جامعة الملك سعود
King Saud University

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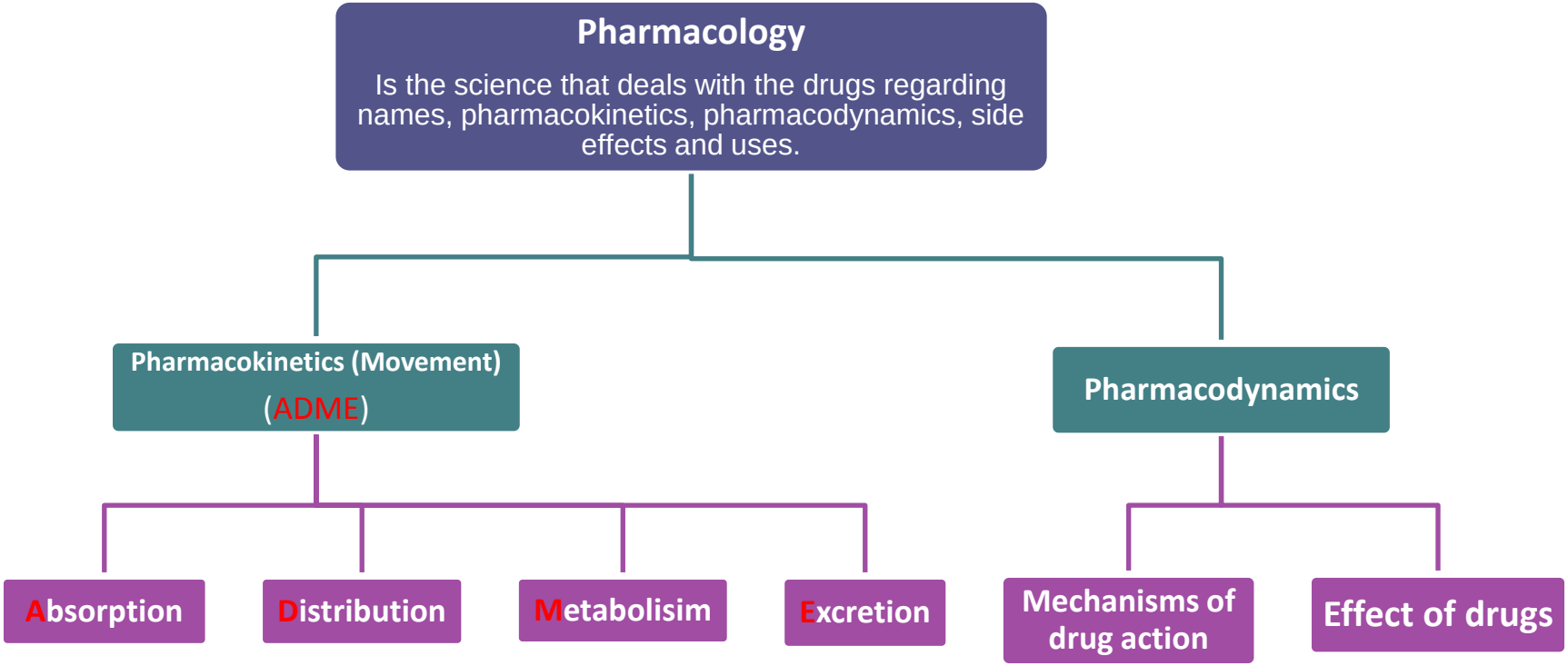
Pharmacokinetics I
Administration & Absorption

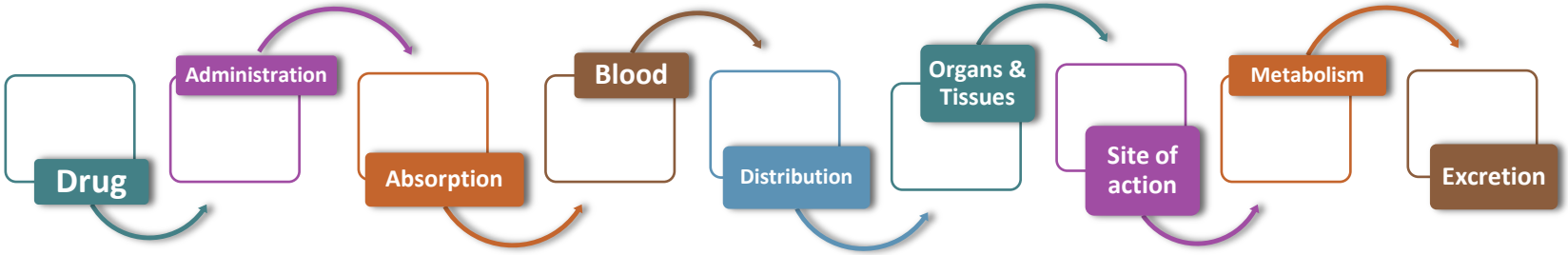
OBJECTIVES:

- **Know the meaning of pharmacology and its branches.**
- **Discuss the different routes of drug administration**
- **Identify the advantages and disadvantages of various routes of drug administration**
- **Know the various mechanisms of drug absorption**
- **List different factors affecting drug absorption**
- **Define bioavailability and factors affecting it.**

Color Index:
Red = Important Notes
Orange = Further Explanation
Purple = Additional Notes


Pharmacology
Is the science that deals with the drugs regarding names, pharmacokinetics, pharmacodynamics, side effects and uses.
Pharmacokinetics (Movement)
(ADME)
Pharmacodynamics
Absorption
Distribution
Metabolisim
Excretion
Mechanisms of drug action
Effect of drugs

Pharmacokinetics



Routes of Drug Administration:

- Enteral "Gastro-intestinal tract
  - Oral
  - Sub-lingual
  - Rectal
- Parentral "Injection"
- Topical Application
- Inhalation

Advantages and Disadvantages of Administrations :

Enteral "GIT"

Advantages	Disadvantages
Oral "through the mouth" 	
- Common. - Safe. - Self use. - Convenient. - Cheap. - No need for **sterilization*.** - Dosage form: Tablets, Capsules, Syrup, suspension	- Can't be use in emergency. <u>because</u> it has slow effect - Destruction by pH & Enzymes e.g. insulin. - **First past metabolism* .** - Drug-drug or drug-food interactions. - No complete absorptions - Low **bioavailability *(** الاتاحة الحيوية) - Not suitable for: vomiting, unconscious patients and bad taste drugs.
Sublingual "under the tongue" 	
- Rapid effect - Can be used in emergency. - High bioavailability. - No first pass effect. - No GIT irritation. - No food drug – interaction. - Dosage form: friable tablet.	- Not suitable for: Irritant drugs and Frequent use
Rectal "into the rectum" 	
Suitable for: children, vomiting, unconscious patients, Irritant and bad taste drugs. - It has <u>Less</u> first pass metabolism (50%). - Dosage form: suppository (تحميلة) or enema(حقنة شرجية).	- Irregular absorption & bioavailability. - Irritation of rectal mucosa.

Sterilization*:

Is a term referring to any process that eliminates (removes) or kills all forms of life, including transmissible agents (such as fungi, bacteria, viruses, spore forms, etc.) present on a surface, contained in a fluid, in medication, or in a compound such as biological culture media.

First Pass Metabolism*:

It is the metabolism of any drug taking orally through portal "liver" circulation before reaching to the blood to be distributed to all other body compartments.

Results of First Pass Metabolism:

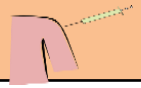
- Low bioavailability (low conc. of drug in blood).
- Short duration of action (t ½).
- Drugs with high first pass effect should **NOT** be given orally but parenterally.

Where it occur:
Liver
Gut Wall
GIT Lumen

Bioavailability*:

Is a measurement of the rate and extent to which a drug reaches the systemic circulation

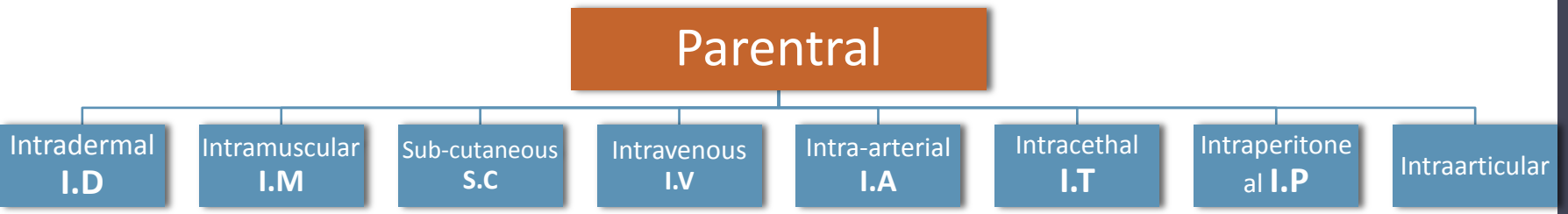
Advantages and Disadvantages of Administrations :

Advantages	Disadvantages
Inhalation 	
- Rapid absorption. - Suitable for emergency. - Provide local action. - Limited systemic effect. - Fewer side effects. - No first pass effect - Dosage form: volatile gases e.g. anesthetics & aerosol, nebulizer for asthma.	- Not suitable for irritant drugs. - Only few drugs can be used.
Parenteral (injections) 	
- No gastric irritation. - No food-drug/ drug-drug interaction - No first pass metabolism. - Higher availability than oral	- Need skill. - Pain, tissue necrosis or abscess (I.M.). - Anaphylactic reaction (I.V.).

Aerosol

Nebulizier

Parentral "Injection"



Advantages	Disadvantages	Volume
Intradermal I.D. (into skin)		
Suitable for sensitivity and vaccination.	Not suitable for large volumes.	0.1 ml
Subcutaneous S.C. (under skin)		
- Used for sustained release effect. - Suitable for poorly soluble suspensions and for slow-release implants - e.g. insulin zinc preparation.	Not suitable for large volumes.	0.1 - 1ml
Intramuscular I.M. (into muscles)		
- Prolonged duration of action. - Oily preparations or poorly soluble substances can be used.	Not suitable for irritant drugs pain, abscess, tissue necrosis may happen.	3 - 5 ml
Intravenous I.V. (into veins)		
- Rapid action (emergency). - High bioavailability. - No food-drug interaction. - No first pass metabolism. - No gastric irritation. - Suitable for Vomiting, unconscious, Irritant and bad taste drugs.	- Only for water-soluble drugs. - Infection. - Anaphylaxis. - Sterilization. - Expensive. - Not suitable for oily solutions or poorly soluble substance	500 ml

Topical Application

Drugs are mainly applied topically to produce local effects. They are applied to

Skin (percutaneous)

e.g. Allergy test, topical antimicrobial, steroids and local anesthetic

Mucous membrane of respiratory tract (inhalation)

e.g. Asthma

Eye Drops

e.g. Conjunctivitis

Ear Drops

e.g. Otitis Externa

Trans-nasal

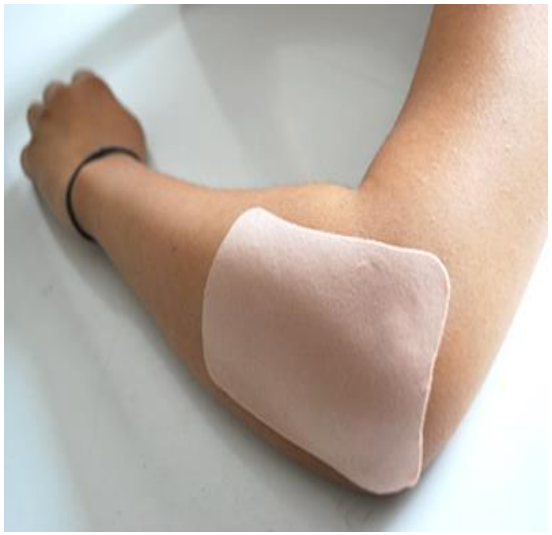
e.g. Decongestant nasal spray

Transdermal Patch

They are medicated adhesive patch applied to skin to provide systemic effect (prolonged drug action).

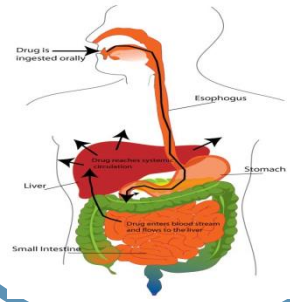
e.g. the nicotine patches (quit smoking)

e.g. Scopolamine (vestibular depressant, antiemetic for motion sickness)



Drug Absorption

Drug Absorption



Definition

- **Is the passage of drug from it's site of administration to blood circulation through cell membrane.**

Exception

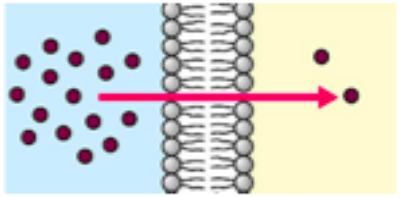
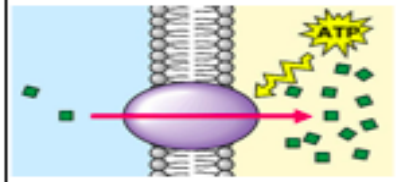
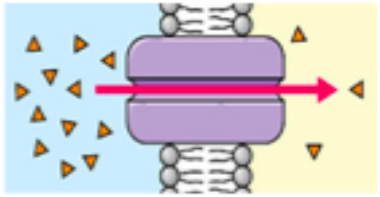
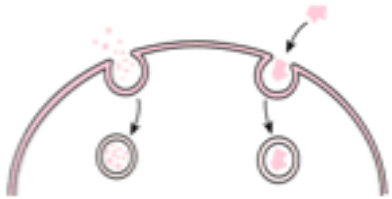
- ***Except for intravenous administration*, all routes of drug administration require that the drug be transported from the site of administration into the systemic circulation.**

Types of drugs

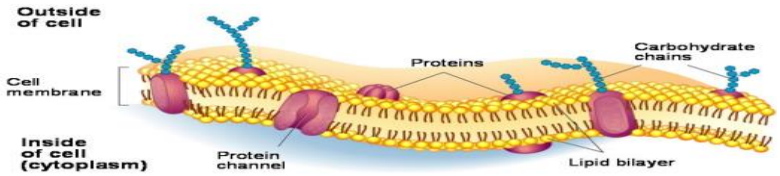
Lipid soluble ↓ **through** ↓ **water soluble**

Lipid cell membrane — **Aqueous channels**

Mechanisms of drug absorption

Passive transport	Active Transport	Facilitated diffusion (Carrier-mediated)	Pinocytosis (Endocytosis & Exocytosis)
			
Along concentration gradient. (From ↑ to ↓)	Against concentration gradient. (From ↓ to ↑)	Along concentration gradient. (From ↑ to ↓)	(From ↑ to ↓) & (From ↓ to ↑)
NO carriers.	Needs Carrier.	Needs Carrier.	NO carrier
NOT saturable.	Saturable.	Saturable.	Endocytosis occurs 1-large molecules drugs such as peptides 2-polar substances such as Vitamin B12 & iron
NOT selective.	Selective.	Selective.	
NO energy is required.	Energy is required.	NO energy is required.	

YouTube This video will explain briefly cell membrane transportation:
http://www.youtube.com/watch?v=RPAZvs4hvGA



PKa of the drug (ionization constant)

(pH at which 50%of the substance is ionized & 50% is unionized)

higher the pKa value (pKa >8)
the stronger the base
e.g propranolol.(pKa= 9.4)

The lower the pKa value (pKa < 6)
the stronger the acid
e.g aspirin (Pka= 3.0)

Weak basic drugs best absorbed in<u>intestine</u>

Weak acidic drugs best absorbed in <u>stomach</u>**.**

Strong acid or basic → cause irritation
Most drugs are weak acids or bases

SUMMARY

Know the meaning of pharmacology and its branches.

The science dealing with drugs.

1. pharmacokinetics (ADME)
2. pharmacodynamics

Discuss the different routes of drug administration

1. GIT:
   - Oral
   - Sublingual
   - Rectal
2. Parenteral administration
3. Topical Application
4. Inhalation

Know the various mechanisms of drug absorption

*I.V does not require absorption. (the drug heads straight to the bloodstream)

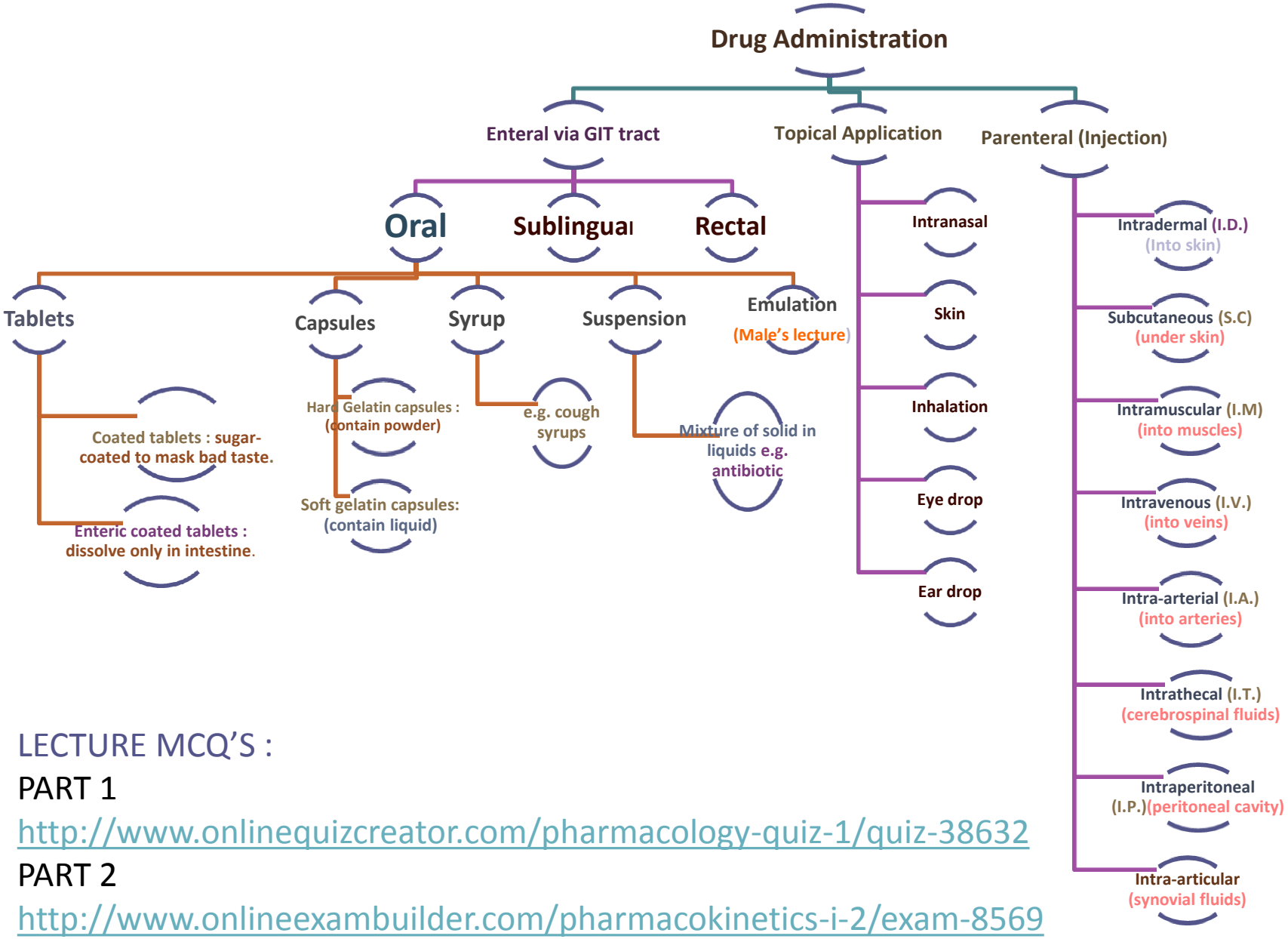
1. Simple diffusion (no energy + no carrier. Along concentration gradient)
2. Active transport. (energy + carrier. Against concentration gradient)
3. Facilitated diffusion. (no energy + requires carrier. Against concentration gradient)
4. Pinocytosis (Endocytosis). Phagocytosis occurs for high molecular weight drugs or highly lipid insoluble drugs.

List different factors affecting drug absorption

1. Route of administration.
2. Surface area available for absorption.
3. Blood flow to absorptive site.
4. Intestinal motility (transit time).
5. Drug interactions.
6. Food.

Define bioavailability and factors affecting it.

Bioavailability: The concentration of drugs in the blood.



LECTURE MCQ'S :

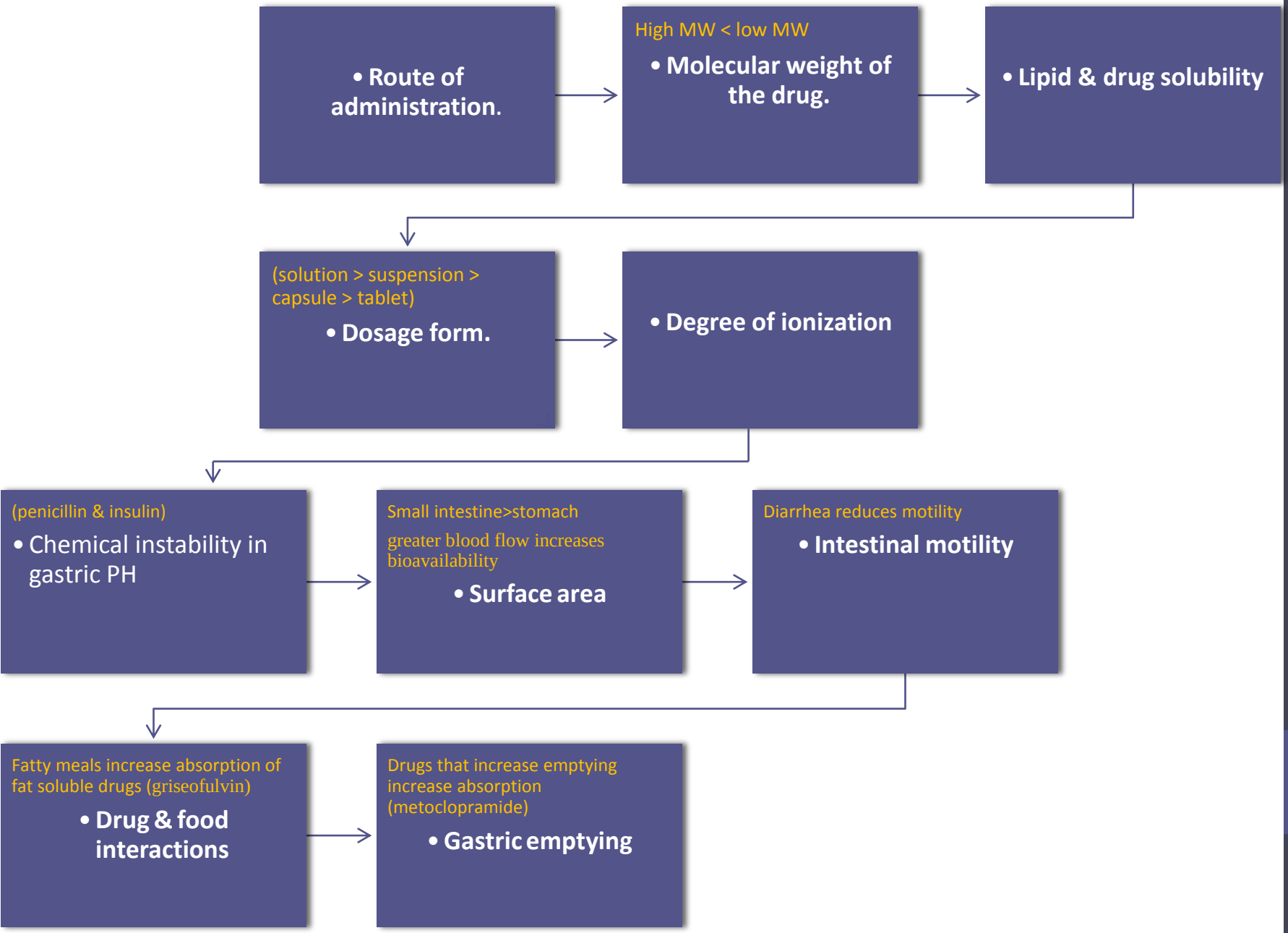
PART 1

http://www.onlinequizcreator.com/pharmacology-quiz-1/quiz-38632

PART 2

http://www.onlineexambuilder.com/pharmacokinetics-i-2/exam-8569

Factors affecting absorption



Thank you for checking our work

- Done By:

The Pharmacology team

YouTube Videos:

1- Introduction to Pharmacokinetics

http://www.handwrittentutorials.com/videos.php?id=79

2- Pharmacokinetics: Absorption

http://www.handwrittentutorials.com/videos.php?id=80

For any correction, suggestion or any useful information do not hesitate to contact us: **Pharmacology434@gmail.com**