INTRODUCTION TO DOSAGE FORMS

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At the end of this lecture, you will be able to explain:

- What are drugs?
- Why drugs are not used as such?
- What are excipients?
- What are dosage forms?
- Why formulation of dosage form is necessary?
- What is difference between Pharmaceutical dosage form and Pharmaceutical preparation (PP).
- What are various dosage forms?
- What are various factors to be considered before formulation?
Drug?

Active drug substance (active pharmaceutical ingredient - API)
- chemical compound with pharmacological (or other direct effect) intended for use in diagnosis, treatment or prevention of diseases
- International nonproprietary names (INN, “generic“ names)

Direct clinical use of the active drug substances is rare: Why??
- API handling and Accurate dosing can be difficult or impossible (e.g., potent drugs: low mg and μg doses)
- API administration can be impractical/unfeasible because of size, shape, smell/odour, taste and low activity.
- Some API are chemically unstable in light, moisture, O₂
- API can be degraded at the site of administration (e.g., low pH in stomach).
- API may cause local irritations or injury when they are present at high concentrations at the site of administration.
- Administration of active substance would mean to have no chance for modification (improvement) of its PK profile
1. To provide for the safe and convenient delivery of accurate dosage

   Examples: Tablets, Capsules, syrups

2. For the protection of a drug substance from the destructive influence of atmospheric oxygen or moisture.

   Examples: coated tablets, sealed ampules

3. For the protection of a drug substance from the destructive influence of gastric acid after oral administration.

   Example: enteric coated tablets

4. To conceal the bitter taste, salty obnoxious or odor of a drug substance.

   Examples: Capsules, coated tablets, flavored syrups
The majority of drug substances are administered in manufacturing amounts, much too small to be weighed on anything but a sensitive analytical balance.

**Example:** How can a layman accurately obtain 325 mg or 5 gr of aspirin found in common aspirin tablets from bulk supply of aspirin?

**Examples Of Drugs with Low Usual doses**

<table>
<thead>
<tr>
<th>Drug Name</th>
<th>Dose</th>
<th>Category</th>
</tr>
</thead>
<tbody>
<tr>
<td>Ferrous sulfate</td>
<td>300 mg</td>
<td>Hematinic</td>
</tr>
<tr>
<td>Cimetidine</td>
<td>300 mg</td>
<td>Antiulcer</td>
</tr>
<tr>
<td>Amoxicillin</td>
<td>250 mg</td>
<td>Antibacterial</td>
</tr>
<tr>
<td>Propoxyphene HCl</td>
<td>65 mg</td>
<td>Analgesic</td>
</tr>
<tr>
<td>Phenobarbital</td>
<td>30 mg</td>
<td>Sedative</td>
</tr>
<tr>
<td>Diphenhydramine HCl</td>
<td>25 mg</td>
<td>Antihistamine</td>
</tr>
<tr>
<td>Morphine sulfate</td>
<td>10 mg</td>
<td>Narcotic Analgesic</td>
</tr>
<tr>
<td>Cochicine</td>
<td>0.5 mg</td>
<td>Gout suppressant</td>
</tr>
<tr>
<td>Nitroglycerin</td>
<td>0.4 mg</td>
<td>Antianginal</td>
</tr>
<tr>
<td>Digoxin</td>
<td>0.25 mg</td>
<td>Cardiotonic</td>
</tr>
<tr>
<td>Ethinyl Estradiol</td>
<td>0.05 mg</td>
<td>Estrogen</td>
</tr>
</tbody>
</table>
5. To provide liquid preparations of substances that are either insoluble or unstable in the desired vehicle.

   Example: suspension

6. To provide liquid dosage forms of substances soluble in desired vehicle.

   Example: solution

7. To provide extended drug action through controlled release mechanisms

   Examples: controlled release tablets, capsules, suspensions

8. To provide optional drug action from topical administration sites

   Examples: ointments, creams, ophthalmic, ear and nasal preparations
9. To provide for insertion of a drug into one of the body’s orifices

**Examples:** rectal and vaginal suppositories

10. To provide for the placement of drugs within body tissues.

**Examples:** Implants

11. To provide for the optimal drug action through inhalation therapy.

**Examples:** inhalants and inhalations

12. In addition, many dosage forms permit ease of drug identification through distinctiveness of color, shape, or identifying markings
Definition: Dosage forms are the means by which drug molecules / APIs are delivered to sites of action within the body to produce optimum desired effects and minimum adverse effect.

The need for dosage forms:

DRUG SAFETY/BENEFIT:
Protection from environment (light, moisture, O₂).
Protection from patients (gastric juice).

PATIENTS SAFETY/BENEFIT:
Accurate dose of potent drugs for children and elderly patients
Preventing local drug irritations/ ulcers.
Modifying PK of drug for optimum action(↑ benefits, ↓ side effects)
Masking taste and odour of API.
Presenting API in desired / required forms. (Use of desired vehicle for insoluble drugs, insertion of drugs into body cavities and placement of drugs within body tissues like implants).
From drug substance to Pharmaceutical Preparation

- **Active drug substance** (active pharmaceutical ingredient - API)
- **Excipients** (inactive pharmaceutical ingredients)
  - Technological, biopharmaceutical and/or stability reasons
  - Diluents/fillers, binders, lubricants, desintegrants, coatings, preservatives and stabilizers, colorants and flavourings
- **Pharmaceutical dosage form**
  - is a drug delivery system which is formed by technological processing (drug formulation)
  - determines the physical form of the final pharmaceutical preparation
- **Pharmaceutical preparation (PP)**
  - particular pharmaceutical product containing active and inactive pharmaceutical ingredients formulated into the particular dosage form.
  - **Packed and labelled appropriately**
- Two major types of PP according the origin:
  - Manufactured in large scales by pharmaceutical industry (original and generic preparations)
  - Compounded individually in compounding pharmacies
They are classified according to:

**Route of administration**
- Oral
- Topical
- Transdermal
- Parenteral
- Inhalation
- Buccal & sublingual
- Ophthalmic
- Otic
- Rectal
- Vaginal

**Physical form**
- Solid
- Semisolid
- Liquid
- Gases
### TYPES OF DOSAGE FORMS: Physical

<table>
<thead>
<tr>
<th>Solid dosage forms</th>
<th></th>
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</thead>
<tbody>
<tr>
<td><strong>Shaped</strong></td>
<td>Tablets, Capsules, Implants, Transdermal patches</td>
</tr>
<tr>
<td><strong>Unshaped</strong></td>
<td>powders for external/internal use</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Semi-solid dosage forms</th>
<th></th>
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<tbody>
<tr>
<td><strong>Shaped</strong></td>
<td>Suppositories (for rectal administration)</td>
</tr>
<tr>
<td></td>
<td>Pessaries (vaginal suppositories)</td>
</tr>
<tr>
<td><strong>Unshaped</strong></td>
<td>Gels, Creams, Ointments, Pastes</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Liquid dosage forms</th>
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<tbody>
<tr>
<td><strong>Monophasic</strong></td>
<td>Solutions (syrups, spirits, elixirs, Tinctures)</td>
</tr>
<tr>
<td><strong>Biphasic</strong></td>
<td>Emulsions, Suspension</td>
</tr>
</tbody>
</table>

**External solutions:** Lotions, Liniments, Collodions etc

<table>
<thead>
<tr>
<th>Gaseous dosage forms</th>
<th></th>
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<tbody>
<tr>
<td><strong>Medicinal gases</strong></td>
<td>Aerosols: Inhalation/volatile anaesthetics</td>
</tr>
<tr>
<td><strong>Aerodispersions</strong></td>
<td>Antiasthmatics sprays</td>
</tr>
</tbody>
</table>
## TYPES OF DOSAGE FORMS: Route of Administration

| Enteral | Oral | Tablets, Capsules, syrups, suspension, emulsion etc.  
<table>
<thead>
<tr>
<th></th>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Dry Powder Inhaler (DPI) pressurized Metered Dose Inhaler (pMDI) • Nebulizer • Vaporizer</td>
<td></td>
</tr>
<tr>
<td></td>
<td>Sub-lingual Buccal</td>
<td>Orally Disintegrating Tablet (ODT) • Lozenges • Chewing tablets, Mouthwash • Toothpaste • Ointment • Oral spray</td>
</tr>
<tr>
<td></td>
<td>Rectal</td>
<td>Ointment • Suppository • Enema • Nutrient enema</td>
</tr>
</tbody>
</table>

### Parenteral (injections & infusions)

Intravenous • Intramuscular • Intracardiac • Intraosseous • Intraperitoneal • Intracerebral • Intrathecal • Intradermal • Subcutaneous

### Topical

#### Dermal

Ointment • Liniment • Paste • Cream • Lotion • Lip balm • Medicated shampoo • Dermal patch •

#### Mucosal

Ear drops • Eye drops • Nasal spray • Ointment • Hydrogel • Nanosphere suspension • Mucoadhesive microdisc (microsphere tablet), pessaries.

Percutaneous: Transdermal patch etc
<table>
<thead>
<tr>
<th>Oral</th>
<th>Digestive tract (enteral)</th>
<th>Solids</th>
<th>Pill • Tablet • Capsule • Solution • Suspension • Emulsion • Syrup • Elixir • Tincture • Hydrogel</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Liquids</td>
<td>Orally Disintegrating Tablet (ODT) • Lozenges • Chewing tablets</td>
<td></td>
</tr>
<tr>
<td></td>
<td></td>
<td>Liquids</td>
<td>Mouthwash • Toothpaste • Ointment • Oral spray</td>
</tr>
<tr>
<td></td>
<td>Solids</td>
<td>Dry Powder Inhaler (DPI) • Smoking device</td>
<td></td>
</tr>
<tr>
<td>Respiratory tract</td>
<td>Liquids</td>
<td>pressurized Metered Dose Inhaler (pMDI) • Nebulizer • Vaporizer</td>
<td></td>
</tr>
<tr>
<td></td>
<td>Gas</td>
<td>Oxygen mask • Oxygen concentrator • Anaesthetic machine • Relative analgesia machine</td>
<td></td>
</tr>
<tr>
<td>Ocular / Otologic / Nasal</td>
<td>Nasal spray • Ear drops • Eye drops • Ointment • Hydrogel • Nanosphere suspension • Mucoadhesive microdisc (microsphere tablet)</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Urogenital</td>
<td>Ointment • Pessary (vaginal suppository) • Vaginal ring • Vaginal douche • Intrauterine device (IUD) •</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Rectal (enteral)</td>
<td>Ointment • Suppository • Enema (Solution • Hydrogel) • Nutrient enema</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Dermal</td>
<td>Ointment • Liniment • Paste • Film • Hydrogel • Liposomes • Transfersome vesicals • Cream • Lotion • Lip balm • Medicated shampoo • Dermal patch • Transdermal patch • Transdermal spray • Jet injector</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Injection / Infusion (into tissue/blood)</td>
<td>Skin</td>
<td>Intradermal • Subcutaneous • Transdermal implant</td>
<td></td>
</tr>
<tr>
<td></td>
<td>Organs</td>
<td>Intracavernous • Intravitreal • Transscleral</td>
<td></td>
</tr>
<tr>
<td></td>
<td>Central nervous system</td>
<td>Intracerebral • Intrathecal • Epidural</td>
<td></td>
</tr>
<tr>
<td></td>
<td>Circulatory / Musculoskeletal</td>
<td>Intravenous • Intracardiac • Intramuscular • Intraosseous • Intraperitoneal • Nanocell injection</td>
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</tr>
</tbody>
</table>
Drug substances are **seldom administered in their natural or pure state**, but rather as part of a formulation in combination with one or more **non-medicinal agents** that serve varied and specialized pharmaceutical functions.

Through selective use of these **non-medicinal agents**, referred to as **pharmaceutical aids, pharmaceutical ingredients, adjuncts or necessities, pharmaceutical preparations** of various type result.

It is the pharmaceutical adjuncts that serves to **solubilized, suspend, thicken, dilute, emulsify, stabilize, preserve, color, flavor and fashion** the many and varied medicinal agents into effective and appealing pharmaceutical preparations.

The term **“Pharmaceutics”** which is the study that concerns itself with the **physical, chemical and biological factors** which influence the **formulation, manufacture, stability and effectiveness** of pharmaceutical dosage forms.
1. Drug Consideration In Dosage Form Design
   1.1 Characteristics of Drug Substances
   1.2 Drug Stability
   1.3 Determining Drug Formulation Stability
   1.4 Prevention Against Microbial Contamination
   1.5 Appearance and Palatability

2. Therapeutic Considerations In Dosage Form Design
   2.1 Nature of the disease or illness
   2.2 Age of the Patient

3. Biopharmaceutics Considerations
   3.1 Biopharmaceutics
   3.2 Concept of Bioavailability
THANK YOU FOR ATTENTION

GOOD LUCK ..