A study on the effect of different binders on the dissolution and disintegration of tablets

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ABSTRACT

Selection of binders and their concentration is very important in the formulation of tablet. For better result, it is very essential to choose appropriate binder at suitable concentration. To study the effect of binders at different amount on drug release, disintegration and hardness of tablet. In order to accomplish the objective, materials viz. Guar Gum, Gum Kraya, PVK K30 as binders and drug aceclofenac as a model drug were procured and purchased. For the preparation of tablet, wet granulation method was adopted. Prepared formulation were subjected for various evaluation parameters such as precompression and postcompression parameters. From all the prepared tablets, it was found that Guar Gum at 1% w/w, Gum Karaya at 3% w/w and PVP K30 at 2% showed better result. Because of these binders have different properties. It was concluded that Guar Gum, Gum Karaya and PVP K30 can be considered as appropriate excipient as binding agent at low concentration.

KEYWORDS: Binders, Tablet, Guar Gum, Gum Kraya, PVK K30, Aceclofenac.

INTRODUCTION

Oral dosage forms are most preferable and traditional delivery system for the medication. These dosage forms required to additives that is called as excipients. An excipients which are added in the formulation in order to bring the desired design of the formulation or dosage form, although it does not show any therapeutic or toxic effect on the body [1]. Among solid dosage forms, tablet is most preferred and common dosage form to deliver the medicament to patient.

Binder is one of the most important and main excipient which is used in the tablet preparation in order to get proper hardness of tablet and disintegration and dissolution desirability [2]. Although, a conventional tablet's building block composed of drug (API), binding agent, disintegrants, diluents, lubricant, and glidant [3]. A binder in tablet affects the three main qualitative parameters of tablet viz. hardness, disintegration and dissolution [4]. So these parameters directly depend on the concentration of binding agent which is used in that tablet [5]. In this research we have studied the effect of different binders on the in-vitro dissolution profile of tablet [6]. Different binder have different properties, hence it affects the dissolution, hardness and disintegration of tablets [7]. The concentration of binding agent are explored already by many research which has specified the concentration range of binders could be used in the preparation of tablet [8]. In this research study we have selected three binders such as **Guar gum, Gum Karaya and PVP K30**.

Tablet formulation in pharmaceutical manufacturing plays a pivotal role in ensuring the efficacy, safety, and patient acceptability of oral solid dosage forms. Among the critical components of tablet formulation, binders are substances that impart cohesiveness to the granules or powder mixture, facilitating the compression process and ensuring tablet integrity [9]. However, the selection and concentration of binders can significantly influence the performance characteristics of tablets, including dissolution and disintegration profiles [10]. Understanding the impact of different binders on tablet dissolution and disintegration is essential for formulators to optimize drug delivery and therapeutic outcomes [11]. Dissolution rate, the rate at which the active pharmaceutical ingredient (API) is released from the tablet, directly affects the onset and extent of drug absorption in the body. On the other hand, disintegration time, the time taken for the tablet to break down into smaller particles in the gastrointestinal tract, influences drug availability for absorption and subsequent therapeutic effects [12].

While numerous binders are available for tablet formulation, each exhibits unique properties that can affect tablet dissolution and disintegration differently. Factors such as binder type, concentration, and interaction with other excipients can influence tablet performance and ultimately impact drug bioavailability and efficacy [13]. In this study, we aim to investigate the effect of different binders and their concentrations on the dissolution and disintegration properties of tablets. By employing a factorial design approach, we systematically vary binder type and concentration to assess their individual and combined effects on tablet performance. Through comprehensive analysis and interpretation of the experimental results, we seek to elucidate the optimal binder formulation that enhances dissolution rate while ensuring rapid tablet disintegration [14].

This research is expected to provide valuable insights into binder selection and optimization strategies for tablet formulation, enabling pharmaceutical scientists to develop oral dosage forms with improved drug release characteristics and therapeutic outcomes. Ultimately, the findings of this study have the potential to contribute to the advancement of pharmaceutical formulation science and enhance patient care.

MATERIAL AND METHOD

Drug was purchased from CDH. All the other chemicals were procured. Aceclofenac drug was purchased from CDH, New Delhi. Gum Karaya,Guar gum, PVP K30 were bought from Yarrow Chem., other excipients, and Magnesium stearate , Talc are from CDH New delhi.

Methods:

Formulation table

Table 1: Formulation of aceclofenac table by using different binder at different concentration

Excipients (mg)	**F1**	**F2**	**F3**	**F4**	**F5**	**F6**	**F7**	**F8**	**F9**
Aceclofenac	100	100	100	100	100	100	100	100	100
Lactose	72	70	68	72	70	68	72	70	68
Guar Gum	1%	2%	3%	-	-	-	-	-	-
Gum Karaya	-	-	-	1%	2%	3%	-	-	-
PVP K30	-	-	-	-	-	-	1%	2%	3%
MCC	20	20	20	20	20	20	20	20	20
Magnesium stearate	1%	1%	1%	1%	1%	1%	1%	1%	1%
Talc	2%	2%	2%	2%	2%	2%	2%	2%	2%

Total weight was 200 mg per tablet.

Method of preparation [15]

Wet granulation method was adapted to prepare uncoated tablet of aceclofenac (as a model drug). Tablets were prepared by homogeneously triturating the mixture of drug (aceclofenac), diluent (lactose) and disintegrant (MCC) and simultaneously binder solution was added slowly-slowly in order to get dough mass of the mixture. Then obtained dough mass of the mixture was passed through sieve no. 16 to get the granules. Obtained wet granules were dried in hot air oven at 40°C temperature for 15 minutes. Granules were collected and evaluated for flow property and then added with talc and magnesium stearate for the final tablet punching by single tablet punching machine. Further tablets were subjected for the evaluation and characterization.

PRECOMPRESSION STUDIES

Flow characteristics of granules

Bulk Density: Granules were weighed for 8 g and transferred into measuring cylinder of 50 ml. it was observed for bulk volume (without tapping) occupied by granules. Reading was noted and same amount of granules were subjected for tapped density [10].

Tapped Density: Measuring cylinder filled with granules was tapped for 100 times manually by tapping on table top surface. Then tapped volume reading was recorded and utilized for calculation of tapped density [11].

Hausner's ratio: this parameter explain the flow characteristics of prepared granules by using its formula [12].

$$\text{Hausner's Ratio} = \frac{\text{Tapped Density}}{Bulk\ Density}$$

Carr's Index: it was obtained by using this equation [14].

$$\boldsymbol{Carr's\ Index = \frac{Tapped\ Density - Bulk\ Density}{Tapped\ Density} \times 100}$$

Angle of repose: It's best to use 5 grammes of powder for this experiment, but you may always double the amount if you want to experiment with a larger amount. At the bottom surface, a graph sheet was placed. The funnel was little by little fed the material. The grannules pile's final height was reached. Then, using a pencil and a graph sheet, chart the heap's circumference. The heap's diameter was measured. Use the following equation to determine your resting angle. You must do this five times in order to get reliable results [15].

$$tan\ \theta = \frac{height\ of\ the\ pile's\ of\ grannules}{Radius\ of\ the\ pile's\ of\ grannules}$$

POSTCOMPRESSION STUDIES

Weight variation: **I**n order to know the average weight, ten tablets were measured for weight. Not more than two tablet's avg. weights differ from the average by a % more than that given, and no tablet differs by a percentage more than twice that specified. Tolerances for weight fluctuation according to the Indian Pharmacopoeia [16].

Hardness: For tablets to endure the stresses of handling during production, packing, and shipping, they need to have a particular level of strength, or hardness, and resistance to friability. Using a digital hardness tester, the tablets' hardness was assessed. Kg/cm2 is the unit of measurement. From each formulation, three tablets were chosen at random, and the average as well as the S.D. values were computed [17].

Disintegration: Six tablet from each batch were putted in the disintegration apparatus filled with buffer solution. Breaking time of was recorded individually by physical observation. The test was performed as per pharcopoeial guideline [18].

Friability: Every four minutes, the friabilator (Roche) was rotated at 25 rpm while 20 pills were weighed and put inside. The pills were eviscerated and reweighed after the revolution [19]. The equation was used to obtain the percentage of friability.

$$\%\ Friability = \frac{Initial\ weight - Final\ weight}{Initial\ weight} \times 100$$

Drug Content: It was determined as per Indian Pharmacopoeia in which 10 tablet were choosen randomly from each and every batch. Prepared tablets were crushed and converted into powder form equivalent to 150 mg was transferred into 100 ml of volumetric flask containing methanol. After that flask was shaken on rotary shaker then it was kept for 24 hour after making volume up to 100 ml. then it was accordingly diluted and analyzed at 276 nm in UV spectrophotometer [20].

In-vitro drug release: **O**ne tablet from each batch was taken randomly. USP II apparatus (paddle type) was used to determine drug release from tablet. Buffer solution of pH 1.2 (0.1 N HCl solution) were prepared and added in dissolution apparatus vessel up to 900 ml. RPM was set at 50 rpm at 37°C±0.5°C. Study was performed for 2 hour. 5 ml sample was withdrawn from vessel at ever time interval of 5 min., 10 min., 15 min., 30 min., 45 min., 60 min., 90 min., 120 min. sample was analyzed by using UV spectrophotometer at 276 nm [21].

RESULT AND DISCUSSION

All the formulation were exhibited for precompression studies in which granules were studied for their flow properties.

Table 2: Precompression studies of all the formulations.

Batches	Bulk Density (g/cm^3)	Tapped Density (g/cm^3)	Carr's Index	Hausner's Ratio	Angle of Repose (°)
F1	0.642	0.713	9.95	1.11	26.43
F2	0.598	0.695	13.95	1.16	33.21
F3	0.612	0.682	10.26	1.11	25.86
F4	0.556	0.657	15.37	1.18	34.26
F5	0.628	0.692	9.24	1.10	27.15
F6	0.652	0.712	8.42	1.09	26.48
F7	0.631	0.704	10.36	1.11	27.16
F8	0.634	0.708	10.45	1.12	32.41
F9	0.593	0.652	9.04	1.09	28.14

As result suggested that formulation F1 containing guar gum (1% w/w) as a binding agent showed excellent flow property as per data obtained by Table 2. Formulation F2, containing guar gum (2% w/w) as a binding agent showed good flow property as per data obtained by Table 2. Formulation F3 containing guar gum (3% w/w) as a binding agent showed excellent flow property as per data obtained by Table 2. Formulation F4 containing Gum karaya (1% w/w) as a binding agent showed excellent flow property as per data obtained by Table 2. Formulation F5 containing Gum Karaya (2% w/w) as a binding agent showed fair flow property as per data obtained by Table 2. Formulation F6 containing Gum karaya (3% w/w) as a binding agent showed good flow property as per data obtained Table 2. Formulation F7 containing PVP K30 (1% w/w) as a binding agent showed excellent flow property as per data obtained Table 2. Formulation F8 containing PVP K30 (2% w/w) as a binding agent showed good flow property as per data obtained Table 2. Formulation F9 containing guar gum (3% w/w) as a binding agent showed excellent flow property as per data obtained Table 2.

From the post compression study data, the result shows that post compression evaluation parameters of prepared tablet using different binder at different concentration.

Table 3: Post-compression data of aceclofenac tablet.

Batches	Weight variation (Mean ± 7.5%)	Hardness (Kg/cm^2)	Friability (%)	Disintegration time (Min.)	Drug Content (%)
F1	210.5 ± 13.5	4.2 ± 0.2	0.873 ± 0.08	14 ± 2	86.25 ± 1.23
F2	215.4 ± 14.2	3.8 ± 0.1	0.657 ± 0.05	19 ± 3	89.23 ± 1.56
F3	198.2 ± 15.4	3.5 ± 0.3	0.521 ± 0.08	20 ± 4	90.5 ± 2.34
F4	201.9 ± 12.5	4.1 ± 0.2	0.982 ± 0.07	12 ± 3	91.4 ± 2.41
F5	197.6 ± 16.8	3.6 ± 0.4	0.724 ± 0.04	16 ± 2	86.4 ± 1.68
F6	206.8 ± 17.5	3.8 ± 0.09	0.576 ± 0.07	18 ± 3	93.7 ± 2.54
F7	214.6 ± 14.7	4.5 ± 0.1	0.986 ± 0.04	10 ± 4	94.2 ± 1.92
F8	208.9 ± 13.8	3.7 ± 0.2	0.942 ± 0.09	15 ± 3	89.2 ± 2.43
F9	192.7 ± 17.2	4.2 ± 0.3	0.824 ± 0.06	21 ± 2	95.8 ± 1.56

N=3 Mean ± S.D.

In-vitro drug release: Drug release pattern of all the batches were showed in Table 5 and drug release profile represented by Fig.1.

Table 5: Drug release profile data of different batches of aceclofenac tablet.

Time (Min.)	F1	F2	F3	F4	F5	F6	F7	F8	F9
5	12.57	8.64	6.24	6.24	7.98	10.25	13.54	8.64	6.85
10	26.47	21.54	18.34	27.34	12.54	25.24	29.84	22.54	19.24
15	42.89	37.14	26.63	31.25	41.25	28.47	41.05	38.14	25.47
30	64.24	54.35	37.12	52.14	51.02	42.58	65.21	53.46	38.92
45	81.35	68.29	52.62	78.25	71.25	53.46	79.35	67.89	54.85
60	99.28	82.46	68.65	100.23	86.21	78.25	98.28	83.58	69.25
90	99.12	97.64	81.24	99.12	100.25	83.47	99.12	99.64	82.41
120	100.01	97.24	99.58	99.24	98.24	99.25	100.21	99.24	99.58

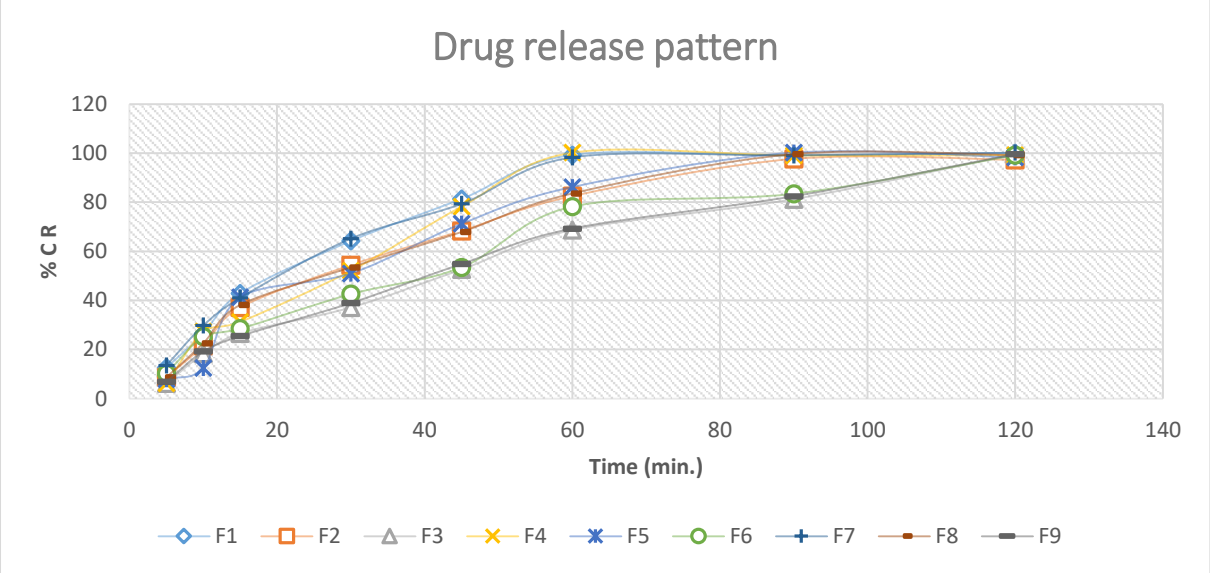

Figure 1: Drug release pattern of different batches at different binding agent.

CONCLUSION

From this research it is concluded that the research objectives were accomplished. As three different binders Guar Gum, Gum Karaya and PVP K 30 at different concentration of 1% w/w 2% w/w and 3% w/w for each binder respectively, incorporated in the formulation of aceclofenac tablet that showed remarkable effect on the dissolution profile, disintegration, hardness and on other evaluation parameters of the tablets. All the formulation were passes in flow property of granules. Guar gum at 1% w/w showed better drug release profile, hardness within the limit and disintegrated within 15 min. at higher concentration it was become harder on hardness and delayed the release of drug. Gum Karaya at 3% w/w were found to be better in drug release profile, disintegration and hardness. PVP K30 at 2% were found to be better in hardness, disintegration and in drug release profile. Hence, these three binders were exhibited for better at their respective concentration reveled in this section.

Conflict of interest

No any conflict of interest

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