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Formulation and Invitro Evaluation of Immediate Release Tablets Containing Febuxostat

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ABSTRACT

In the present research work Febuxostat Immediate Release Tablet were prepared by direct compression method using varying concentrations of Lycoat, Crospovidone & Croscarmellose sodium as disintegrants. The formulations prepared were evaluated for precompression& post compression parameters. Form the drug excipient compatibility studies we observe that there are no interactions between the pure drug (Febuxostat) and optimized formulation (Febuxostat+ excipients) which indicates there are no physical changes. Post compression parameters was found to be within the limits. Among the formulation prepared the tablet containing 12mg of CCS shows 98.13% of the drug release within 45 min & follows first order kinetics.

Key words: Febuxostat Mumbai Lycoat, Crospovidone, Croscarmellose sodium, microcrystalline cellulose Talc and Magnesium Stearate.

Introduction

In the present study and research novel drug delivery systems are developed for expanding markets/indications, extending product life cycles and generating opportunities. Oral administration is the most popular route for systemic effects due to its ease of ingestion, pain, avoidance, versatility and most importantly patient compliance. In these solid formulations do not require sterile conditions and are therefore, less expensive to manufacture**.** Patient compliance, high-precision dosing, and manufacturing efficiency make tablets the solid dosage form of choice [1-5]. Excipients and equipments choices will be significantly affected should solid dosage form technologies change in response to the unprecedented shifts in the drug discovery such as genomics. The development of enhanced oral protein delivery technology by immediate release tablets which may release the drugs at an enhanced rate are very promising for the delivery of poorly soluble drugs high molecular weight protein and peptide . The oral route remains the perfect route for the administration of therapeutic agents because the low cost of therapy, manufacturing and ease of administration lead to high levels of patient compliance. Many patients require quick onset of action in particular therapeutic condition and consequently immediate release of medicament is required [6-10]. It is estimated that50% of the population is affected by this problem, which results in a high incidence of ineffective therapy. Immediate release tablets are those which disintegrate rapidly and get dissolved to release the medicaments. 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. This term excludes formulations which are adapted to provide for “modified”, “controlled”, “sustained”, “prolonged”, “extended” or “delayed” release of drug [11-15].

MATERIALS AND METHODS

Febuxostat were obtained from Spectrum labs Mumbai Lycoat, Crospovidone, Croscarmellose sodium, microcrystalline cellulose were obtained from Signet Chemical Corp., Mumbai. Talc and Magnesium stearate were obtained from S.D. Fine Chemicals, Mumbai

Formulation of Immediate release tablets of Febuxostat

The inner core tablets were prepared by using direct compression method as per the developed formulation table which was shown below. Accurately weighed amounts of Febuxostat, MCC, Crospovidone, CCS, Lycoat and Talc were dry blended for about 15min followed by addition of magnesium stearate. The mixture was then further blended for 10 min. Now the resultant powder blend was manually compressed using punching machine and finally the core tablet was obtained.

Formulation Table of Febuxostat IR Tablets

Ingredients (mg)	**F1**	**F2**	**F3**	**F4**	**F5**	**F6**	**F7**	**F8**	**F9**
Febuxostat	40	40	40	40	40	40	40	40	40
Lycoat	4	8	12	--	--	--	--	--	--
Crosspovidone	--	--	--	4	8	12	--	--	--
CCS	--	--	--	--	--	--	4	8	12
MCC	103	99	95	103	99	95	103	99	95
Mg.sterate	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5
Talc	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5	1.5
Total	150	150	150	150	150	150	150	150	150

Characterization Febuxostat Tablets

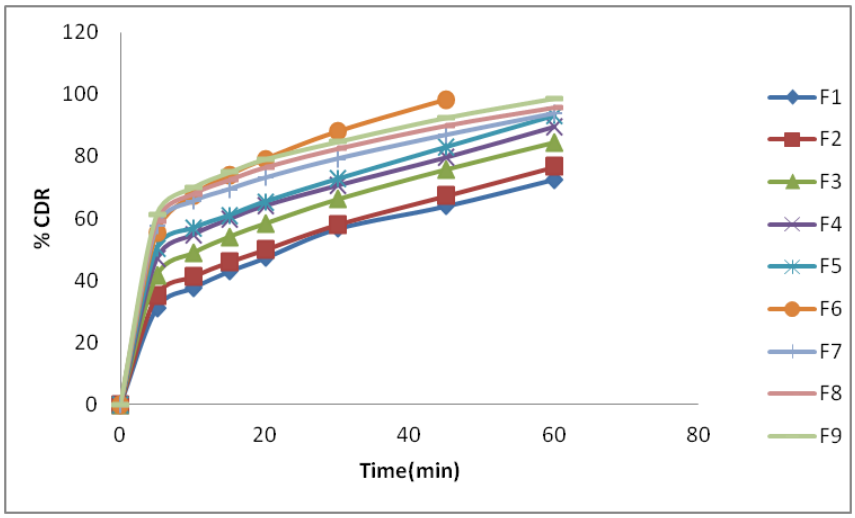
Formulation code	**%Weight variation**	**Thickness (mm)**	**Diameter (mm)**	**Hardness**	**Friability (%)**	**Disintegrating time (sec)**	**Drug content**
F1	0.395	2.43	8.09	3.86	0.19	68.12	97.63
F2	0.498	2.54	8.10	3.56	0.34	56.15	96.43
F3	0.176	2.47	8.05	4.48	0.56	47.08	99.05
F4	0.765	2.52	8.11	3.97	0.65	61.58	96.04
F5	1.248	2.60	8.07	4.76	0.37	56.79	97.43
F6	0.687	2.59	8.06	3.45	0.85	44.16	95.04
F7	0.964	2.67	8.10	3.86	0.94	58.75	97.65
F8	1.508	2.71	8.09	5.08	0.39	39.49	99.43
F9	0.897	2.84	8.04	4.78	0.73	27.87	96.85

Dissolution studies of the tablets :

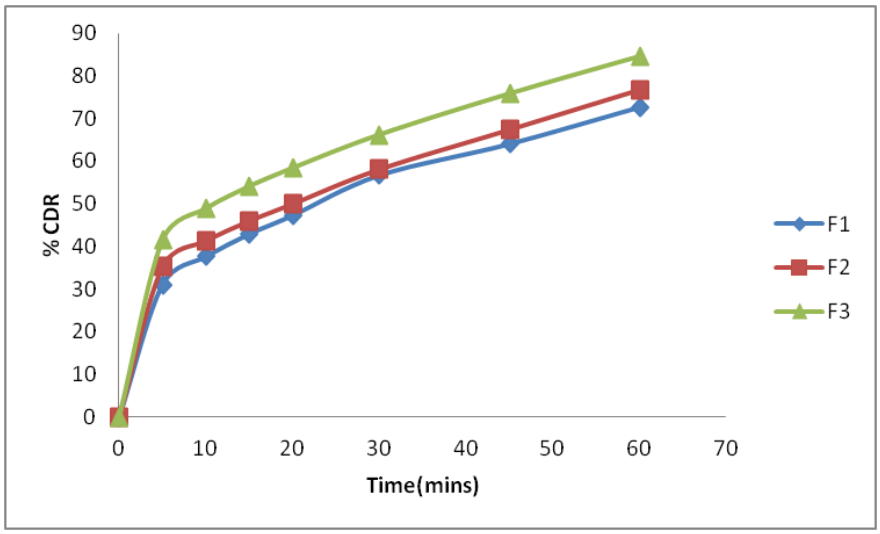
The prepared tablets were subjected to dissolution studies in order to know the amount drug release.

% Cumulative drug release of formulations F1-F9

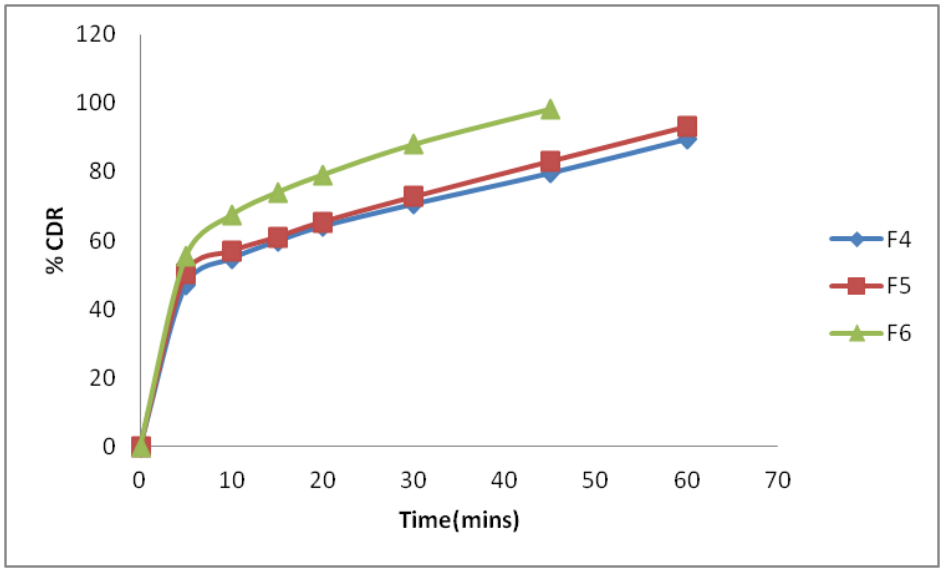
Time (min)	**F1**	**F2**	**F3**	**F4**	**F5**	**F6**	**F7**	**F8**	**F9**
0	0	0	0	0	0	0	0	0	0
5	31.06	35.18	41.49	47.06	50.31	55.31	57.49	59.16	61.19
10	37.66	41.27	48.87	54.78	56.87	67.49	65.42	67.91	69.93
15	42.95	45.96	54.08	59.85	60.98	74.04	69.37	72.43	74.86
20	47.31	49.97	58.36	64.19	65.39	79.19	73.07	76.48	79.13
30	56.72	58.05	66.16	70.69	72.68	88.06	79.19	82.49	84.78
45	64.05	67.34	75.76	79.71	82.96	98.34	86.83	89.97	92.53
60	72.66	76.68	84.48	89.61	93.06		93.75	95.83	98.79



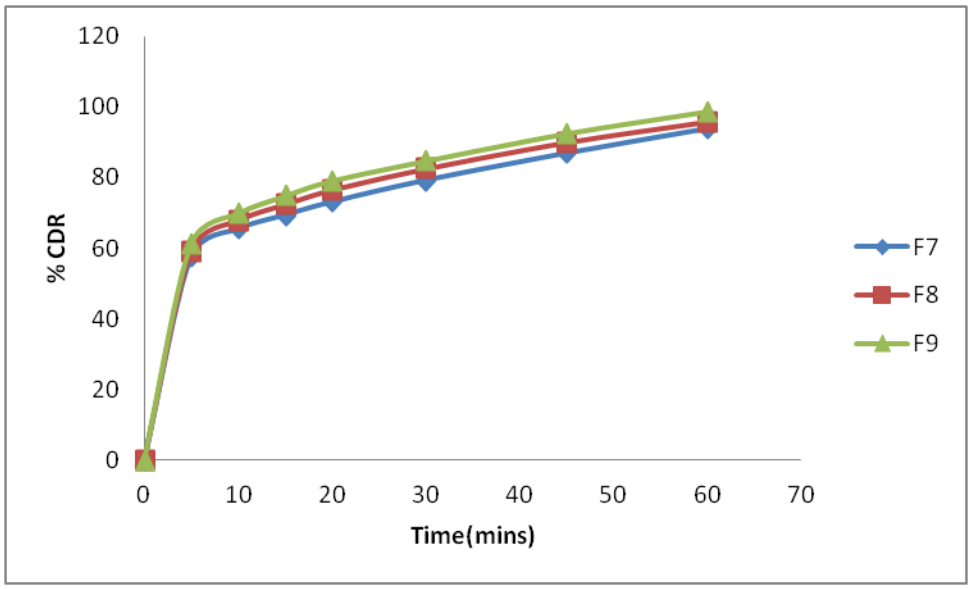
In vitro drug release of formulations F1-F9



In vitro drug release of formulations F1-F3



In vitro drug release of formulations F4-F6



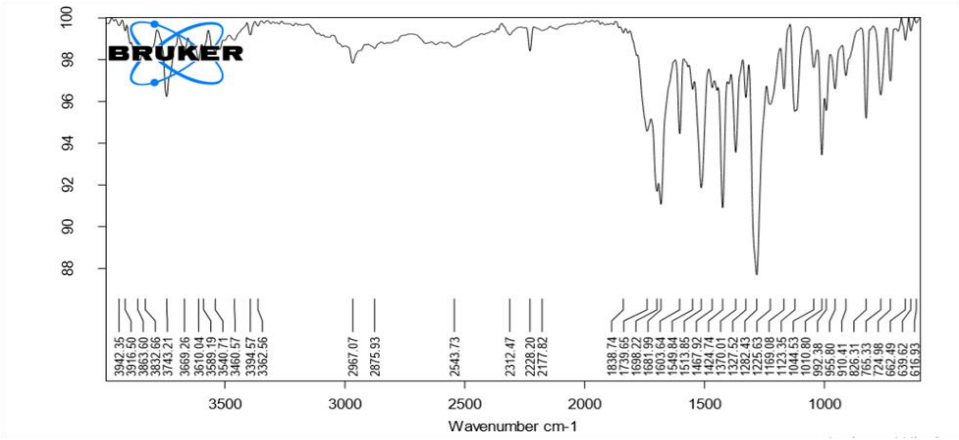
In vitro drug release of formulations F7-F9

Discussion:

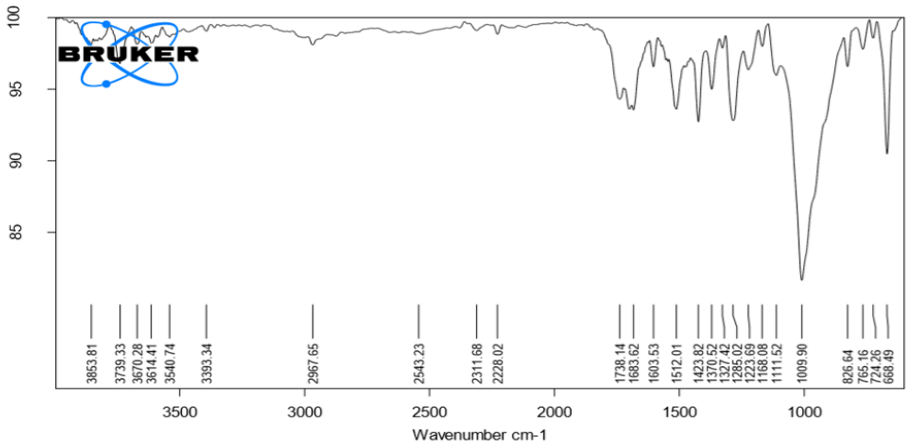
From the in vitro drug release in studies it was observed that the formulations containing LYCOAT as a super disintegrant in different concentrations, reveals that the increased in the super disintegrant concentration decreases the drug release time and the F3 formulation containing LYCOAT 12mg shows maximum amount of drug release (76.68 %) at the end of 60mins. Whereas formulations containing Crospovidone as a super disintegrant in different concentrations, reveals that the increased in the super disintegrant concentration decreases the drug release time and the F6 formulation containing Crospovidone with 12mg shows maximum amount of drug release (98.34%) at the end of 45mins. Whereas formulations containing CCS as a super disintegrant in different concentrations, reveals that the increased in the super disintegrant concentration decreases the drug release time and the F9 formulation containing CCS with 12mg shows maximum amount of drug release (98.79%) at the end of 60mins. So, F6 formulation containing 12mg of CCS shows max. drug release within 45mins so that it is choosen as optimized formulation.

FTIR analysis:

The drug-polymer interactions were studied by FTIR spectrometer, Shimadzu 8400 S. 2% (w/w) of the sample, with respect to a potassium bromide (KBr; SD Fine Chem. Ltd., Mumbai, India) was mixed with dry KBr. The mixture was ground into a fine powder using mortar and then compressed into a KBr discs in a hydraulic press at a pressure of 10000 PSI. Each KBr disc was scanned 10 times at a resolution of 2 cm–1 using Happ-Genzel apodization. The characteristic peaks were recorded.



FTIR spectrum of Febuxostat



FTIR Spectrum of optimized formulation

CONCLUSION

The present study is an attempt to select the best possible diluent - disintegrant combination to formulate Oral Immediate release tablets of Febuxostat, which disintegrates rapidly, thereby reducing the time of onset of pharmacological action. Lycoat, CCS and Crospovidone were used as disintegrants. In all the formulations, Magnesium stearate and talc were used as lubricant and glidant respectively. The results of the drug – excipient compatibility studies revealed that there was no chemical interaction between the pure drug and excipients. Direct compression method was employed to formulate the tablets, because of its cost effectiveness and due to reduced number of manufacturing steps. The precompression parameters like bulk density, tapped density, Carr's index and angle of repose were determined. All the formulations showed acceptable flow properties. The post compression parameters like the hardness, thickness, friability and weight variation, disintegration time, disintegration time in oral cavity and Invitro release were carried out and the values were found to be within IP limits. The percentage drug content of all the tablets was found to be between 72.66 – 98.79 % of Febuxostat, which was within the acceptable limits. Among all the formulations F6 shows 98.34% drug release at the end of 45min. F6 contains CCS (12mg), it shows better % drug release when compared to other formulations. So F6 was considered as the optimized formulation. The drug release kinetics shows that the optimized formulation F6 follows First order drug release.

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