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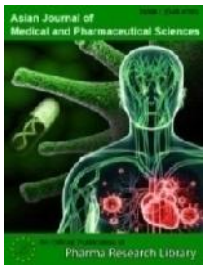

R E V I E W A R T I C L E

A Review on Formulation of Valsartan Tablets

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A B S T R A C T

Valsartan is an angiotensin II receptor antagonist widely used in management in hypertension. The present research was aimed to develop a fast dissolving tablet (FDT) of valsartan. The FDT was formulated using different ingredients but the regularly used ingredients are sodium starch glycolate, crospovidine, these are the super disintegrants. The super disintegrants concentration is different based on the preparation method. The concentration for above disintegrants are (1,2and 4%) all the batches of (FDT) are prepared by direct compression method. The prepared valsartan should be evaluated for its physio-chemical properties. The drug release from FDTs increased with increasing concentration of super disintegrants and was found to be highest with formulations containing cross povidine.

Keywords: Valsartan, super disintegrants, fast disintegrating tablets

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A U T H O R D E T A I L S

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C O N T E N T S

1. Introduction

Fast disintegration tablets, disintegrate or dissolve quickly in the oral cavity, or swallowed without the need of water for the administration. As the tablet disintegrates in mouth, this enhances the clinical effects of drug through absorption from mouth, this enhances the clinical effects of drug through absorption from mouth, pharynx and esophagus leading go an increase in bioavailability by avoiding first pass liver metabolism. Fast disintegrating tablets are not only indicated for people who have swallowing difficulties, but also are ideal for active people. Fast disintegrating tablets are also called as mouth-dissolving tablets, melt in mouth tablets, mouth dissolving tablets etc. Recent developments in fast-dissolving tablets (FDT) provide a convenient solution for patients who have difficulties in swallowing conventional solid dosage forms. The primary beneficiaries for FDT are pediatric and geriatric patients,

bedridden or developmentally disabled patients. The properties of FDT are fastly absorbed in core (particles into individual components). Valsartan is widely used in hypertension to reduce cardiovascular mortality in patients with left ventricular dysfunction following myocardial infarction and in the management of heart failure. Valsartan is rapidly absorbed after oral dose with a bioavailability of about 2.3%. Plasma concentration occur 2 to 4 hours and its plasma half is about 7.5 hours after an oral dose. Valsartan is given in a dose of 80 mg once daily [1].

Valsartan is practically insoluble in water, the dissolution rate can be increased by increasing the surface area of available drug by different technique like complexation, solid dispersion, and direct compression method. Superdisintegrants are based on the methods of technique e.g. sodium starch glycoate used in direct compression method, mannitol used solid dispersion. The tablets prepared by direct compression technique on rotary tablet machine [2]. There are several methods involved in preparation of valsartan.

Advantages of fastly disintegration tablets:

- Release the drug immediately.
- It can be prepared with minimum the dose
- There is no dose dumping problem.
- Immediate release drug delivery system used in both initial stage and final stage of disease. At the particular site of action.

The formulation of Valsartan is carried out several ways, as we previously discussed about the formulation plays a key role the formulation method should have some criteria:

- Should be less in cost, easily available.
- Should not effect the excipients or drug components.
- Should prevent dose dumping[3].

Formulation Types:

- Direct compression technique
- Solid dispersion technique.
- Vaccum drying technique

Preparation method:

Direct compression method:

The direct compression process has positioned this technique as an attractive alternate to traditional granulation technique.

Ingredients:

- Valsartan,
- Microcrystalline cellulose,
- Crospovidone,
- Ac-Dc-Sol,
- Sodium starch glycolate (SSG),
- Sodium saccharin,
- Mint flavor,
- Talc,
- Magnesium stearate.

Procedure:

The process is carried out in a step by step.

- Collect the motor and pestle.
- Weigh the accurate sample in the motor and pestle.
- Mix the components in the motor and pestle till the fine granules are formed.
- Talc and magnesium stearate are added and mixed after 10 min.
- Collect the fine particles.
- The mixed blend of drug excipient was compressed using a single punch tablet machine to produce tablet (thickness of tablet should 2.75 & diameter is 9.28) [4].

Caution:

Components should be free from moisture & compression should be taken place only after making fine granules, it reduces breakage of tablets.

Uses:

- The direct compression method was found to be efficient method for successful manufacture of FDTs.
- The drug is compatible with other polymers and excipients
- The prepared blends have good flow property then other compounds [5].

2. Solid Dispersion Technique

Valsartan is insoluble in water, it can be treated with solid dispersion method. It enhances the solubility by increasing the surface area.

Ingredients:

- Valsartan,
- Sodium starch glycolate,
- Crospovidone,
- Methanol,
- Mannitol,
- Microcrystalline cellulose,
- Sodium saccharin,
- Magnessium stearate,
- Mint flavor [6].

Procedure:

- The accurately weighed samples of Valsartan and Mannitol, the ratio (1:1 1:2 1:3).
- The weighed substances should be taken in the glass motor and pestle.
- Triturated by adding small volume of methanol to get smooth moist mass.
- The mass was kneaded for 45 min & dried for 10 min in over at 35 C.
- The dried mass was pulverized and sifted through sieves.
- The product was sifted to desicator[7].

Uses:

- The solid dispersion technique will improve the water solubility of Valsartan.
- 1:4 of Mannitol and Valsartan & crospovidone as a super disintegrates will increase the bioavailability of Valsartan [8].

3. Vaccum drying method

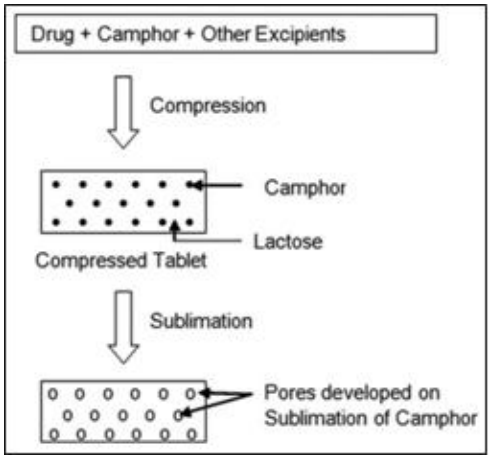
Ingredients

- Valsartan

- Mannitol,
- Aspartame,
- Talc,
- Magnesium stearate,
- Crospovidine,
- Campor,
- PVP, Urea [9].

Procedure:

- This is followed by sublimation technique (sublimation is process which transition of a substance directly from the solid to the gas phase, without passing through the intermediate liquid phase).
- Weigh the components taken in the make it as granules.
- Crospovidine added to the above mixture, then add campor, triturate well.
- Compress the granules before gets to sublimation.
- Removal of volatile material by sublimation.
- The residue component is weighed and passed through sieve no 44.
- Collect the granules and add magnesium stearate mix for 10 min untill the granules is mixed with magnesium stearate.
- The tablets were compressed using Rimek tablet punching machine.
- The compressed tablets are taken to sublimation at 50 C for 60 min[10].



Uses:

- This increase the dissolution of bioavailability and effective therapy of Valsartan by sublimation method.
- Campor and crospovidone increase of drug release of 99.4% in 10 min by this it shows it satisfy all criteria of fast dissolving tablet [11].

4. Conclusion

In the present study it can be concluded that the superdisintegrants place a keyrole in dissolution of valsartan and increase its bioavailability and increase the therapeutic activity. In direct compression method crospovidine place the major role. In solid dispersion method Mannitol increases the dissolution rate. In vaccum drying campor and sublimation technique increase the drug release of Valsartan.

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