Polymers
(B. Pharm IV Year)
BP 704T

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INTRODUCTION

Poly= many , Mer = unit, many units

Polymer science is a new branch of science it deals with chemistry physics and mechanical properties of macromolecules.

Another common name for many synthetic polymer is plastic which comes from greek word "plastikos", suitable for molding or shaping

CHARACTERISTICS OF POLYMERS

- Low density
- Low coefficient of friction
- Good corrosion resistance
- Excellent surface finish can be obtained
- Economical
- Poor tensile strength
- Poor temperature resistance
- Can be produced transparent or in different colours.

PROPERTIES OF POLYMER

- **Chain length** - in general, the longer the chains the stronger the polymer;
- **Side groups** - polar side groups give stronger attraction between polymer chains, making the polymer stronger;
- **Branching** - straight, unbranched chains can pack together more closely than highly branched chains, giving polymers that are more crystalline and therefore stronger;
- **Cross-linking** - if polymer chains are linked together extensively by covalent bonds, the polymer is harder and more difficult to melt.

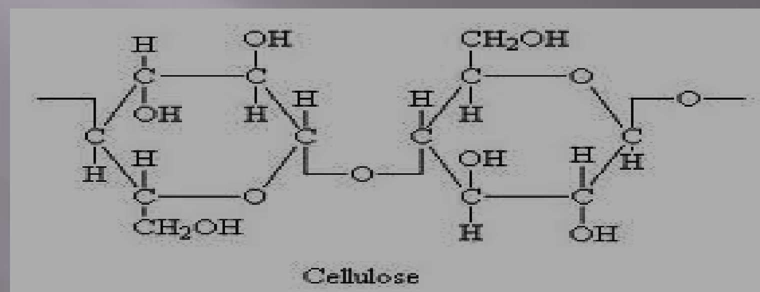
CLASSIFICATION OF POLYMER

- CLASSIFICATION based on SOURCE
- CLASSIFICATION based on STRUCTURE
- CLASSIFICATION based on POLYMERISATION
- CLASSIFICATION based on MOLECULER FORCE

CLASSIFICATION BASED ON SOURCE

Natural polymers:- The definition of a natural polymer is a polymer that results from only raw materials that are found in nature. Example:- Proteins, Starch, Rubber.

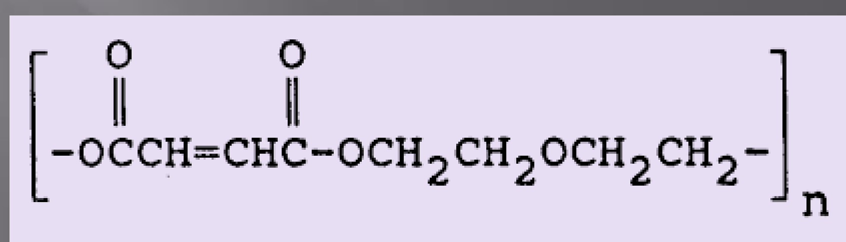
Cellulose



Semi-synthesis polymers:- Cellulose derivatives - Cellulose acetate (Rayon).

Synthesis polymers:- Buna-S, Buna-R, Nylon, Polythene,

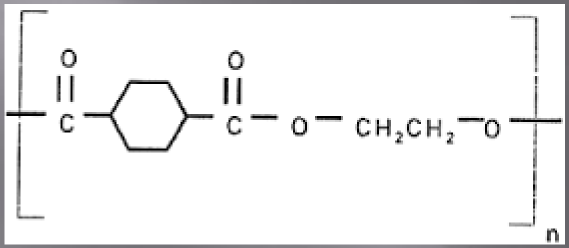
Polyester.



Classification based on structure

Linear polymers:- consist of long and straight chains.

Polyvinylcloride



Branched chain polymers:- contain linear chains having some branches, e.g., low density polymer.

Cross linked chain polymers:- formed from bi-functional and tri-functional monomers and contain strong covalent bonds e.g. bakelite, melamine.

CLASSIFICATION BASED ON POLYMERISATION

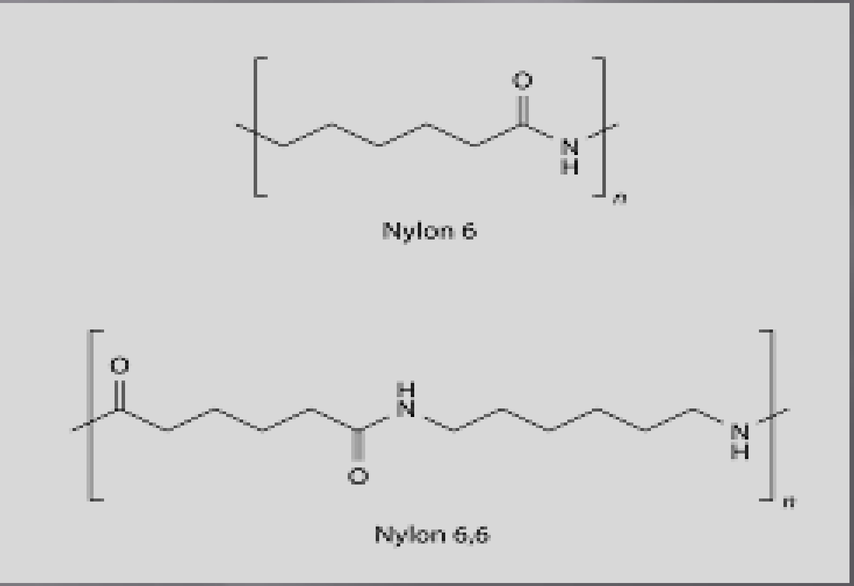
1. Addition polymers: formed by the repeated addition of monomer molecules possessing double or triple bonds

$$n(CH_2{=}CH_2) \longrightarrow -(CH_2-CH_2)-$$

Ethylene **polyethylene**

2. Condensation polymers: formed by repeated condensation reaction between two different bi-functional or tri-functional monomeric units.

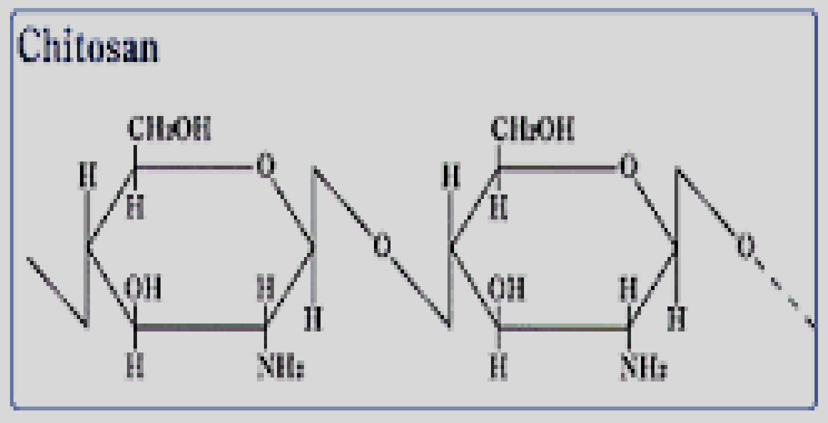
eg. terylene (dacron), nylon 6, 6, nylon 6.



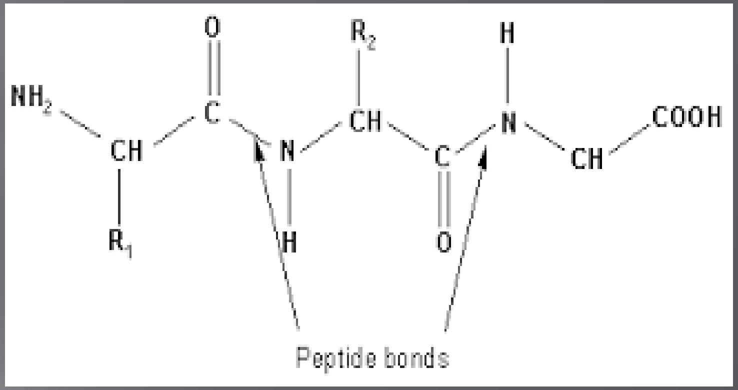
CLASSIFICATION BASED ON DEGRADATION

1. **Bio-degradable**

- Natural biodegradable polymer: Examples proteins, starch, cellulose, chitosan .



Synthetic biodegradable polymer : Examples:
Polyamide(polypeptides)



Polyesters(Polyglycolide)

Synthetic biodegradable polymer are preferred more than the natural biodegradable polymer because they are free of immunogenicity & their physicochemical properties are more predictable &reproducible

2. **Non Bio-degradable**

ADVANTAGE OF BIODEGRADABLE POLYMER

- Low or negligible toxicity of degradation products
- Readily & Abundantly Available
- Comparatively Inexpensive
- Non toxic product
- Modified to get semi synthetic forms
- Drug delivery compatibility
- Approval for medical and pharmaceutical applications use in humans by FDA.

- Stabilization of drug
- Localized delivery of drug
- Sustained delivery of drug
- Decrease in dosing frequency
- Reduce side effects
- Improved patient compliance
- Controllable degradation rate

POLYMER SYNTHESIS

- Laboratory synthesis
- Biological synthesis
- **Laboratory synthesis:** The method is divided into two categories, condensation polymerization and addition polymerization. Such reactions may be carried out with or without a catalyst.
- **Biological synthesis:** in living cells the polypeptides may be synthesized by enzyme mediated processes such as formation of DNA catalyzed by DNA Polymerase.

Contd…

Commercially important polymers are generally synthesized by chemical modification of naturally occurring polymers, for example the formation of nitrocellulose by the reaction of nitric acid and cellulose.

POLYMER DEGRADATION

- Polymer degradation is a change in the properties – tensile strength, colour, shape, etc of a polymer or polymer based product under the influence of one or more environmental factors such as heat, light or chemicals.
- The term 'biodegradation' is limited to the description of chemical processes (chemical changes that alter either the molecular weight or solubility of the polymer)

POLYMERS FOR DRUG DELIVERY

- Polyesters
- Poly glycolic acid
- Polylactic acid
- Polydioxanone
- Polycarpolactone
- Polyamides eg, polyamino acids
- Phosphorus based polymers eg, polyphosphazenes
- Polysaccharides based polymer eg, cyclodextrins, chitosan.

POLY ANHYDRIDES

HO--[---(C—R$_1$----C)$_{n1}$-----O-----(C---R$_2$---C-)$_{n2}$--]$_{n3}$---OH

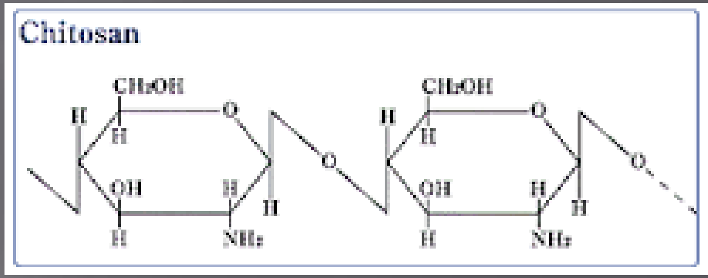
GENERAL STRUCTURE

- Two **carboxylic groups** at each end
- High Degradation rate
- Degrade by **Surface Erosion**
- Aromatic P.A's are slower degrading
- **Copolymerisation** can control degradation rate
- Biological tests in Rabbits proved them Non-mutagenic

APPLICATIONS : 1) PEPTIDES FOR OSTEOMYLITES
2) PROTIENS FOR BRAIN TUMOUR

CHITOSAN

- It consists **of B-1-4 linked 2 amino-2-deoxy gluco - pyranose** moieties
- Commercially manufactured by N-deacetylation of Chitin which is obtained from Mollusc shells
- It is soluble only in acidic pH



- Thereby it readily adheres to bio membranes.
- It is degraded mainly by Glycosidases & lysozymes.

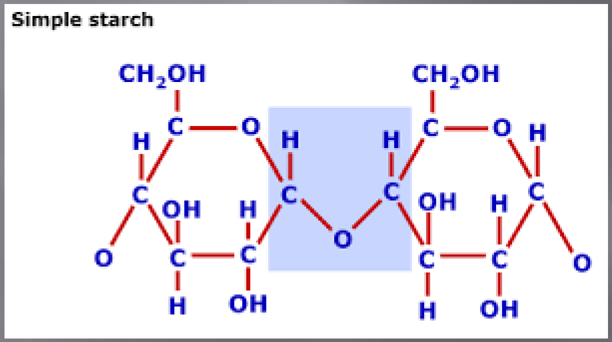
ADVANTAGES :

Free availability, Biocompatibility, Biodegradability

Bioadhesive, unique properties.

STARCH

- Starch is a well–known **hydrocolloid biopolymer**. It is a low cost polysaccharide, abundantly available and one of the cheapest biodegradable polymers.

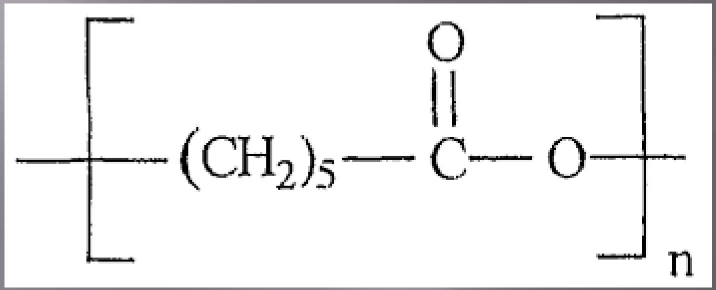


- Starch is produced by agricultural plants in the form of granules, which are hydrophilic. Starch is mainly extracted from potatoes, corn, wheat and rice.

- It is composed of amylose (poly-α-1,4-D-glucopyranoside), a linear and crystalline polymer and amylopectin (poly-α-1,4-Dglucopyranoside and α-1,6-D-glucopyranoside), a branched and amorphous polymer.
- Starch has different proportions of amylose and amylopectin ranging from about 10–20% amylase and 80–90% amylopectin depending on the source

POLYCAPROLACTONE

- Poly-caprolactone is a relatively cheap cyclic monomer. A semi-crystalline linear polymer is obtained from ring-opening polymerization of caprolactone in presence of tin octoate catalyst.



- PCL is soluble in a wide range of solvents. Its glass transition temperature is low, around -60 °C, and its melting point is 60 – 65 °C. Enzymes and fungi easily biodegrade PCL.

- To improve the degradation rate, several copolymers with lactide or glycolide have been prepared. PCL is commercially available under the trade names CAPA® (from Solvay, Belgium), Tone® (from Union Carbide, USA) or Celgreen® (from Daicel, Japan) and many others. Possible applications in packaging have been investigated.

CHARACTERIZATION OF POLYMERS

1. **Phisico-Chemical properties**
2. **Thermal properties**
3. **Mechanical properties**
4. **Morphology**
5. **Spectral properties**

PHYSICO-CHEMICAL PROPERTIES

Molecular weight and molecular weight distribution :

- Molecular weight and molecular weight distribution A knowledge of molecular weight and molecular weight distribution is important because there is a definite relationship between polymer molecular weight and polymer properties.
- At very low molecular weight polymer has low mechanical properties. Solubility of polymer decreases with increase in molecular weight

GLASS TRANSITION TEMPERATURE

- Glass transition temperature At low temperatures all amorphous polymers exist in a glassy state, and while in glassy state polymers are characterized by their hardness, stiffness and brittleness.
- As the temperature is raised, polymers undergo a transition, known as glass transition temperature Tg, where they change from glass to a rubbery elastomer (or) flexible plastic. In designing a controlled release formulation, it must be known whether the polymer is above or below the glass transition temperature.
- The polymers above Tg are favorable for controlled release formulations because Due to the formation of polymer chains Due to formation of strong intermolecular interaction and there by controll release of drugs

CRYSTALLINITY

- Crystallinity Polymers that have a regular structure are able to achieve a regular packing of chains and crystallize Crystallization results in regular packing of molecules or ions into a three-dimensional lattice.
- Enhancement of crystallinity results in decrease in polymer permeability Crystalline regions are essentially impermeable to water, so the rate of polymer hydrolysis in crystalline regions is significantly reduced

CHEMIAL PROPERTIES

- Additives analysis
- Volatile organic compounds and odors
- Residual monomers
- Identification and quantitation of formulation components
- Water content
- Identification and determination of structural polymer design (branding, copolymer, composition, functionality and end capping)

- complex chemical structure of polymers
- polymeric material typically consists of a distribution of molecular sizes and sometimes also of shapes
- Chromatographic methods like size exclusion chromatography often in combination with Low-angle laser light scattering (LALLS)
- Viscometry can be used to determine the molecular weight distribution as well as the degree of long chain branching of a polymer

THERMAL PROPERTIES

THREE MAIN THERMAL ANALYTICAL TECHNIQUES

- **THERMOGRAVIMETRY (TG).**
- **DIFFERENTIAL SCANNINGCALORIMETRY (DSC).**
- **DYNAMIC MECHANICAL ANALYSIS (DMA)**

MECHANICAL PROPERTIES

- Determined by stress-strain relationship
- Stress-stretching force applied to sample
- Strain-elongation of sample under a given stress.
- stress-strain relation in polymer are time dependent
- Specimen clamped to Instron tester and measuring force that specimen exerts on load cell

MORPHOLOGY

Morphological parameters-

- Osmometery
- Light Scattering
- Viscometry
- Gel permeation chomatography
- mesoscale (nanometers to microns) are very important for the mechanical properties of many materials.
- Transmission Electron Microscopy in combination with staining techniques,
- Scanning Electron Microscopy, Scanning probe microscopy

SPECTRAL CHARACTERIZATION

- Solid state NMR
- Spectroscopic techniques: IR, FTIR etc.

  The VERTEX 70 FTIR has a spectral range from 30 cm-1 in the far IR, through the near IR and up to the visible spectral range at 25,000 cm-1. The large sample chamber allows for a wide range of accessories with temperature and environmental controls.

APPLICATION

- Used in binders in tablets
- HPMC is used for enteric coating of tablets.
- Carbopol, Sodium carboxy methyl cellulose were used as mucoadhesive polymers.
- Water soluble polymer e.g. PEG, Povidone , CMC are used to enhance the solubility of insoluble drugs.
- Viscosity and flow controlling agents in liquids, suspensions and emulsions
- Used as film coating to disguise the unpleasant taste of a drug.
- Enhance drug stability and to modify drug release characteristics.

- For specific site drug delivery- anti tumour agent
- Polymer system for gene therapy.
- Biodegradable polymer offer novel approach for various pharmaceutical applications including development of sustained release and novel drug delivery systems and they are remarkably simple and convenient to patient.
- Bio degradable polymer for ocular, non- viral DNA, tissue engineering, vascular, orthopaedic, skin adhesive & surgical glues.
- Bio degradable drug system for therapeutic agents such as anti tumor, antipsychotic agent, anti-inflammatory agent and biomacro molecules such as proteins, peptides and nucleic acids

ROLE OF POLYMER IN DRUG DELIVERY

- The polymer can protect the drug from the physiological environment & hence improve its stability in vivo.

- Most biodegradable polymer are designed to degrade within the body as a result of hydrolysis of polymer chain into biologically acceptable & progressively small compounds.

CONTD…

Some of the advanced drug polymer technology products are:

- Luprondepot®
- Glidel® wafer as an chemotherapeutic agent
- Atrigel® insitu implant system used for both parenteral and site specific drug delivery
- Alzamer® depot technology

Thank you