# 09 - D. Bioavailability

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© SPMM Course D. Bioavailability: Bioavailability refers to how much of an administered drug reaches its target. It is the extent to which the drug reaches the systemic circulation when taken by a patient orally or parenterally, compared with the same quantity of drug given intravenously. In other words, it is the fraction that circumvents the first pass effect and actually reaches the systemic circulation. Plotting plasma concentration against time, for a given dose, provides oral bioavailability. The area under the curve (AUC) after a single dose is proportional to the amount of drug in plasma and allows determination of the fraction of the dose absorbed-the bioavailability. The area under the curve obtained for orally administered drug divided by the area under the curve obtained for intravenous administration of the same dose gives the bioavailability fraction. It is determined by three factors:

1. Absorption
2. Distribution
3. Elimination (metabolism and or excretion). When a drug is administered intravenously, the availability of the drug is 100%. In other words, the amount of drug that enters systemic circulation following IV administration is 100%. This is not the case with extravascular or non-parenteral administrations such as oral, per rectal, inhalational, intramuscular or subcutaneous routes. The reduction in amount reaching circulation is related to the degree of absorption and the effect of ‘first-pass’ metabolism, also called presystemic metabolism. This metabolism is prominent in the gut mucosa, liver and to some extent in the muscle tissue. This explains why higher doses are generally needed orally as compared to intramuscularly. Certain examples of drugs that can undergo a high degree of firstpass metabolism include imipramine (only 30-80% of the oral dose enters systemic circulation) and fluphenazine (only 10% of oral dose enters systemic circulation). Hepatic impairment can reduce first pass metabolism, requiring adjustment of dosages of drugs that are metabolized by the liver. Bioequivalence: It is a measure of comparability of plasma levels of two different formulations of the same active compound when given at same dose and the same route of administration. Two products are said to be bioequivalent when the graphical trace of their plasma level plot against time are superimposable. For this to happen, the two compounds must have the same bioavailability and rate of absorption. Bioequivalence is an important feature to be considered when changing from one brand to another brand of the same compound e.g. camcolit vs. priadel

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