WORLD JOURNAL OF PHARMACEUTICAL RESEARCH
SJIF Impact Factor 6.805
Volume 5, Issue 8, 846-851. Research Article ISSN 2277– 7105

EVALUATION OF EFFECT OF VARIOUS SUPERDISINTEGRANTS ON DISINTEGRATION TIME OF ORALLY DISINTEGRATING TABLETS

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Article Received on
14 June 2016,

Revised on 05 July 2016,
Accepted on 26 July 2016

DOI: 10.20959/wjpr20168-6726

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ABSTRACT

Superdisintegrants are used to improve the efficacy of solid dosage forms. This is achieved by decreasing the disintegration time which in turn enhances drug dissolution rate. Superdisintegrants are generally used at a low level in the solid dosage form, typically 1- 10 % by weight relative to the total weight of the dosage unit. Direct compression process was selected for this formulation of ODT tablets, because porous nature is more in direct compression blend than wet granulation blend, so it will give faster disintegration. Microcrystalline cellulose was used as diluent and mannitol and sodium saccharin were used to enhance the organoleptic properties of tablets. The objective of the study was to compare disintegration times of various commonly used superdisintegrants in orally disintegrating tablets. It was found that L-HPC would be effective in providing faster disintegration time.

KEY WORDS: Orally disintegrating tablets, superdisintegrants, direct compression, L-HPC, Croscarmellose sodium, sodium starch glycolate.

INTRODUCTION

Oral route of drug administration is perhaps the most appealing route for the delivery of drugs amongst various dosage forms administered orally, the tablet is one of the most preferred dosage forms because of its ease of manufacturing, convenience in administration, accurate dosing, and stability compared with oral liquids and because it is more tamperproof than capsules. The bioavailability of drug is dependent on in vivo disintegration, dissolution, and various physiological factors.

Tablet disintegration has received considerable attention as an essential step in obtaining fast drug release. The emphasis on the availability of drug highlights the importance of the relatively rapid disintegration of a tablet as a criterion for ensuring uninhibited drug dissolution behaviour. Disintegrants are agents added to tablet (and some encapsulated) formulations to promote the breakup of the tablet into smaller fragments in an aqueous environment thereby increasing the available surface area and promoting a more rapid release of the drug substance. They promote moisture penetration and dispersion of the tablet matrix. A disintegrant used in granulated formulation processes can be more effective if used both "intragranularly" and "extragranularly" thereby acting to break the tablet up into granules and having the granules further disintegrate to release the drug substance into solution. Most common tablets are those intended to be swallowed whole and to disintegrate and release their medicaments rapidly in the gastrointestinal tract (GIT). The proper choice of disintegrant and its consistency of performance are of critical importance to the formulation development of such tablets. To overcome this drawback novel drug delivery systems like orally disintegrating tablets have been developed which disintegrate/dissolve/ disperse in saliva within few seconds without water.

United States of America Food and Drug Administration (USFDA) defines ODT as "A solid dosage form containing medicinal substances or active ingredient which disintegrates rapidly usually within a matter of seconds when placed upon a tongue".

The major advantage of the ODT formulation is that it combines the advantages of both liquid and conventional tablet formulations. It provides the convenience of a tablet formulation, and also allowing the ease of swallowing provided by the liquid formulation.

Advantages of orally disintegrating tablets are

1. Improved patient compliance.
2. Rapid onset of action and may offer an improved bioavailability.
3. Useful for pediatric, geriatric and psychiatric patients.
4. Suitable during traveling where water is may not be available.
5. No specific packaging required, can be packaged in push through blisters.
6. Smooth mouth feel and pleasant taste.
7. Conventional manufacturing equipment.
8. Cost effective.
9. Good chemical stability as conventional oral solid dosage form.

Table 1: List of commonly used superdisintegrants

Superdisintegrants	Example	Mechanism Of action	Recommended concentration	Special comment
Crosscarmellose Ac-Di-Sol Nymce ZSX Primellose Solutab VivasolL-H	Crosslinked Cellulose	-Swells 4-8 folds in< 10 seconds. -Swelling and wicking both	0.5-5% W/W 2% is sufficient for D.C. 3% is sufficient for wet granulation	Swells in two dimensions. -Direct compression or granulation -Starch free
Sodium starch glycolate Explotab Primogel	Cross linked Starch	- Swells 7-12 folds in < 30 seconds	2-8% W/W 4% is optimum and sometimes 2% is sufficient	Swells in three dimensions and high level serve as sustain release matrix
L-HPC	Low substituted hydroxy propyl cellulose	- show higher degree of swelling. It is useful to prevent capping	5-50%	-Larger particle size and higher hydroxypropyl content

MATERIALS AND METHODS

Materials: The materials used were: Microcrystalline Cellulose (MCC), Sodium Starch Glycolate (SSG), Croscarmellose sodium (CCS), Low –Substituted Hydroxy Propyl Cellulose, Mannitol, sodium saccharin, Aerosil, Magnesium Stearate.

Methods: Direct compression technique was used to prepare the tablets. It is the simplest and most economical method for the manufacturing of tablets because it requires less processing steps than other techniques such as wet granulation and roller compaction. Required quantity of mannitol, Sodium Starch Glycolate, Croscarmellose Sodium, L-HPC, MCC, aerosil were passed through 60 # screen prior to mixing. Thereafter magnesium stearate was added and mixed. The powder blends prepared for different batches were compressed, using 8 mm diameter circular bevelled punch, 150 mg in weight with Single Punch Tableting Machine. The composition of powder blends of 9 different batches is presented in Table 2.

Table 2: Composition of placebo ODT

	Amount (mg/tablet)								
Ingredients	**ODT 1**	**ODT 2**	**ODT 3**	**ODT 4**	**ODT 5**	**ODT 6**	**ODT 7**	**ODT 8**	**ODT 9**
MCC	118.5	115	113.5	118.7	116.5	116	116.5	113.5	112
SSG	0.6%	3%	4%	-	-	-	-	-	-
CCS	-	-	-	0.5%	2%	3%	-	-	-
L-HPC	-	-	-	-	-	-	2%	4%	5%
Mannitol	20	20	20	20	20	20	20	20	20
Sodium saccharin	0.5	0.5	0.5	0.5	0.5	0.5	0.5	0.5	0.5
Aerosil	5	5	5	5	5	5	5	5	5
Magnesium stearate	5	5	5	5	5	5	5	5	5

Evaluation of tablets: All placebo ODT's prepared were subjected to the following quality control tests:

Weight variation: The weight variation test was carried out in order to ensure uniformity in the weight of tablets in a batch. First the total weight of 20 tablets from each formulation was determined and the average was calculated. The individual weight of the each tablet was also determined to find out the weight variation. Table 3 depicts IP specification for uniformity of weight.

Table 3: IP specification for uniformity of weight.

Average weight of Tablets (mg)	% deviation
80mg or less	10
More than 80mgbut less than 250mg	7.5
250mg or more	5

Hardness: The hardness of tablet is an indication of its strength. It measures the force required to break the tablet across. The force is measured in kg and the hardness of about 3-5 kg/cm^2 is considered to be satisfactory for uncoated tablets. Hardness of tablets from each formulation is determined by Monsanto hardness tester.

Friability test

Friability is the loss of weight of tablet in the container due to removal of fine particles from the surface. Friability test is carried out to access the ability of the tablet to withstand abrasion in packaging, handling and transport. Roche friabilator is employed for finding the friability of the tablets. 20 tablets from each batch were weighed and place in Roche friabilator rotating at 25 rpm for 4 minutes. All tablets were dedusted and weighed again. The percentage of friability was calculated using the formula,

$$\% \text{ Friability} = \frac{(W1-W2)}{W1} \times 100$$

Where, W1= Weight of tablet before test, W2 = Weight of tablet after test.

Disintegration test

The super disintegrating agent used must quickly wick saliva into the tablet to generate the volume expansion and hydrostatic pressures necessary to provide the rapid disintegration in the mouth within 30 sec. A tablet was placed in 20ml distilled water in a beaker and time for disintegration was noted.

Uniformity of dispersion

2 tablets were placed in 100 ml of water and stirred gently until completely dispersed and smooth dispersion was obtained which passed through a sieve screen with a nominal mesh aperture of 710µm. (sieve no.22).

RESULTS AND DISCUSSION

The ODTs have potential advantages over conventional oral dosage forms as they improve patient compliance; convenience, rapid onset of action and bioavailability. ODTs are to maximize the porous structure of the tablet matrix and incorporate super disintegrating agents in optimum concentration so as to achieve rapid disintegration along with good taste masking properties and excellent mechanical strength. Many drugs can be incorporated in ODT especially unpalatable drugs. As per the literature survey SSG, CCS and L-HPC were selected as superdisintegrants and various batches were prepared. The most important parameter that needs to be optimized in the development of orally dispersible tablets is the disintegration time of tablets. In the present study tablets in all the batches disintegrated in 30 s fulfilling the official requirements (< 3 min) for dispersible tablets. Table 4 depicts the evaluation parameters of the tablets.

Table 4: Evaluation parameters of tablets

Parameter	ODT 1	ODT 2	ODT 3	ODT 4	ODT 5	ODT 6	ODT 7	ODT 8	ODT 9	Conclusion
Weight variation(mg)	150±1 1.25	152± 11.4	151±1 1.32	150±1 1.25	149±1 1.17	152± 11.4	148± 11.1	151±1 1.32	150±1 1.25	passed
Hardness (kg/cm^2)	4	4	4.5	4.5	4.5	4.5	4.5	4	4	passed
Friability (%)	0.3	0.7	0.1	0.4	0.2	0.2	0.3	0.6	0.1	passed
DT(s)	120	30	24	92	30	25	30	22	18	passed

CONCLUSION

SSG was observed to be effective at concentrations 3% & 4%; 4% being better. CCS showed better DT at 3% though 2% was effective. Hence it can be concluded that L-HPC would be quite effective in faster disintegration of tablets even at lower concentrations. This may lead to fast onset of action.

ACKNOWLEDGEMENT

We would like to acknowledge Principal and the college management for providing facilities needed to carry out the studies.

REFERENCES

1. C. Patil and S. Das, Effect of various superdisintegrants on the drug release profile and disintegration time of Lamotrigine orally disintegrating tablets, African Journal of Pharmacy and Pharmacology, January 2011; 5(1): 76-82.
2. Mohit Mangal, Sunil Thakral, Manish Goswami, Pankaj Ghai, Superdisintegrants: An Updated Review, International Journal of Pharmacy and Pharmaceutical Science Research.
3. Mohammed iqdam al-Shadeedi, Laith h. Samein, Muayad a. Shehab, Formulation and evaluation of carbimazole orodispersible tablet, International Journal of Pharmacy and Pharmaceutical Sciences ISSN- 0975-1491, 2013; 5(1).
4. Bharat Parashar, Virendra Yadav, Brajesh Maurya1, Love Sharma, Fast dissolving tablet, International Journal of Applied Pharmaceutics, ISSN- 0975-7058., 2012; 4(2).
5. Priyanka Nagar, Kusum Singh, Iti Chauhan, Madhu Verma, Mohd Yasir, Azad Khan, Rajat Sharma and Nandini Gupta, Orally disintegrating tablets : formulation, preparation techniques and evaluation, Journal of Applied Pharmaceutical Science., 2011; 01(04): 35-45.
6. Handbook of pharmaceutical excipients, 5th edition, 211, 212, 341, 701.