DOSAGE FORM DESIGN

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Parameters for assessment and comparison of bioavailability:

- Following oral administration of single doses of two formulations of the same drug:
- The peak height concentration (**Cmax**)
- The time to peak concentration (**Tmax**)
- The **area under the blood** (or serum or plasma) concentration time curve (**AUC**)
- **Cmax observed in blood following a dose of the drug, indicating a slope of zero, meaning the rates of absorption and elimination are equal**.

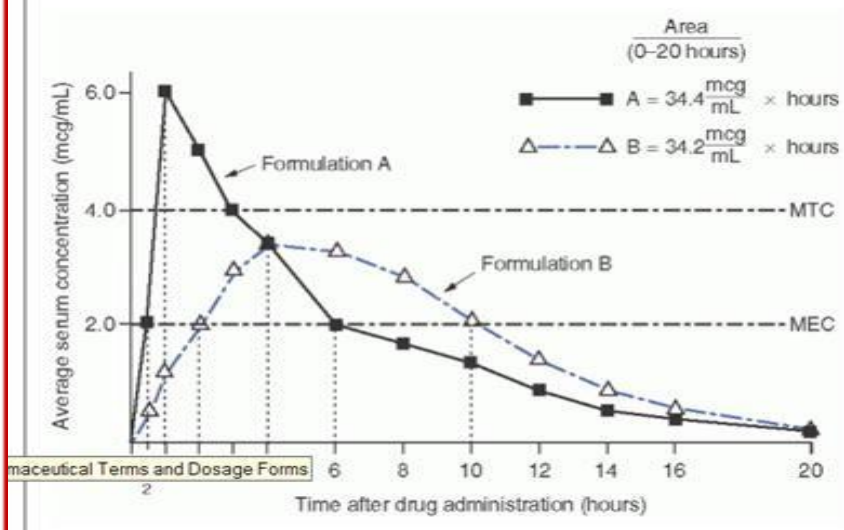

FIGURE 5.7 Serum concentration-time curve showing peak height concentrations, peak height times, times to reach MEC and areas under the curves for equal amounts of drug from two different formulations following oral administration. MEC, minimum effective concentration; MTC, minimum toxic concentration. (Courtesy of D. I. Chodos and A. R. Disanto, Upjohn.)

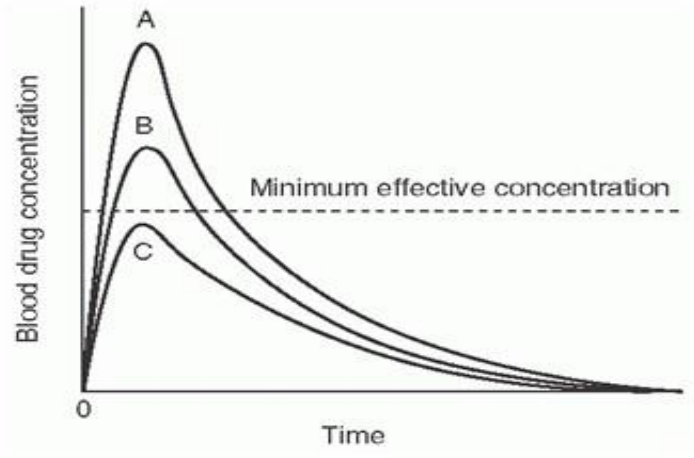

FIGURE 5.8 The influence of dose size on the blood drug concentration-time curves when three different doses of the same drug are administered and the rates of drug absorption and elimination are equal after the three doses. A, 100 mg; B, 80 mg; C, 50 mg. (Adapted with permission from Ueda CT. Concepts in Clinical Pharmacology: Essentials of Bioavailability and Bioequivalence. Upjohn, 1979.)

Note: When the rate of absorption is decreased, the Cmax is lowered and Tmax occurs at a later time.

Area under the serum concentration time curve:

The **AUC** of (**concentration-time curve**) represent **total amount of drug absorbed following administration of a single dose of that drug.**

- If **similar doses of drug in different formulas** produce **different AUC values**, differences exist in **extent of absorption between formulations.**
- In general, the smaller AUC, the lesser drug absorbed.
- **F:** bioavailability of orally administered drug calculated by comparison of AUC after oral administration with that obtained after intravenous administration:
- **F = (AUC)oral/(AUC)IV×DOSE IV/DOSE oral**