Chapter 4

DRUG AND POLYMER PROFILE
Chapter- 4

DRUG PROFILE

4.1. Metoprolol Tartrate

Metoprolol is used with or without other medications to treat high blood pressure (hypertension). Lowering high blood pressure helps prevent strokes, heart attacks, and kidney problems. This medication is also used to treat chest pain (angina) and to improve survival after a heart attack.

Molecular Formula : C_{15}H_{25}NO_{3}
Mol. mass: 267.364 g/mol
White to off white crystalline powder, odourless crystalline powder.
Melting range - 120°-123°c.
Solubility - insoluble in ether & benzene ethanol.
Dissociation constant - 2.93 and 4.23 at 25° deg C
Pharmacokinetic data:- Bioavailability : 12%
Metabolism : Hepatic
Half life : 3-7 hours
Excretion : Renal
4.2. Telmisartan

Telmisartan is an angiotensin II receptor antagonist (ARB) used in the management of hypertension. Generally, angiotensin II receptor blockers (ARBs) such as telmisartan bind to the angiotensin II type 1 (AT1) receptors with high affinity, causing inhibition of the action of angiotensin II on vascular smooth muscle, ultimately leading to a reduction in arterial blood pressure. Recent studies suggest that telmisartan may also have PPAR-gamma agonistic properties that could potentially confer beneficial metabolic effects.

![Telmisartan Structure]

**Structure**

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Molecular Formula</td>
<td>C_{33}H_{30}N_{4}O_{2}</td>
</tr>
<tr>
<td>Molecular weight</td>
<td>514.617 Da</td>
</tr>
<tr>
<td>Melting point</td>
<td>261-263°C</td>
</tr>
<tr>
<td>Density</td>
<td>1.16</td>
</tr>
<tr>
<td>Solubility</td>
<td>practically insoluble in water, sparingly soluble in strong acid</td>
</tr>
<tr>
<td>Metabolism</td>
<td>Minimal hepatic (glucuronidation)</td>
</tr>
<tr>
<td>Half life</td>
<td>24 hours</td>
</tr>
<tr>
<td>Excretion</td>
<td>Renal</td>
</tr>
</tbody>
</table>
4.3. Paracetamol

Analgesic antipyretic derivative of acetanilide. Acetaminophen has weak anti-inflammatory properties and is used as a common analgesic, but may cause liver, blood cell, and kidney damage. Paracetamol has analgesic and antipyretic properties and weak anti-inflammatory activity. It is indicated for management of mild to moderate pain and fever.

**Structure**

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Molecular Formula</td>
<td>C₃₃H₃₀N₄O₂</td>
</tr>
<tr>
<td>Molecular weight</td>
<td>514.617 Da</td>
</tr>
<tr>
<td>Melting point</td>
<td>336 to 342°F</td>
</tr>
<tr>
<td>Density</td>
<td>1.293 g/cu cm</td>
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<tr>
<td>Half life</td>
<td>1–4 hours</td>
</tr>
<tr>
<td>Excretion</td>
<td>Renal</td>
</tr>
<tr>
<td>Bioavailability</td>
<td>60-70%</td>
</tr>
</tbody>
</table>
4.4. Amlodipine besylate

Amlodipine Besylate is the besylate salt of amlodipine, a synthetic dihydropyridine with antihypertensive and antianginal effects. Amlodipine inhibits the influx of extracellular calcium ions into myocardial and peripheral vascular smooth muscle cells, thereby preventing vascular and myocardial contraction. This results in a dilatation of the main coronary and systemic arteries, decreased myocardial contractility, increased blood flow and oxygen delivery to the myocardial tissue, and decreased total peripheral resistance. This agent may also modulate multi-drug response (MDR) activity through inhibition of the p-glycoprotein efflux pump.

![Structure](image)

**Structure**

<table>
<thead>
<tr>
<th>Property</th>
<th>Value</th>
</tr>
</thead>
<tbody>
<tr>
<td>Molecular formula</td>
<td>C$<em>{20}$H$</em>{25}$ClN$_2$O$_5$</td>
</tr>
<tr>
<td>Molecular weight</td>
<td>408.879 g/mol</td>
</tr>
<tr>
<td>Melting point</td>
<td>195 - 204 C</td>
</tr>
<tr>
<td>Metabolism</td>
<td>Hepatic. Metabolized extensively (90%)</td>
</tr>
<tr>
<td>Half life</td>
<td>30 to 50 hr</td>
</tr>
<tr>
<td>Excretion</td>
<td>Renal</td>
</tr>
<tr>
<td>Water Solubility</td>
<td>0.0074 mg/mL</td>
</tr>
<tr>
<td>Bioavailability</td>
<td>60%</td>
</tr>
<tr>
<td>Protein binding</td>
<td>97.5%</td>
</tr>
</tbody>
</table>
POLYMER PROFILE

4.5. Hydroxy propyl methyl cellulose (Hypromellose)

Hypromellose is a solid, and is a slightly off-white to beige powder in appearance and may be formed into granules. The compound forms colloids when dissolved in water. This non-toxic ingredient is combustible and can react vigorously with oxidising agent.

\[
R = H \text{ or } \text{CH}_3 \text{ or } \text{CH}_2\text{CH} (\text{OH}) \text{CH}_3
\]

Structure

**Synonyms:** Hydroxypropyl methylcellulose; HPMC; Methocel

**Chemical name:** Cellulose hydroxy propyl methyl ether.

**Functional category:** Coating agent; film-former; rate-controlling polymer for sustained release; stabilizing agent; suspending agent; tablet Binder; viscosity-increasing agent. It is available in several grades that vary in viscosity and extent of substitution.

**Mol. Wt:** 10,000–1500,000

**Acidity/alkalinity pH:** 5.5–8.0 for a 1% w/w aqueous Solution

**Density (true):** 1.326 g/cm³

**Solubility:** soluble in cold water; practically insoluble in chloroform, ethanol (95%), and ether, but soluble in mixtures of ethanol and dichloromethane, mixtures of methanol and dichloromethane, and mixtures of water and alcohol.

**Specific gravity:** 1.26 g/cm³

**Viscosity:** a wide range of viscosity types are available. Aqueous solutions are most commonly prepared,
4.6. Ethyl cellulose

Ethyl cellulose a white powder, colourless, tasteless powders. Ethylcellulose (EC) products are versatile, organosoluble, thermoplastic polymers. In pharmaceuticals they mask the taste of bitter actives, enhance the strength and appearance of tablets and capsules, and enable controlled release formulations. In food they’re used for binding, film forming and flavor fixatives, helping to make delicious flavors last longer.

![Structure](image)

**Synonyms:** Aqua coat ECD, Aqualon; E462, Ethocel.

**Functional category** - Coating agent, flavouring agent, tablet binder, tablet filler, viscosity increasing agent.

**Density (bulk):** 0.4 g/cm³

**Description:** Ethylcellulose is a tasteless, free-flowing, white to light colour Powder, thermoplastic,

**Melting point** - 160°–210°C

**Solubility:** Ethylcellulose is practically insoluble in glycerine, propylene glycol, and water. Ethylcellulose that contains less than 46.5% of ethoxyl groups is freely soluble in chloroform, methyl acetate, and tetrahydrofuran.

**Specific gravity:** 1.12–1.15 g/cm³

**Viscosity:** Specific ethyl cellulose grades, or blends of different grades, may be used to obtain solutions of a desired viscosity

**Functional category:** Ethyl cellulose is widely used in oral and topical pharmaceutical Formulations like; Microencapsulation, Sustained-release tablet coating,, Tablet coating , Tablet granulation .

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4.7. Chitosan

Chitosan occurs as odourless, white or creamy-white powder or, flakes Chitosan is a cationic polyamine with a high charge density at pH<6.5, and so adheres to negatively charged surfaces and chelates metal ions. It is a linear polyelectrolyte with reactive hydroxyl and amino groups (available for chemical reaction and salt formation).

![Structural formula]

**Synonyms:** 2-Amino-2-deoxy-(1,4)-b-D-glucopyranan; chitosani hydrochloridum; deacetylated chitin; deacetylchitin; b-1,4-poly-D-glucosamine; poly-D-glucosamine; poly-(1,4-b-D-glucopyranosamine)

**Functional Category:** Coating agent, disintegrant, film-forming agent, mucoadhesive, tablet binder; viscosity increasing agent.

Acidity/alkalinity pH = 4.0–6.0 (1% w/v aqueous solution)

**Density:** 1.35–1.40 g/cm³

**Solubility:** Sparingly soluble in water; practically insoluble in ethanol (95%), other organic solvents, and neutral or alkali solutions at pH above approximately 6.5. Chitosan dissolves readily in dilute and concentrated solutions of most organic acids

**Viscosity:** A wide range of viscosity types is commercially available. Owing to its high molecular weight and linear, unbranched structure, chitosan is an excellent viscosity-enhancing agent in an acidic environment. It acts as a pseudo-plastic material, exhibiting a decrease in viscosity with increasing rates of shear.
4.8. Hydroxy ethyl cellulose

Hydroxyethyl cellulose is a gelling and thickening agent derived from cellulose. It is widely used in cosmetics, cleaning solutions, and other household products. It is hygroscopic, the amount of water absorbed depends upon the initial moisture content and the relative humidity of the surrounding air.

![Structural formula](image)

**Synonyms:** Cellosolve HEC, cellulose hydroxyethyl ether, cellulose 2-hydroxyethyl ether, cellulose hydroxyethylate, ethylhydroxy cellulose; ethylose; HEC; HE cellulose;

**Functional Category:** Coating agent; suspending agent; tablet binder; thickening agent; viscosity-increasing agent.

Density (bulk) - 0.35–0.61 g/cm³

Acidity/alkalinity pH - 5.5–8.5

**Solubility:** Hydroxyethyl cellulose is soluble in either hot or cold water, forming clear, smooth, uniform solutions.

Practically insoluble in acetone, ethanol (95%), ether, toluene, and most other organic solvents. It is non ionic. In some polar organic solvents, such as the glycols, hydroxyethyl cellulose either swells or is partially soluble.

**Melting point** - Softens at 135–140 ºC.

**Moisture content** - Hydroxy ethyl cellulose contains less than 5% w/w of water.
4.9. Micro crystalline cellulose (MCC)

Microcrystalline cellulose is purified, partially depolymerised cellulose that occurs as a white, odourless, tasteless, crystalline powder composed of porous particles. It is commercially available in different particle sizes and moisture grades that have different properties and application.

Structural Formula

**Density (bulk) - 0.337 g/cm**

**Functional Category:** Adsorbent; suspending agent; tablet and capsule diluents, tablets disintegrate.

**Melting point - 260–270º C.**

Moisture content less than 5% w/w

Microcrystalline cellulose is hygroscopic.

**Solubility** - Slightly soluble in 5% w/v sodium hydroxide solution; practically insoluble in water, dilute acids, and most organic solvents.

Microcrystalline cellulose is a stable though hygroscopic material.

The bulk material should be stored in a well-closed container in a cool, dry place.
4.10. Eudragit

It is a copolymer of ethyl acrylate, methyl methacrylate and a low content of methacrylic acid ester with quaternary ammonium group. The ammonium group make the product permeable. It is used bioadhesive materials, controlled released agent, emulsion stabiliser, suspending agent

![Structure](image)

**Description** - Eudragit RL 100 and eudragit RS 100: colourless, clear to cloudy granules with a faint amine-like odour.

**Solubility**: 1 g of the substances dissolves in 7 g aqueous methanol, ethanol and isopropyl alcohol (containing approx. 3 % water), as well as in acetone, ethyl acetate and methylene chloride to give clear to cloudy solutions. The substances are practically insoluble in petroleum ether, 1 N sodium hydroxide and water.

**Density** - 1.02 g/cm³

**Molar mass** 86.06 g/mol

**Melting point** 15 °C

**Viscosity / Apparent viscosity**: Maximum 15 m.Pas.
4.11. Carbopol 934 P

Carbomers are white-colored, ‘fluffy’, acidic, hygroscopic powders with a slight characteristic odor. Carbomers are mainly used in liquid or semisolid pharmaceutical formulations as suspending or viscosity-increasing agents. Carbomer 971P or 974P, may be used in oral preparations, in suspensions, tablets, or sustained release tablet formulations. In tablet formulations, carbomers are used as dry or wet binders and as a rate controlling excipient. In wet granulation processes, water or an alcohol–water blend is used as the granulating fluid.

**Structure**

**Chemical name**- Cross-linked Polymethyl Acrylic Acid Resin

Functional Category: Bioadhesive; emulsifying agent; release-modifying agent; suspending agent; tablet binder; viscosity-increasing agent.

pH = 2.7–3.5 for a 0.5% w/v aqueous dispersion;

**Density (bulk):** 1.76–2.08 g/cm³

**Specific gravity:** 1.41

**Glass transition temperature:** 100–1058°C

Melting point: decomposition occurs within 30 minutes at 260°C.

Solubility: soluble in water and, after neutralization, in ethanol (95%) and glycerin. Although they are described as ‘soluble’, carbomers do not dissolve but merely swell to a remarkable extent. Formulations composed of 0.5%-2% (w/w) exhibited pronounced mucoadhesive properties.
4.12. Polyethylene Glycol

Polyethylene glycols (PEGs) are widely used in a variety of pharmaceutical formulations, including parenteral, topical, ophthalmic, oral, and rectal preparations. Polyethylene glycol has been used experimentally in biodegradable polymeric matrices used in controlled-release systems. Polyethylene glycols are stable, hydrophilic substances that are essentially nonirritant to the skin. The polyethylene glycols are water-soluble and are easily removed from the skin by washing, making them useful as ointment bases. Solid grades are generally employed in topical ointments,

\[
\text{H} \quad \text{O} \quad \text{C} \quad \text{(CH}_2\text{OCH}_2\text{)}_n \quad \text{C} \quad \text{OH}
\]

Structure

Functional Category: Ointment base; plasticizer; solvent; suppository base; tablet and capsule lubricant.

pH (5% w/v solution) 4.5–7.5
Density 1.110–1.140
Moisture content

Very hygroscopic, PEG 4000 and above, are not hygroscopic.

Solubility

All grades of polyethylene glycol are soluble in water. Liquid polyethylene glycols are soluble in acetone, alcohols, benzene, glycerin, and. Solid polyethylene glycols are soluble in acetone, dichloromethane, ethanol (95%), and methanol;