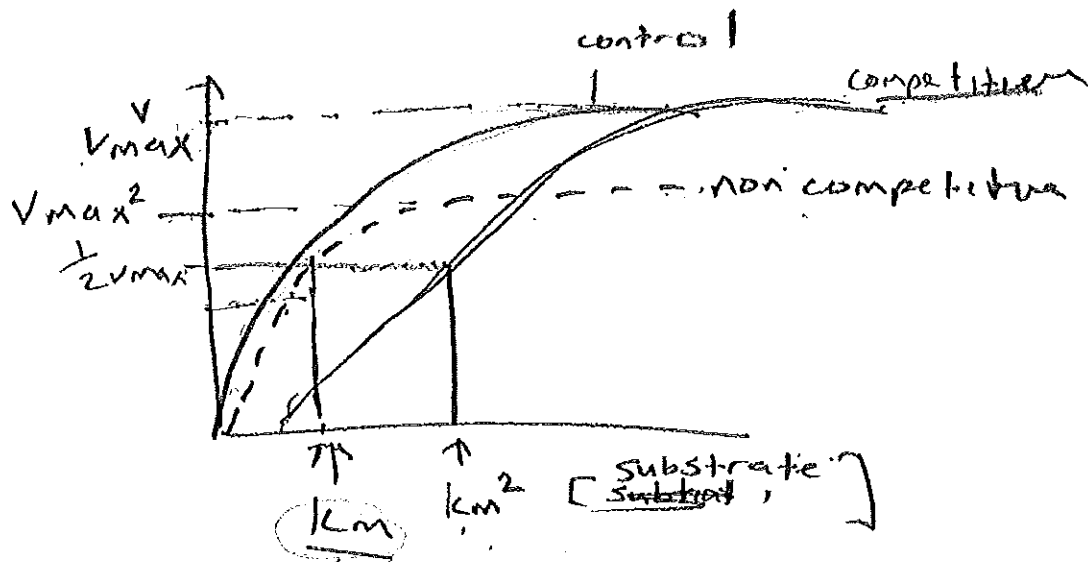
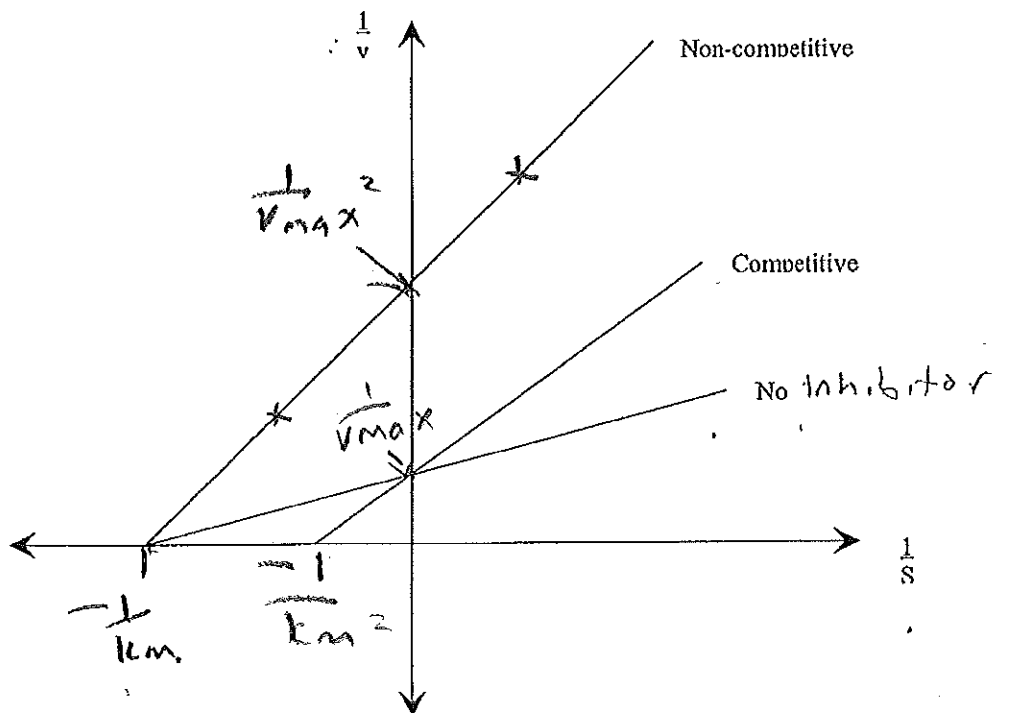
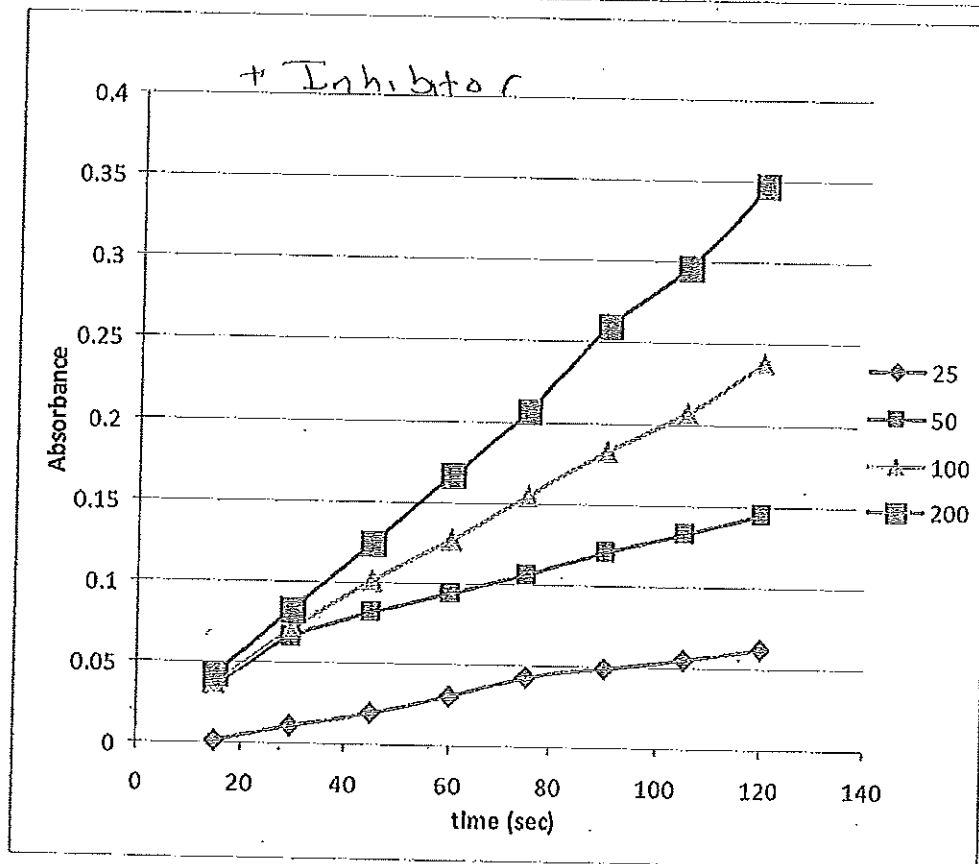
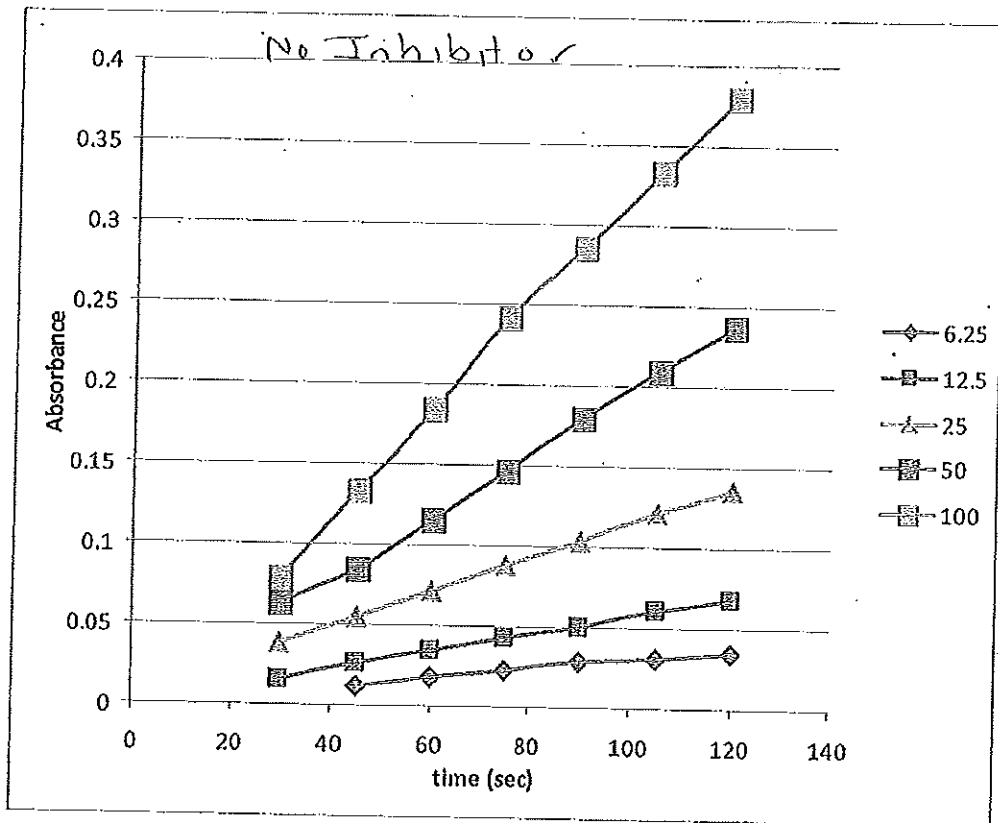


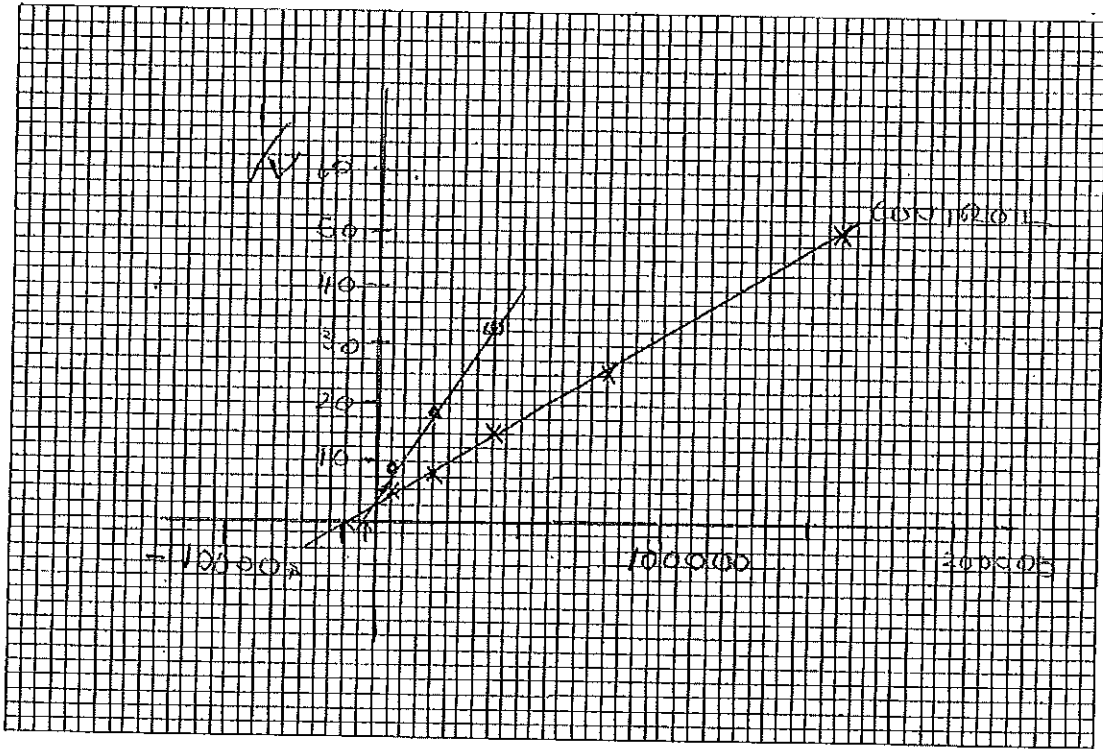
SESSION 3 – DRUGS THAT BIND ENZYMES: CHOLINESTERASES



- competition inhibitor
- Same V_{max} different K_m value
- non competitive inhibitor
- reduced V_{max} same K_m value

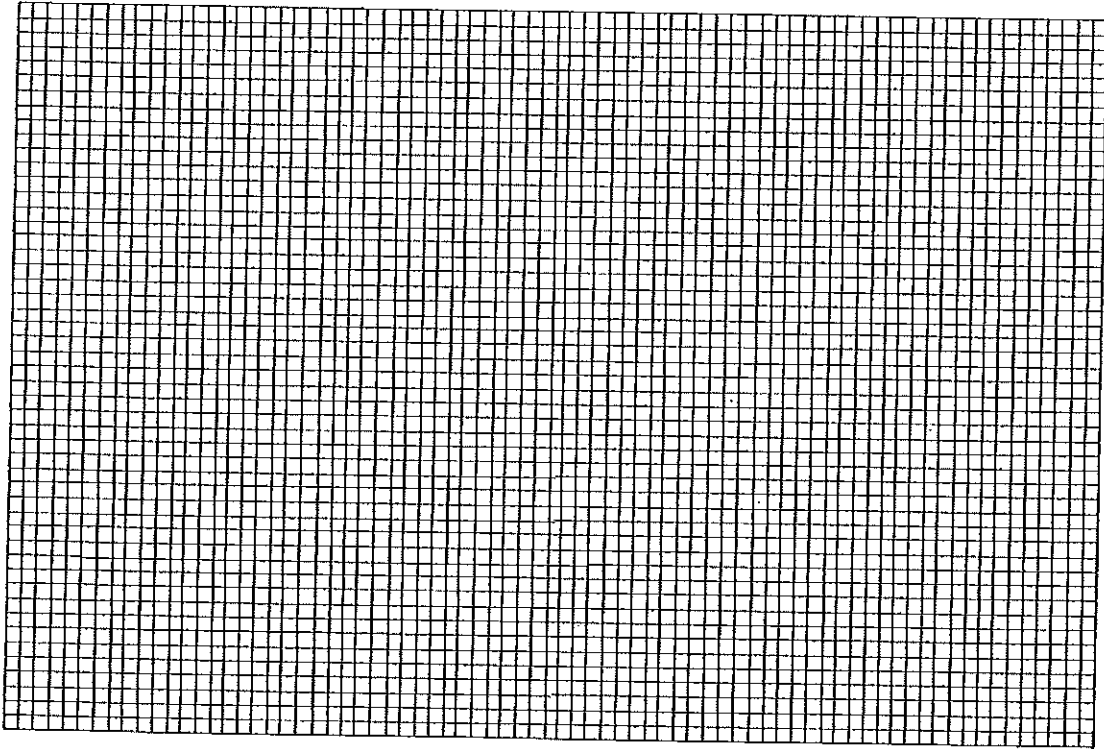






$\frac{1}{[S]}$

Control $\frac{1}{km} = -2000 = 0.5 \text{ mM}$.
 +edroplonium $\frac{1}{km} = -500 = 2 \text{ mM}$.



Questions

1. What is the K_m value for acetylthiocholine?

$\approx 0.5 \text{ mM}$

2. What is the K_m value for acetylthiocholine in the presence of edrophonium?

$\approx 2 \text{ mM}$

3. Is edrophonium a competitive or non-competitive inhibitor of cholinesterase?

Competitive

4. Which of the following cholinergic drugs would be hydrolysed by acetylcholinesterases?

Carbachol X

Acetylcholine ✓

Methacholine ✓

Pilocarpine X

5. What conditions can significantly reduce the actions cholinesterases?

- organophosphates
- underperforming liver
 - elderly - age related damage
 - infants

6. What clinical symptoms would you expect to observe in a person with organophosphate poisoning?

↑ Ach.

↑ cognition

- ↑ pupil constriction
- ↑ lacrymation / salivation
- ↑ bronchoconstriction
- ↓ HR
- contraction of intestine / bladder
- tremors

Skeletal muscle
paralysis