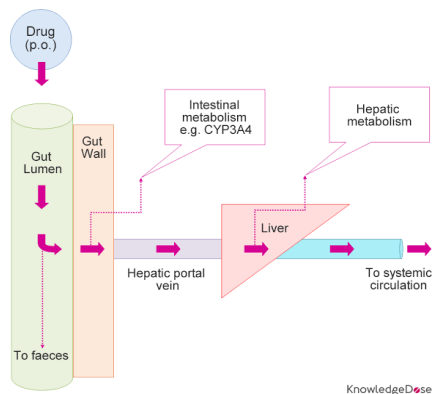


FIRST PASS METABOLISM

- First-pass metabolism (or first-pass effect) is the phenomenon in which the concentration of the drug is reduced after absorption but before it reaches the systemic circulation, thereby lowering bioavailability.
- After absorption, the portal blood carries the drug to the liver. The drug may undergo metabolism in the liver (or even in the portal blood or gut wall itself); in addition, the drug can be excreted into the bile.
- Although preferring intravenous over oral administration may seem to be the solution for bypassing the first-pass effect, the oral route has numerous advantages in the form of being non-invasive, cheaper, easy to administer, etc. Alternative routes such as transdermal and sublingual can also considerably reduce the first-pass effect, while avoiding the risks of intravenous administration, as the drug reaches the systemic (and not portal) veins. Suppositories and the inhalational route also avoid the first-pass effect (rectal route of administration).
- Some drugs, such as glyceryl trinitrate and lignocaine, are almost completely metabolized by the first-pass effect, and therefore cannot be administered orally. These drugs are given by sublingual (drug placed under the tongue) or buccal route (drug placed between the cheek and gum).
- Other drugs that undergo considerable first-pass metabolism include the following: alprenolol, amitriptyline, dihydroergotamine, 5-fluorouracil, hydralazine, metoprolol, nifedipine, etc. For some of these drugs, the poor bioavailability can be overcome by administering large oral doses.



DRUGS WITH HIGH FIRST PASS METABOLISM

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MNEMONIC : NIL By Mouth Till V Say

Nitrates

Imipramine

Lignocaine

Betablockers

Morphine

Testosterone

Verapamil

Salbutamol

