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WATER DISPERSIBLE TABLETS; FEATURES AND DEVELOPMENTAL CHALLENGES

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ABSTRACT... Water dispersible tablets are considered to be an attractive alternate to the conventional tablets. In this review we reported the information related to the desired characteristic and formulation challenges of these formulations.

Key words: Water dispersible tablets, Fast dispersible tablets.

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INTRODUCTION

Tablets are one of the most important solid unit dosage forms. They are of variable sizes, shapes and weight which basically depend on the developmental procedures and also on the tablet type intended to be made i.e. immediate release, sustained release, fast dissolving and effervescent etc.¹ Tablets contain drug compounds and adjuncts which develop the bulk to prepare the tablets of the desired features. Examples include lactose, microcrystalline cellulose, dextrose and sucrose etc.

After the oral administration of drug dosage form, the process of drug absorption generally depends on the release and penetration of drugs in the Gastrointestinal (GI) system under various physiological conditions.² The tablet deaggregation is considered to be responsible for the release of compound from the solid dosage form. For this purpose superdisintegrants are added in the formulation to assist the disintegration rate.³

Different binders are added in the formulation which facilitates the adhesion of the particles within the formulation and develop and maintain the required hardness of the preparation. Disintegrants are also added to facilitate the deaggregation of the tablets. Glidants and

lubricants enhance the flow behaviour of the powders and also preventing the material from sticking to the face of punches and dies.²

Advantages of Tablets

1. Accuracy of dose is retained within the tablet formulation.⁴
2. Tablets have reduced microbiological hazard due to the presence of reduced water content.⁵
3. Small as well as large scale tablet development is easily achievable as compared to the other dosage forms.⁴
4. Self administration is easy and convenient.¹
5. Colored coatings and printing can be used to assist tablet recognition.⁶

FAST DISPERSIBLE TABLETS

The need for delivering drugs to patients with least adverse effects has facilitated pharmaceutical industries to manufacture new drug dosage forms. Pediatrics and geriatric populations find it complicated to swallow solid dosage forms like tablets. Dispersible tablets disintegrate rapidly in water, is an ultimate remedy for this problem. In addition they give pleasing mouth feeling. Therefore, these formulations are attractive substitute to conventional tablets. Once these tablets are prepared they should be evaluated to determine the therapeutic efficacy. In addition water dispersible formulations containing

different APIs (active pharmaceutical ingredients) are designed for children and the elderly who has complexity in tablets swallowing. It has been reported that dysphasia is frequent among all age groups of patients but it is more specific to pediatrics and geriatrics populations.

Fast dispersible tablets are categorized into two types:

- Water dispersible tablets
- Mouth dissolving tablets

a. Water dispersible tablets

These types of tablets disintegrate quickly in the water to produce the suspension.

b. Mouth dissolving tablets

These types of tablets are differentiated by dissolving in the mouth.⁷

Advantages of Fast Dispersible Tablets

These formulations are designed for children and the elderly who has complexity in tablets swallowing. It has been reported that dysphasia is frequent among all age groups of patients but it is more specific to pediatrics and geriatrics populations. Dispersible tablets can be used easily by geriatrics and pediatrics patients.⁸

Candidates for Disintegrating Formulations

The features should be:

1. Good solubility both in water and saliva.
2. At the oral cavity pH it should be partially non-ionized.
3. Compound should diffuse and partition into the upper GIT epithelium.
4. Compound should easily permeate into the oral mucosal tissue.
5. Short half-life and repeated dosing.⁹

Issues Related To The Fast Absorption of Drugs

If a tablet releases the drug too quickly, the blood level of the drug may vary.

Strategies to Develop Safer Formulations

1. In order to avoid the variation in blood levels the formulation design and manufacturing should be considered.
2. Also the physico-chemical properties of

the additives should be checked before formulation design and manufacturing.

DESIRED CHARACTERISTICS AND DEVELOPMENTAL CHALLENGES OF FAST DISPERSIBLE TABLET

TASTE OF ACTIVE COMPOUND

Taste is one of the most widely utilized features for the administration of oral solid dosage form. Bad taste is a major concern which is normally observed in several active compounds. Different techniques are adopted for improving the taste which may result in much enhanced palatability of oral dosage forms. Masking of bad taste can be achieved by the addition of taste masking constituents.¹⁰

FEATURES OF ACTIVE COMPOUND

Several features of active compound may affect the attributes of fast dispersible tablet i.e. crystal morphology of the compound, hygroscopic nature, solubility, compaction characteristics, particle size and true and bulk density could significantly affect the physico-chemical properties of tablets.¹¹

PROPERTIES OF FILLERS / BINDERS

Usually fillers / binders are involved in a several complex mechanisms during dissolution that generally starts when the medium comes in contact with the compound and then penetrate deep in to the matrix. Usually effects of excipients are related with the structure and surface properties of the solid particles.¹²

TABLET DEAGGREGATION PHENOMENA

Various parameters of tablets i.e. friability, hardness and disintegration can be influenced by applied compressional force and excipients properties which can affect the tablet porosity. Addition of disintegrants in tablet formulation is to accelerate the process of disintegration.¹¹

DIFFERENT METHODS USED FOR THE DEVELOPMENT OF FAST DISINTEGRATING TABLETS

- i. Molding methods
- ii. Compaction methods such as Direct Compression, Dry Granulation and Wet

- Granulation.
- iii. Spray-drying method
- iv. Freeze-drying method
- v. Melt granulation
- vi. Phase transition process
- vii. Sublimation
- viii. Effervescent method.¹³

Several scientists reported different methods which are adopted for the manufacturing of rapidly disintegrating tablets like Samprasita et al.¹⁴ developed orally disintegrating tablets by ion exchange resin method. Kulkarni et al.¹⁵ developed fast disintegrating oral meloxicam tablets by wet granulation method. El-Mahrouk et al.¹⁶ formulate orally dispersible meloxicam capsules using beta-cyclodextrin. Rangasamy et al.¹⁷ carried out B-Cyclodextrin complexation of meloxicam using Kneading Method followed by direct compression method to achieve the rapid disintegration of tablet. Inamdar et al.¹⁸ prepared solid dispersions of meloxicam using different polymers to facilitate the dissolution profiles of the tablets. Dehghana and Jafar¹⁹ developed solid dispersions of meloxicam. Their objective was to compare several methods i.e. physical mixing, co-grinding technique and solvent evaporation procedure in increasing the release pattern of meloxicam.

EFFECTS OF EXCIPIENTS

Filler / Binders

Dispersible tablets contain various components i.e. fillers and high concentrations of disintegrants. Tablets should also contain glidants and lubricants to improve the flow properties and for easy ejection of tablets.²⁰

Superdisintegrants

Addition of superdisintegrants will facilitate rapid absorption which helps in achieving quick plasma concentration. Following are the types of superdisintegrants which are utilized for rapid disintegration of tablets:

i. Types of Superdisintegrants

a. Cross-linked sodium carboxymethylcellulose

It exhibits excellent swelling capacity at minimum levels to facilitate rapid deaggregation. Its water wicking capability results in excellent swelling properties.

b. Cross-linked polyvinylpyrrolidone / Crosslinked PVP

Its mechanism of action is by wicking, swelling and deformation recovery. Varieties of grades are commercially available based on particle size differences to obtain uniform dispersion.

c. Modified starches / Crosslinked starch

It has a capability to take up > 20 times its weight in water and which results in excellent swelling and finally it leads to rapid disintegration.

d. Cross-linked Alginate Acid

Its mechanism of action is by swelling or wicking action. It has high sorption capacity.

e. Calcium Silicate

It works by wicking action. It's used in the concentration of 20-40 %.

f. Xanthan gum

Xanthan gum having high hydrophilicity and fewer gelling tendency but having extensive swelling properties for quick disintegration.²¹

CONCLUSION

The novel business prospects like differentiation, patent extension and product promotion is very easy and simple after the development of dispersible tablets in pharmaceutical industries.


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