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DEVELOPMENT OF EXTENDED RELEASE DOSAGE FORM OF NSAIDS USED IN COLON TARGETED DRUG DELIVERY

P. Raman Kumar*[1], P. Venkateswara Rao[1], U. Pavani[1], U. Baji[1], R. Padmavathi[1]

*Department of Pharmacy, St. Mary's Group of Institutions Guntur, Chebrolu (V&M), Guntur, Andhra Pradesh, India – 522212.

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***Corresponding Author**
P. Raman Kumar
Department of Pharmacy, St. Mary's Group of Institutions Guntur, Chebrolu (V&M), Guntur, Andhra Pradesh, India – 522212.

ABSTRACT

The present research concerns formulate an extended release matrix tablet of Aceclofenac based on hydrophobic matrix using ethyl cellulose polymer. Formulations will be prepared by using different diluents like micro crystalline cellulose, lactose, dicalcium phosphate. Effect of binder concentration in Formulations will be determined by increasing binder concentration. Four batches (F1-F4) of extended release tablets were made using various grade of ethyl cellulose in their maximum and minimum concentrations. Various effects of different grades on the drug release were noted. Formulation of Aceclofenac was formed by different techniques like direct compression and wet granulations having 100mg strength. Results show that when ethylcellulose was used alone in a same concentration in batch F1 and F2 were prepared by direct compression method and batch F3 and F4 were prepared by wet granulation method respectively. The batch F3 and F4 give the best evaluation parameter like weight variation, flow property, friability and hardness etc. as comparison to F1 and F2. The wet granulation technique is the best suitable technique for extended release dosage forms as comparison to direct compression. The F3 and F4 batch show less release as comparison to F1 and F2, It means this technique is best for extended release. When the percentage of microcrystalline cellulose was increased in batch F4 as comparison to other batches, the tablet shows the best extended release than the other batches.

KEYWORDS: Aceclofenac, Extended Release, Dry Granulation, Wet Granulation, Ethyl cellulose grades and Micro crystalline cellulose.

1. INTRODUCTION

ORAL DRUG DELIVERY

Oral drug delivery has been known for decades as the most widely utilized route of administration among all the routes that has been explored for the systemic delivery of drugs via various pharmaceutical products of different dosage form. Nowadays most of the pharmaceutical scientists are involved in developing an ideal DDS. This ideal system should have advantage of single dose for whole duration of the treatment and it should deliver the drug directly at specific site. Scientists have succeeded to develop a system that can be as near to an ideal system and it encourages the scientists to develop controlled release system.[1-3]

Extended Release Dosage Forms It is defined as the one that allows at least a twofold reduction in dosing frequency as compared to that of conventional dosage forms. Extended release (ER) dosage forms release drug slowly, so that plasma concentrations are maintained at a therapeutic level for a prolonged period of time (usually between 8 and 24 hours). Extended release oral drug formulations have been used since the 1960s to enhance performance and increase patient compliance. By incorporating the dose for 24hrs into one tablet from which the drug is slowly released, peaks of high plasma concentration and troughs of low plasma concentrations can be prevented. This helps to avoid the side effects associated with high concentration and lack of activity associated with low plasma concentrations-giving better overall therapy. In addition, in the treatment of diseases that is asymptomatic-such as hypertension-patients generally remember morning and evening medication, but tend to forgot doses in between. Once or twice daily dosing thus improves therapy through the constant presence of the drug.[4-6]

TYPES OF EXTENDED RELEASE PRODUCTS[7-10]

General approaches to manufacturing an extended-release drug product include the use of a matrix structure in which the drug is suspended or dissolved, the use of a rate-controlling membrane through which the drug diffuses, or a combination of both. Among the many types of commercial preparations available, none works by a single drug-release mechanism. Most extended-release products release drug by a combination of processes involving dissolution, permeation, and diffusion. The single most important factor is water permeation, without which none of the product release mechanisms would operate. Controlling the rate of water influx into the product generally dictates the rate at which the drug dissolves. Once the drug is dissolved, the rate of drug diffusion may be further controlled to a desirable rate. Table shows

some common extended-release product examples and the mechanisms for controlling drug release, and lists the compositions for some drugs.

2. MATERIALS USED

Aceclofenac, Ethyl cellulose, Microcrystalline cellulose, Lactose 200M, Magnesium stearate were procured from SVR Labs, Hyderabad. All chemicals and reagents used were of analytical grade.

3. RESULTS

Table 1: Composition of Formulation batches for Aceclofenac Extended Release Tablets.

Formulations	**F1**	**F2**	**F3**	**F4**
Intra granular Ingredients	mg/tab	mg/tab	mg/tab	mg/tab
Aceclofenac	100	100	100	100
Ethylcellulose	100	100	100	100
Microcrystallinecellulose(Avicel101)	44	64	84	94
Extra granular Ingredients	mg/tab	mg/tab	mg/tab	mg/tab
Talc	33	23	13	3
Magnesium stearate	23	13	3	3
Total	300	300	300	300

Table 2: Compatability Studies.

Drug + Excipients	**Ratio**	**Initial Observation**	**40oC/75%RH (StoragePeriod)**
Drug	-	White crystalline powder	1 Month
Drug +PVP K-30	1:1	White crystalline powder	1 Month
Drug + Ethyl Cellulose	1:1	White crystalline powder	1 Month
Drug + Microcrystalline Cellulose	1:1	White crystalline powder	1 Month
Drug + Magnesium Stearate	1:1	White crystalline powder	1 Month
Drug + Talc	1:1	White crystalline powder	1 Month
Drug + Dicalcium Phosphate	1:1	White crystalline powder	1 Month
Drug + Lactose	1:1	White crystalline powder	1 Month

Table 3: Precompressional Properties of Different Formulations.

Formulations	**Bulk Density**	**Tapped Density**	**Angle of Repose**	**Hausner's ratio**	**Carr's index**
F1	0.520	0.580	25.5	1.11	10.34
F2	0.520	0.580	25.5	1.11	10.34
F3	0.575	0.665	28.56	1.15	13.53
F4	0.650	0.720	37.2	1.10	9.72

Table 4: Post compressional Properties of Different Formulations.

Formulation	Average weight/tablet (mg)	Hardness Kg/m^2	Disintegration Time (Mins)	Friability (%)	Average Thickness
F1	290	7.50±0.33	4.2±0.33	0.23	3.60 ±0.09
F2	295	7.20±0.13	4.8±0.13	0.56	3.67 ±0.01
F3	300	8.90±0.43	5.2±0.63	0.42	2.90 ±0.07
F4	299	8.90±0.21	6.2±0.83	0.32	3.80 ±0.07

Table 5: Dissolution Release Studies for all Formulations.

Time (hours)	F1	F2	F3	F4
	Cumulative % Drug Release			
1	0.75	0.60	1.07	0.85
2	1.25	1.12	2.12	1.52
4	15.65	12.25	18.15	10.15
6	27.26	23.41	29.98	16.45
8	42.98	35.45	48.29	25.65
12	63.35	48.24	62.23	33.12
16	76.25	62.15	72.51	60.11
20	87.25	77.84	87.12	78.88
24	97.64	95.45	94.28	92.12

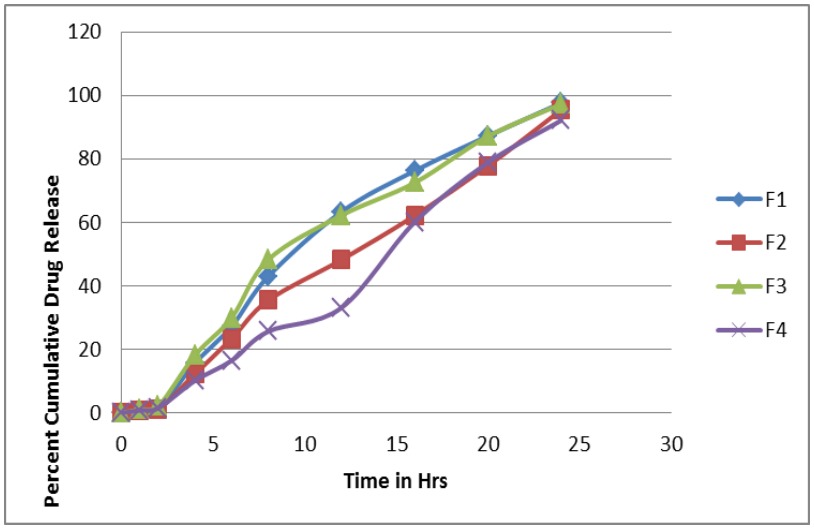

Fig 1: Percent Cumulative drug Release from All formulations.

4. CONCLUSION

Four batches of extended release colon targeted tablets were made using various grade of ethyl cellulose in their maximum and minimum concentrations. Formulation of Aceclofenac granulations having 100 mg strength. Batch F1, F2 was formed by direct compression and batch F3, F4 was formed by wet granulations. Results shown that when ethyl cellulose was used alone in a same concentration in batch F1,F2 with direct compression and F3, F4 and

wet granulation respectively, the batch F3, F4 give the best evaluation parameter like weight variation, flow property, friability and hardness etc. as comparison to F2 and F2.The F3 and F4 batches shown less release as comparison to F1 and F2, it means this technique is best for extended release. When the percentage of microcrystalline cellulose was increased in batch F4 as comparison to other batches, the tablet shows the best extended release than the other batches.

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