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Biopharmaceutics
lab 3

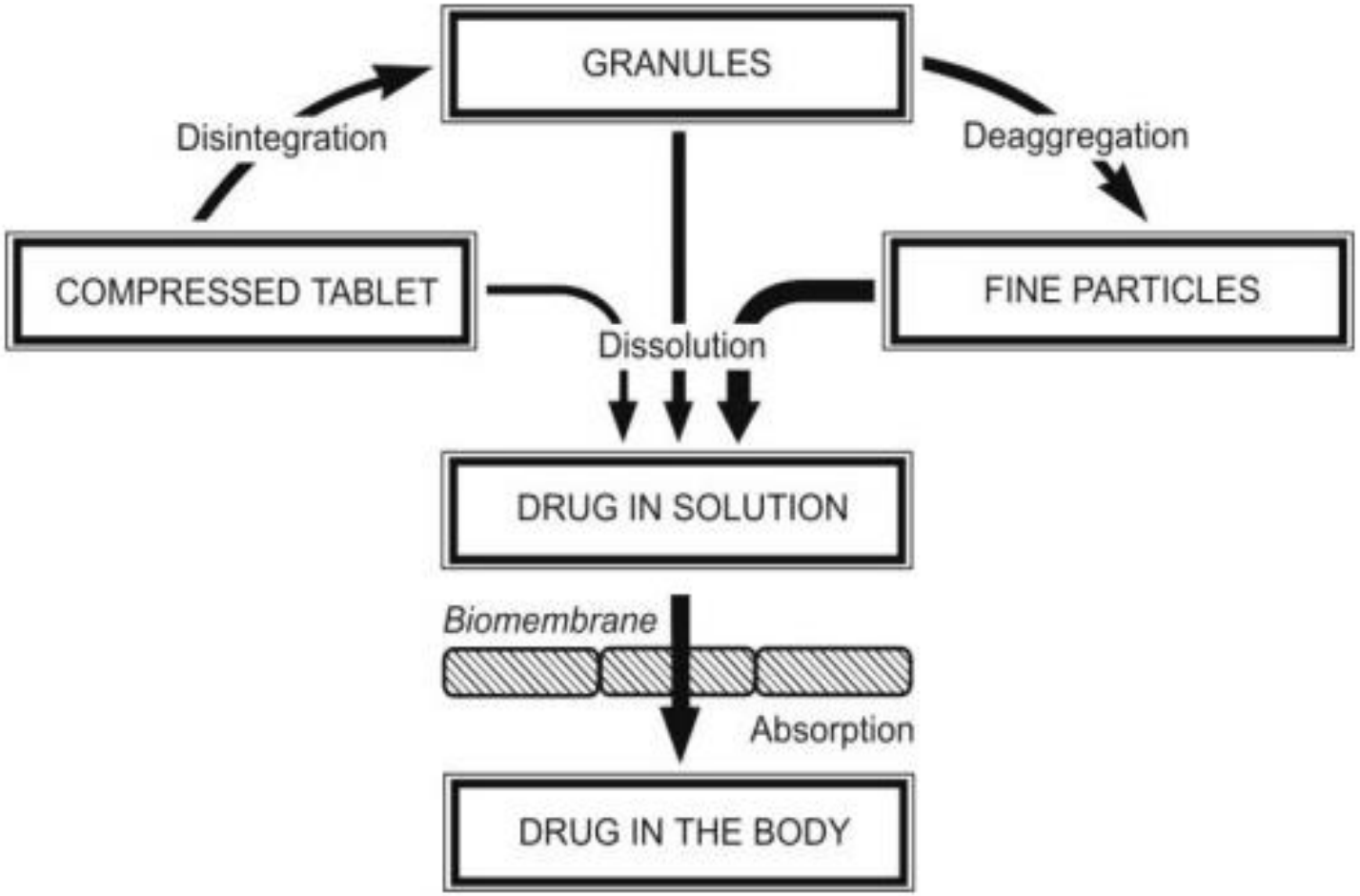
In vitro dissolution study of per – oral tablet

- Introduction :

- Dissolution is a process of going into solution form .

- A basic principle of drug absorption is that absorption takes place only after a drug is in solution .this means that drug given orally in solid dosage form must dissolve in GIT fluid before absorption occurs

The following process occurs before absorption of solid dosage forms



Dissolution and Absorption

DISSOLUTION

- Dissolution is a process in which a solid substance solubilizes in a given solvent i.e. mass transfer from the solid surface to the liquid phase.
- Dissolution rate is defined as the amount of solid substance that goes into solution per unit time under standard conditions of temperature, pH and solvent composition.
- Dissolution is the rate determining step for hydrophobic, poorly aqueous soluble drugs.

  E.g. Griseofulvin, spironolactone

Need of solubility

- To achieve desire concentration of drug in systemic circulation for pharmacological response to be shown.
- Low aqueous solubility is major problem encountered with formulation development of new chemical entities.
- Any drug to be absorbed must be present in the form of an aqueous solution at the site of absorption.

Descriptive term	Parts of solvent required for 1 part of solute
Very soluble	Less than 1
Freely soluble	From 1 to 10
Soluble	From 10 to 30
Sparingly soluble	From 30 to 100
Slightly soluble	From 100 to 1000
Very slightly soluble	From 1000 to 10,000
Practically insoluble or insoluble	More than 10,000

Factors affecting dissolution rate

- Agitation intensity
- Drug solubility
- Surface area exposed to dissolution medium

- **BCS Classification:**

Class	Solubility	Permeability	Absorption pattern	Rate limiting step in absorption	Examples
I	High	High	Well absorbed	Gastric emptying	Diltiazem Propranolol Metoprolol
II	Low	High	Variable	Dissolution	Nifedipine Naproxen
III	High	Low	Variable	Permeability	Metformin Cimetidine
IV	Low	Low	Poorly absorbed	Case by case	Taxol Furosemide Chlorthiazide

- Dissolution tests can be conducted in simple buffer solutions or in more bio-relevant dissolution media
- Dissolution tests are normally performed

under sink conditions

Sink condition is the ability of the dissolution media to dissolve at least 3 times the amount of drug that is in your dosage form. Having sink conditions helps your dissolution have more robustness as well as being more biologically relevant

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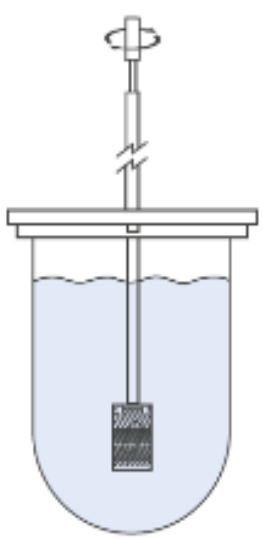
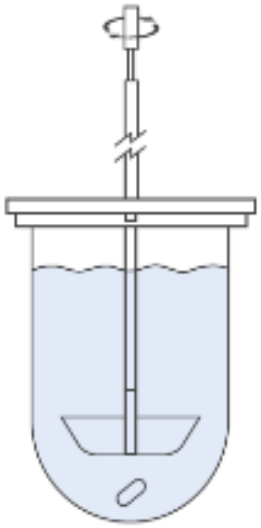
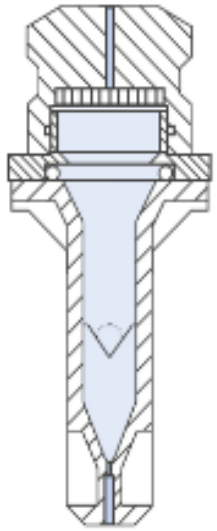


Basket

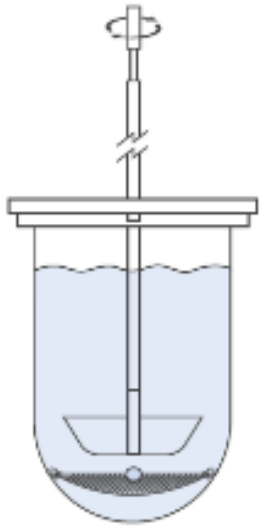


Paddle

Reciprocating Cylinder



Flow-Through Cell



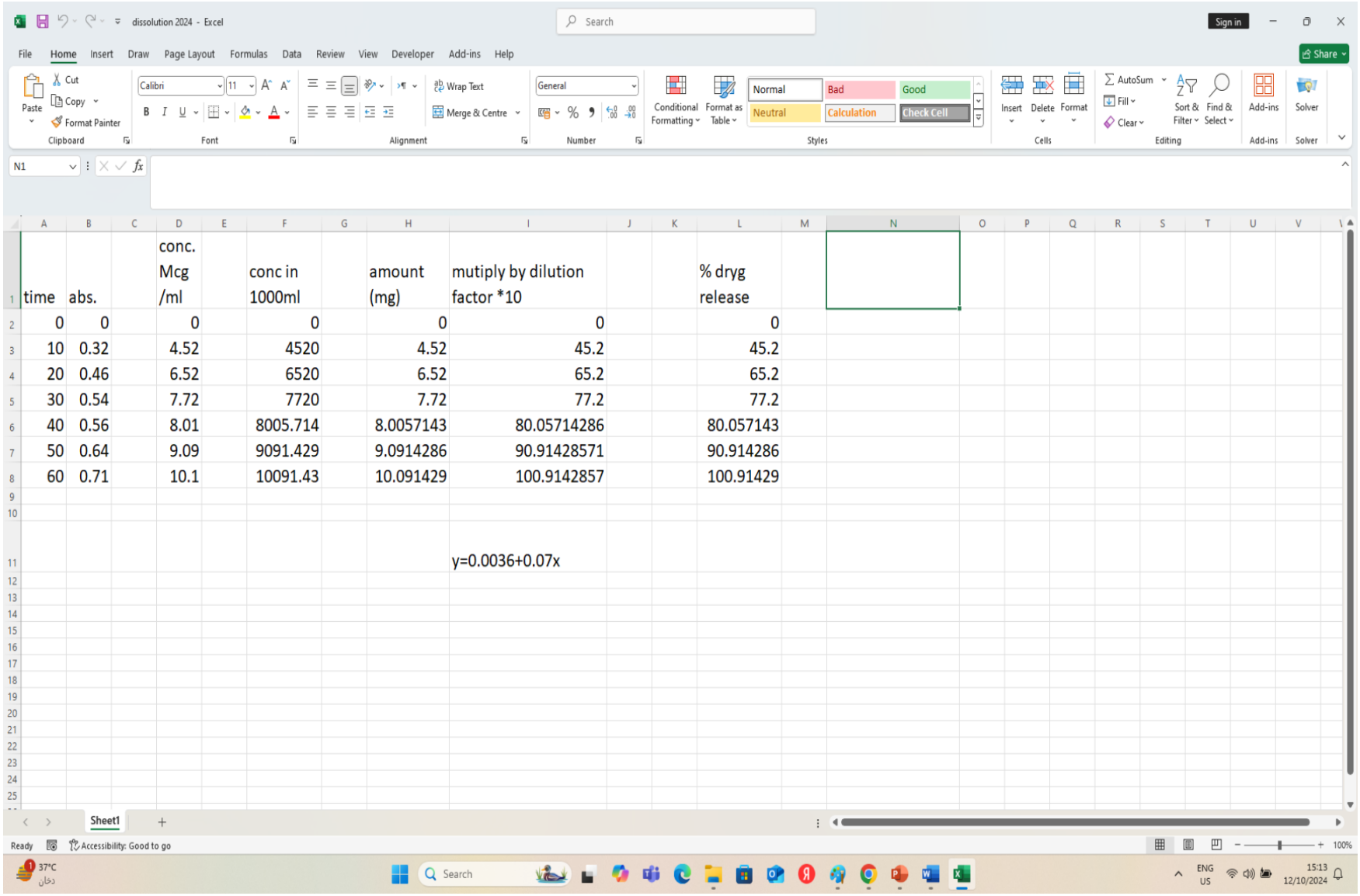
Paddle Over Disk

Procedure (Dissolution study of nitrofurantoin tablets)

- Fill the jars with 1 L of dissolution fluid (artificial gastric juice)
- Put the jars in a thermostatically controlled water bath at 37 (switch water bath on)
- Place 1 tablet of nitrofurantoin in the dissolution apparatus (paddle type).
- Set the speed on 50 r.p.m
- With draw 5 ml each 10 min for 50 minutes

- Substitute for the volume withdrawn each time interval using a fresh (artificial gastric juice) previously maintained at 37º C
- Analyze samples of nitrofurantoin by reading the absorbance on a UV spectrophotometric at 370 nm.
- Use the straight line equation $y=0.0036+0.07x$ to obtain the concentration of the dilutions.
- Note : the conc. Is in mcg/ml

- 1-Con.(mcg/ml)X vol. of dissolution media =(mcg amount of drug released into the dissolution media then convert it to mg
- 2- multiply the amount with dilution factor (10) (if you made dilution)
- 3-% of drug released = amount of drug release /amount of drug in the tablet *100
- 4. then put the time column and percent of drug release column together then click insert, scatter with smooth lines and markers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Add-ins
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conc. Mcg /ml
conc in 1000ml
amount (mg)
mutiply by dilution factor *10
% dryg release
0 0 0 0 0 0 0
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20 0.46 6.52 6520 6.52 65.2 65.2
30 0.54 7.72 7720 7.72 77.2 77.2
40 0.56 8.01 8005.714 8.0057143 80.05714286 80.057143
50 0.64 9.09 9091.429 9.0914286 90.91428571 90.914286
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y=0.0036+0.07x
Sheet1
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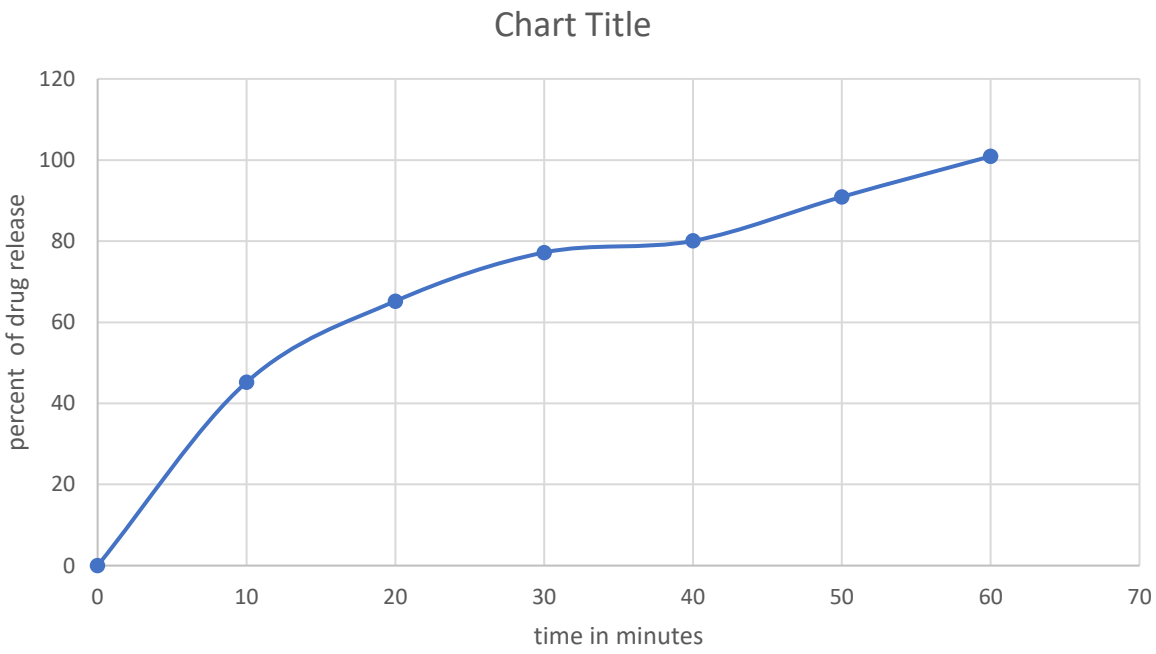
Chart Title
120
100
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percent of drug release
0
10
20
30
40
50
60
70
time in minutes

Thank you