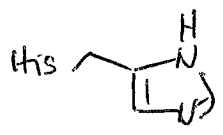
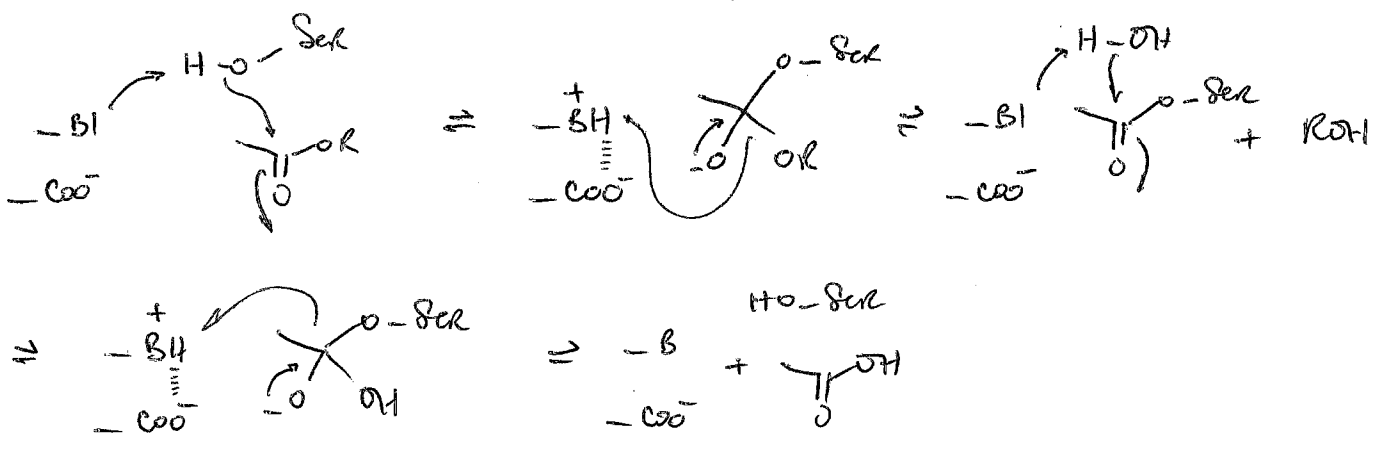


CINETIQUE / INHIBITEURS

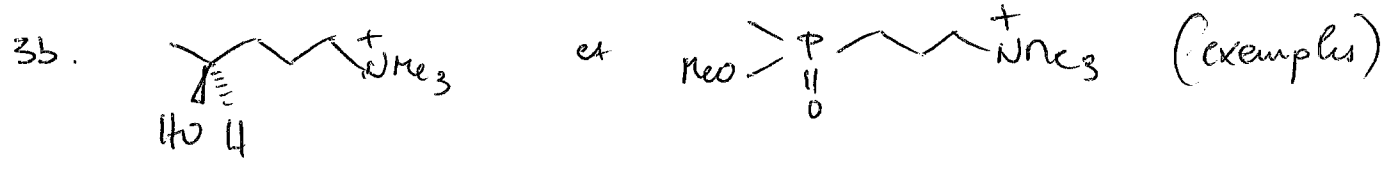
1. AChE. His   $\equiv$  -BI  $R = -CH_2CH_2N^+Me_3$



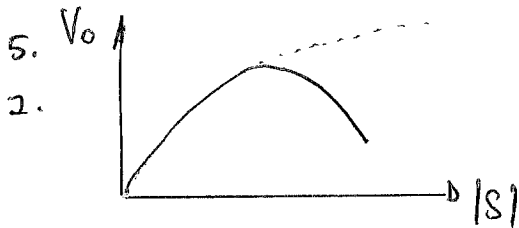
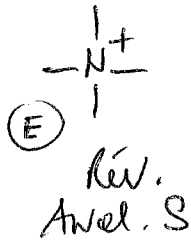
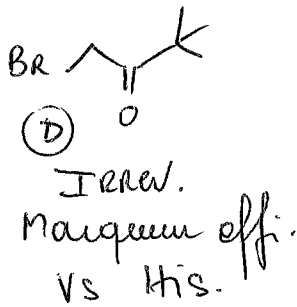
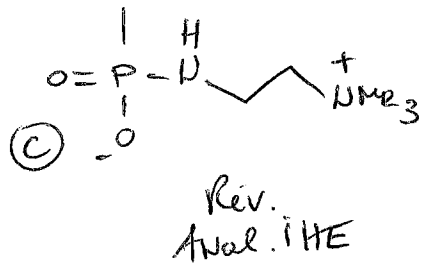
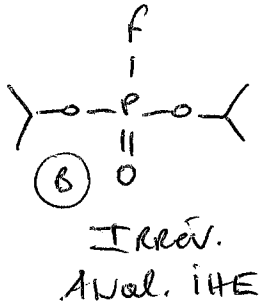
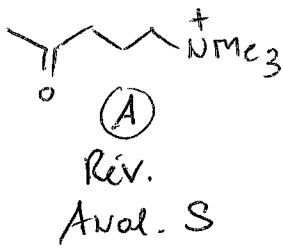
2.  $F-P(=O)(OR')$  : I analogue d'ITE et irréversible  $\Rightarrow$  modification irréversible de la sérine du site actif, et donc de l'AChE  $\Rightarrow$  paralysie respiratoire.

32. I anal. de S vs I anal. iHE (ET)
- mime de S
  - facile à concevoir
  - exploite fixation S ( $K_M$ )
  - $K_M/K_i$  faible
  - mime de l'iHE/ET
  - difficile à concevoir
  - exploite fixation S ( $K_M$ ) +  $ES \rightleftharpoons ES^{\ddagger}$  (Rcat)
  - $K_M/K_i$  grand.

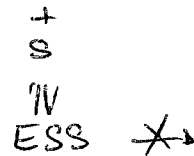
Cf. cours.



4. 2.b.c.



6. Inhibition par excès de S est un cas particulier de l'inhibition incompétitive où I=S :



6.  $|I| = 0,06 \mu\text{M}$   
 $v = 0,89808 + 0,1193x$  (sans I)

6.1.  $\frac{1}{v_{max}} = 0,89808 \mu\text{M}^{-1} \cdot \text{min} \Rightarrow v_{max} = 1,1135 \mu\text{M} \cdot \text{min}^{-1}$   
 $K_m / v_{max} = 0,1193 \frac{\mu\text{M}^{-1} \cdot \text{min}}{\text{min}^{-1}} \Rightarrow K_m = 0,1193 \times 1,1135 \text{ mM} = 0,132 \text{ mM}$

6.2.  $m = 1 \text{ ng}$   
 $n = 55000$   
 $v_{catal} = 1 \text{ mL}$

$$|E|_T = \frac{10^{-9}}{55000 \times 10^{-3}} \quad v_{max} = k_{cat} |E|_T$$

$$k_{cat} = \frac{1,1135 \times 10^{-6} \times 55000 \times 10^{-3}}{10^{-9}} = 61242 \text{ min}^{-1} = 1021 \text{ s}^{-1}$$

$\tau = 1/k_{cat} = 0,98 \text{ ms}$

6.3. I non-compétitif pur  $\Rightarrow K_m^{app} = cte.$

$v_{max}^{app}$  diminue

$$\frac{1}{v_0} = \frac{\alpha}{v_{max}} + \frac{\alpha K_m}{v_{max}} \cdot \frac{1}{[S]} \quad (\alpha = 1 + \frac{|I|}{K_i})$$

6.4.  $\frac{\text{pente } i}{\text{pente}} = \alpha = 1 + \frac{|I|}{K_i} = \frac{0,25782}{0,1193} \Rightarrow \frac{|I|}{K_i} = 1,16$   
 $\Rightarrow K_i = \frac{0,06 \mu\text{M}}{1,16} = 0,052 \mu\text{M}$