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Design and in-vitro charecterization of finasteride buccal tablets

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ABSTARCT

Finasteride is a 5α-reductase inhibitor and therefore an antiandrogen. It works by decreasing the production of dihydrotestosterone (DHT) by about 70%, including in the prostate gland and the scalp. The aim of the present study was to develop buccal formulation of Finasteride to maintain constant therapeutic levels of the drug for over 12 hrs. HPMCK4M, HPMCK15M and Locust bean gum were employed as polymers. Finasteride dose was fixed as 5 mg. Total weight of the tablet was considered as 100 mg. Polymers were used in the concentration of 5 mg, 10 mg and 15 mg concentration.

Keywords: Finasteride, Buccal Tablets, HPMCK4M, HPMCK15M and Locust bean

INTRODUCTION

Buccal administration refers to a enteral route of administration by which drugs diffuse through the oral mucosa (tissues which line the mouth) and enter directly into the bloodstream. Buccal administration of vaccines has been studied, but there are challenges to this approach due to immune tolerance mechanisms that prevent the body from over-reacting to immunogens encountered

Evaluation tests for buccal tablets

Thickness

The thicknesses of buccal tablets were determined using digital micrometer or digital screwgage Ten individual tablets from each batch were used and the average thickness was calculated.

Weight Variation Test

Weight variation test was performed for ten tablets from each batch using an electronic balance and average values were calculated.

Hardness

Hardness test was conducted for three tablets from each batch using Monsanto hardness tester and average values were calculated

Disintegration Test

The test was performed for buccal tablets without backing material. Form each batch, six randomly selected tablets were placed in US Pharmacopeia (USP) disintegration apparatus baskets and the process of disintegration was carried out for 4 h. Later, the baskets were lifted from the fluid and observed for complete disintegration of tablets.

AIM AND OBJECTIVE

The present work is aimed at formulating buccal delivery of Finasteride using various polymers. To effect of Drug polymer ratio or concentration of polymer on drug release, polymer grades on the parameters like duration of buoyancy and drug release, pre formulation studies in release of drug from tablets, To determine the kinetics and mechanism of drug release.

MATERIALS AND METHODS

Table 1: List of Materials Used

Name of the material	Source
Finasteride	NATCO LABS
HPMC K4M	Signet Chemical Corporation, Mumbai, India.
HPMC K15M	SD fine chemicals, Mumbai, India.
Locust bean gum	Merck Specialities Pvt Ltd, Mumbai, India.
MCC pH 102	Merck Specialities Pvt Ltd, Mumbai, India.
Magnesium stearate	SD fine chemicalss, Mumbai, India
Talc	Merck Specialities Pvt Ltd, Mumbai, India

Table 2: List of Equipment's used

Name of the Equipment	Manufacturer
Weighing Balance	Wensar
Tablet Compression Machine (Multistation)	Karnavathi, India.
Hardness tester	Mansato , India.
Vernier callipers	Mitutoyo, Japan.
Roche Friabilator	Labindia, Mumbai, India
Dissolution Apparatus	Labindia, Mumbai, India
UV-Visible Spectrophotometer	Labindia, Mumbai, India
pH meter	Labindia, Mumbai, India
FT-IR Spectrophotometer	Per kin Elmer, United States of America.

METHODOLOGY

Analytical method development

a) Determination of absorption maxima

A solution containing the concentration 10 µg/ ml drug was prepared in pH 6.8 Phosphate buffer UV spectrums was taken using Double beam UV/VIS spectrophotometer. The solution was scanned in the range of 200-400.

b) Preparation calibration curve

100mg of Finasteride pure drug was dissolved in 100ml of 6.8 pH phosphate buffer(stock solution)10ml of solution was taken and make up with100ml of 6.8 pH phosphate buffer(100µg/ml).from this 10ml was taken and make up with 100 ml of 6.8 pH phosphate buffer (10µg/ml). The above solution was subsequently diluted with 6.8 ph phosphate buffer to obtain series of dilutions Containing 2,4,6,8,10 and 12µg/ml of Finasteride per ml of solution. The absorbance of the above dilutions was measured at 250 nm by using UV-Spectrophotometer taking 6.8 pH phosphate buffer as blank. Then a graph was plotted by taking Concentration on X-Axis and Absorbance on Y-Axis which gives a straight-line Linearity of standard curve was assessed from the square of correlation coefficient (R^2) which determined by least-square linear regression analysis. The above procedure was repeated by using pH 6.8 phosphate buffer solutions.

Drug – Excipient compatibility studies Fourier Transform Infrared (FTIR) spectroscopy

The physical properties of the physical mixture were compared with those of plain drug.Samples was mixed thoroughly with 100mg potassium bromide IR powder and compacted under vacuum at a pressure of about 12 psi for 3 minutes. The resultant disc was mounted in a suitable holder in Perkin Elmer IR spectrophotometer and the IR spectrum was recorded from 3500 cm to 500 cm. The resultant spectrum was compared for any spectrum changes.

Preformulation parameters

The quality of tablet, once formulated by rule, is generally dictated by the quality of physicochemical properties of blends. There are many formulations and process variables involved in mixing and all these can affect the characteristics of blends produced. The various characteristics of blends tested as per Pharmacopoeia.

Formulation development of Tablets

All the formulations were prepared by direct compression. The compositions of different formulations are given in Table 7.3.The tablets were prepared as per the procedure given below and aim is to prolong the release of Finasteride . Total weight of the tablet was considered as 100mg.

Procedure

Finasteride and all other ingredients were individually passed through sieve no 60. All the ingredients were mixed thoroughly by triturating up to 15 min. The powder mixture was lubricated with talc.

Table 3: Formulation composition for tablets

Formulation No:	Finasteride	HPMC K4M	HPMC K15M	Locust bean gum	Mag. Stearate	Talc	MCC pH 102
F1	5	5	-	-	3	3	QS
F2	5	10	-	-	3	3	QS
F3	5	15	-	-	3	3	QS
F4	5	-	5	-	3	3	QS
F5	5	-	10	-	3	3	QS
F6	5	-	15	-	3	3	QS
F7	5	-	-	5	3	3	QS
F8	5	-	-	10	3	3	QS
F9	5	-	-	15	3	3	QS

Evaluation of post compression parameters for prepared Tablets

The designed formulation tablets were studied for their physicochemical properties like weight variation, hardness, thickness, friability and drug content.

RESULTS AND DISCUSSION

The present study was aimed to developing buccal tablets of Finasteride using various polymers. All the formulations were evaluated for physicochemical properties and invitro drug release studies.

Analytical Method

Graphs of Finasteride was taken in buccal pH that is in p H 6.8 phosphate buffer at 250 nm

Table 4: Observations for graph of Finasteride in p H 6.8 phosphate buffer (250 nm)

Conc [µg/l]	Abs
0	0
2	0.122
4	0.291
6	0.392
8	0.511
10	0.635
12	0.791

Pre-formulation parameters of powder blend

Table 5: Pre-formulation parameters of blend

Formulation Code	Angle of Repose	Bulk density (gm/ml)	Tapped density (gm/ml)	Carr's index (%)	Hausner's Ratio
F1	26.12	0.50	0.55	17.23	0.87
F2	26.68	0.53	0.53	17.89	0.97
F3	24.56	0.51	0.59	16.12	0.65
F4	26.44	0.52	0.55	16.68	1.13
F5	24.35	0.53	0.58	17.93	1.04
F6	25.23	0.54	0.57	16.67	1.07
F7	26.19	0.55	0.60	17.45	0.78
F8	25.23	0.57	0.68	16.98	1.16
F9	26.06	0.56	0.53	17.56	1.18

All the pre-formulation studies were found to be with in the limits

Quality Control Parameters For tablets

Tablet quality control tests such as weight variation, hardness, and friability, thickness, and drug release studies in different media were performed on the formulation of tablet.

Table 6: post compression parameters

Formulation codes	Weight variation(mg)	Hardness (kg/cm2)	Friability (%loss)	Thickness (mm)	Drug content (%)
F1	100	4.8	0.58	2.3	97.46
F2	99	4.7	0.53	2.4	98.35
F3	100	4.5	0.52	2.2	98.67
F4	98	4.7	0.55	2.3	99.78
F5	99	4.8	0.50	2.5	97.43
F6	98	4.6	0.52	2.4	99.35
F7	100	4.5	0.54	2.6	99.56
F8	99	4.8	0.52	2.4	97.63
F9	100	4.7	0.53	2.5	99.14

Invitro quality control parameters for tablets

All the parameters such as weight variation, friability, hardness, thickness and drug content were found to be within limits.

In-Vitro Drug Release Studies

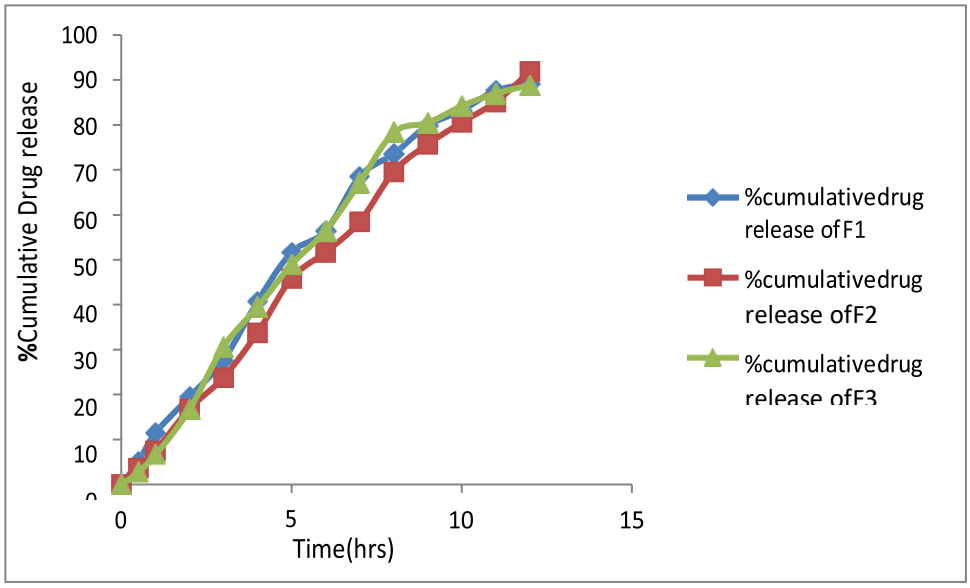

Fig 1: Dissolution profile of Finasteride (F1, F2, F3 formulation

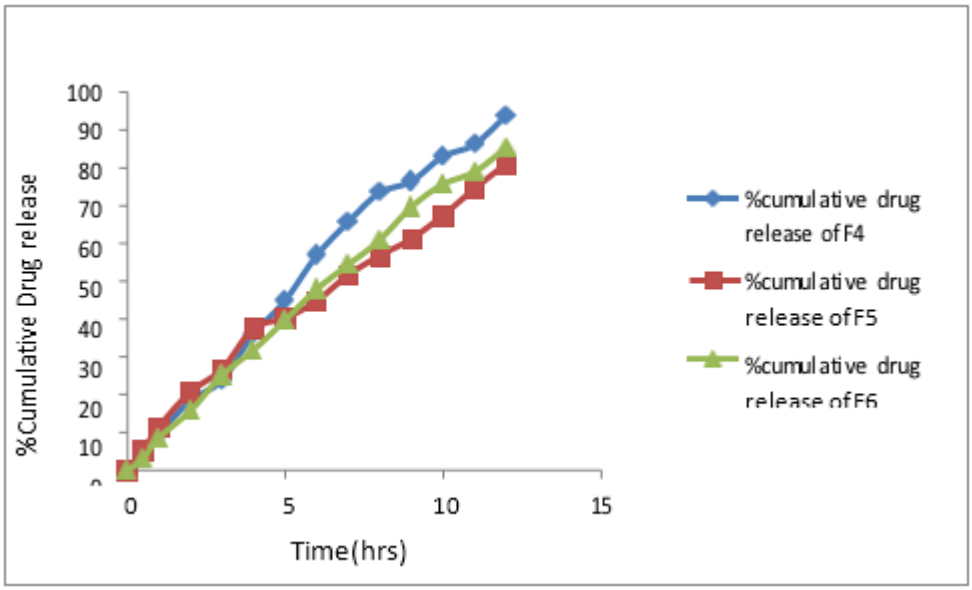

Fig 2: Dissolution profile of Finasteride (F4, F5, F6 formulation)

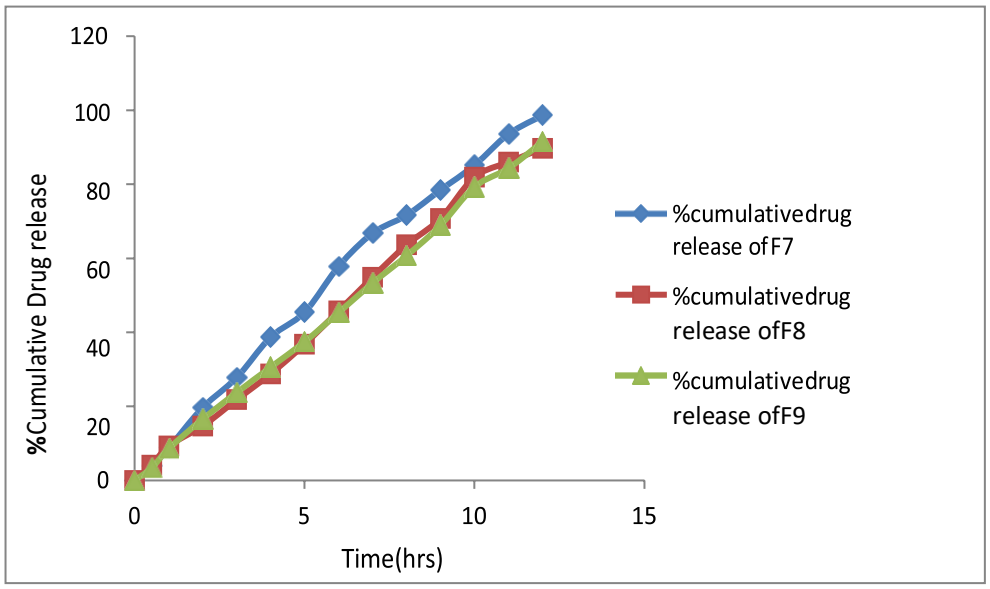

Fig 3: Dissolution profile of Finasteride (F7, F8, F9 formulations)

Kinetics to Dissolution Data

Table 7: Release kinetics data for optimized formulation

Cumula Tive (%) Release Q	Time (T)	Root (T)	Log (%) Release	Log (T)	Log (%) Rem Ain	Release Rate (Cumulati Ve % Release / T)	1/Cum % Release	Peppa S Log Q/100	% Drug Remaini Ng	Q01/3-Q01/3Qt1/3 Qt1/3
0	0	0			2.000				100	4.6424.642 0.000
3.86	0.5	0.707	0.587	-0.301	1.983	7.720	0.2591	-1.413	96.14	4.6424.581 0.061
8.74	1	1.000	0.942	0.000	1.960	8.740	0.1144	-1.058	91.26	4.6424.502 0.139
19.68	2	1.414	1.294	0.301	1.905	9.840	0.0508	-0.706	80.32	4.6424.315 0.327
27.79	3	1.732	1.444	0.477	1.859	9.263	0.0360	-0.556	72.21	4.6424.164 0.477

38.75	4	2.000	1.588	0.602	1.787	9.688	0.0258	-0.412	61.25	4.6423.942 0.700
45.44	5	2.236	1.657	0.699	1.737	9.088	0.0220	-0.343	54.56	4.6423.793 0.849
57.85	6	2.449	1.762	0.778	1.625	9.642	0.0173	-0.238	42.15	4.6423.480 1.161
66.79	7	2.646	1.825	0.845	1.521	9.541	0.0150	-0.175	33.21	4.6423.214 1.427
71.65	8	2.828	1.855	0.903	1.453	8.956	0.0140	-0.145	28.35	4.6423.049 1.592
78.48	9	3.000	1.895	0.954	1.333	8.720	0.0127	-0.105	21.52	4.6422.782 1.860
85.17	10	3.162	1.930	1.000	1.171	8.517	0.0117	-0.070	14.83	4.6422.457 2.185
93.59	11	3.317	1.971	1.041	0.807	8.508	0.0107	-0.029	6.41	4.6421.858 2.784
98.57	12	3.464	1.994	1.079	0.155	8.214	0.0101	-0.006	1.43	4.6421.127 3.515

Drug And Excipient Compatibility Studies

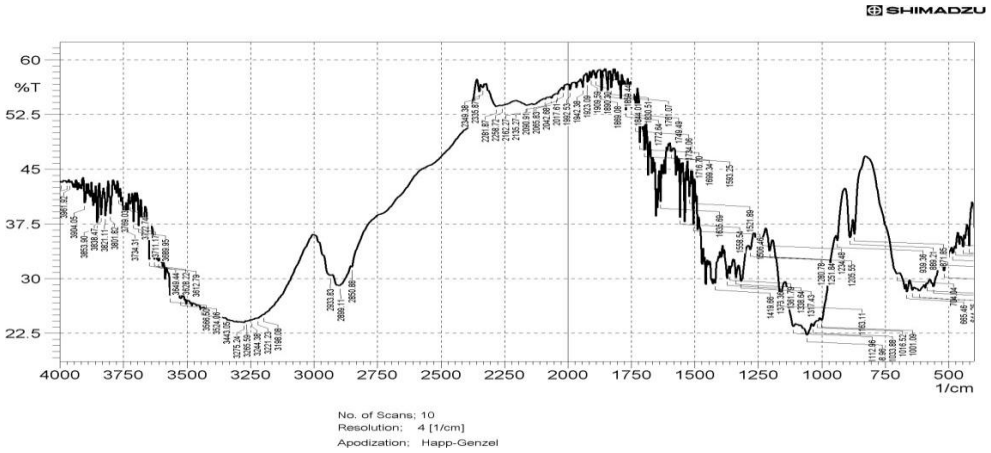

Fig 4: FTIR spectrum of pure drug

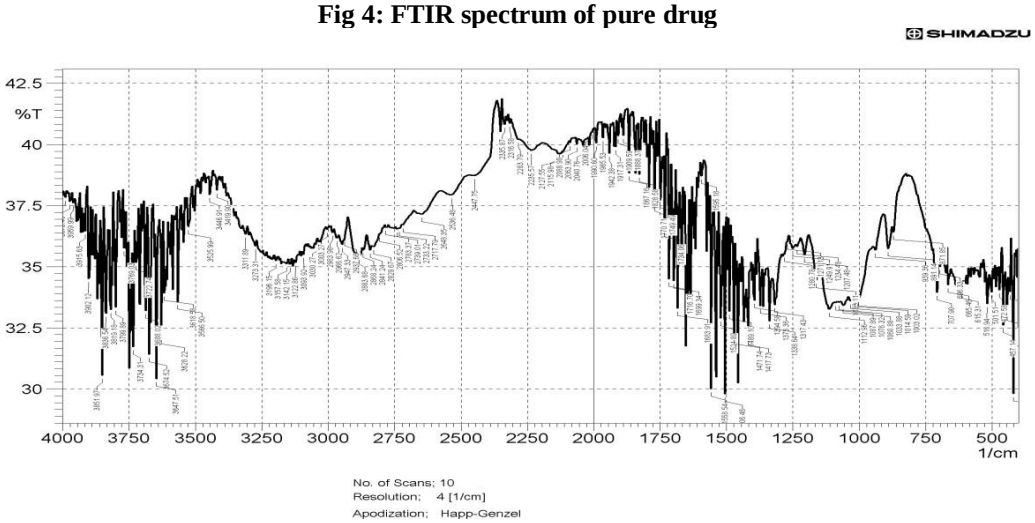

Fig 5: FTIR spectrum of optimized formulation

CONCLUSION

The aim of the present study was to develop buccal formulation of Finasteride to maintain constant therapeutic levels of the drug for over 12 hrs. HPMCK4M, HPMCK15M and Locust bean gum were employed as polymers. Finasteride dose was fixed as 5 mg. Total weight of the tablet was considered as 100 mg. Whereas from the dissolution studies it was evident that the formulation (F7) showed better and desired drug release pattern i.e.,98.57 % in 12 hours. It followed zero order release kinetics mechanism

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