

Depot effect

Introduction

- Parenteral route: most effective
- To achieve constant drug level in the systemic circulation, two strategies can be employed:
 - 1) To control the rate of absorption of a drug.
 - 2) To control the rate of excretion i. e. by modifying physiology of body.

Depot: Long acting parenteral drug formulation is designed, ideally to provide slow, constant, sustained, prolonged action.

The release can either be continuous or pulsatile depending on the structure of the device and the polymer characteristics.

Desirable characteristics of an ideal Parenteral drug carrier

- Versatile
- High capacity to carry a sufficient quantity of drug
- Uniform distribution
- Restricting drug activity at the target site over a prolonged period.
- Protecting drug from inactivation by plasma enzymes.
- Biocompatible and minimally antigenic.
- Undergoing biologic degradation with minimal

Parenteral depot system:

Properties:

Safe from accidental release

Simple to administer and remove

Inert and Biocompatible

Comfortable for the patient

Capable of achieving high drug loading

Easy to fabricate and sterilize

Free of leachable impurities

Development of depots:

- Long acting antibiotic preparations:
aqueous solubility of penicillin is reduced by converting to penicillin G procaine (aq. Solubility 4mg/ml) e.g. Duracillin (Lilly)
- Long acting insulin preparations:
Plasma $t^{1/2}$ of insulin = 40 min
insulin-protamine complex has isoelectric point at pH 7.3 and therefore it is insoluble in body fluid which shows sustained release upto 24 hrs, stability issues arises but solved by adding zinc chloride.

Polymers used.....

Generally, Biodegradable polymers are used as it get degraded in the body.

• **Natural**- albumin, starch, dextran, gelatin, fibrinogen, hemoglobin.

• **Synthetic**- poly ethyl-polyalkyl cyanoacrylates, poly amides, poly acryl amides, poly amino acid, poly urethane.

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