	5	5	5	5
Magnesium Stearate	3	3	3	3	3	3
Talc	4	4	4	4	4	4









(Figure No.3: Prepared Formulations of Rosuvastatin tablets (F1 to F6)

C) PRE-FORMULATION STUDIES

1.Angle of repose:

Angle of repose is the maximum angle possible between the surface of the pile of powder and horizontal plane

$$\mathbf{Tan}\ \theta = h/r$$

Where, $\theta = \mathbf{Tan}^{-1}$ h/r

h= the Height of heap

r= the radius of heap

Angle of Repose Determination:

→ The funnel is taken and fixed to a stand at 2.5 cm height straightly. A white paper is placed at the bottom of the stand.

→ The powder is taken and passed through hopper walls.

→ The powder spreads on the paper.

→ The powder is placed until it touches the tip of the funnel.

→ A circle is drawn around the powder.

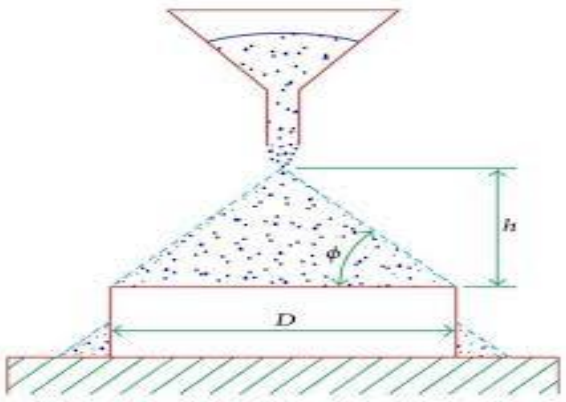
→ The radius of the circle obtained is measured.

The angle of repose is calculated by using the formula.

Tan^{-1} h/r

Where,

'h' is the height of heap, 'r' is the radius of heap.



(Figure. No.4: Determination of angle of repose by fixed funnel)

1. Bulk Density(pb):

It is defined as mass of powder divided by bulk volume. Bulk volume is defined as the volume of particles including inter and intra particle spaces. It gives valuable information about tablet's porosity and its evident

relationship to hardness and disintegration. It may be used to check uniformity of bulk chemicals. It can also be used to determine proper size of containers. Mixing apparatus and types of capsules for given mass of powder.

Bulk Density (pb) = Weight of powder (w) / Bulk Volume (vb)

Tapping Method for Bulk Density Determination:

- Weigh accurately about 10 gm of given powder. Transfer, it in a dry measuring cylinder and drop the cylinder 2-3 times and measure the volume (V_o).
- After getting initial bulk volume, drop the cylinder 100 times from fixed height, the volume remains constant and hence measure the tapped bulk volume.

2.Tapped Density:

The weighed tablet mixture had been poured into a graduated cylinder. The cylinder was then subjected to 100, 200, and 300 taps in a tap density device. USP states that it was stated by.

Tapped Density = Mass of the powder / Tapped volume of the powder

3.Hausner's Ratio:

It is a measurement of the frictional resistance of the tablet composition. The ideal range should be between 1.2 and 1.5. The ratio of tap density to bulk density was used to estimate it.

Hausner's Ratio = Tapped Density / Poured Density

D) POST-FORMULATION STUDIES

1. Thickness:

The thickness and diameter of a tablet are measured using Vernier Callipers or Screw gauge. With the help of vernier calliper thickness and diameter of five tablets were used for the above test from each batch checked and results were expressed in millimetre(mm).

2.Hardness:

The force is measured in kg/cm^2 and hardness of $4kg/cm^2$ is considered to be satisfactory for uncoated tablet. Monsanto tester, Pfizer tester, Erwekatester, Schleuniger tester and strong cobb tester are used for tablet hardness testing. The acceptable limit of hardness of a tablet is 5-8 kg. Besides, a force between 4 and 10 kg is also considered to be satisfactory. Place the tablet between the jaws of Monsanto hardness tester and slowly go on rotating the screw until the tablet break. Note down the reading and repeat the procedure for 5 tablets.

3. Uniformity of weight (Weight Variation test):

Weigh 20 tablets selected at random and calculate the average weight. Tablets were weighed individually and the percentage of deviation of its weight from the average weight was determined for each tablet. Not more than two of the individual weights deviate from the average weight by more than the percentage shown in the following table and none deviates by more than twice the percentage.

4. Friability:

Friability of the tablet was determined using Roche Friabilator. This device subjects the tablets to the combined effect of abrasion and shock in a plastic chamber revolving at 25 rpm and dropping the tablets at a height of 6 inches in each revolution. Pre-weighed sample of tablets was placed in friabilator and were subjected to 100 revolutions. Tablets were dedusted using a soft muslin cloth and re-weighed.

The friability (f) is given by the formula:

Friability (%) = (W1-W2/W1) × 100

Where,

W1= initial weight of the tablet before testing.

W2= final weight after tumbling and dedusting.

4. In-Vitro buoyancy studies:

The in-vitro floating behaviour of the tablets was studied by placing them in 100ml beaker containing 100mof 0.1N HCL (pH 1.2, 37ºC). The time, tablet required for the emerge on the surface is Floating lag time (FLT) or Buoyancy lag time (BLT) and the time tablet constantly float on the surface of the medium is called Total floating time (TFT).

5. Swelling Index:

The swelling of floating tablet was determined by swelling the tablets in 0.1N HCL (pH 1.2) at the room temperature. Swollen weight of the tablet determined then swelling index was calculated by the following equation.

Swelling index= final weight – initial weight/ initial weight ×100

6. In-Vitro Dissolution Studies:

The release rate of formulated floating tablets were determined using the USP dissolution testing apparatus 900ml of 0.1N HCL is used as dissolution medium. A single tablet is placed in each basket. The temperature of the tablet dissolution system is maintained at 37^0 C and rotation of the basket is maintained at 50 rpm for 12 hours. 1ml samples were withdrawn at the following intervals; 60, 120, 180, 240, 300, 420, 480, 520, 600, 660, 720 minutes. An equivalent volume of the fresh dissolution fluid is replenished after each sampling interval.

Filter the sample. 1ml is taken and made up to 10ml with buffer. The absorbance of all the samples is measured at 243nm by UV- Spectrophotometer.

RESULTS AND DISCUSSION

PRE-FORMULATION RESULTS:

Table 2: Pre-formulation Flow Properties of Powder Blends F1-F6

Formulation code	Angle of repose	Bulk density ($gm/cm^{3)}$	Tapped density ($gm/cm^{3)}$	Hausner's ratio
F1	28.84^0	0.339	0.366	1.07
F2	30.72^0	0.335	0.370	1.10
F3	32.51^0	0.327	0.360	1.10
F4	29.62^0	0.330	0.362	1.09
F5	28.85^0	0.398	0.382	0.95
F6	30.43^0	0.324	0.360	1.11

POST-FORMULATION RESULTS:

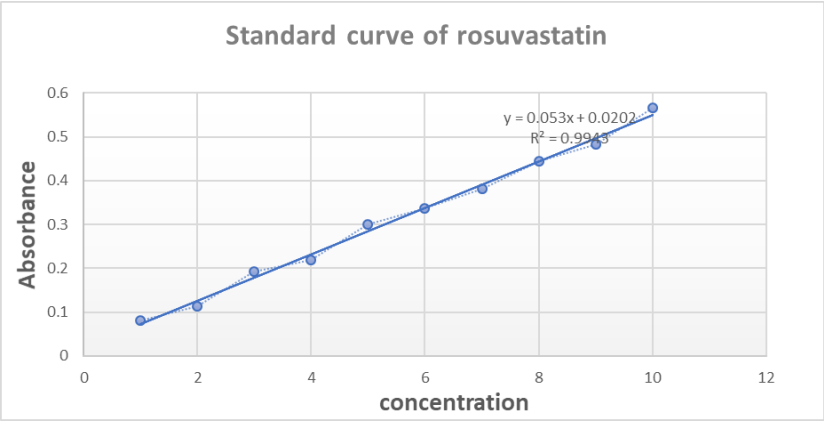
Table 3: Post-Compression parameters of Formulations F1-F6

Formulation code	Weight variation (mg)	Thickness (mm)	Diameter (mm)	Hardness ($kg/cm^{2)}$	Friability (%)
F1	201.2	2.96	8.02	4.86	0.19
F2	201.5	3.11	7.92	4.73	0.49
F3	200.5	3.14	7.85	4.35	0.54
F4	200.01	3.05	7.86	5.1	0.49
F5	200.04	3.14	7.88	5.12	0.51
F6	200.01	3.16	7.94	5.18	0.57

STANDARD CALIBRATION CURVE OF ROSUVASTATIN CALCIUM USING 0.1N HCL:

Table 4: Absorbance values of Rosuvastatin Calcium Standard Solutions

Concentration (µg/ml)	Absorbance
Blank	0
1	0.08
2	0.113
3	0.192
4	0.220
5	0.301
6	0.337
7	0.381
8	0.445
9	0.483
10	0.566



Graph 1: Standard Calibration curve of Rosuvastatin calcium (0.1N HCL

Invitro Floating buoyancy study:

(Table 5: In-Vitro Floating Buoyancy Study of Rosuvastatin Calcium Floating Tablets)

Formulation code	Floating lag time (min)	Total Floating time (hrs)
F1	0.19	>8
F2	0.22	>8
F3	0.16	>10
F4	0.27	>8
F5	0.20	>11
F6	0.22	>12

F5 **F6**

(Figure.No.5: In-Vitro Floating Behaviour of Formulations F5 & F6)

Swelling Index

(Table 6: Swelling Index (%) of Formulation F1-F6 at different time intervals)

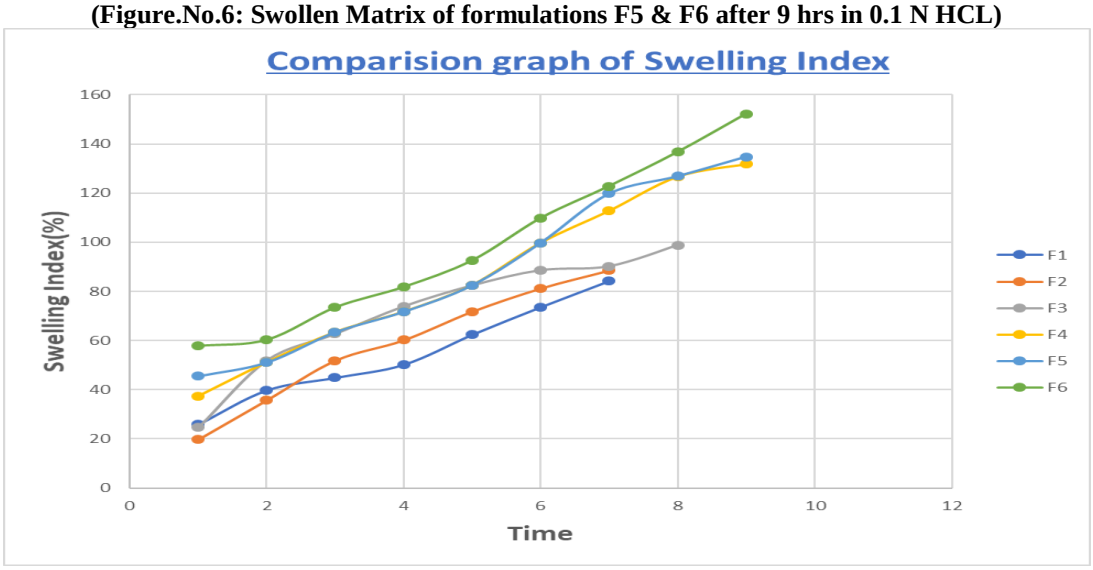
Time (hrs)	F1	F2	F3	F4	F5	F6
0	0	0	0	0	0	0
1	25.9	19.8	24.6	37.4	45.6	57.8
2	39.8	35.8	51.9	51.2	51.2	60.3
3	44.9	51.9	62.7	63.5	63.5	73.5
4	50.2	60.2	73.9	71.8	71.8	81.8
5	62.4	71.8	82.4	82.6	82.6	92.6
6	73.6	81.2	88.6	99.8	99.8	109.8
7	84.2	88.5	90.2	112.8	119.8	122.8
8	-	-	98.8	126.7	126.9	136.7
9	-	-	-	131.8	134.8	152.2
10	-	-	-	-	-	-
11	-	-	-	-	-	-

12	-	-	-	-	-	-

F5

F6

(Figure.No.6: Swollen Matrix of formulations F5 & F6 after 9 hrs in 0.1 N HCL)

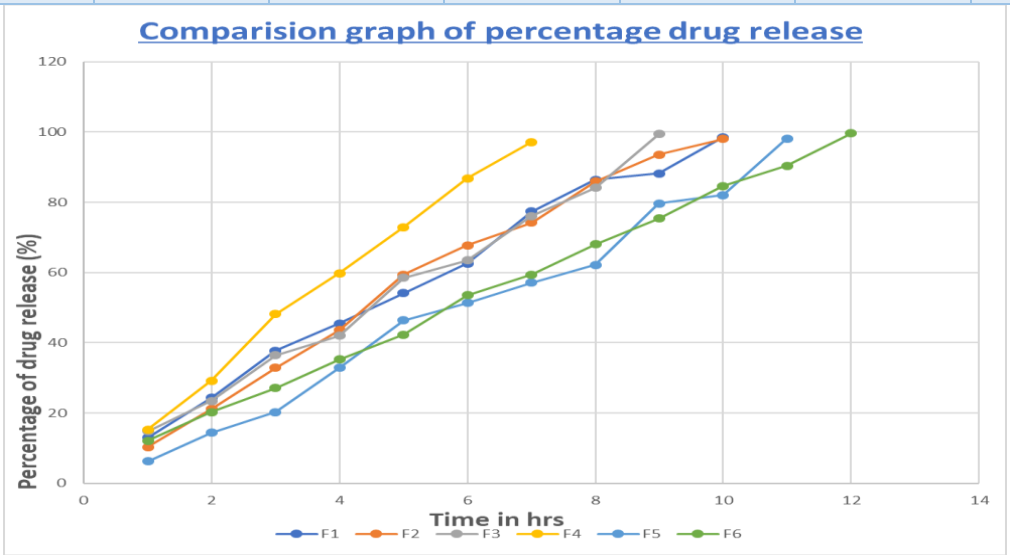


(Graph 2: Swelling Index (%) v/s Time for Formulations (F1-F6))

INVITRO DISSOLUTION STUDIES

(Table.7 In-Vitro Dissolution profile of Rosuvastatin Calcium Floating tablets)

Time (hrs)	F1	F2	F3	F4	F5	F6
0	0	0	0	0	0	0
1	13.05%	10.35%	14.85%	15.30%	6.30%	12.16%
2	24.3%	21.16%	23.4%	29.25%	14.43%	20.25%
3	37.8%	32.85%	36.45%	48.15%	20.25%	27.09%
4	45.48%	43.65%	42.03%	59.85%	32.85%	35.26%
5	54.11%	59.41%	58.50%	72.90%	46.35%	42.30%
6	62.55%	67.71%	63.45%	86.85%	51.36%	53.55%
7	77.41%	74.25%	76.05%	97.20%	57.15%	59.41%
8	86.43%	85.95%	84.15%	-	62.18%	68.04%
9	88.24%	93.90%	99.45%	-	79.65%	75.43%
10	98.55%	98.10%	-	-	82.08%	84.60%
11	-	-	-	-	98.13%	90.45%
12	-	-	-	-	-	99.65%



(Graph 3: Comparative In-Vitro Drug Release Profile of Formulations F1-F6)

CONCLUSION

FDDS have a bulk density less than gastric fluid, so remains longer period of time in the stomach, without effecting the gastric emptying rate, for a longer period of time. While, the system is floating on gastric content, the drug is released slowly at the desire rate from the system. After release of drug the residual system is emptied from the stomach, this results in an increased gastric retention time and a better control of the fluctuation in plasma drug concentration. So, far increasing gastric retention time of some poorly acidic

absorption drug were selected for increasing the gastric retention time and for increasing the bio-availability of drug. Rosuvastatin is selected for formulating gastro-retentive floating tablet as they have less gastric absorption with a standard half-life to improve GRT of the drug in the acidic medium for increasing the bio-availability of the drug and for maintaining constant drug level in plasma. So that the dose of the drug can also be minimized. In the present study, total 6 formulations were formulated by using Effervescent floating technique (F1 – F6). All formulations were evaluated for Pre-compression and Post-compression parameters. All the formulations show acceptable limits by using HPMC, Karaya gum, Carbopol as a polymer, shows optimised formulation for 12 hours by in-vitro buoyancy studies and swelling index. The in-vitro dug release profile of the formulations (F1 – F6), the maximum drug release was found in the "F6" formulation (99.65%) containing HPMC (90mg) as a rate retarding polymer. So, "F6" formulation is considered as optimised formulation, due to its maximum drug release and floating lag time. So, among all the rate retarding polymers, we have used HPMC shows better drug release up to 12 hours, when compared with other polymers. From the result, it was concluded that the Gastro-retentive floating tablets that we formulated by effervescent technique gives more effective drug release rate up to 12 hours in the presence of HPMC (90mg) polymer.

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