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General Considerations of Disintegration of Enteric Coated Tablets, an Important Issue

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Abstract: Disintegration plays a central role in ensuring the intended biopharmaceutical performance of the dosage form. Enteric coated dosage forms are intended to resist the release of their active ingredients in the acidic gastric fluid but to disintegrate and release their contents in the less acidic environment of the intestine. The official compendia recognize the use of enteric coated dosage forms in situations where the drug may be destroyed or inactivated by the gastric juice or where it may irritate the gastric mucosa. Thus intended gastric enteric coating when shows signs of cracks, softeness due to laeching, diffusion etc; does not ensure safety from gastric toxicity. Thus rendering the intended dosage form ineffective both pharmacokineticaly as well pharmacodynamically.Thus The disintegration test should fulfill its prime objectives of prevention of the disintegration, cracking or softening of the tablets in 2hours in the acidic environment and assure the disintegration of the intended dosage form in the alkaline medium of the intestinal environment within a given period of time.
Keywords; Enteric coating, Gastric residence time, Disintegration

I. INTRODUCTION:

Enteric coated tablets are solid unit dosage forms which are designed to bypass the stomach and release the drug in small intestine and are meant for oral administration. The word “enteric” indicates small intestine; therefore enteric coatings prevent release of medication before it reaches the small intestine. Most enteric coatings work by presenting a coated surface that is stable at the highly acidic pH found in the stomach, but breaks down rapidly at a less acidic (relatively more basic) pH. Materials used for enteric coatings include CAP, CAT, PVAP and HPMCP, fatty acids, waxes, shellac, plastics and plant fibers. Recently, these have attracted the interest of many formulators due to their advantages over the conventional drug delivery systems as they prolong the dosing intervals and also increase patient compliance.[1]

An enteric coating is a barrier that controls the location of oral medication in the digestive system 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 unionised 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. There are four reasons for putting such a coating on a tablet or capsule ingredient:

- ✓ Protection of active pharmaceutical ingredients, from the acidic environment of the stomach (e.g. enzymes and certain antibiotics).
- ✓ To prevent gastric distress or nausea from a drug due to irritation (e.g. sodium salicylate).
- ✓ For the delivery of drugs that are optimally absorbed in the small intestine to their primary absorption site in their most concentrated form.
- ✓ To provide a delayed-release component for repeat action.
- ✓ Required for minimizing first pass metabolism of drugs

The choice of the polymer and the thickness of the coated layer are critical to control the pH solubility profile of the enteric coated dosage form. The most common drugs which cause stomach ulcers like aspirin, diclofenac and naproxen are frequently available with enteric coatings. Omeprazole, which is a drug which stops the

stomach from producing acid, is itself broken down in acid and therefore the drug generally has an enteric coating around it either as a granule in the capsules or as a granule in the dispersible form. Sulfasalazine is used either for the treatment of Crohn's disease which is inflammation of the intestines or for the treatment of arthritis. When used for Crohn's disease where it is needed in the intestines to work, it is given with an enteric coating whereas for arthritis it is very often given without an enteric coating so that it can be absorbed more quickly.

ERY-TAB is an antibacterial product containing erythromycin base in an especially enteric-coated tablet to protect it from the inactivating effects of gastric acidity and to permit efficient absorption of the antibiotic in the small intestine. ERY-TAB (erythromycin delayed-release tablets) are available for oral administration in three dosage strengths, each white oval tablet containing 250 mg, 333 mg, or 500 mg of erythromycin as the free base. Commercially available tablets of enteric coated aspirin are also available in market.[2]

Ideal properties of enteric coating material

- 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

Polymers used for enteric coating[2]

Name of enteric Polymers	Dissolution pH
Shellac (esters of aleurtic acid)	7.0
Cellulose acetate phthalate (CAP	6.2
Poly(methacrylic acid-co-methyl methacrylate)	5.5-7.0
Cellulose acetate trimellitate (CAT	5.0
Poly(vinyl acetate phthalate) (PVAP	5.0
Hydroxypropyl methylcellulose phthalate (HPMCP)	4.5-5.5

New materials used for tablet coating

- Zein
- Aqua-Zein®, which is an aqueous zein formulation containing no alcohol.
- Amylose starch and starch derivatives
- Dextrins

Criteria for selection of drugs for CDDS[2]

Criteria	Pharmacological class	Non-peptide drugs	Peptide drugs
Drugs used for local effects in colon against GIT diseases	Anti-inflammatory drugs	Oxyprenolol, Metoprolol, Nifedipine	Amylin, Antisense oligonucleotide
Drugs poorly absorbed from upper GIT	Antihypertensive and antianginal drugs	Ibuprofen, Isosorbides, Theophylline	Cyclosporine, Desmopressin
Drugs for colon cancer	Antineoplastic drugs	Pseudoephedrine	Epoetin, Glucagon
Drugs that degrade in stomach and small intestine	Peptides and proteins	Bromophenaramine, 5-Flourouracil, Doxorubicin	Gonadoreline, Insulin, Interferons
Drugs that undergo extensive first pass metabolism	Nitroglycerin and corticosteroids	Bleomycin, Nicotine	Protirelin, sermorelin, Saloatonin
Drugs for targeting	Antiarthritic and antiasthamatic drugs	Prednisolone, hydrocortisone, 5-Amino salicylic acid	Somatropin Urotoilitin ,

Limitations The reliability and delivery efficiency is doubtful due to presence of wide range of pH values and different enzymes present in the GI tract which is encountered by the drugs before reaching the target site.

OBJECTIVE

The present study attempts to give an insight into the gastro-resistant drug delivery systems, and enteric coated tablets, in particular. Recently, these have attracted the interest of many formulators due to their advantages over the conventional drug delivery systems. The study provides an overview of the recent advances that have taken place in this arena.

MECHANISM OF ENTERIC COATED TIME-RELEASE PRESS COATED[4]

(ETP) 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). 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. (Fig. 1)

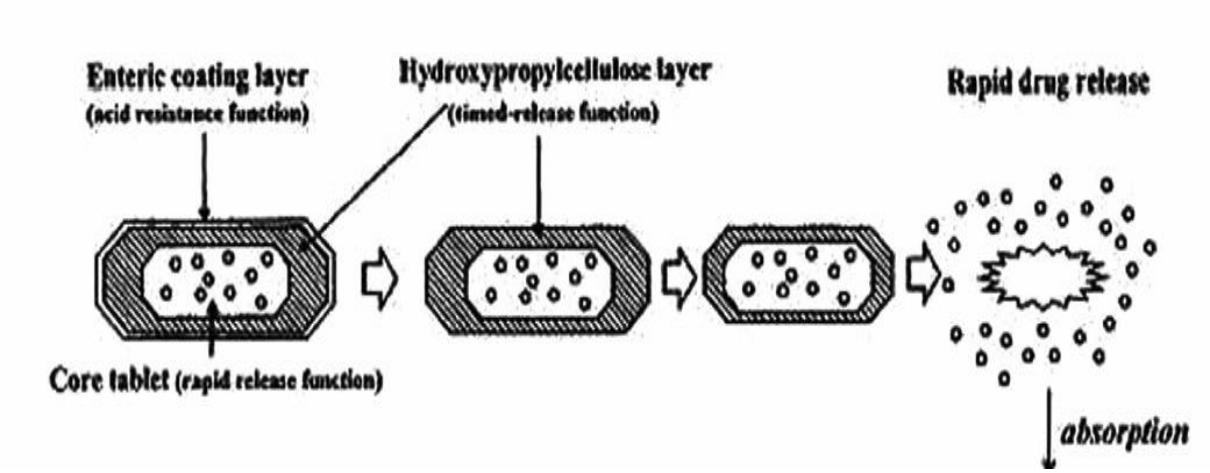

Figure 1: Design of enteric coated timed-release press coated tablet (etp tablet)

Disintegration test[5,6,8]: Delayed-release tablets are intended to resist gastric fluid but disintegrate in intestinal fluid. Delayed-release tablets comply with Disintegration test for tablets and capsules, using hydrochloric acid (0.1 mol/l) VS as the immersion fluid. Operate the apparatus for 2 hours, maintained at 37 ± 2^0c unless otherwise specified in the individual monograph (but in any case for not less than 1 hour), and examine the state of the tablets. No tablet should show signs of either disintegration (apart from fragments of coating) or cracks that would allow the contents to escape. Replace the acid by phosphate buffer solution, pH 6.8 TS, maintained at 37 ± 2^0c.Operate the apparatus for 60 minutes and examine the state of the tablets. The tablets must disintegrate completely in simulated intestinal fluid.

Disintegration of the drugs is the breakdown of the drugs into smaller pieces. Exposure of proton pump inhibitors like Pantoprazole,Omeprazole,Rabeprazole etc;to acidic environment leads to significant degradation of the drug and reduces bioavailability as well. Such formulations should provide greater protection to the core under acidic condition while at the same time should show fattest release under intestinal PH.The Enteric coated tablets should resist the release of the ingredients in the stomach until gastric emptying can occur. It has been found that gastric emptying of non disintegrating tablets is 1.5 to 5.75 hours with a standard deviation of 50%.[6] Gastro resistant systems can remain in the gastric region for 2 hours with out any damage and hence significantly achieve the targeted effect. Gastric resistance improves bioavailability, reduces drug waste, and improves solubility for drugs that are soluble in a high pH environment. It has applications also for targeted drug delivery to proximal small intestines. Gastric resistance helps to provide better availability of new products with new therapeutic possibilities and substantial benefits for patients. The controlled gastric resistance of solid dosage forms may be achieved by the mechanisms of, modified drug systems viz enteric coating.. In vivo/in vitro evaluation of enteric coated tablets has been discussed by scientists to assess the efficiency and application of such systems. Several recent examples have been reported showing the efficiency of such systems for drugs with bioavailability problems, toxicity etc; [7]

II. CONCLUSION

Enteric-coated tables are used for avoiding the first pass metabolism, gastric irritation and degradation and to direct the drug to the target intestines. Enteric coated tablets could be used to treat Streptococcal infections of the throat (strep throat) and the skin and can also be used in treating lung infections (pneumonias) caused by Streptococcal pneumoniae, Mycoplasma pneumoniae and Legionella pneumophila (Legionnaires disease).The choice of the polymer and the thickness of the coated layer are critical to control the pH solubility profile of the enteric coated dosage form.Drugs which are having low oral bioavailability (<50%), short biological half life (about 3 hrs.) and an adequate protein binding that are preferred while formulating enteric coated dosage form. Enteric coated tablet 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. In order to improve the performance of the enteric coated tablets and enhance its efficacy and safety, the formulation should not allow the signs of cracking, softening in the dosage forms due to leaching, diffusion or minute cracking through the intact coating in the acidic environment and hence prevent release of drug from the tablet which is the primary objective of the so called formulation of enteric coating.

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