Vol 12| Issue 1| 2022 | 21-24.

e-ISSN 2248 – 9142
print-ISSN 2248 – 9134

International Journal of
Current Pharmaceutical & Clinical Research

www.ijcpcr.com

DEVELOPMENT OF MATRIX TABLETS OF TELBIVUDINE USING NATURAL POLYMERS

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ABSTRACT

Oral administration is likely the least intrusive method of administering drugs; it is a method that the patient knows and accepts, as well as one that the patient can administer. For the producer, solid oral dosage forms have numerous advantages: they are frequently the most stable forms of drug, they are compact, and their appearance may be changed to increase brand identification. Telbivudine was selected since it is a soluble medicine at the pH of the gut. Telbivudine is a key component of HIV treatment. The goal of this work was to create matrix porous Telbivudine tablets. The hydroxypropyl methyl cellulose and eudragit polymers used were of various grades. The direct compression technique was used to make all of the formulations using a 6mm punch on an 8-station rotary tablet punching machine. All of the formulations' combined flow properties, including angle of repose, bulk density, and tapped density, were adequate. The LTF3 formulation was shown to be the most optimum of all the formulations, with a percent drug release of 98.65 percent in 10 hours. At a dose of 20 mg, HPMC K15M is incorporated in the LTF3 formulation.

Key words Telbivudine, Matrix tablets, HIV, HPMC.

INTRODUCTION

Tablets are one of the most often used oral dose forms due to their outstanding stability and ease of administration. Since the second half of the nineteenth century, tablet computers have been popular, and their popularity continues to grow. This is because developing dosage forms for the oral route is easier than generating dose forms for the parenteral or any other route. A variety of intercalated features in oral sustained release delivery systems may have a substantial influence on their design. To dispense medicine, a controlled drug delivery system uses a homogenous dispersion of drug particles in either a lipophilic or a hydrophilic polymer matrix [1].

Hydrophilic and hydrophobic polymer matrix systems are extensively used to create oral sustained release drug delivery dosage forms due to their flexibility in producing a desired drug release profile, economic effectiveness, and broad regulatory acceptance. A simpler formulation with the most cost-effective dosage form is required for large-scale manufacturing. The direct compression technique of matrix tablet formulation is the most suited for large-scale manufacturing [2].

Telbivudine is extensively used in the treatment of Hepatitis B and AIDS, either alone or in conjunction with other antiviral drugs, due to its water solubility and shorter half-life (6 hours). The medication's water solubility and short half-life (6 hours) need frequent oral administration, and matrix systems give a number of advantages, including simplicity of formulation and enhanced control over the release, thanks to the various current ways for controlling drug release. The purpose of the project is to construct and evaluate Telbivudine matrix porosity tablets using a variety of polymers as diluents, including different grades of hydroxypropyl methyl cellulose and microcrystalline cellulose.

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MATERIALS AND METHODS

NATCO laboratories provided the telbivudine medication, while SD Fine Chem in Mumbai provided the polymers such as HPMC, Eudragit, MCC, and PVP. The only reagents and substances employed in the study were analytical grade.

Determination oF UV Absorption maxima

Telbivudine solution was produced in 0.1 N HCL and diluted to the appropriate concentration. The solution's UV spectrum was captured using a Lab India 3200 UV/Vis twin beam Spectrophotometer. UV maxima were seen in the solution at 224 nm.

Tablet formulation:

All of the components listed in Table 1 were run through a sieve with a mesh size of 60 mesh and collected separately. Ingredients were combined in a geometrical order and thoroughly mixed for 15 minutes to achieve a homogenous blend, which was then passed through mesh #20. The powder combination was mixed with talc and magnesium stearate, then crushed with 11mm round, biconcave punches on a 16-station rotating tablet compression machine [3].

Post compression parameters:

1. Weight variation:

20 tablets were selected randomly from the lot and weighted individually to check for weight variation.

2. Hardness:

Hardness or tablet crushing strength (fc), the force required to break a tablet in a diametric compression was measured using Monsanto tablet hardness tester. It is expressed in kg/cm^2[3].

3. Thickness:

Three tablets were selected randomly from each batch and thickness was measured by using Vernier Caliper [4].

4. Friability (F):

The strength of a tablet is measured by its friability. This test uses a plastic container that rotates at a speed of 25 rpm, lowering the tablets to a distance of 6 inches with each rotation, to submit a number of tablets to the combined impact of shock abrasion. A sample of pre-weighed tablets was put in a Roche friabilizer and spun for 100 revolutions. After that, the pills were dusted and reweighed. A weight decrease of less than 1% is typically seen as acceptable. The following formula was used to compute percent friability (percent F) [5].

$$F = \frac{W_{initial} - W_{final}}{W_{initial}} \times 100$$

5. In-Vitro drug release:

The dissolving profile was used to estimate the drug's release in vitro. The USP II Paddle device was utilised, with the paddle rotating at 50 rpm and the dissolving media being acid buffer 0.1N HCL (pH 1.2, 900 ml). After 2 hours, use phosphate buffer with a pH of 6.8 as a dissolving media [6].

Table 1. Formulation of Telbivudine matrix porus tablets

INGREDIENT	LTF_1	LTF_2	LTF_3	LTF_4	LTF_5	LTF_6	LTF_7	LTF_8	LTF_9
Telbivudine	20	20	20	20	20	20	20	20	20
HPMC K4M	10	20	-	-	-	-	-	-	-
HPMC K15M	-	-	10	20	-	-	-	-	-
HPMC K100M	-	-	-	-	10	20	-	-	-
Eudragit L-100	-	-	-	-	-	-	10	20	-
Eudragit S 100	-	-	-	-	-	-	-	-	10
PVP K30	5	5	5	5	5	5	5	5	5
Mg Stearate	2	2	2	2	2	2	2	2	2
MCC	QS	QS	QS	QS	QS	QS	QS	QS	QS

All ingredients are expressed in mg only

Table 2: Post compression tablet evaluation parameters

FD	Weight variation (mg)	Hardness (kg/cm)	Thickness (mm)	Disintegration Time (min)	Friability (%)	Assay (%)
LTF_1	105.3	4.51	1.59	20.39	0.43	97.52
LTF_2	104.3	4.61	1.64	22.72	0.34	98.84
LTF_3	110.3	4.41	1.59	30.42	0.49	98.45
LTF_4	109.3	4.81	1.58	19.05	0.47	99.63

LTF5	99.69	4.61	1.59	30.42	0.49	98.45
LTF6	102.3	4.81	1.64	22.72	0.34	98.84
LTF7	101.3	5.01	1.59	30.42	0.49	98.45
LTF8	107.3	4.81	1.56	17.05	0.34	99.54
LTF9	102.3	4.61	1.56	17.05	0.34	99.54

Table 3: Invitro dissolution data

Time(hrs)	LTF1	LTF2	LTF3	LTF4	LTF5	LTF6	LTF7	LTF8	LTF9
1	20.26	14.29	15.05	17.24	14.69	16.25	21.14	19.54	23.59
2	32.72	20.69	22.6	29.59	28.5	25.5	32.93	31.95	38.41
3	49.79	39.38	40.98	40.21	43.95	36.97	43.33	49.39	44.35
4	55.36	59.68	48.33	56.97	66.03	42.92	66.64	61.25	51.12
5	60.48	77.75	54.6	67	79.43	58.59	75.02	79.14	67.01
6	74.41	80.83	59.9	76.8	96.34	62.84	84.44	82.76	79.16
7	88.48	98.85	66.77	85.31		75.4	95.78	94.87	84.45
8	97.77		74.52	97.92		84.45			97.21
9			89.19			98.21			
10			98.65						

RESULTS AND DISCUSSION

Standard Calibration curve of Telbivudine:

It was found that the estimation of Telbivudine by UV spectrophotometric method at λ_{max} 224.0 nm in 0.1N Hydrochloric acid had good reproducibility and this method was used in the study. The correlation coefficient for the standard curve was found to be closer to 1, at the concentration range, 5- 25µg/ml.

Evaluation of Telbivudine Matrix porous tablets:

Post compression Parameters:

The surface nature of the tablets was visually examined, and it was determined that there were no faults such as capping, chipping, or lamination. The thickness, diameter, hardness, friability, weight fluctuation, and drug content of Telbivudine matrix tablets (LTF1 to LTF9) were measured, and the findings are presented in table 2.

A tablet is made to hold a specified amount of medication. The pharmacopoeial limit for percentage variation is 5% when the average weight of the pill is 400 mg. All formulations passed the weight variation test according to the pharmacopoeial requirements IP 2007 since the percentage deviation from average tablet weight was determined to be within the specified limits for all tablets. Percentage friability of all the formulations was found to be in the range from 0.050 to 0.150 %. This indicates good handling property of the prepared matrix tablet. The drug content of all the formulation was found to be in the range from 98.48 ± 0.52 to 100.90 ± 0.45 % w/w, which was within the specified limit as per IP 2007.

Invitro Dissolution studies:

In vitro dissolving investigations were performed using 500ml of 0.1 N HCl in a USP dissolution equipment for 2 hours, followed by 6.8ph phosphate buffer using the paddle technique. The dissolution tests lasted roughly 8 hours and 15 minutes.

CONCLUSION

The sustained release matrix tablets of Telbivudine were made in this study employing a direct compression approach and release retardant polymers such as hydroxypropyl methylcellulose, methylcellulose, and ethyl cellulose. Only physiochemical characterization, such as angle of repose, Carr's index, hausner ratio, weight fluctuation, hardness, thickness, friability, drug content, and in vitro assessment of Telbivudine matrix tablets, were done in this study. In addition to in vitro investigations, in vivo drug testing is critical. In the future, in vivo investigations will be needed to establish the in vitro-in vivo correlation (IVIVC), which is required for the creation of effective formulations, as well as long-term stability studies.

CONFLICT OF INTEREST

Authors declare no conflict of interest

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