

# Pharmacokinetics:

## Kinetics of Drug Elimination (Part-2)

VPT: Unit I; Lecture-17  
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## METHODS OF PROLONGATION OF DRUG ACTION

(i) By prolonging absorption from site of action:

1. Oral : Sustained release tablets/  
boluses, drug particles coated  
with resins.
2. Parenteral : Depot injections for s.c. or  
i.m. routes, inclusion of  
vasoconstrictor with the drug  
(e.g. adrenaline with local  
anaesthetic).

## **METHODS OF PROLONGATION OF DRUG ACTION** contd...

(ii) By increasing plasma protein binding:

Use of congeners which are **highly bound to plasma protein.**

**e.g. Sulfadoxine.**

## METHODS OF PROLONGATION OF DRUG ACTION contd...

(iii) By retarding rate of metabolism:

- ✓ By small chemical alteration in the molecule without affecting its biological value, but metabolism is markedly affected.

*e.g.* Addition of ethinyl group to Estradiol makes it longer acting and suitable for use as oral contraceptive.

- ✓ Inhibition of specific enzymes by one drug can prolong the action of another.

*e.g.* Physostigmine prolongs the action of acetylcholine.

## **METHODS OF PROLONGATION OF DRUG ACTION** contd...

(iv) By retarding renal excretion:

- ✓ The tubular secretion of drug is an active process which can be suppressed by competing substances.

*e.g.* Probenecid prolongs duration of action of penicillins.

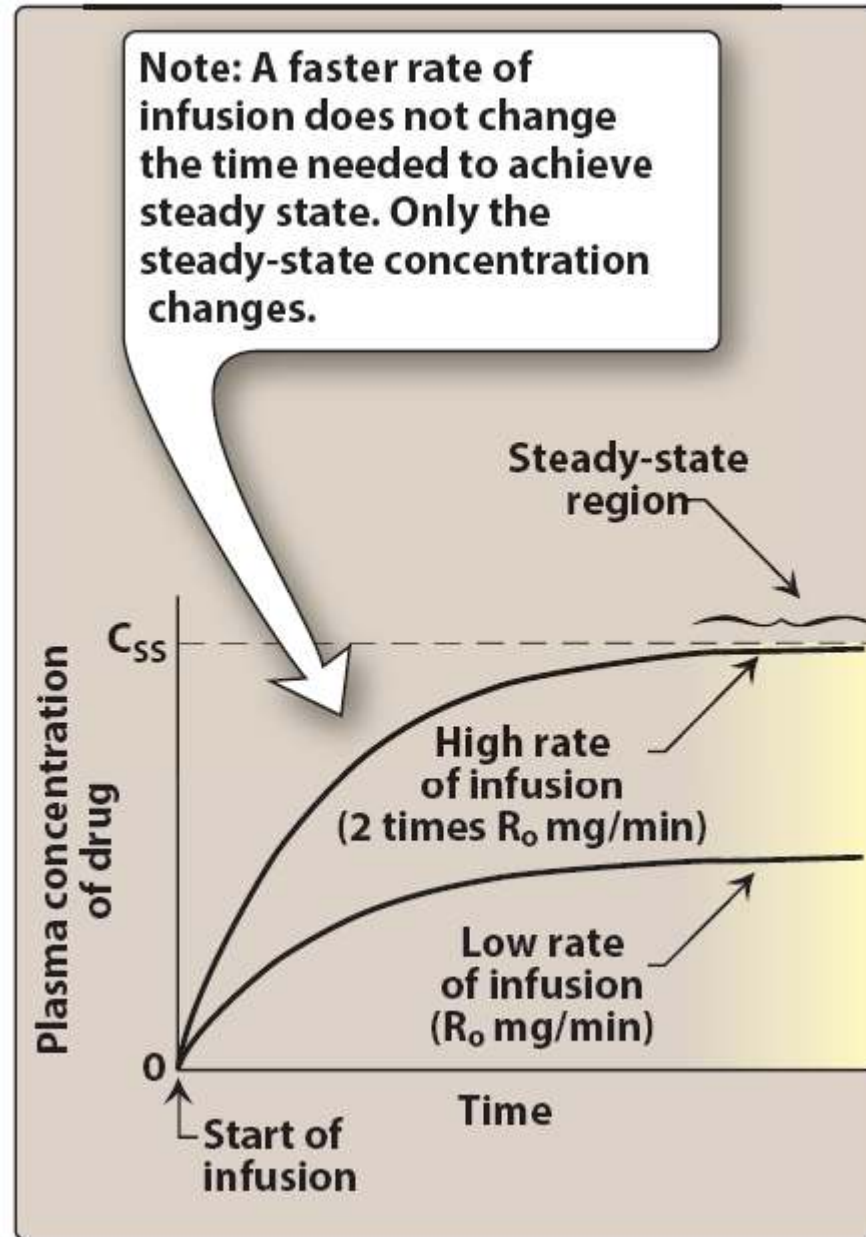
# Design & Optimization of Dosage Regimen

**Figure:**

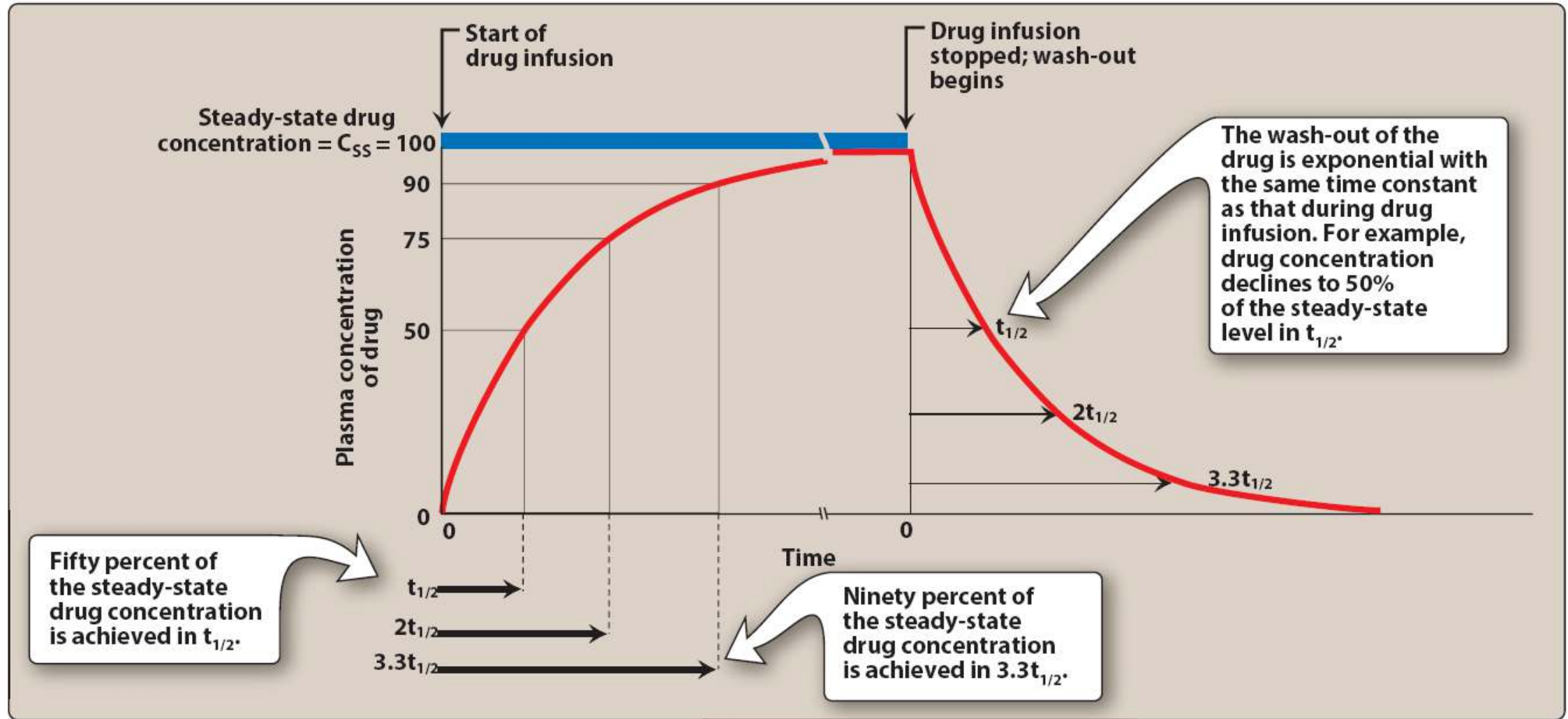
Effect of infusion rate on the steady state concentration of drug in the plasma.

$R_o$  = rate of drug infusion;

$C_{ss}$  = steady-state concentration.



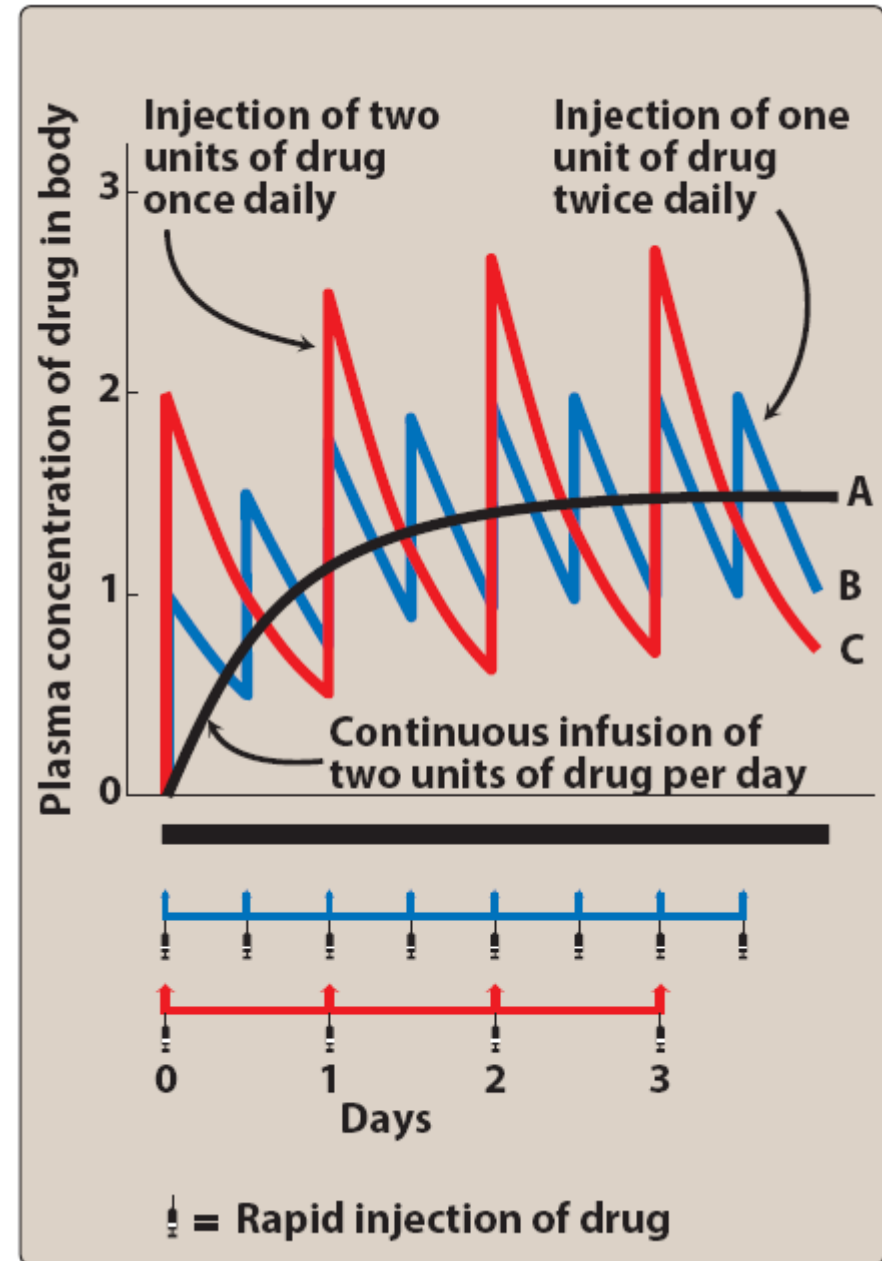
**Figure :** Rate of attainment of steady-state concentration of a drug in the plasma after I.V. infusion.



Source: Lippincott's Pharmacology (7<sup>th</sup> Edn.)

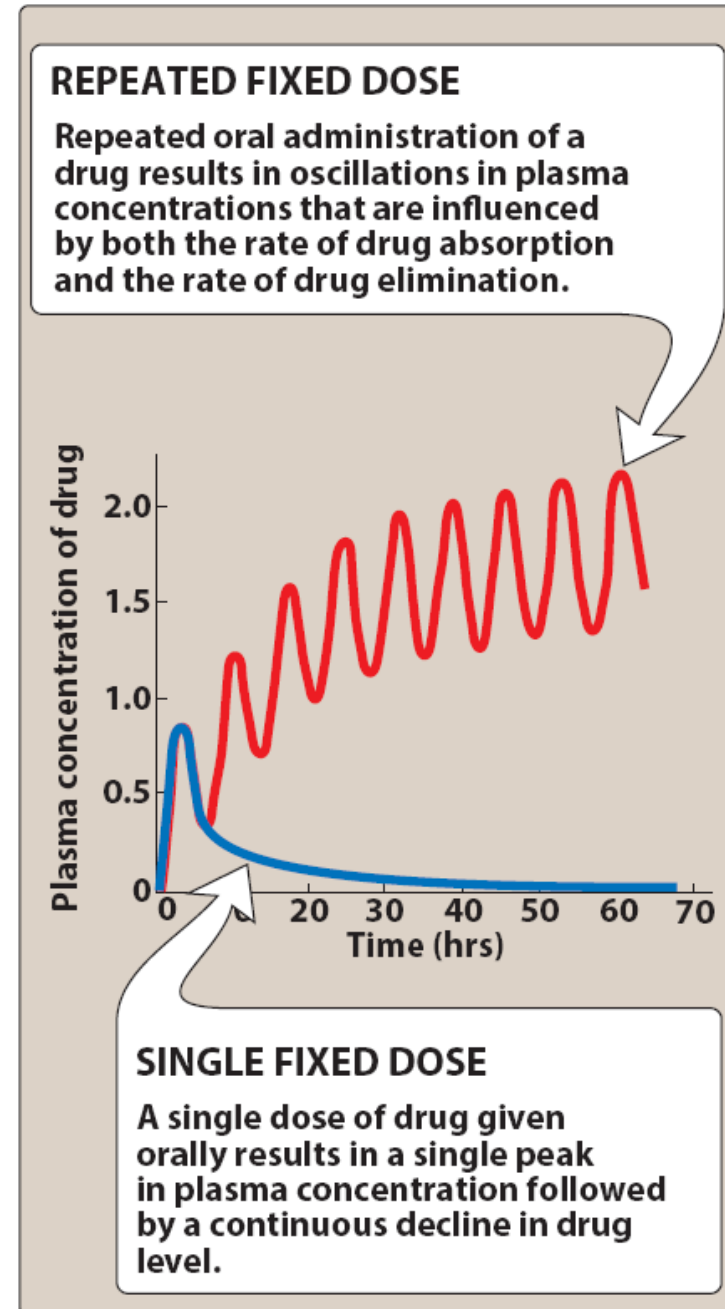


**Figure :**  
Predicted plasma concentrations of a drug given by infusion (A), twice-daily injection (B), or once-daily injection (C). Model assumes rapid mixing in a single body compartment and a half-life of 12 hours.



Source: Lippincott's Pharmacology (7<sup>th</sup> Edn.)

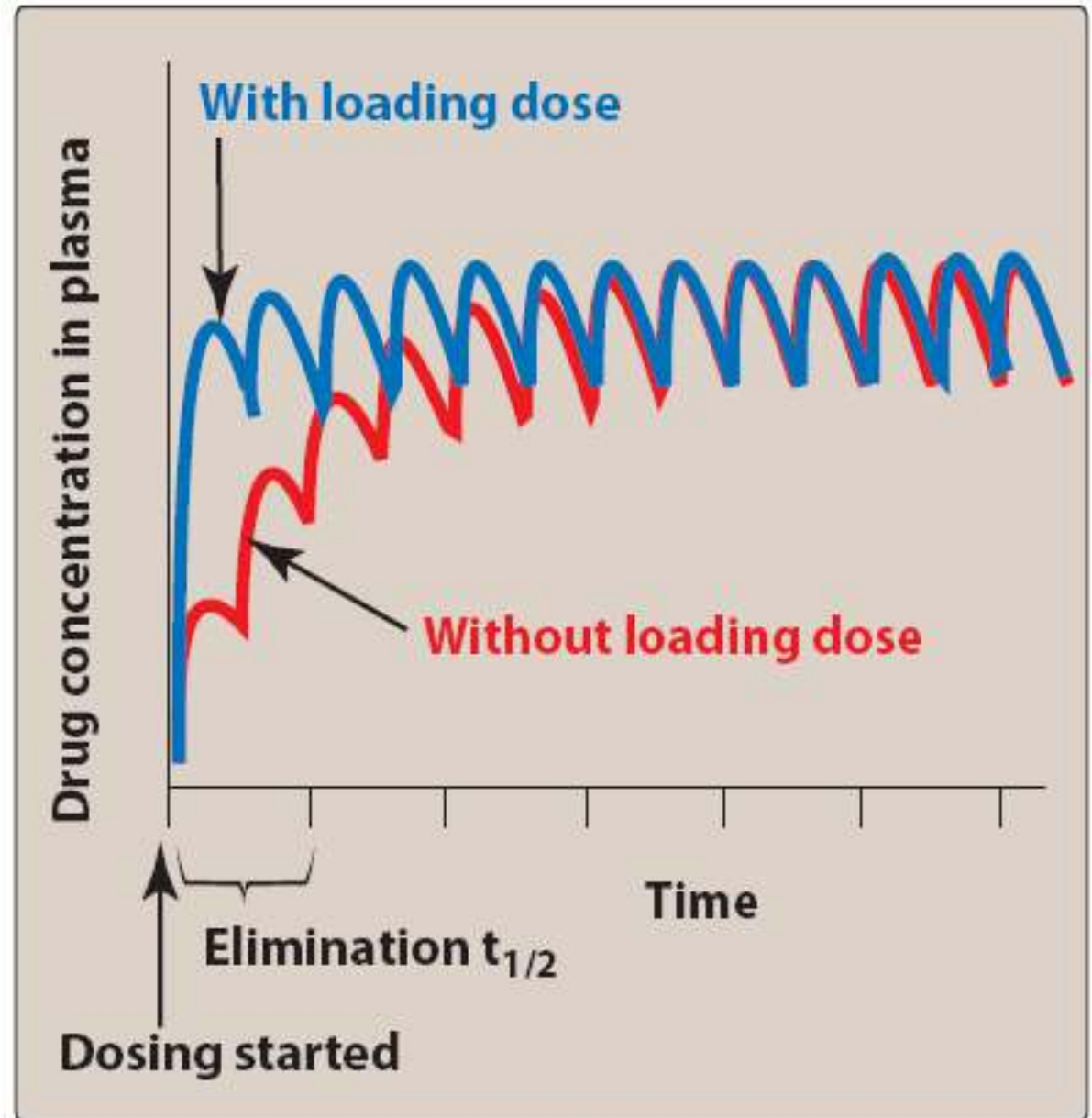
**Figure :**  
Predicted plasma concentrations  
of a drug given by repeated oral  
administrations.



Source: Lippincott's Pharmacology (7<sup>th</sup> Edn.)

**Figure :**

Accumulation of drug administered orally without a loading dose and with a single oral loading dose administered at  $t = 0$ .





**Thank You**

