

# LAB 1: DRUG METABOLISM (part1)

## Objectives

To understand the following basic principles with regard to drug metabolism:

- where drug metabolism occurs
- why drug metabolism is important
- the effects of metabolism on drug excretion
- some of the major routes of drug metabolism including the principles of phase 1 and 2 metabolism
- the variety of metabolic pathways for drugs

Open the “**DRUG METABOLISM**” programme and proceed through pages 1-10 of the introduction, taking notes according to the following outline:

### 1/ Programme outline

### 2/ Where does drug metabolism mainly occur?

Site within the body.....

Site within the cell.....

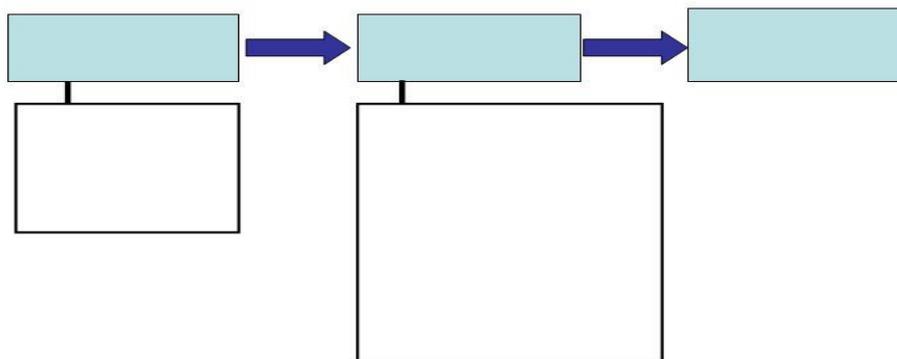
### 3/ Why does drug metabolism occur?

(what is the significance of lipophilicity?)

### 4/ Conversion of lipophilic compounds into more soluble forms

### 5/ Phase I and II metabolism:

Complete the diagram below



## 6/ - 9/ ANSWER QUIZ QUESTIONS

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### 10/ Open PHASE 1 METABOLISM and proceed through pages 1-8, omitting page 7.

Note:

- ◆ it is **not necessary to examine the cofactors** involved
- ◆ further information of any of the drugs mentioned in this program may be found by clicking on the **glossary** at the bottom of the screen.

#### 1/ Introduction

#### 2/ CYTOCHROME P450 enzymes (and others)

List enzyme

examples.....

.....

#### 3/ Phase I reactions (oxidation, hydrolysis, reduction, hydration, other oxidative reactions)

Write notes on the following examples. Include example of enzymes and details of localization, but you do not have to draw the chemical structures and sites of metabolism:

##### CYTOCHROME P450-DEPENDENT OXIDATION

*i) aromatic hydroxylation (lignocaine)*

*ii) aliphatic hydroxylation (pentobarbitone)*

*iii) dealkylation*

N-demethylation (diazepam)

O-demethylation (codeine)

*iv) oxidative deamination (amphetamine) [Disregard the Quiz]*

##### REDUCTION

*i) azo-reduction (prontosil red)*

HYDROLYSIS

*i) ester hydrolysis (procaine)*

**4/ Summary of phase I reactions:**

NOTES

QU. What are the groups targeted by phase-I reactions?.....

.....

**5/ Other important phase-I enzymes**

**6/- 8/ Short MCQ test**

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