Conversion from inactive prodrug to active drug

enalaprin to enalaprinat

prednisone to prednisolone

Conversion from active to inactive form

codeine to morphine

Conversion of drug to toxic metabolites

halothane & paracetamol

conjugated with glutathione

Types of bio transformation reactions :

Phase 1 (functionalization) :

Most important reaction is oxidation by P450

Metabolizing enzymes :

(microsomed)

1. Cytochrome 450 for oxidation
2. Glucorouyl transferases for conjunction

Non microsomal enzymes :

- Dehydrogenase
- Esterase (plasma)
- Xanthine oxidases (cytoplasm)

Inducing drugs :

1. Phenytoin
2. Phenbarbitone
3. Carbamazepine
4. Nicotine
5. Rifampicine

Rifampicin (enzyme inducer)
inhases metabolism of warfarin and progesterone (may lead to failure of contraception)

Increasing metabolism of phenobarbitone leads to tolerence and may use to enhance elimination of bilirubin in physiological jaundice

Auteipileptics ادوية مضادة للصرع ⟶ increasing metabolism ⟶ Lose efficacy gradually

Prolonged use of enzyme inducersmay cause rickets and osteomalasia

⟶ Due to increasing metabolism of vitamin d

Rickets : weakness of bone in children
osteomalasia : weakness of bone in adults

Enzyme induction is REVERSIBLE

enzyme inhibitors:

- erythromycin
- climeticle
- ciproflexacin
- contraceptive pills
- allopurinol
- Na+ Valproate

Drug metabolism ⟶ Liver (mainly)

drug excretion ⟶ Kidney

Excretion of drugs :

1. **Glomerular filtration**

drug medecules less in size than glomerular pores, filters into bowman's capsule

2. **PCT** (energy-dependent active transport system). الاختصار مهم

Active secretion :

- acid carrier percillin / probenecid/ salicylic acid
- base carrier amphitamine / quinine

3. **DCT**

- alkalization of urine (by NAHCO3)
- acidification of urine (ascorbic acid "vit C")

(or by ammonium)

التعاريف مهمة