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FORMULATION AND EVALUATION OF IMMEDIATE RELEASE 20 MG. TENELIGLIPTIN TABLETS

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ABSTRACT

Teneligliptin 20 mg. immediate release tablets were developed by using single station compression machine and evaluated as part of this study utilizing a wet granulation technique and various ratios of super-disintegrates and binder. It falls under Biopharmaceutical Classification System Class II. The results of the early pre-formulation experiments were found to be within the limits. All of the four mentioned Trial batches were made, and the granules' pre-compression characteristics such as loss on drying, bulk density, tapped density, and compressibility index were assessed. Tablets' weight fluctuation, thickness, hardness, and friability were also assessed; the assay and disintegration time were found to be within acceptable ranges. in this study two disintegrants ie. crosscarmellose sodium and sodium starch glycolate were used and which shows better improvement in disintegration of prepared tablets. The formulation F4 revealed a 91.7% drug release within 60 minutes, according to dissolving studies,which were use to make the final formulation choice.

KEYWORDS: Teneligliptin, Immediate Release Tablets, disintegration, super-disintegrants.

1. INTRODUCTION

The need for new oral drug delivery system continues, due to poor patient acceptance for invasive methods, need for exploration of new market for drugs and coupled with high cost of disease Management. Developing new drug delivery techniques and utilizing them in product development is critical for pharma companies to survive this century. This is reflected by the fact that well over 80% of the drugs in the United States that are formulated to produces

systemic effects are marketed as oral dosages forms.[1]

Immediate release dosage form is those which disintegrate rapidly and get dissolved to release the medicaments.[2] Immediate release may be provided for by way of an appropriate pharmaceutically acceptable diluent or carrier, which diluent or carrier does not prolong, to an appreciable extent, the rate of drug release and/or absorption.[3] This term excludes formulations which are adapted to provide for "modified", "controlled", "sustained", "prolonged", "extended" or "delayed" release of drug.[4]

Immediate release solid oral dosage forms are classified as either having rapid or slow dissolution rates.[5] Immediate release dosage forms are those for which ≥85% of labeled amount dissolves within 30 min. For immediate release tablets, the only barrier to drug release is simple disintegration or erosion stage, which is generally accomplished in less than one hour. To enhance dissolution and hence bioavailability of any drug from immediate release tablets, disintegration is one of the important process. Few Super-disintegrants are available commercially as Croscarmellose sodium, Crospovidone and SSG.[6]

Several Technologies are available to manufacture immediate release tablets. The most common preparation methods are moulding, lyophilisation or freeze drying, direct compression, spray drying and sublimation. Direct compression, is one of the techniques that requires the incorporation of a super disintegrants in to the formulation. Direct compression does not equire the use of water or heat during the formulation procedure and is very sensitive to changes in the type and proportion of excipients and the compression forces, when used to achieve tablets of suitable hardness without compromising the rapid disintegration characteristics.[7] The objective of present study is to develop orodispersible tablets of teneligliptin using different types of super disintegrants to enhance the disintegration and dissolution of teneligliptin to improve bioavailability of the drug.

2. MATERIAL AND METHOD

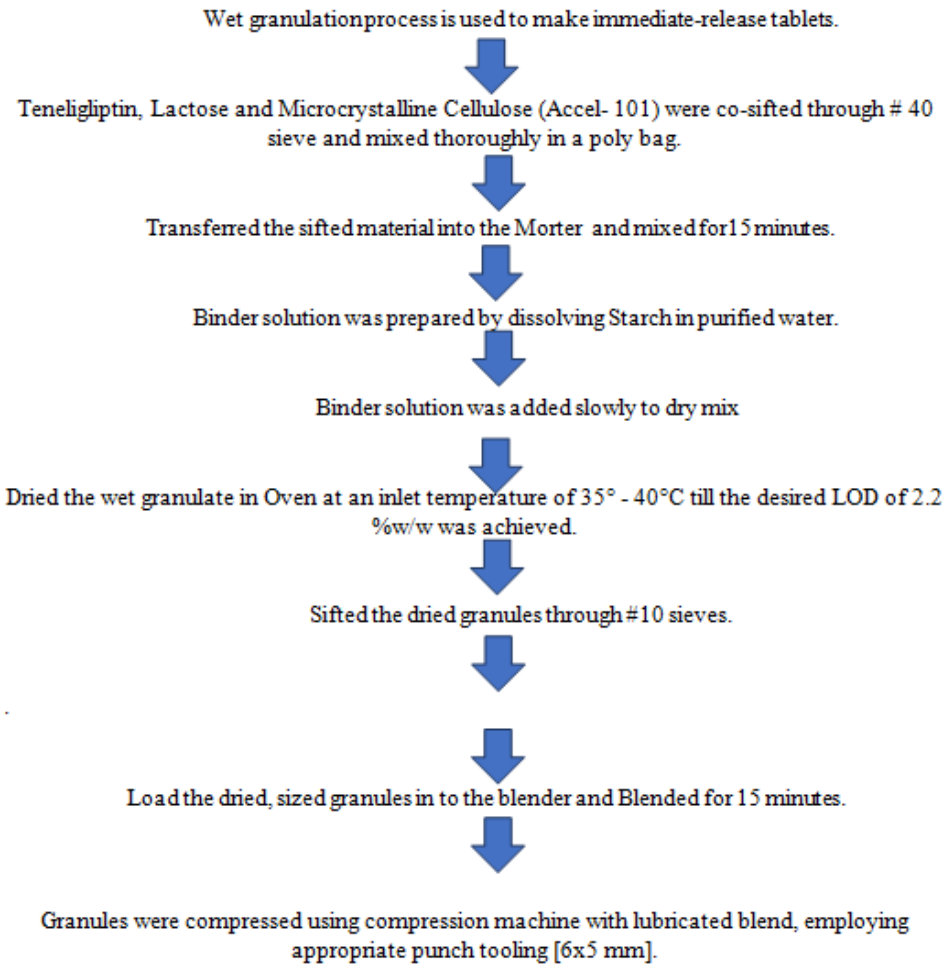
Teneligliptin was received as a gift sample from Enicar laboratories private limited (Mumbai). lactose, magnesium stearic acid was obtained from Maharashtra college of Pharmacy, Nilanga Microcrystalline Cellulose 101 (Avicel 101) grade was obtained from Enicar laboratories private limited (Mumbai) Starch obtained from Aqualon functional ingredients. Sodium Starch Glycolate and Cross Carmellose Sodium were obtained from Maharashtra college of Pharmacy, Nilanga. Remaining all other chemicals was obtained from

Roshchem Lab. Banglore, India. All chemicals and solvents used were of analytical grade.

3. Drug Excipient compatibility study

Compatibility studies were carried out to explore and forecast physicochemical interactions between drug substance and Excipients by generating compatibility blends with varying ratios of excipients to drug premised on a tentative ideal weight. These mixtures were kept at 40 degrees Celsius and 75 percent relative humidity for one month. The drug-to-excipient ratio ranges from1:1 to 10:1. The samples' physical features were examined compared to a control sample maintained at 4^0C for7, 14, and 30 days. Chemical compatibility is determined by FTIR spectrometry, which is the most powerful approach for identifying the drug's functional groups The analysis was conducted using an FTIR (thermo Nicolet 670 spectrometer) in the frequency range of 4000-400cm2 resolution. The investigation involved the usage of a quantity equal to 1 gram of pure medicines. The disc (pellet) technique of potassium bromide was used in this investigation.

4. METHOD



5. Evaluation of flow property of prepared granules

5.1 Angle of repose

The funnel method was used to determine the angle of repose of API powder.[9] Angle of repose is defined as the greatest feasible angle between the surface of a powder pile and the horizontal plane. The funnel was filled with the precisely measured powder combination. The funnel's height has been changed such that it is 2.5cm above the surface level. The powder mixture is allowed to freely flow through the funnel and onto the surface. The diameter of the powder cone is measured, and the same operation is repeated three times, with the average value collected. Equation is used to determine the angle of repose.[10]

Angle of Repose (θ) =Tan -1 (h/r)

Where, h=height of pile

r=radius of the base of the pile

θ = angle of repose.

5.2. Bulk density determination

A weighed quantity of the powder (W) which is place in a graduated measuring cylinder, the volume (V0) is measured, and the bulk density is determined using the formula.[11]

Bulk density (BD) = W/ Vo W=Weight of the powder VO=Volume of powder

5.3. Tapped density determination

The powder sample undergoing examination was screened via sieve No.16, and a 100 mL graduated cylinder was filled with the weight of the sample, which was equal to 25 grammes. The mechanical tapping of the cylinder was done at a nominal rate for 500 times using a tapped density tester, and the tapped volume Vo was recorded. Vf is deemed tapped volume when the difference between two tapping volumes is less than 2%. The tapped density, Hausner's ratio, and Carr's Index were calculated using the volume of the mix.[12]

Tapped density(TD)=W/Vfg/ml W=Weight of the powder Vf=Volume of powder

5.4 Carrs index or % compressibility

Carr's index is of referred to as compressibility. It's linked to relative flow rate, cohesion, and particle size in an indirect way. The formula for calculating Carr's index was used.[13]

Carr‟s Index (%)=(Tapped Density–Bulk Density) x100 /Tapped Density

5.6 Hausner ratio

Hausner's ratio, defined as the ratio of tapped density to bulk density, reveals the flow

qualities of the powder.[14]

Hausners Ratio=Tapped density/Bulk density.

6. Evaluation of post compression parameter of prepared Tablet

6.1 Thickness

Digital vernier callipers were used to measured the thickness of the tablets. The findings were averaged from ten individual pills from each batch. It should be within 5% of a standard value's range of variation.[15] The outcomes were given in mm.

6.2 Weight variation

Twenty tablets were haphazardly chosen from each bunch and separately gauged.[16] The standard deviation and average weight were computed. The test for weight variety is passed provided that not more than two of the singular tablet loads stray from the normal load by more than the permitted rate deviation and none deviate by over two times the rate shown.

6.3 Hardness

To determine the average tablet hardness or crushing strength, ten tablets from each batch were chosen and their hardness was evaluated using a Digital hardness tester.[17]

Hardness should be in between 3-6 kg/cm^2.

6.4 Friability

A Roche friabilator was used to determine the tablet's friability values.[18] It is communicated in %. 20 tablets were at first gauged (starting weight) and moved to friabilator. Friabilator was worked at 25 rpm for 4 min. The following equation was used to compute the percentage friability. Friability of tablets under 1% was thought of as satisfactory.

% Friability= initial weight-final weight×100/Initial weight

6.5 Disintegration Time

Six tablets were taken arbitrarily from each bunch and set in USP breaking down contraption crates, which is more than once drenched 30 times each moment into a thermostatically controlled liquid at 37°C and seen throughout the time portrayed in the singular monograph. The tablets must entirely disintegrate into a mushy mass with no discernible solid core in order to pass the test. Immediate delivery tablets ought to have the option to deliver the medication within 1min.[19]

6.6 In vitro dissolution test

The disintegration investigations of the pre-arranged tablets were conveyed utilizing Electro lab device II.[20] Disintegration was acted in 900 ml phosphate buffer of pH 6.8 at 37 ± 0.5°C at 50 RPM. An auto sampler, coupled to the dissolution mechanical assembly was modified to pull out and supplant 10 ml of the disintegration media at 0, 5, 10, 15, and 30 min. Around 80% of the medication ought to be delivered inside 15 min.

6.7 Dissolution parameters

Medium	:	Phosphate buffer
pH 6.8 Volume	:	900 ml
Apparatus	:	Dissolution apparatus type II of USP(paddle)
Rotation speed	:	75 rpm
Temperature	:	37 ±0.5°C

7. RESULTAND DISCUSSION

7.1 Evaluation of Granules

Table 1: Formulation table of Teneligliptin tablets.

Ingredients	F1	F2	F3	F4
Teneligliptin	20 mg.	20 mg.	20 mg.	20 mg.
Sodium Starch Glycolate	10 mg.	15 mg.	20 mg.	30 mg.
Crosscarmellose Sodium	07 mg.	10 mg.	12 mg.	14mg.
Microcrystalline Cellulose	299 mg.	280 mg.	252 mg.	219 mg.
Starch	01 mg.	01 mg.	01 mg.	01 mg.
Lactose	10 mg.	20 mg.	40 mg.	60 mg.
Magnesium stearate	01 mg.	01 mg.	01 mg.	01 mg.
Talc	02 mg.	03 mg.	04 mg.	05 mg.
Water	q.s	q.s	q.s	q.s
Total	350 mg.	350 mg.	350 mg.	350 mg.

Table 2: Preformulation studies.

S.No.	Specification	Test	Result
1	White to Light Tan Solid	Description	White powder
2	Freely soluble in methanol and DMSO, slightly soluble in ethanol, very slightly soluble in water	Solubility	Complies
3	Not morethan 0.5%	Loss on drying	0.35%
4	188-190°C	Melting point	189.2 °C
5	Performed by FTIR	Drugs identification	Found group

			identification
6	Based on highest peak	Identification of λmax max	Found at 243.5nm

Table 3: identification with FTIR.

S.No.	Typeofstretching vibrations	Frequency(cm^2)
1	Aromatics(C-H)	3300
2	C-H	3100
3	N-H	3400

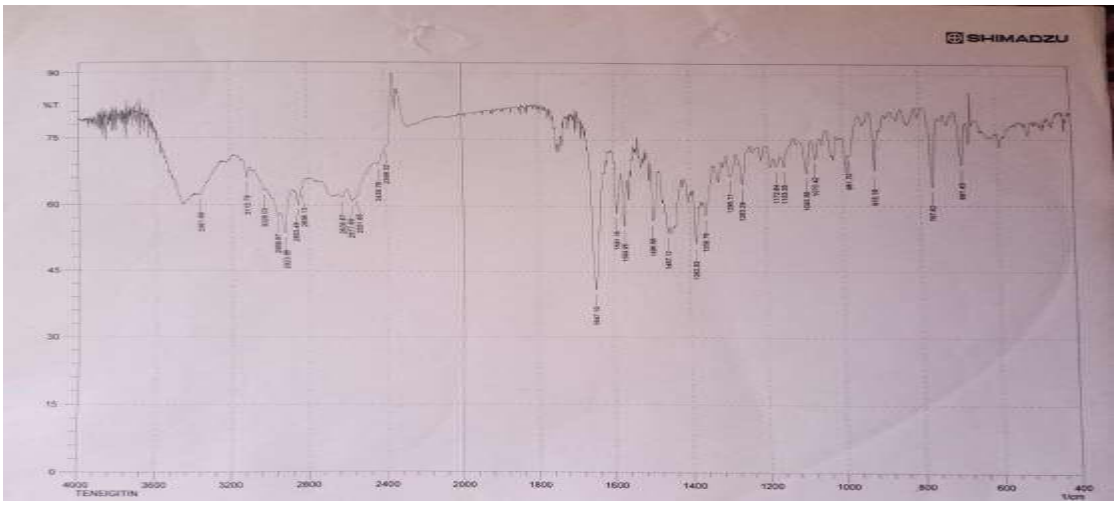


Fig 2: Spectral analysis of Teneligliptin in methanol.

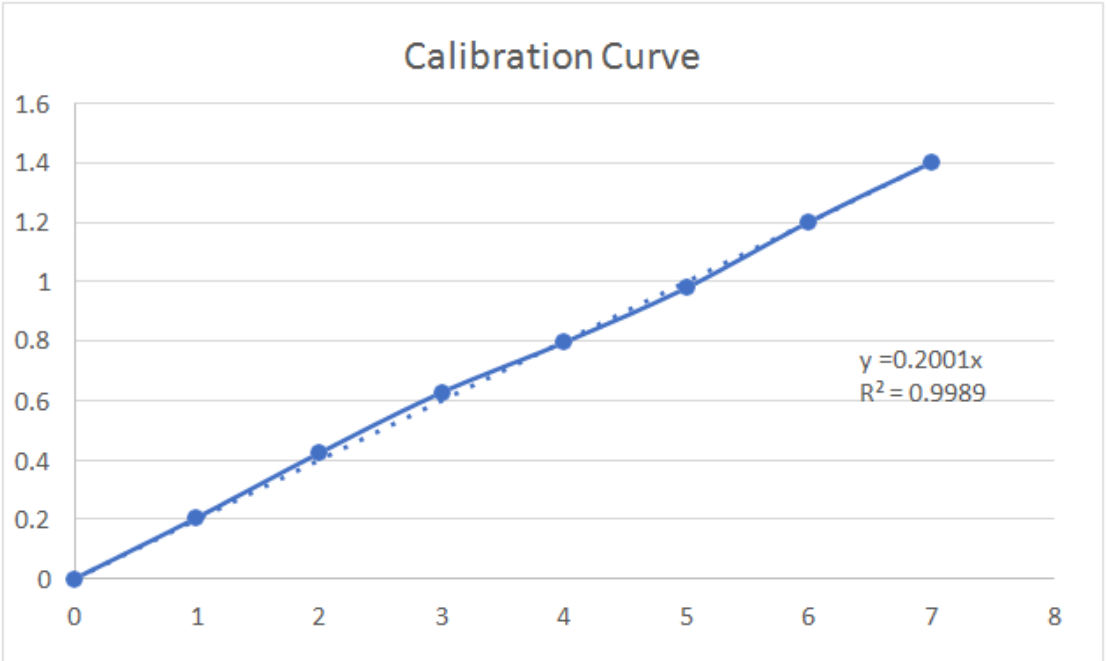


Fig 3: Calibration curve of teneligliptin in methanol.

Table 4: Statical parameter derived from calibration curve.

Statistical Parameter	Inmethanol
R^2	0.9997
Slope	0.2001
Equation line	Y= 0.2001x+0.9997

Table 5: Evaluation of Pre compression Parameter.

Batch No.	Bulk density	Tapped density	Carr'sindex	Hausners Ratio
F1	0.250	0.284	14.147	1.156
F2	0.257	0.302	11.217	1.133
F3	0.268	0.330	20.303	1.227
F4	0.239	0.251	31.002	1.378

Table 6: Evaluation of post compression parameters.

BatchNo.	Average weight(mg)	Hardness ($kg/cm^{2)}$	Thickness (mm)	Friability (%)	D.T(min)	Assay (%)
F1	350	3.13±0.268	6.75±0.01	0.54	2.39	96.7
F2	352	2.76±0.272	6.27±0.05	0.37	2.53	97.4
F3	350	3.115±0.37	6.06±0.02	0.41	1.37	97.02
F4	351	5.065±0.356	6.176±0.08	0.43	1.03	101.7

7.2 Evaluation of tablets

The normal load of the table was viewed as in the spectrum of 100.25±0.44 to 99.58±1.43 mg. Thickness in the spectrum of 6.06 ±0.015 to 6.75±0.01 mm, Hardness 5.065±0.356 to 2.76±0.215 kg/cm^2 and friability were 0.24 to 0.17%.The disintegration time of the tablet is reached 1.03 to 2.53 min and analyzed in the scope of 96.7 to 101.7%. From the above outcome, all the formulations showed uniform thickness, the hardness of the tablet was palatable and the rate of friability for all the detailing was below 1% demonstrating that friability is inside as far as possible. Great and uniform drugs content (>99) was seen inside the all tablet formulations.

7.3 In vitro Dissolution Studies

Tablet mixes were ready and micrometric reads up were done for those mixes. Pre-compressional boundaries, for example, bulk density, tapped density, compressibility index, and Hauser‟s index for actual combinations of immediate delivery definitions (F1 – F4) were assessed. The calibration curve was built having a regression value of 0.9997. Test upsides of the formulation were seen in the scope of 97.8to102.3%.Similarity studies were performed and it was seen that every one of the fixings utilized was viable with the d. Formulation (F4) was formed by including crosscarmellose sodium 14% and sodium starch glycolate 30% and 01% binder. The outcomes showed disintegration down was inside limits and 100 percent

drug discharge was viewed as in 30min. Thus, trial (F4) was taken as a better results as compare to all trials Disintegration studies were performed and it was observed that the trial F4 has shown the best outcomes.

Table 7: Dissolution profile of different formulation (F1-F2).

Time(min)	F1	F2
5	21.49±0.13	17.61±0.67
10	34.70±0.54	34.18±0.87
15	40.2±0.43	37.62±0.57
20	46.1±0.48	42.64±0.53
30	51.7±0.51	48.94±0.71
45	56.6±0.54	53.7±0.21
60	78.9±0.32	73.4±0.64

Table 8: Dissolution profile of different formulation (F3-F4).

Time(min)	F3	F4
5	26.4±0.73	28.9±0.28
10	42.8±0.24	48.1±0.24
15	50.6±0.36	56.9±0.035
20	57.6±0.28	65.7±0.73
30	68.17±0.89	78.23±0.13
45	73.7±0.38	83.7±0.82
60	85.14±0.83	91.7±0.23

8.0 CONCLUSION

From the above mentioned experimental findings, it can be conclude that two ratios and combinations of superdisintegrants and one binder can be used to create immediate -release tablets of teneligliptin. We chose F4 as the optimal formulation based on the dissolving profile and physical features. Compared to other formulations, formulation (F4) demonstrated complete drug release in 30 minutes and fair flow characteristics. Furthermore, the formulations F4, was shows better results as compared to other three formulations, Using super disintegrants, antidiabetic immediate release teneligliptin 20 mg. tablets were successfully formulated, in this study The market introduction of the teneligliptin 20 mg. immediate-release pills under investigation will have a favorable effect on our society and economy, and it can help to reduce quick and fast sugar levels who was suffering from diabetes.

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