INDUSTRIAL PHARMACY-I

UNIT I-PREFORMULATION

CLASS6

TOPIC: Polymerization BCS classification of drugs & its significant

Polymerisation :It can a be defined as a process in which simple monomer molecules combined to form large complex. ¬of chemical degradation where two or more identical molecules combine to form large complex molecules known as polymers.

Classification of Polymers

Natural: Cellulose, guargum, xanthan gum, chitosan, alginate etc.

• Semisynthetic: Hydroxy ethyl cellulose, HPMC

• Synthetic: SCMC, CA, CAP, MC, EC, PVA, PVP etc.

Role of polymers in formulation development

- They are used in tablet as binder, disintegrating agent or release modifier etc.
- They are used in capsule shell manufacturing.
- They are used in preparation of emulsions, suspensions, gels, modified release tablets, creams, hydrogels etc.
- In preparation of various novle drug delivery systems (NDDS) like transdermal films, other films microcapsules, microspheres, nanoparticles, liposomes etc in every NDDS
- In modifying the drug release, their kinetics and bioavailability of drugs, polymers are required for targeting of drugs to specific organ, region, or tissue.

Matrix-forming agents

Examples include (HPMC) Hydroxypropyl methylcellulose PVP (Polyvinyl pyrrolidone), SCMC (Sodium carboxymethyl cellulose), alginates , Xanthan gum, Xanthan gum/locust bean gum combinations and Carbopol

These kinds of Polymer when react with the water, they form a swollen network (they are formed in the presence of a crosslinking agent).

They swell in water but cannot dissolve because of stable crosslinking.

Used for formulation of floating tablet/implants etc. So, these matrices help in prolonging the release of drugs.

ENTERIC COATING-

- Cellulose acetate phthalate, hydroxypropyl methylcellulose phthalate, the copolymers of methacrylic acid and their esters and polyvinyl acetate phthalate.
- These phthalates are used for enteric coating These materials do not dissolve over the gastric pH range but dissolve rapidly at the less acid pH (about 5) or more basic pH associated with the small intestine.
- enteric coated aspirin is used for avoiding the gastric irritation. It dissolves only when it reaches to the small intestine at the basic pH.
- With the help of pH-sensitive polymers like combinations of Eudragit 100-55 (pH 5.5) with Eudragit S (pH 7.0).
- These polymers can be used for colonic delivery systems. They are used to coat the drug/delivery system with a polymer that is sensitive to bacteria in the colon.
- Degradation of the polymer permits release of the active drug only in the colonic environment.
- The polymers used include glassy amylase (which is mixed with ethyl cellulose), azo polymers (a group of polymer which is cleaved only by the colonic bacteria), guar gum (in the same way colonic bacteria cleave that gum), xanthan gum, Cellulose acetate and Cellulose acetate phthalates.

smart drug delivery systems

- smart insulin drug delivery systems are available in market that depending upon pH change in pH of drug, the insulin is released.
- when pH is 7.4 (normal pH) the system is intact. When glucose level increases, glucose is converted into glucoronic acid and the pH is reduced.
- In the lower pH when pH reaches to 4.5 or in between 4-5, that polymer is sensitive to that pH change.
- In that acidic pH it starts releasing the insulin because at this time it is required and whenever insulin is there the glucose is absorbed more rapidly and again homeostasis like condition is achieved again pH is 7.4.
- Whenever pH will reach 7.4 again that polymer will be intact. Insulin will no more release. So, it is released only when it is required. So it is a smart polymeric insulin delivery

The Biopharmaceutical Classification System

Combining knowledge of solubility with knowledge of permeability allows an initial estimate of bioavailability.

Amidon et al. suggested a Biopharmaceutical Classification Scheme which has been used as a preliminary indication of bioavailability.

Class	Solubility	Permeability	Absorption	Challenge in drug delivery	Drug examples
I	High	High	Well absorbed	No major challenge for IR CR-limit drug release or disso since absorption of release drug is rapid	Diltiazem Propranolol Metaprolol
II	Low	High	Variable	Formulations are designed to overcome solubility/dissolution problems by various means	Nifedipine,Carbamazepine,Naproxen
III	High	Low	Variable	Permeability enhancement	Insulin,Metformin,Cimitidine
IV	Low	Low	Poorly absorbed	To increase both dissolution &permeability	Taxol,Chlorthiazide,Furosemide

Solubility

The amount of a substance that can be dissolved in a given amount of solvent is called solubility. A medicine that can be dissolved in 250 mL or less of water throughout a pH range of 1-8 is deemed an excellent dissolved pharmaceutical.

Permeability

Permeability is the quality or state of being permeable. When a medicine has an absorption rate of more than 90% of the prescribed dosage and is stable in the stomach, it is termed an exception penetrable pharmaceutical.

Dissolution rate

The process by which a solute dissolves into a solvent and produces a solution is known as dissolution. When 85% of the labeled quantity of drug substance dissolved in 30 min using USP equipment 1 at 100 rpm or apparatus 2 at 50 rpm in a volume of 900 mL buffer solutions (0.1 N HCl/pH 4.5 buffer/pH 6.8 buffer without enzymes), the drug product is regarded to have fast dissolution.

Significance of BCS classification system

Objectives

• The goal of BCS is to evaluate *in vivo* performance of medicinal products based on *in vitro* permeability and solubility data.

• To provide techniques for categorizing medicinal products based on solubility and permeability properties as well as dosage form dissolution.

• We improved the efficiency of drug development and review processes by proposing a mechanism to perform clinical bioequivalence tests expandable.

Importance

- To replace certain bioequivalent studies, BCS acts as a regulatory tool.
- It is applicable in both preclinical and clinical examinations.
- BCS can reduce the time and money for the immediate release orally administered drugs, which meet particular criteria;

- the FDA will allow a waiver for costly and tedious bioequivalence studies. It acts as a guiding tool for selecting the formulation of new dosage forms, development of various oral drug delivery systems.