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Research

Preparation And Characterization Of Fast Dissolving Tablets Of Fexofenadine HCL

G. Anvesh Kumar*[1], Dr. M. Kotaiah[2]

[1]Scholar, Department of Pharmcy, MAM College of Pharmacy, Kesanapalli, Palnadu dist, Andhra Pradesh, India
[2]Professor, MAM College of Pharmacy, Kesanapalli, Palnadu dist Andhra Pradesh, India

*Author for Correspondence: G. Anvesh Kumar
Email: sandeep123@gmail.com

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Abstract

In the present work, an attempt has been made to develop Fast dissolving tablets of Fexofenadine using various super disintegrants such as sodium starch glycolate, cross carmellose, and crospovidone. All the formulations were prepared by direct compression method using 6mm punch on 10 station rotary tablet punching machine. The blend of all the formulations showed god flow properties such as angle of repose, bulk density, tapped density. The prepared tablets were shown good post compression parameters and they passed all the quality control evaluation parameters as per I.P limits. From F4 formulation containing Sodium Starch Glycolate as a supeer disintegrant was considered as optimized formulation by the concentration of drug to polymer ratios of 1:1. Among all the formulations F4 formulations showed maximum % drug release i.e., 98.64 % in 28 mins.

Keywords: Fexofenadine, Fast dissolving tablets, sodium starch glycolate, croscarmellose sodium, crospovidone.

INTRODUCTION

Drug delivery systems (DDS) are a strategic tool for expanding markets/indications, extending product life cycles and generating opportunities. DDS make a significant contribution to global pharmaceutical sales through market segmentation, and are moving rapidly. Drug delivery systems are becoming increasingly sophisticated as pharmaceutical scientists acquire a better understanding of the physicochemical and biochemical parameters pertinent to their performance.

Despite of tremendous advancements in drug delivery, the oral route remains the perfect route for the administration of therapeutic agents because the low cost of therapy, ease of administration lead to high levels of patient compliance.

It is always the aim of a scientist or a dosage form designer to enhance the safety of a drug molecule while maintaining its therapeutic efficacy. Recent advances in NDDS aim for the same by formulating a dosage form, convenient to be administered so as to achieve better patient compliance. Mouth Dissolving Tablet (MDT) is one among such approaches.

Improved patient compliance has achieved enormous demand. Consequently demand for their technologies is also increasing many folds. To develop a chemical entity, a lot of money, hard work and time are required. So focus is rather being laid on the development of new drug delivery systems for already existing drugs, with enhanced efficacy and bioavailability, thus reducing the dose and dosing frequency to minimize the side effects.

The oral route of administration is the most preferred route due to its many advantages like ease of administration, accurate dosage, self-medication, pain avoidance, versatility and patient compliance. Tablets and capsules are the most popular dosage forms.

But one important drawback of such dosage forms is Dysphasia or difficulty in swallowing. This is seen to afflict nearly 35% of the general population. This disorder is also associated with a number of pathological conditions including stroke, Parkinson's disease, neurological disorders, AIDS etc.

AIM AND OBJECTIVES

In present research work, fast dissolving tablet of fexofenadine hcl formulated using direct compression technique fexofenadine Hcl an anti allergic drug and it is like other H_1-blockers Fexofenadine competes with free histamine for binding at H1-receptors in the GI tract large blood vessels, and bronchial smooth muscle. This blocks the action of endogenous histamine, which subsequently leads to temporary relief of the negative symptoms (eg. Nasal congestion, watery eyes) brought on by histamine. Fexofenadine exhibits no anticholinergic, antidopaminergic, alpha1-adrenergic or beta-adrenergic-receptor blocking effects.

Fexofenadine Hcl has absorption approximately 33%, Protein binding 60 -70% and half life is 14.4 hours. Approximately 5% of the total dose is metabolized, by cytochrome P450 3A4 and by intestinal microflora, over dose of fexofenadine cases Side effects dizziness, drowsiness and dry mouth.

The following objectives are conceived for the development of dosage forms.

- To formulate the fast dissolving tablets of fexofenadine Hcl by direct compression method.
- To study the release pattern of fexofenadine Hcl with Croscarmellose sodium (Ac-Di-Sol), sodium starch glycolate and crospovidone as superdisintegrants.
- Selection of various polymers and excipients by using suitable screening design.
- Development of dosage forms by using suitable experimental designs.
- To carry out the various *in-vitro* studies for the dosage forms.

DRUG AND EXCIPIENT PROFILE

FEXOFENADINE

Fexofenadine hydrochloride allegra is an anti histamine drug used in the treatment of hayfever and similar allergy symptoms. It was developed as a successor of an alternative to terfenadine. Fexofenadine, like other second and third-generation antihistamines, does not readily pass through the blood-brain barrier, and so causes less drowsiness than first-generation histamine-receptor antagonists.

Synonyms: Terfenadine-COOH, Terfenadine carboxylate, Terfenadine acid metabolite, Carboxyterfenadine

Molecular formula: $C_{32}H_{39}NO_4$

Molecular weight: Average: 501.6564; Monoisotopic: 501.287908741

CAS No: 83799-24-0

Half-life: 14.4 hours

Melting range: 142.5 °C

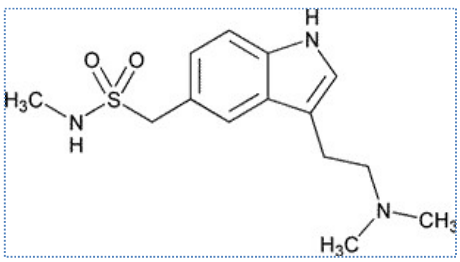
Bioavailability: 1%

Metabolism: Approximately 5% of the total dose is metabolized, by cytochrome P450 3A4 and by intestinal microflora

Excretion: Feces (80%) and Urine (11%) as unchanged drug

Systematic IUPAC name: 2-(4-{1-hydroxy-4-[4-(hydroxydiphenylmethyl) piperidin-1-yl] butyl} phenyl)-2-methylpropanoic acid.

Structural formula:



It is white power substance and solid in state, with it is slightly soluble in water, melting point of 142.5 °C.

Formulation of Fexofenadine fast dissolving Tablet by Direct Compression method

Composition of preliminary trials for Fexofenadine fast dissolving Tablet by direct compression is shown in table. All the ingredients were weighed. Required quantity of drug and excipient mixed thoroughly in a polybag. The blend is compressed using rotary tablet machine-12 station with 8mm flat punch, B tooling. Each tablet contains 60 mg Fexofenadine and other pharmaceutical ingredients.

Table 1: Formulations of Fexofenadine Hcl fast dissolving Tablets

S. No	Ingredient	F1	F2	F3	F4	F5	F6	F7	F8	F9
1	Fexofenadine Hcl	60	60	60	60	60	60	60	60	60
2	Sodium Starch Glycolate	15	30	---	60	---	---	---	---	---
3	Croscarmellose Sodium	---	---	15	---	30	60	---	---	---
4	Crospovidone	---	---	---	---	---	---	15	30	60
5	Magnesium stearate	2.5	2.5	2.5	2.5	2.5	2.5	2.5	2.5	2.5
6	Aerosil	2.5	2.5	2.5	2.5	2.5	2.5	2.5	2.5	2.5
7	Micro Crystalline Cellulose	Qs	QS	QS	QS	QS	QS	QS	QS	QS
	Total weight	150	150	150	150	150	150	150	150	150

RESULTS AND DISCUSSIONS

Standard Calibration curve of Fexofenadine Hcl

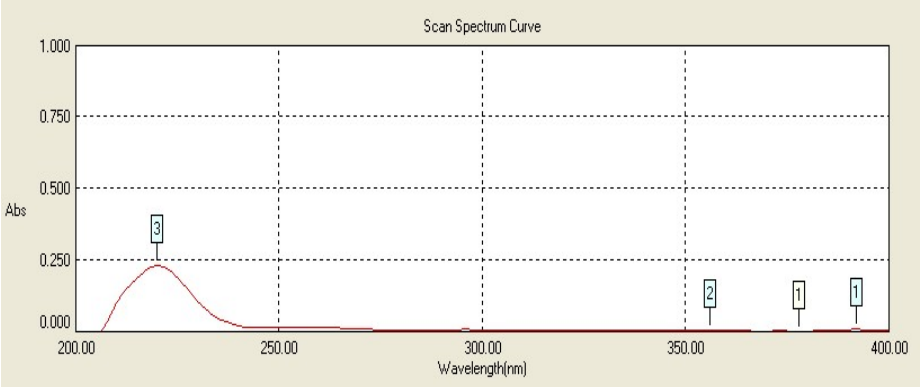


Fig 1: Concentration and absorbance obtained for calibration curve of Fexofenadine Hcl in phosphate buffer (pH 6.8)

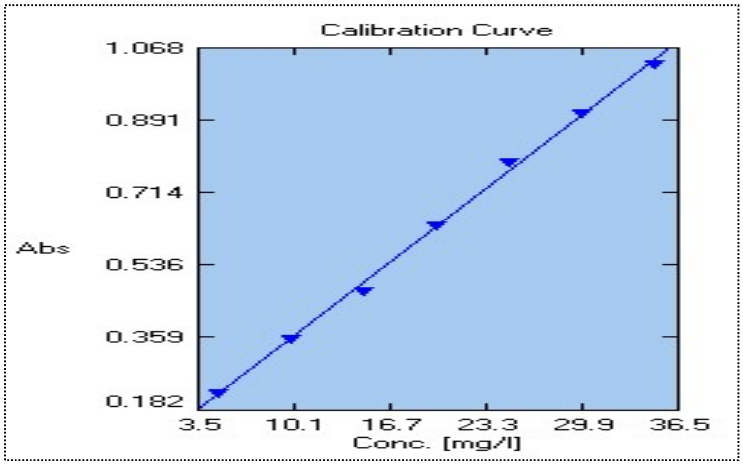


Fig 2: Standard calibration curve of Fexofenadine Hcl

Table 2: Data for standard plot of Fexofenadine Hcl using 6.8 phosphate buffer

S. No	Concentration	Absorbance
1	5	0.222
2	10	0.354
3	15	0.471
4	20	0.634
5	25	0.789
6	30	0.909
7	35	1.028

Drug-Excipients Interaction Study

Fourier Transform Infrared Spectroscopy (FT-IR)

The samples of Fexofenadine and Optimized formulation were prepared in the form of KBr pellets and subjected for scanning from 4000 $cm^{-1}$to 400cm^{1} using FT-IR spectrophotometer.

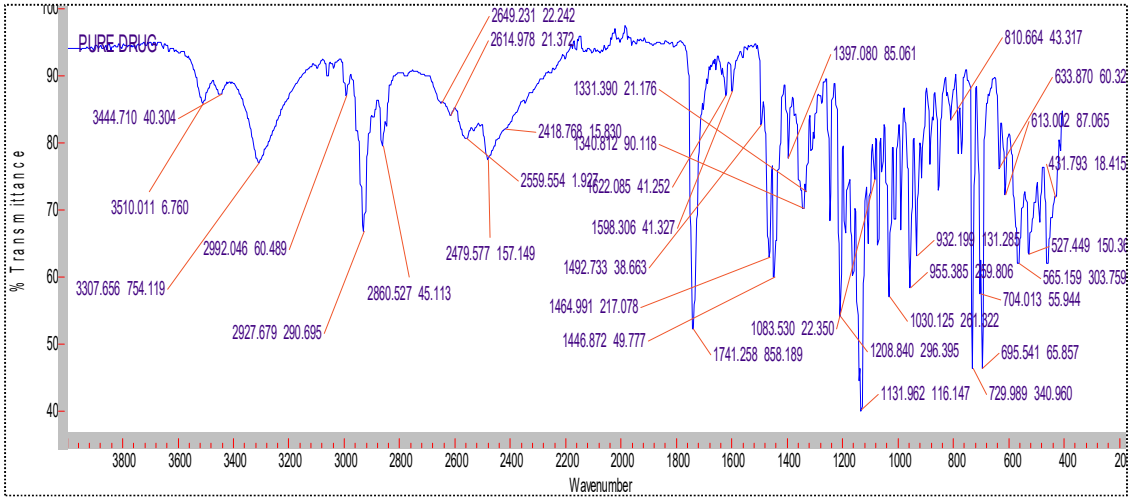


Fig 3: FTIR spectra of Fexofenadine

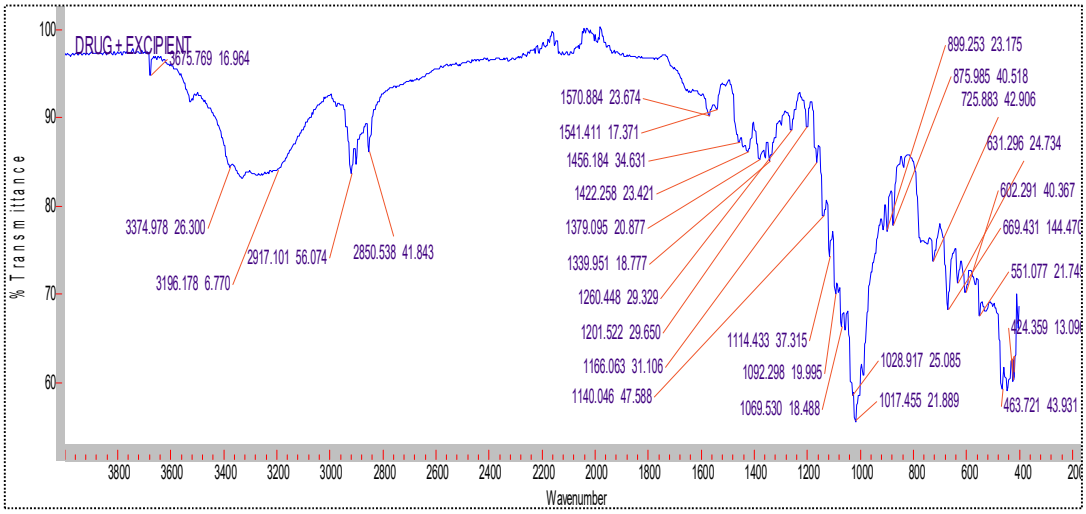


Fig 4: FTIR spectra of optimized formulation

By correlating Fexofenadine peaks of pure drug spectrum with physical mixtures of the optimized formulation it was found that the drug is compatible with the formulation.

Evaluation Parameters for Fast dissolving tablets of Fexofenadine

Table 3: Evaluation of pre-compression parameters of Fast Dissolving Tablets of Fexofenadine

Formulation code	Bulk Density(g/ml)	Tapped Bulk Density(g/ml)	Carr's Index (%)	Hausner's Ratio	Angle of Repose (θ)
F1	0.45±0.024	0.52±0.08	10.14±0.5	1.09±0.01	26.25±0.15
F2	0.42±0.042	0.51±0.02	12.33±0.4	1.04±0.05	25.46±0.46
F3	0.47±0.059	0.56±0.04	10.27±0.2	1.02±0.06	27.32±0.45
F4	0.49±0.065	0.58±0.03	14.39±0.6	1.02±0.02	27.17±0.37
F5	0.43±0.025	0.59±0.06	9.43±0.8	1.11±0.04	26.19±0.49
F6	0.44±0.069	0.53±0.01	8.16±0.9	1.05±0.06	30.34±0.13
F7	0.46±0.054	0.52±0.04	11.43±0.4	1.01±0.01	26.39±0.34
F8	0.41±0.072	0.51±0.07	7.26±0.2	1.1±0.04	25.45±0.26
F9	0.48±0.015	0.56±0.03	10.34±0.1	1.06±0.08	29.59±0.49

The data were shown in Table 7.2. Bulk density and tapped density of various formulations were found to be in the range of 0.41±0.072 to 0.49±0.065 (gm/ml) and 0.51±0.02 to 0.59±0.06 (gm/ml) respectively. Carr's index of the prepared blends was fall in the range of 7.26±0.2 % to 14.39±0.6 %. The Hausners ratio was fall in range of 1.01±0.01 to 1.11±0.04. The values for angle of repose were found in the range of 25°-30°. From the result it was concluded that the powder blends had good flow properties and these can be used for tablet manufacture.

Evaluation of post-compression parameters of Fast Dissolving Tablets of Fexofenadine

Table 4: Data for post compression parameters of tablet formulations (F1-F9)

Formulation	Weight variation	Thickness (mm)	Hardness (Kg/Cm^2)	Friability %	Disintegrating Time (sec)
F1	150±0.87	2.79±0.57	2.35±0.29	0.67±0.47	47
F2	149±0.95	2.68±0.48	2.66±0.45	0.79±0.73	44
F3	150±0.23	2.75±0.57	2.64±0.36	0.67±0.35	41
F4	150±0.37	2.86±0.53	2.99±0.17	0.68±0.46	28
F5	150±0.69	2.67±0.53	2.83±0.37	0.73±0.29	46
F6	149±0.64	2.98±0.51	2.76±0.31	0.58±0.54	49
F7	150±0.71	2.64±0.65	2.61±0.17	0.49±0.47	51
F8	151±0.48	2.99±0.36	2.66±0.45	0.54±0.15	49
F9	149±0.41	2.37±0.18	2.46±0.37	0.59±0.26	48

Dissolution Profile

Dissolution test

USP-II Paddle apparatus was used and paddle was allowed to rotate at 50 rpm, phosphate buffer (pH 6.8, 900 ml) was used as a dissolution medium

***In-Vitro* drug release**

Release of the drug *in vitro*, was determined by estimating the dissolution profile.

Table 5: *In-vitro* dissolution studies of Fast Dissolving Tablets of Fexofenadine

Time (Mins)	F1	F2	F3	F4	F5	F6	F7	F8	F9
0	0	0	0	0	0	0	0	0	0
5	14.25	18.35	22.58	26.58	21.54	18.63	22.51	17.56	22.54
10	19.36	26.57	36.57	46.57	29.63	27.45	31.54	25.56	31.52
15	26.89	39.84	49.56	56.57	36.57	36.95	46.84	31.56	39.84

20	31.57	48.36	61.89	63.21	42.57	46.51	52.54	44.89	44.53
25	46.35	55.68	76.35	76.89	49.63	55.25	61.57	56.84	49.63
30	59.84	69.51	84.98	84.57	65.25	62.51	69.58	63.51	56.89
35	66.35	76.51	93.58	98.64	76.36	76.58	76.54	70.25	65.84
40	78.95	84.59	---	---	86.51	81.54	89.44	79.86	78.89

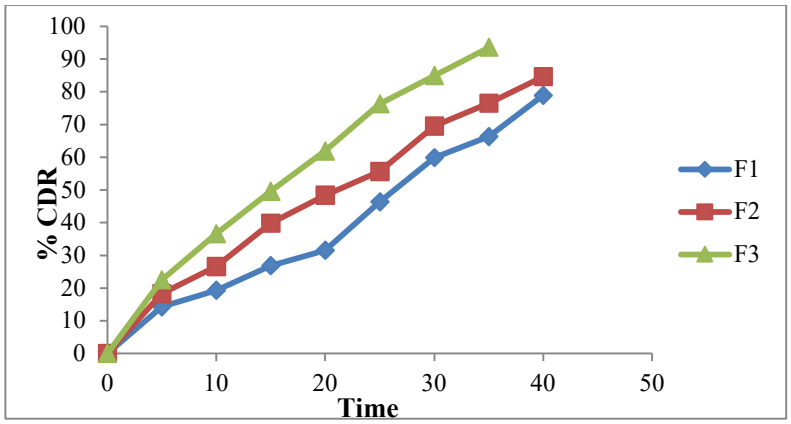


Fig 5: *In-vitro* release data of formulations F1, F2 and F3

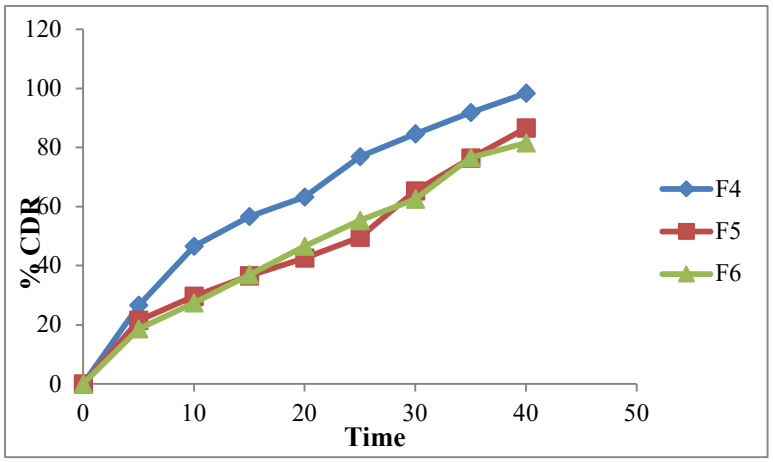


Fig 6: *In-vitro* release data of formulations F4, F5 and F6

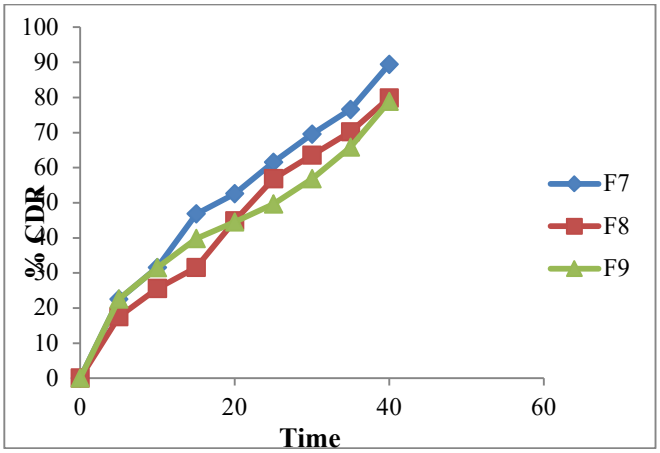


Fig 7: *In-vitro* release data of formulations F7, F8 and F9

SUMMARY AND CONCLUSION

In the present work, an attempt has been made to develop fast disintegrating tablets of Fexofenadine Hcl.The result of physical parameter of preliminary trials by direct compression showed good flow property.Amongst the various combinations of diluents and disintegrants used in the study, tablets that were formulated (direct compression) using Sodium Starch Glycolate exhibited quicker disintegration of tablets than compared to those other combination of disintegrants in different concentration. Formulation F4 was the optimized formulation having least disintegration time as well as other parameters was in acceptable range.Based on the optimization results it is concluded that the objective of formulating Fast Dissolving Tablets containing Fexofenadine has been achieved with success.

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