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A BRIEF REVIEW ON ENTERIC COATED TABLETS

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ABSTRACT

A brief discussion on enteric coated tablet was made in this review article. The coating of tablets has many beneficiaries like wrapping flavor, masking smell, bypass and protect the drug materials from gastric fluids physical and chemical protection, etc. As the name indicates, the enteric coatings prevent release of medication before it reaches the small intestine. The enteric coating acts by prroviding surface that is stable at the highly acidic pH of the stomach, but breaks down rapidly at a less acidic pH. They will dissolve in the alkaline (pH 7-9) environment present in the small intestine whereas they won't dissolve in the acidic juices of the stomach (pH 3). HPMCP, CAT, waxes, PVAP, plant fibres, plastics, waxes and shellac are the commonly used materials used for enteric coatings. This enteric coated drug delivery system aims to release the drug directly in the intestine. In this article various steps involved in the preparation of enteric coated tablets, advantages and limitations was discussed. Manufacturing defects of tablets was also elaborated.

KEYWORDS: Enteric coated tablet, Intestinal pH, manufacturing defects.

INTRODUCTION

Tablet is a pharmaceutical solid dosage form, comprising a mixture of active substances and excipients, commonly in powder form, pressed or compacted right into a stable. Coating is a process by which the coating material is applied to the surface of a dosage form in order to confer specific benefits to the dosage form. An enteric coating is a barrier that controls the release of oral medication in the stomach and promotes its release in the intestine where it is absorbed. The word "enteric" indicates small intestine; therefore enteric coatings prevent release of medication before it reaches the small intestine. The enteric coated polymers remain unionise at low pH, and therefore remain insoluble. But as the pH increases in the GIT, the acidic functional groups are capable of ionisation, and the polymer swells or becomes soluble in the intestinal fluid. Materials used for enteric coatings include CAP, CAT, PVAP and HPMCP, fatty acids, waxes, shellac, plastics and plant fibers.[1]

Enteric coating covers various ideal properties such as resistance to gastric fluids, susceptible/ permeable to intestinal fluid, compatibility with most coating solution components and the drug substrate, formation of continuous film, nontoxic, cheap and ease of application. Various polymers used in enteric coating are shellac (esters of aleurtic acid), cellulose acetate phthalate (CAP), Poly(methacrylic acid-co-methyl methacrylate), cellulose acetate trimellitate (CAT), poly(vinyl acetate phthalate) (PVAP) and hydroxypropyl methylcellulose phthalate(HPMCP). Polymers were selected based on the dissolution pH ranging from 4.5 – 7.0.[2]

Advantages of Enteric Coating

- Protect the drug from the stomach
- Protect the acid liable drugs from the gastric fluid e. g. enzymes and certain antibiotics
- Coatings are necessary for tablets that have an unpleasant taste, and a smoother finish makes large tablets easier to swallow.[3]
- Forbid gastric distress or nausea due to irritation from a drug, e.g. sodium salicylate.[4]
- Deliver drugs intended for local action in the intestines, e. g. intestinal antiseptics could be delivered to their site of action in a concentrated form.[5]

Disadvantages

- Process is tedious
- Time-consuming
- Requires the expertise of highly skilled technician.[6]

Composition of Enteric Coating

An enteric coating composition of tablets includes about 0.01% - 10% resin and about 0.01% - 10% polymer. The enteric coating composition may be a pharmaceutical, neutraceutical, fruit, vegetable, agriculture or industrial product to form an enteric coating on the substrate.[7]

Table 1: Composition of enteric coating.

Additive	Example
Resin	Shellac
Polymer	Alginate
Plasticizer	Tri ethyl citrate
Preservative	Sorbates
Detackifying agent	Monosterate
Lubricant	Palmitic acid,
Colorant	FD & C lake yellow no 5
Flavor	Blue berry, butterscotch
Sweetener	Sucrose, honey
Taste maskant	Carboxy methyl cellulose
Opacifier	Titanium dioxide
Buffering agent	sodium citrate
Antioxidant	Tocopherol
Solvent	Ethanol, water and combinants

Process of Coating[8,9]

Tablet coating takes place in a controlled atmosphere inside a perforated rotating drum. Once batch of tablets were loaded into the coating pan, preheat the tablets and allow time for dust and tablet flash to exit the pan. Angled baffles fitted into the drum and air flow inside the drum provides means of mixing the tablet bed. As a result, the tablets are lifted and turned from the sides into the centre of the drum, exposing each tablet surface to an even amount of deposited/sprayed coating. Once the temperature of the outlet air reaches 42°C to 46°C, usually within 15 minutes, spraying can begin.

The spray guns create a fine mist of coating solution that dries just after it contacts the tablet. The liquid spray coating dried onto the tablets by heated air drawn through the tablet bed from an inlet fan. The air flow is regulated for temperature and volume to provide controlled drying and extracting rates, and at the same time, maintaining the drum pressure slightly negative relative to the room in order to provide a completely isolated process atmosphere for the operator.

As the water evaporates, it leaves the solids behind to form a thin film on the tablet. The key to tablet coating is to get the surface slightly wet and immediately dry. Apply the coating in many short, fast exposures, not in long, slow exposures.

Once the base coating is applied, you can increase the rate of solution addition and the pan speed proportionately. Typically, it takes about 20 minutes before increasing the spray rate and pan speed significantly.

Tablets that are very porous may require an initial spray rate that is slower than the average of 100 millilitres per minute per gun. Be sure to monitor spraying to see whether the spray pattern changes. If it does, there is likely a build-up of solids on the gun tips. Correct this only by cleaning the tips, which means stopping the spray and the pan. The enteric coating solution dries on the tablet surface because there is a constant supply of hot air entering the drum and passing through the drum perforations into the bed of tablets. Over time, the film builds layer after layer of solids.

After finished applying the solution and drying it, the tablets must cool. For coatings to adhere properly, the tablets must remain at a specific temperature, the solution must be applied at a consistent rate, and the motion of the tablets must be active yet tranquil. Disrupt any of these conditions, and this will produce a defective tablet.

Mechanism of Enteric Coated Tablets

ETP tablets are composed of three layers, a drug containing core tablet (rapid release function), the press coated swellable hydrophobic polymer layer (Hydroxy propyl cellulose layer (HPC), time release function) and an enteric coating layer (acid resistance function).[10] The tablet does not release the drug in the stomach due to the acid resistance of the outer enteric coating layer. The enteric coating layer rapidly dissolves after gastric emptying and the intestinal fluid begins to slowly erode the press coated polymer (HPC) layer. Rapid drug release occurs when the erosion front reaches the core tablet since the erosion process takes a long time as there is no drug release period (lag phase) after gastric emptying. The duration of lag phase (drug release period) is controlled either by the weight or composition of the polymer (HPC) layer.

Method of Manufacturing Enteric Coated Tablet By Spray Coating Technique[11]

Preparation of core tablets

Granules were prepared using wet granulation method. Drug and other excipients were passed through # 80 and add sufficient quantity of binding agent slowly to get dough mass. The mass was sieved through # 8 and dried at 45ºC for about 1 hrs. and then these granules were passed through # 20 and lubricated with magnesium stearate. Mixed blend was compressed into tablets on single punch tablet compression machine to a weight of 250 mg each with thickness of 4.46 ± 0.21 mm and diameter of 7.9 mm using shallow concave plain/plain punch.

Preparation of enteric coating solution

Weighed amount of pectin was dissolved in 50 ml of water and ethyl cellulose was dissolved in 50 ml of isopropyl alcohol. The two solutions werethen mixed well to form a homogeneous solution and PEG-6000 was added as a plasticizer.

Coating of core tablets

Enteric coating of the compressed tablets is achieved by standard coating pan technique. Tablets were taken and were coated in a pan coater at 50 rpm at a temperature of 50ºC and at a flow rate of 10 ml/min. Coating was carried out with spraying method and dried. These solutions are applied over tablets using spray gun at

appropriate pressure. The coated tablets are primarily dried using heat blower and secondarily dried in tray drier.

Coating methodology[12]

Tablet coating was performed in a conventional coating pan with one spray gun. The coating pan was previously cleaned using alcohol 95%. A batch size of 3.5 kg core tablets was selected for coating. The core tablets were loaded into the coating pan. Tablet cores were preheated to about 40°C utilizing a dryer and air compressor. Warm air was introduced into the coating pan (up to 50–55°C) during the entire coating process. The spray gun was filled with enteric coating solution and operated at a proper flow rate. The pan was set into motion and seal coating dispersion was sprayed on to the falling cores under a suitable air pressure (87.0- 116.0 psi) 6-8 bar. The air heater was switched off and tablets were blow dried for 20-25 minutes in the coating pan. The core tablets gained 10 ± 2% weights after coating with enteric coating solution.

Defects Related to Tabletting Process

Capping

'Capping' is the term used, when the upper or lower segment of the tablet separates horizontally, either partially or completely from the main body of a tablet and comes off as a cap, during ejection from the tablet press, or during subsequent handling. Capping is usually due to the air–entrapment in a compact during compression, and subsequent expansion of tablet on ejection of a tablet from a die.[13]

Lamination

'Lamination' is the separation of a tablet into two or more distinct horizontal layers. Lamination is due to air–entrapment during compression and subsequent release on ejection. The condition is exaggerated by higher speed of turret.[14]

Chipping

'Chipping' is defined as the breaking of tablet edges, while the tablet leaves the press or during subsequent handling and coating operations. Chipping is caused due to incorrect machine settings, specially mis-set ejection take-off.[15]

Sticking

'Sticking' refers to the tablet material adhering to the die wall. Filming is a slow form of sticking and is largely due to excess moisture in the granulation. Sticking takes place when improperly dried or improperly lubricated granules were used.[16]

Picking

'Picking' is the term used when a small amount of material from a tablet is sticking to and being removed off from the tablet-surface by a punch face. The problem is more prevalent on the upper punch faces than on the lower ones. The problem worsens, if tablets are repeatedly manufactured in this station of tooling because of the more and more material getting added to the already stuck material on the punch face.Picking is of particular concern when punch tips have engraving or embossing letters, as well as the granular material is improperly dried.[17]

Mottling

'Mottling' is the term used to describe an unequal distribution of colour on a tablet, with light or dark spots standing out in an otherwise uniform surface. One cause of mottling may be a coloured drug, whose colour differs from the colour of excipients used for granulation of a tablet.

Characterization of Tablets

Appearance

The general appearance and elegance of tablet was identified visually, which include tablet size, shape, color, presence or absence of an odour, surface texture etc.

Weight variation

Twenty tablets were weighed individually and average weight was determined. The individual tablet weight was compared with average tablet weight. The coated tablet weight and the maximum percent difference allowed is 5.0%.

Thickness

Tablet was selected at random from individual formulations and thickness was measured by using venire caliper scale, which permits accurate measurement. Tablet thickness should be controlled within a ± 0.2% variation of standard value.[18]

Tablet Friability

Friability is the measure of tablet strength. Roche Friabilator was used for testing the friability using the following procedure. Twenty tablets were weighed accurately and placed in the tumbling apparatus that revolves at 25 rpm dropping the tablets through a distance of six inches with each revolution. After 4 min, the tablets were weighed and the percentage loss in tablet weight was determined.

% Loss = Initial wt. of tablet − Final wt. of tablet/ Initial wt. of tablet

Hardness

Tablet was selected at random from individual formulations and measured by using Monsanto hardness tester.

Disintegration test

Disintegration time was determined using the disintegration apparatus USP in 0.1N HCl for 2 hrs and then in phosphate buffer pH 6.8 for 1 hour maintaining the temperature at 37 ± 2°C.

Dissolution test

The tablets were evaluated for in vitro drug release was carried out using USP dissolution. Six tablets were subjected to two hours exposure in 0.1N HCL buffer followed by immediate transfer to a dissolution bath containing 6.8 pH phosphate buffer and % drug released was measured. Buffer phase: - Samples were withdrawn from the dissolution vessels at 0, 60, 120, 135, 150, 165, 180 minutes interval. the % drug release was measured U.V method.[19]

CONCLUSION

From the above review, we can conclude that tablets are made enteric-coated for avoiding the first pass metabolism, gastric irritation and degradation and to direct the drug to the target intestines. Enteric coating protects the stomach against drugs which causes gastric irritation. Enteric coating protects the drug which is unstable in gastric fluids. The manufacturing defects of tablets include capping, lamination, chipping, picking and mottling. Enteric coated tablets were evaluated for hardness, weight variation, thickness, friability, appearance, dissolution test and disintegration test. This dosage form is preferred as it is very convenient and easy to formulate, cost-effective and does not require high cost equipments. For that reason, this dosage form has been gaining so much attention nowadays.

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