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Principles of Pharmaceutical Formulations and Dosage Form Design

(a) Need for Dosage Form

Definitions

→ end of its development

Pharmaceutics:

It deals with pharmaceuticals sciences which cover many subject areas that are all associated with the steps to which a drug is subjected towards the end of its development, that is stages that follows;

1. Stages of discovery
2. Stages of synthesis
3. Stages of isolation
4. Stages of purification
5. Stages of quality control testing

Pure drug → for.

In short;

Pharmaceutics deals with the formulation of a pure drug substance into a finished dosage form.

Pharmaceutical Dosage Form:

(Ch: 1 → ingredient + excipients

Pharmaceutical dosage form is a finished drug product which contains one or more active ingredient along with compatible excipients that comprises vehicle and formulation matrix.

Active Pharmaceutical Ingredient (API):

⇒ Pharmacological activity

Any component that is intended to furnish pharmacological activity or other direct effects in diagnosis, cure, mitigation, treatment or prevention of disease or to affect the structure or function of body of man or other animals.

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Excipients:

These are the pharmacologically inactive pharmaceutical ingredients that are added to the active ingredient during the process of formulation development for different purposes depending upon excipient used;e.g

(1) Glidents,disintegrents,lubricants,binders etc.

Need for Dosage Form:

The vast majority of drug substances are administered in milligram quantities,much too small to be weighed on any thing e.g how could the layman accurately obtained the 325mg or 5gm of aspirin found in the common aspirin tablet from abulk syrup of aspirin.

When the dose of drug is minute sa that of ethinyl estradiol (0.5mg) solid dosage form such as taablets and capsules must be prepared with fillers and diluents so that the size of resultant dosage unit is large enough to pick up with the finger tips.

Basic Reasons of Need for Dosage Form:

Different dosage forms are designed for anumber of reasons including;

1. ➢ API related reasons
2. ➢ Excipients related reasons
3. ➢ Dosage form related reasons
4. ➢ Patient related reasons
5. ➢ Disease related reasons.
6. ➢ Others

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API Related reasons:-

(1) a) Difficult Handling:

Quantity of API in adosage form depends upon its potency and efficacy.according to this API may be;

- ➢ Potent
- ➢ Non potent

converted

In case of drugs,where small doses are required like in milligrams,it is difficult to weigh and handle such a minute quantity,so it has to be converted in a finished dosage form by adding some excipients that have compatibility with active pharmaceutical ingredient.

b) Accurate Drug Dosing:

As in case of drugs with narrow therapeutic index, amout of drug is very minute i.e in milligrams that is difficult for a lay person to weigh accurately,so dosage form is necessary.

c) Administration:

API administration can be impractical,unfeasible or not according to therapeutic aims,so different dosage forms are formulated by different designs and for different routs of administration.e.g

1. Oral
2. Parentral
3. Inhalation
4. Rectal
5. Transdermal

So that they are feasible for the patients in administration according to their needs.

d) Chemical Unstability:

In drug products API can give maximum benefits on reducing the exposure to the environmental factors e.g light, temperature,moisture etc or they need to be chemically stabilized due to inherent chemical instability.

e.g coated tablets, sealed ampules.

e) Degradation:

Active pharmaceutical ingredient alone can be degraded at the site of administration before reaching the target site.

e.g Increase or decrease in pH causes degradation so buffering agents are added.

f) Local Irritations:

Some API may cause local irritations or iinjury when they are at high concentrations at the site of administration.

To avoid these irritations specific coating is done.

e.g enteric coating for GI irritations.

g) Improve pK profile:

Administration of an active substance alone would mean to have no chance for the improvement of its pK (pKa,pKb) profile.

h) Improve Taste:

Dosage form is needed to conceal the bitter,salty or offencive taste or order of a drug substance.

e.g capsules,flavoured syrups,coated tablets.

Excipient Related Reasons:

a) Improve Solubility:
b) Improve Stability:
c) Minimize ADRs:

Dosage Form Related:

a) Rout of Administration:

Besides the choice of active drug substance, it is also necessary to make responsible decision regarding dosage form as well as rout of administration.

"Wrong Choice Can Cause Failure of Therapy"

b) Organoleptic Properties:

Appropriate dosage forms are required to improve the organoleptic properties of API and make easy for the patient to intake medicine.

It especially deals with oral dosage forms to mask taste, smell etc of drug.

e.g To mask taste of API sweetners and flavourants are added.

c) Clear Liquid Dosage Forms:

Dosage forms are needed to prepare liquid preparations for the substances that are insoluble or unstable in the desired vehicle.

e.g suspensions, emulsions.

Need of dosage forms is to provide clear liquid dosage forms of substances.

e.g Syrups, solutions.

d) Topical Drug Actions: (4)

Topical preparations are designed to provide optimal drug action from topical administration sites.

e.g Ointments, creams, transdermal patches, ophthalmic preparations, ear/nasal preparations.

e) Drug In Blood Stream:- (5)

This dosage form is used for the placement of drugs directly into blood streams (Intravenous) or body tissues (intramuscular).

e.g This dosage form is required for;

① > Rapid bioavailability
② > Rapid onset
③ > Unconscious patients

f) Drug Action by Inhalation: (6)

This dosage form is provided for optimal drug actionthrough inhalation therapy.

e.g Inhalants, Inhalation aerosols.

g) Insertion of Drug Through Orifices; (7)

Some dosage forms are designed for the insertion of drug into body's orifices.

e.g Suppositories, passeries.

h) Rate Controlled Drug Actions: (8)

To provide desired rate to release drug from drug product, rate controlled dosage forms are introduced.

e.g Controlled released; sustained release, targeted release etc.

Patient Related Reasons:

a) Compliance:

It is the most common problem due to which patient misses doses during therapy,to resolve it repeated action dosage forms are prepared.

b) Counselling:

Patient councelling and proper education should be provided about therapy.

c) Age:

The age of patient also plays an important role. For infants and children, under five years of age , pharmaceutical liquids rather than solid dosage form are prepared for oral administration as syrups or suspensions.

Disease Related Reasons:

a) Unconciousness:

In emergency situations or when patient is unable to take oral medication, parenterals may also be prepared.

b) Targeted Drugs:

c) Emergency Drugs:

d) Nausea, Vomiting:

e) Severity of Disease:

Other Reasons:

a) Bioavailability: ①
b) Optimum ADME: ② Absorption, distribution, metabolism, elimination
c) Site of Action: ③

Examples of Drugs With Low Doses:

Drug	Dose (mg)	Category
Cimetidine	300	Antiulcer
Amoxicillin	250	Antibacterial
Diphenhydramine HCl	25	Antihistamine

① Digoxin 0.25 mg Cardiotonic
② Misoprostol 0.10 mg Antiulcerative