

# [Pharmacology practical](https://assignbuster.com/pharmacology-practical/)

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PANCREATIC ASSAY INHIBITION ASSAY ID Pharmacology Practical 09 December Pancreatic Lipase Inhibition Assay   
Results   
Table 1: Absorbance values and final Orlistat concentration after incubation   
Tube no.   
Final conc. Orlistat   
(µg/mL)   
Absorbance at 410 nm (A1)   
Absorbance at 500 nm (A2)   
Absorbance   
A1 – A2 = A3   
1   
100   
0   
0   
0   
2   
80   
0. 098   
0. 010   
0. 088   
3   
60   
0. 078   
0. 008   
0. 007   
4   
40   
0. 121   
0. 061   
0. 006   
5   
20   
0. 104   
0. 031   
0. 073   
6   
10   
0. 123   
0. 019   
0. 104   
7   
5   
0. 190   
0. 110   
0. 08   
8   
1   
0. 123   
0. 040   
0. 083   
9   
0. 5   
0. 156   
0. 060   
0. 096   
10   
0   
0. 361   
0. 188   
0. 173   
Figure 1: Plot of absorbance values and final Orlistat concentration after incubation   
Estimating IC50 value   
One of the data points in the series was clicked to add a trendline and equation for the trend. The equation y=-0. 001x+0. 1017 was obtained. IC50 is when the value of y is half of the y axis, which in this case was 0. 0865 (half the value of maximum absorbance from the table). Substituting 0. 0865 for y in the equation gave the value of IC50 as follows:   
0. 0865=-0. 001x+0. 1017   
0. 001x= 0. 1017-0. 0865   
0. 001x= 0. 0152   
X= 15. 2   
Estimated IC50 value = 15. 2 µg/ml   
Question 1   
The estimated IC50 value obtained in this experiment was 15. 2 µg/ml. The literature value of IC50 is 0. 75µg/ml using pancreatic lipase as the enzyme (Yun 2010). The experimental value was higher than theoretical values because of a strong bond formation between Orlistat and the substrate. The experiment provided a uniform temperature (37 degrees) for the enzyme activity, whereas in living systems the temperature usually varies within a given range. According to Yun, crude extracts of orlistat also contain an inactive component, which is a possibility in the in vivo action of orlistat that leads to the disparities between experimental values and theoretical values of IC50 (2010).   
Question 2   
The suggested dose of Orlistat is one 120 mg capsule immediately before, during or up to one hour after, each main meal. From the statement, In general, at therapeutic doses detection of intact Orlistat in plasma is sporadic and concentrations are extremely low (< 10 ng/ml) with no evidence of accumulation, which is consistent with minimal absorption.   
Orlistat’s systemic absorption is minimal because most of it is largely maintained in the gastrointestinal tract. This is why extremely low plasma concentrations are observed after the intake of the drug (Bryant et al. 2011). Systemic absorption of Orlistat is not necessary for its activity because it provides its therapeutic action in the stomach lumen and ileum. Orlistat binds irreversibly to the amino acid residues serine present in the active sites of gastric and pancreatic lipases through the formation of covalent bonds. This binding inactivates the enzymes making them unavailable for the hydrolysis of dietary fat (triglycerides) into fatty acids and monoglycerides (Orlistat STADA® 60mg/120 mg 2011). Consequently, a caloric deficit ensues because of failure of absorption of the undigested triglycerides. The caloric deficit has a helpful outcome on the regulation of weight.   
References   
Bryant, B., Bryant, B. J., Knights, K. M., & Salerno, E 2011, Pharmacology for health professionals 3rd edn, Elsevier, Australia.   
Orlistat STADA® 60mg/120 mg 2012, viewed 09 December 2012, .   
Yun, J. W 2010, “ Possible anti-obesity therapeutics from nature- a review”, Phytochemistry, vol. 2010 no. 71, pp. 1625-1641.