CHAPTER-I

DRUG CHARACTERIZATION & DOSAGE FORMS

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DRUG CHARACTERIZATION:

Pre-formulation studies will attempt to characterize the drug in a number of respects.

DOSAGE FORM DESIGN:

At some stage, a decision needs to be made about the dosage form(s) for the delivery of the drug (e.g. a tablet, a capsule or an injection). The factors that determine which dosage form(s) is (are) to be used are many and involve marketing considerations apart from scientific considerations.

TYPES OF DOSAGE FORMS:

Now days there are many different dosage forms, including the three examples given above, and they all have their relative advantages and disadvantages

Drug Characteristics

Spectroscopy	To produce a simple method for analyzing the drug.
Solubility	For identifying the best salt to develop and for producing liquid dosage forms
Melting point	Which reflects, for example, crystalline solubility
Assay development	Necessary for drug stability studies and perhaps employing thin layer- or high pressure liquid chromatography
Stability	In solution and in the solid state
Microscopy	To determine crystal morphology and particle size
Powder flow & compression properties	Necessary data for capsule & tablet formulation
Excipient compatibility	To ensure that dosage forms perform correctly

TABLE: DRUG DOSAGE FORMS:

Dosage form	comments
Tablets & capsules	Convenient and commonest dosage forms but likely to be no good if the drug cannot be absorbed in the alimentary tract or if the patient(eg. A child) cannot swallow them.
Injections & infusion	Rapid action but impractical for treating chronic (long term) illnesses.
Pessaries & suppositories	Can deliver the drug to local area where required but have limited general use.
Solution,Suspensions &Elixiris	Useful for children and the elderly but are bulky and less useful if the drug is unpalatable or unstable in the presence of water.
Ointments, Creams, & paints	Use is restricted to topical application.
Aesosols & Dry Powder inhalations	Good for drugs required in the but can be difficult to administer the dose correctly.
Transdermal patches	Conveninent if the dose need to be released over a long period (eg.hormone replacement therapy) but can cause irritation.

Therapeutic considerations play an important role in deciding the dosage form to formulate. Here are a few examples:

- A tablet is not suitable dosage form if the drug cannot be absorbed in the alimentary tract- unless, of course, it is required to treat an ailment in the tract itself (such as a gut infection). Instead of a tablet, an injection might be a suitable alternative.
- Even if the drug can be absorbed in the alimentary tract (say in the intestine), a simple tablet will still be unsatisfactory if the drug is destroyed in the stomach acid. In such a case the tablet might be enteric coated to prevent drug destruction whilst the tablet is passing through the stomach. By the time the tablet reaches the intestine the coating has dissolved liberating the drug for absorption through the intestinal wall.

- Drugs which need to act immediately (eg. Bronchodilator drugs for treating asthmatic attacks where the airways suddenly become so constricted that the patient has difficulty in breathing) are best delivered by inhalation directly to the lungs where they can rapidly dilate the airways, rather than being swallowed in a tablet with the consequently delay in action whilst the drug is absorbed and delivered to the lungs.

BIOPHARMACEUTICS:

To be effective, a drug must reach in desired concentration to the part of the body where it is required to act and, ideally, must be maintained at concentration for the appropriate period of time.

This goal is influenced by the key interactions which takes place between the drug and the body after the drug has been administered. These are:

- Absorption (the way the drug enters the body and reaches the bloodstream).
- Distribution (where the drug goes in the body after it has been absorbed).
- Metabolism (how it is changed by the body- e.g. in the liver).
- Elimination (the route by which it, or its metabolites, leave the body- e.g. in the urine via the kidney).

These processes are referred to as ADME in short.

The study of the pharmaceutical factors which affect the fate of the drug after administration is called biopharmaceutics and these factors will need to be evaluated in the development of a new drug.

GETTING THE DRUG IN:

Assuming that the drug is required to act systemically (ie, reach its target via the systemic circulation), the most effective route of administration would be intravenously(iv).the iv route circumvents absorption factors and first pass liver metabolism and results in immediate and optimal plasma concentrations.

However, from the patient's point of view, this is not the most convinent route for administering the drug.the commonest and most convinent route is the oral route which usually relies on the drug being absorbed primarily from the small intestine and to a lesser extent from the stomach.factors which influence this absorption include :

- The lipophilicity of the drug and the extent of its ionization.
- Complexation with indigested food (ampiclline and amoxicilline or both penicillines which can be given by the oral route , but the disadvantage of ampicilline is that it is not well absorbed from the gut and its absorption is affected by food, amoxicilline doesn't have these faults).

- The rate of drug release from tablet or capsule formlations (these dosage forms can be constricted in such a way that, if required , dissolution of drug from them can occur at a controlled rate rather than all at once).
- The amount of the administered dose of a drug which reaches the systemic circulation and the rate at which this occurs are refered to acids bioavailability.

Thank You