Ministry of Higher Education and Scientific Research Southern Technical University
Medical Technical institute/ Basra
Pharmacy Techniques Department

Basics of therapeutic application

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Assistant Lecture
Department : Pharmacy Technique
Second class/First semester

Subject name	Arabic language	تطبيقات علاجية	Studied year	No. of hour/week			
	English language	Basics of therapeutic application		Theory	Practical	Total	No. of units
Teaching language	English		Second	2	2	4	4

Practical subjects :

Week	Brief
1-3	Drugs affecting gastrointestinal system, antacid, carminative, emetics and antiemetic, laxative and antidiarrheal drugs.
4	Visit to private or official pharmacy, report about the present drugs
5-6	Drugs affecting urinary tract system, diuretic, natural enuresis.
7	Visit to library of institute with report about diuretics.
8-10	Drugs affecting cardiovascular system, antianginal drugs, antihypertensive and hypotensive drugs, vasodilator and vasoconstrictor drugs
11-	Searching the medical sites in internet
12-15	Drugs affecting the respiratory system, blockers, bronchodilators, respiratory stimulant and anticonvulsants.

Aims of subject:

Enable the students to correlate between the basic and scientific principles and practical applications in hospitals, factories, and private pharmacy. Understanding usage of drugs according to the trade name, methods of dispensing and registering, ordering, storage conditions, expire date, dealing with the expired drugs and how to write the information about dispensing drugs

Week 1-3

Topic Title: Drugs affecting gastrointestinal system, antacid, carminative, emetics and antiemetic, laxative and antidiarrheal drugs.

- Lecture Duration: 2 hours
- Lecture Objectives:

1. Identify the main classes of drugs used to treat gastrointestinal (GI) disorders.
2. Distinguish between different types of GI drugs based on their therapeutic use.
3. Explain the mechanisms of action of various GI drugs.
4. Recognize the clinical uses and common side effects of each drug group.
5. Understand contraindications and precautions related to the use of these drugs.

- Behavioral Objectives:

1. Define the terms: antacids, carminatives, emetics, antiemetics, laxatives, and antidiarrheals. *(Knowledge)*
2. List common drug examples under each category (e.g., aluminum hydroxide as an antacid, domperidone as an antiemetic). *(Knowledge)*
3. Describe the mechanism of action of each drug category on the GI system. *(Comprehension)*
4. Compare different types of laxatives or antiemetics in terms of action and use. *(Analysis)*
5. Select appropriate drugs for specific GI conditions. *(Application)*
6. Identify side effects and precautions of using certain GI drugs (e.g., prolonged use of laxatives). *(Evaluation)*
7. Classify drugs based on their function and effects on the GI system. *(Analysis)*

Week-1-3

(Practical)

Gastro-intestinal System

Antacids

Notes

* Antacids are best given when symptoms occur (i.e. when required) or are expected, usually between meals and at bedtime.

* Antacid suspensions are more effective and work more quickly than tablets (of the same type and quantity).
* Patient should be instructed to chew the tablets thoroughly followed by a full glass of water to ensure maximum therapeutic effect.

Interactions

A) Antacids can affect the absorption of a number of drugs (via chelation and adsorption) .. and the majority of these interactions are easily overcome by leaving a minimum gap of (1-2) hours between the doses of each drug.

B) Antacids increase the PH of the stomach because a premature release of enteric coated tablets or granules in the stomach rather than the intestine.

Table

Antacids (including those combined with simethicone, and alginate)			
	Scientific names	**Trade names**	**Dosage form**
1	Sod. Alginate, potassium bicarbonate	**Gaviscon**	Suspension
2	Mg-Hydroxide 400mg Al-Hydroxide 400mg	**Maalox**	Chewable tablet , Suspension
3	Ca-carbonate 680mg Mg-carbonate 80mg	**Rennie**	Chewable tablet
4	Mg-Hydroxide, simethicone Al-Hydroxide	Maalox Plus	Chewable tablet , Suspension
5	Mg-trisilicate , Al-Hydroxide Na-bicarbonate ,Alginic acid	**Gaviscon**	Chewable tablet
6	Mg-Hydroxide 195mg /5mL Al-Hydroxide 220mg/5mL	**Maalox**	suspension

Proton pump inhibitors (PPIs)

Note

* They are most effective when taken 30 to 60 minutes before meals.

* The once daily dose usually given in the morning before meals.

* While twice daily dose given morning and night before meals.

* Various PPI dosage forms and formulations exist and include the enteric-coated granules contained in gelatin capsules (omeprazole, esomeprazole, and lansoprazole), and delayed release enteric-coated tablets (rabeprazole, pantoprazole). The enteric coating prevents degradation of the drug in stomach acid.

Triple regimen **(**Regimen for the eradication of Helicobacter pylori) **:**

1- Omeprazole 40mg twice daily.
2- Metronidazole 500mg twice daily.
3-Amoxicillin 500mg twice daily
Or Tetracycline 500mg twice daily
or Clarithromycin 500mg twice daily.

} For 10–14 days.

Table

PPIs		
Scientific names	**Trade name**	**Dosage form**
Omeprazole	**Gasec** **Losec**	Cap. 10 , 20 , 40 . Vial 40 mg & Sachet
Lansoprazole	Lancid	Cap. 15 , 30 . Vial
Rabeprazole	**Pariet Rabezole**	Tab. 10 , 20 .
Pantoprazole	**Protonix Panto - Denk**	Tab . 20 , 40 . Vial 40mg
Esomeprazole	**Nexium**	Tab. 20 , 40 . Vial 40 mg & Sachet

Histamine-2 Receptor Antagonists (H2RAs)

Table

H2RAs		
Scientific names	**Trade name**	**Dosage form**
Cimetidine	**Tagamet**	Tab. 200 , 400 , 800 . Amp. 20mg/1mL
Ranitidine	**Zantac**	Tab. 150 , 300 . Amp.50 mg/2mL
Famotidine	Famosam	Tab. 20 , 40 .

* They are most effective when taken 30 to 60 minutes before meals.

Laxatives

A-Stimulant laxatives:

Usual Doses :

Senna tab., Bisacodyl 5 mg tab. Adult dose: usually 2 tablets (usually take at night to produce the effect next morning).

While the dose of supp. Is one supp. (usually in the morning).

Glycerin suppositories : The patient should expect to have bowel movement quickly (within one hour).

Senna may colour the urine yellowish-brown at acid pH, and red at alkaline pH.

Table

Type of laxative

Type of laxative	Example(s)	Approximate onset of action
1-Stimulant laxative	Senna, Bisacodyl, Sodium picosulfate, and Glycerin (supp.)	Oral:6-12hours Rectal: within 1 hour
2-Bulk-forming laxative	Methylcellulose, Bran , Sterculia and Ispaghula (Metamucil®)	1-3 days
3-Lubricant(faecal softeners)	Liquid paraffin	6-8 hours
4-Osmotic laxative	Lactulose	1-2 days

Anti-emetics

Table

Antiemetics			
	Scientific name	**Trade names**	**Dosage form(s)**
1	**Metoclopramide**	**Plasil**	Tab. 10mg Amp.10mg/2mL
2	**domperidone**	**Motillium** (Janssen)	Tab.10, supp. 30 , 60 , susp.1mg/mL
3	**Prochlorperazine**	**Stemetil**	Tab. 5 mg . Amp. 1.25%
4	**Cinnarizine**	**Stugeron** (Janssen)	Tab.25 , cap. 75 ,

Table

Scientific name	Trade names	Dosage form
Betahistine	**Betaserc** Serc (Abbot healthcare)	Tab. 8, 16
Ondansetron	**Zofran** (GSK)	Tab.4 , 8 , Syrup 4mg/5mL Amp.2mg/mL

Antidiarrhoeals

Antimotility drugs Adult doses :

Loperamide: Initially 2 tablets followed by 1 tablet after each loose stool.
Diphenoxylate+Atropine: 4 tablets initially followed by 2 tablets every 6 hours.

Oral rehydration solution (ORS)

Stability of ORS after reconstitution: After reconstitution, any unused solution should be discarded after 1 hour of preparation unless it stored in refrigerator where it may keep for up to 24 hours.

Table

Antidiarrhoeals			
	Scientific name	**Trade names**	**Dosage form**
1	Diphenoxylate 4mg Atropine 0.25 mg	**Lomotil , Entero-stop**	Tab.
2	Loperamide	Imodium , diarr-stop **Vancotil** .	Tab.2mg Drop 2mg/mL
3	Loperamide 2mg Simeticone 125mg	Imodium Plus (McNeil)	Cap.

Antispasmodics

Table

Antispasmodics			
	Scientific name	**Trade names**	**Dosage form**
1	Hyoscine N-butyl bromide	Antispasmine Buscopan (Boechring ingelheim)	Tab. 10 , amp.20mg/2mL Drop .10mg/mL , syrup 5/5mL
2	Mebeverine	**Dusptalin** Colofac (Abbott healthcare)	M.R cap.200mg , tab.100 , 135 .

Week 4

Lecture Title: Visit to private or official pharmacy, report about the present drugs

- Duration: 2 hours

➢ Lecture Objectives:

1. To identify the location of the department's pharmacy inside the laboratory building.
2. To become familiar with the types of medications available in the department's pharmacy.
3. To train students on how to prepare scientific reports about medications.
4. To enhance teamwork and collaboration among students in groups.
5. To connect theoretical knowledge with practical application through a field visit.

• Behavioral Objectives:

1. Accurately locate the department's pharmacy.
2. Recognize and classify the types of medications available in the pharmacy.
3. Prepare a detailed scientific report about a specific medication.
4. Work effectively within a group and share ideas.
5. Apply theoretical skills learned in lectures to real-life situations.

Week -4- [practical]

Visit to private or official pharmacy, report about the present drugs

“The department’s pharmacy, located in the laboratory building of the Department of Pharmacy Techniques, was visited. The students were divided into groups, and each group was assigned to prepare reports on the medications available in the pharmacy.”

Week 5-6

Lecture Title : Drugs affecting urinary tract system, diuretic, natural enuresis.

- Duration: 2 hours

➢ Lecture Objectives:

1. To differentiate between the types of diuretics based on indications and side effects.
2. To understand the mechanism of action of diuretics and their sites of action in the kidney.
3. To explain the clinical uses of diuretics in various conditions.
4. To recognize potential drug interactions and complications associated with diuretic use.

- Behavioral Objectives:

1. Define diuretics and list the main classes (e.g., thiazides, loop diuretics, potassium-sparing, osmotic).
2. . Differentiate between the clinical indications of various diuretics (e.g., hypertension, edema, congestive heart failure).
3. Analyze simple clinical cases and suggest the appropriate diuretic based on the condition.
4. Evaluate the risks of unsupervised or inappropriate use of diuretics and explain possible complications.

Week 5-6 [practical]

Drugs affecting urinary tract system, diuretic, natural enuresis.

Diuretics

Diuretics promote the excretion of water and electrolytes by the kidneys.
They are used in the treatment of heart failure, hypertension and other diseases. When salt and water retention has resulted in oedema.

The principal groups of diuretics are as follows :

Diuretic type	examples
Thiazide and related diuretics	Hydrochlorothiazide, Chlortalidone
Loop Diuretics	Furosemide, Bumetanide
Potassium (K^+)-sparing diuretics	Amiloride
Aldosterone antagonist	Spironolactone
Carbonic anhydrase inhibitors	Acetazolamide (mainly for **glaucoma**)

Diuretics ideally should be dosed in the morning if given once daily and in the morning and afternoon if dosed twice daily to minimize the risk of nighttime diuresis.

Thiazide and loop diuretics can cause hypokalemia while K-sparing diuretics can cause retention of potassium and therefore, they are given with thiazide or loop diuretics to minimize hypokalemia.

Spironolactone has an anti-androgenic properties, therefore:
A-It may cause side effects like Gynecomastia (breast enlargement), and impotence in men.

B-It has been used for its anti-androgenic properties in some cases of acne and for

women with hirsutism (hair on the face).

	Scientific name	Trade names
1	Chlortalidone	Hygroton (Alliance)
2	Furosemide	Lasix (Sanofi Aventis)
3	Spironolactone	Aldactone (pharmacia)
4	Acetazolamide	Cidamax
5	Hydrochlorothiazide – Amiloride	Moduretic
6	Bumetanide	Burinx

Week 7

Lecture Title : Visit to library of institute with report about diuretics.

- Duration: 2 hours

➢ Lecture Objectives:

1. To introduce students to the proper use of academic resources in the institute's library.
2. To develop students' research skills on the topic of diuretics.
3. To enable students to gather accurate and reliable scientific information about diuretics.
4. To guide students in writing a scientifically structured and well-organized report.
5. To encourage self-directed learning and critical thinking in medical and pharmaceutical research.

• Behavioral Objectives:

1. Search trusted and academic medical resources in the library to collect information about diuretics.
2. Identify different types of diuretics, their mechanisms of action, and their sites of action within the nephron.
3. Compare the indications, benefits, and side effects of each class of diuretics.
4. Evaluate scientific sources and select the most appropriate and reliable information for inclusion in their report.
5. Write a structured scientific report that includes an introduction, main content, conclusion, and references.
6. Follow academic integrity by avoiding plagiarism and citing references properly.
7. Present a brief summary of their report either orally or in writing if requested by the instructor.

Week -7- [practical]

Visit to library of institute with report about diuretics.

Diuretics are among the most commonly used drugs in clinical medicine, prescribed for conditions such as hypertension, heart failure, and edema. To reinforce understanding of this important drug class, a visit to the institute's library will be arranged. This visit aims to train students on how to use academic resources effectively in order to prepare a scientific report on diuretics.

This activity is designed to equip students with practical academic skills in scientific research and medical writing—essential tools for future careers in pharmacy, medicine, and health sciences

Week 8-10

Lecture Title: Drugs affecting cardiovascular system, antianginal drugs, antihypertensive and hypotensive drugs, vasodilator and vasoconstrictor drugs

- Duration: 2 hours

➢ Lecture Objectives:

1. To identify the various types of drugs affecting the cardiovascular system.
2. To differentiate between antianginal, antihypertensive, and hypotensive drugs.
3. To explain the mechanism of action of vasodilator and vasoconstrictor drugs.
4. To correlate the use of these drugs with cardiovascular-related conditions.
5. To recognize the side effects and precautions of these medications.

Behavioral Objectives:

1. **List** the types of antianginal drugs such as nitrates, calcium channel blockers, and beta-blockers.
2. **Describe** the mechanism of action of antihypertensive drugs such as diuretics, angiotensin receptor blockers (ARBs), and ACE inhibitors.
3. **Differentiate** between drugs used to treat hypertension and those used to treat hypotension.
4. **Explain** the effects of vasodilators like hydralazine and nitrates, and vasoconstrictors like norepinephrine.
5. **Identify** the clinical conditions that require each type of cardiovascular drug.
6. **Mention** common side effects associated with each drug group.
7. **Apply** the knowledge to choose the appropriate drug based on simple clinical scenarios.

Week 8-10 [practical]

Drugs affecting cardiovascular system, antianginal drugs, antihypertensive and hypotensive drugs, vasodilator and vasoconstrictor drugs

Angiotensin-converting enzyme inhibitors (ACE inhibitors)

Examples include (captopril, enalapril, lisinopril and ramipril).
They act as vasodilators. The main uses of ACE inhibitors are in the management of heart failure, hypertension and myocardial infarction.

Hypotension may occur at the start of therapy with ACE inhibitors (first dose hypotension). Therefore :

A- The first dose should preferably be given at bedtime.

B- Starting dose should be low then increased gradually. Other adverse effects include persistent dry cough.

Captopril = Capoten

Lisinopril = Zestril

Ramipril = Tritace

Angiotensin II receptor antagonists (A2RAs) (Angiotensin II receptor blockers)

Examples include (Candesartan, telmisartan, losartan and valsartan) (sartans).

They act as vasodilators. The main uses of A2RAs inhibitors are in the management of heart failure, hypertension, and myocardial infarction.

Notes :

Unlike ACE inhibitors, they are less likely to cause the persistent dry cough which can complicate ACE inhibitor therapy. They are therefore a useful alternative for patients who have to discontinue an ACE inhibitor because of persistent cough.

There are many combination products in the market that contain a combination of an A2RA and diuretic (mostly hydrochlorothiazide) used for hypertension not adequately controlled with A2RA alone

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Candesartan = Atacand

Telmisartan = Micardis

Losartan = Cozaar , Angezaar

Valsartan= Diovan

Irbesartan = Aprovel

Beta-adrenoceptor blocking drugs (beta-blockers)

Examples include :
(Atenolol, bisoprolol, carvedilol, metoprolol, Labetalol and propranolol). Beta blockers

are used in the management of:

A- Cardiovascular disorders such as hypertension, angina pectoris, cardiac arrhythmias, myocardial infarction, and some of them are used for heart failure.

B-They are also given to control symptoms of sympathetic overactivity, anxiety states, hyperthyroidism, and in the prophylaxis of migraine.

C-Some Beta blockers used as eye drops (e.g. timolol) to reduce raised intra-ocular pressure in glaucoma.

Notes :

A- Bisoprolol , carvedilol , metoprolol and nebivolol are the beta-blockers that are used to treat heart failure (other beta- blokers are contraindicated).

B-When used for heart failure, β-blockers should be started in very low doses with slow upward dose titration (start low, go slow).

Beta-blockers can precipitate bronchospasm and should therefore usually be avoided in patients with a history of asthma.

Atenolol =Tenormin

Bisoprolol = Concor

Metoprolol = Betaloc

Propranolol = Inderal

Nadolol = Corgard

Diuretics

Diuretics promote the excretion of water and electrolytes by the kidneys.
They are used in the treatment of heart failure, hypertension and other diseases. When salt and water retention has resulted in oedema.

The principal groups of diuretics are as follows :

Diuretic type	examples
Thiazide and related diuretics	Hydrochlorothiazide, Chlortalidone
Loop Diuretics	Furosemide, Bumetanide
Potassium (K^+)-sparing diuretics	Amiloride
Aldosterone antagonist	Spironolactone
Carbonic anhydrase inhibitors	Acetazolamide (mainly for **glaucoma**)

Diuretics ideally should be dosed in the morning if given once daily and in the morning and afternoon if dosed twice daily to minimize the risk of nighttime diuresis.

Nitrates

Nitrates are peripheral and coronary vasodilators used in the management of angina pectoris, heart failure, and myocardial infarction.

Sublingual (or aerosol spray) of glyceryl trinitrate are used to provide rapid symptomatic relief of acute anginal attack while and transdermal patches of glyceryl trinitrate are used the long-term prophylaxis of angina.

Other nitrate available in Iraq are Isosorbide Dinitrate (ISDN) and Isosorbide Mononitrate (ISMN) which are commonly given by oral route.

ISMN has longer duration than ISDN : The advantage of ISMN is twice daily dosing (or once daily with sustained release products) which mean better compliance.

Nitrate can cause headache that is usually transient, typically lasting several days to few weeks. Patients can use simple analgesics (Paracetamol) when required to control any headaches.

Nitrates should not be used within 24 hours of taking sildenafil or vardenafil or within 48 hours of taking tadalafil.

Glyceryl trinitrate = Angised Sublingual tab. & Patch

ISDN = Isordil

Antiplatelet drugs

Antiplatelet drugs reduce platelet aggregation and are used to prevent further thromboembolic events in patients at risk (e.g. patients who have suffered myocardial infarction).

The most commonly used Antiplatelet drugs in Iraq are aspirin (at low dose) and clopidogrel. Less commonly is dipyridamole.

A- Clopidogril may be given as an alternative to aspirin (in patients who cannot take aspirin).

B- Clopidogril may be given in combination with aspirin in some conditions like myocardial infarction.

Aspirin tablet commonly formulated as enteric coated tablet to decrease GIT irritation.

Clopidogrel = Plavix

Dipyridamole = Persantin

Anticoagulants

Treatment and prophylaxis thromboembolic disorders. Anticoagulants

available in Iraq now are :

A- Oral anticoagulants : Warfarin .

B- Parenteral anticoagulants (mostly given subcutaneously)which include:

1- Heparin (called unfractionated heparin).

2- Low-molecular-weight heparins (LMWHs) like enoxaparin: which have many advantages over unfractionated heparin like lower risk of bleeding.

3- Anticoagulants can cause bleeding ,therefore their anticoagulant effects must be monitored by laboratory test to avoid excessive bleeding :

A-Warfarin is monitored by a test called international normalized ratio (INR).

B- Unfractionated heparin is monitored by a test called activated partial thromboplastin time (APTT).

Others

Digoxin

A- The most common use of digoxin is for certain type of arrhythmia called atrial fibrillation (AF).

B- Less commonly it is used for heart failure.

Week 11

Lecture Title: Searching the medical sites in internet

- Duration: 2 hours

Lecture Objectives:

1. To introduce students to reliable medical websites and online resources.
2. To develop students' ability to search for accurate and up-to-date medical information.
3. To raise awareness about the risks of misinformation and how to evaluate sources.
4. To promote the ethical and professional use of medical information from the internet.
5. To encourage the integration of internet-based research into academic and clinical practice.

Behavioral Objectives:

1. **Identify** reliable medical websites (e.g., PubMed, MedlinePlus, WebMD, Mayo Clinic).
2. **Describe** how to search for medical information using appropriate keywords and filters.
3. **Distinguish** between credible sources and non-credible or commercial/biased websites.
4. **Use** databases like PubMed or Google Scholar to access peer-reviewed medical literature.
5. **Evaluate** online health information based on authorship, accuracy, currency, and purpose.
6. **Apply** internet search skills to find answers to specific medical questions or case studies.
7. **Follow** ethical guidelines in using and citing online medical information in reports or assignments.

Week -11- [practical]

Searching the medical sites in internet

Introduction:

In the digital age, the internet has become a key tool for accessing medical information. However, with the abundance of websites and varying levels of reliability, it is essential for students and healthcare professionals to distinguish between trustworthy and misleading information.

Importance of Searching Medical Sites:

- Quick access to updated medical knowledge.
- Supports self-learning and clinical decision-making.
- Assists in writing research papers and assignments.
- Enhances public and professional health awareness.

Examples of Reliable Medical

websites pub med
Mayo Clinic
Web Md
…

Steps for Effective Medical Search:

1. Identify key search terms (e.g., Hypertension, Asthma, Antibiotics).
2. Choose a trustworthy website.
3. Use filters (e.g., date, article type).
4. Check the source and date of the information.
5. Take notes and save useful links.

How to Evaluate Source Credibility:

- Is the site affiliated with a government or university? (.gov, .edu)
- Is the content written or reviewed by healthcare professionals?
- Is the page recently updated?
- Is the purpose educational or commercial?

Ethical Use of Online Medical Information:

- Do not share unverified information.
- Always cite your sources.
- Don’t rely solely on internet information for diagnosis.

Conclusion:

Searching medical websites is a vital skill for every student and healthcare provider. By using trusted sources, we can access accurate, updated information that supports both learning and safe clinical practice.

Week 12-15

Lecture Title: Drugs affecting the respiratory system, blockers, bronchodilators, respiratory stimulant and anticonvulsants.

- Duration: 2 hours

➢ Lecture Objectives:

1. To identify the different classes of drugs that affect the respiratory system.
2. To distinguish between bronchodilators, respiratory blockers, stimulants, and anticonvulsants with respiratory effects.
3. To explain the mechanism of action of each drug category and its impact on respiratory function.
4. To relate the use of these drugs to clinical respiratory conditions.
5. To recognize the side effects and precautions associated with these medications.

Behavioral Objectives:

1. **List** the main drug categories affecting the respiratory system (e.g., beta-2 agonists, blockers, methylxanthines, respiratory stimulants).
2. **Explain** the mechanism of action of bronchodilators such as salbutamol and theophylline.
3. **Differentiate** between respiratory blockers (e.g., beta-blockers) and respiratory stimulants (e.g., caffeine, doxapram).
4. **Mention** anticonvulsant drugs that may have an impact on respiratory function in neurological conditions.
5. **Interpret** the relationship between these drugs and respiratory diseases like asthma, COPD, or respiratory depression.
6. **Identify** common side effects associated with each drug class.
7. **Apply** knowledge to assess clinical cases and choose the appropriate drug based on diagnosis.

Week 12-15 [practical]

Drugs affecting the respiratory system, blockers,
bronchodilators, respiratory stimulant and anticonvulsants.

Antihistamines

Histamine is formed by decarboxylation of the amino acid L-histidine.

Storage:

Tissues
It is found in most tissues but is present in high conc, in the lungsskin and found of the stomach.

Cells
It is found largely in mast cells and basophils.

Neurons
Histaminergic neurons in the brain.

H1-receptor Antagonists (Antihistamine)

The term antihistamine refers primarily to the classic H1-receptor blockers H1-receptor blockers .. First, Second & Third generation.

First Generation (Sedating Antihistamines)

The older first-generation drugs are still widely used because; effective & inexpensive. Most of these drugs penetrate the CNS (lipophilic) and cause sedation .

Short duration of action (4-6 hours),3 times daily.

Some of these drugs have another actions in addition of H,-blockers e.g. Anticholinergic, Antiemetic, Antiserotonin and local anesthetic effects.

Chlorpheniramine
Pheniramine Hydroxyzine
(Atarax *) Triprolidine
(Actifed*) Dimethindene
(Fenistil*)

These group are commonly
used in allergic reactions or as
component of cold medication
to control cough / cold
symptoms.

Hydroxyzine .. marked sedation. Chlorpheniramine
.. slight sedation, Dimethindene, Pheniramine ..
slight sedation

Diphenhydramine Doxylamine
Meclizine (Navidoxine*)
Promethazine
Diphenhydramine Meclizine
Doxylamine and Promethazine are the most effective agents for prevention of the symptoms of motion sickness and vertigo (prevent nausea and vomiting).
Antiemetic action; due to block central H, and M, muscarinic receptors.

Diphenhydramine,
and Promethazine; marked sedation. Meclizine .. slight sedation Doxylamine
strong sedation, used in the treatment of insomnia.

Cyproheptadine also acts as a serotonin antagonist on the appetite center and is sometimes used off-label as an appetite stimulant; widely used.

Second Generation (Non-sedating Antihistamines)

The newer second-generation drugs are expensive
They are made polar mainly by adding carboxyl groups, the second-generation agents don't pass the BBB, causing less CNS sedation.

Long duration of action (12 to 24 hours); once daily at bed time,

More selective (no anticholinergic, no antiemetic & no antiserotonin activity). Cetirizine (Zyrtec")
Loratadine (Claritin*)

Cetirizine is a partially sedating second-generation agents

Loratadine least sedation.

Ophthalmic Antihistamines

Ketotifen and Olopatadine are ophthalmic formulations and used for the treatment of allergic conjunctivitis.

Third Generation (Non-sedating Antihistamines)

Third-generation are the active enantiomer (Levocetirizine) or metabolite derivatives (Desloratadine & Fexofenadine) of second-generation drugs intended to have increased efficacy with fewer adverse drug reactions.

They are more expensive than second-generation.

Don't pass the BBB, causing ne OR less CNS sedation than second-generation. Long

duration of action (24 hours)

Pure selective for H1 receptors.

Levocetirizine Desloratadine
(Aerius") Fexofenadine
(Telfast*)

Levocetirizine is the active enantiomer of Cetirizine, and cause partially sedation.
Desloratadine, Fexofenadine, are the least antihistamines sedation.
Desloratadine is an active metabolite of Lorat adine.
Fexofenadine is an active metabolite of Terfenadine
Terfenadine (Prodrug) is metabolized to Fexofenadine (Active drug)

Pharmacodynamics

1) Sedation;
A common effect of first-generation; useful as "sleep aid" At
very high toxic dose, marked stimulation; convulsions,

2) Antinausea and antiemetic actions;
Several first-generation; prevention motion sickness

3) Anticholinoceptor actions;
Many first-generation; Diphenhydramine,
has significant atropine-like effects dry mouth
urinary retention and blurred vision),

4) Serotonin-blocking_action;
Cyproheptadine, it is used off-label as an appetite stimulant.

5) Local anesthesia;
Several first-generation are potent local anesthetics especially Diphenhydramine and Promethazine they block Na' channels in excitable membranes.

Therapeutic Uses;

1) Allergic Reactions;
Allergic rhinitis (hay fever); ONLY 2&3 generation

Urticaria & dermatitis; Fiest generation sedative effects (decrease itching).

2) DryCough; ONLY 1' generation; especially
Diphenhydramine, Promethazine and Chlorpheniramine.

3) Motion Sickness and Vestibular Disturbance:

Scopolamine & some 1s generation especially Diphenhydramine and Promethazine are most effective agents.
4) Nausea and Vomiting of Pregnancy (NVP); Meclizine
and Doxylamine are combined with V-B6

PATIENT COUNSELLING

Antihistaminic especially 1* generation is contraindicated in the individuals working in jobs in which wakefulness is critical such as drivers and worker in dangerous machines.

H3-receptor Antagonists

Betahistine (Betaserc")

Betahistine is an anti-vertigo drug used in balance disorders or relieve vertigo symptoms associated with Ménière's disease.
Mechanism;

- Betahistine has a very strong affinity as an antagonist for histamine H3-receptors and a weak affinity as an agonist for histamine H1- receptors.

Betahistine seems to dilate the blood vessels within the inner ear which can relieve pressure from excess fluid and act on the smooth muscle.

- Ménière's disease is a disorder of the inner ear that causes spontaneous episodes of vertigo, fluctuating hearing loss, ringing in the ear (tinnitus) and affects only one ear.

Asthma and COPD

Asthma: is a chronic inflammatory disorder of the airways causing recurrent episodes of, wheezing, breathlessness, cough and chest tightness, particularly at night or early in the morning.

Chronic Obstructive Pulmonary Disease (COPD); is a chronic limitation in airflow encompassing emphysema and chronic bronchitis:

1) Chronic bronchitis; consists of persistent cough plus sputum production for most days of 3 months in at least 2 consecutive years.

2) Emphysema; is abnormal permanent enlargement of the airspaces distal to the terminal bronchioles, accompanied by destruction of their walls and without obvious fibrosis.

Cigarette smoking causes about 80-90% of all COPD cases.

Asthma is caused by a combination of complex and incompletely_ understood environmental and genetic factors;

- **Environmental allergens** (e.g.; house dust mites; animal allergens, especially cat and

dog and cockroach allergens and fungi).
- Viral respiratory tract infections. Gastroesophageal reflux disease (GERD).
- Aspirin or NSAIDs -B-blockers (including ophthalmic).
- Exercise or hyperventilation -Emotional factors or stress
- Chronic sinusitis or rhinitis, -Irritants (household sprays or paint fumes)
- Environmental pollutants or smoking. -Obesity
- Genetics

Asthma Medications

Asthma Medications are generally divided into two categories

1) Quick relief (reliever medications); relieve acute asthma exacerbations;
- Short-acting ß2-agonists (SABAs).
- Systemic (Oral) Corticosteroids
- Anticholinergics (only for severe exacerbations).

2) Long-term control (controller medications);
- Inhaled Corticosteroids,
- Long-acting ß2-agonists (LABAs).
- Long-acting Anticholinergics
- Methylxanthines
- Leukotriene Modifiers.
- Mast Cell Stabilizers

ß2-adrenoceptor Agonists
B2-agonists are the most effective bronchodilators available.
- In general activation of B2-adrenergic receptors

Short-acting ß-adrenoceptor Agonists (SABA): Acute Asthma Salbutamol or Albuterol (Ventolin")

Salbutamol is the most commonly used bronchodilator that is available in multiple forms (e.g.; solution for nebulization, metered-dose inhaler, and oral solution),

Long-acting ß2-adrenoceptor Agonists (LABA); Chronic Asthma LABA

should net use for acute asthma,

LABA used_for prevention (such as; nocturnal asthma or exercise-induced asthma).

LABA must be used in chronic asthma in combination with_another long-term asthma-control_medicine (e.g. Inhaled Corticosteroids_(ICS) such as fluticasone and budesonide); to prevent ASTHMA-RELATED DEATH

LABA in COPD; may_be used as mono-therapy or in combination with Corticosteroids Formoterol, Salmeterol .. duration of action may extended up to 12 hours.

B2-Agonist/Inhaled Corticosteroid Combinations:

Symbicort = Budesonide + Formoterol Seretide =

Fluticasone + Salmeterol

Corticosteroids

Benefits of corticosteroids in asthma;
1) Increasing number and sensitivity of B2 receptors
2) Reducing mucus production and hypersecretion.
3) Reducing airway edema and exudation.
4) Reducing bronchial hyperresponsiveness (BHR).

Side Effects of Chronic Systemic Glucocorticoid Administration;

- Hypothalamic-pituitary-adrenal suppression
- Growth retardation - Skeletal muscle myopathy
-Osteoporosis/fractures - Aseptic necrosis of bone - Pancreatitis
- Sodium and water retention -Hypokalemia/hyperglycemia
- Hypertension - Skin striae - Impaired wound healing - Glaucoma - Moon face

Oral (Systemic) Corticosteroids; Acute Asthma Prednisone,
Prednisolone

Methylprednisolone (Solu-Medrol")
Prednisone is a prodrug; converted via liver metabolism to Prednisolone (active).

Oral Corticosteroids are used for short courses (3-10 days) to control acute asthmatic episodes.

Inhaled Corticosteroids (ICSs); Chronic Asthma
Beclomethasone, Fluticasone
Mometasone, Budesonide (Pulmicort), Triamcinolone

ICS are the first line drugs of choice for long-term control any degree of persistent asthma.

ICS are given as long-term to avoid adrenal insufficiency, but high doses of ICS may cause adrenal suppression.

PATIENT COUNSELLING

1) Oropharyngeal candidiasis (Thrush); due to local immune suppression.
2) Hoarseness (Dysphonia); due to myopathy of the vocal cords.

Patients should be gargle water and spit after each inhaled treatment to decrease the chance of these local adverse events,

Anticholinergics

Side effects; Headache, flushed skin, blurred vision and tachycardia Ipratropium

(Atrovent")
Ipratropium is a non-selective muscarinic receptor blocker, (not cross BBB).

Indications;
Off-label; 1) Acute severe asthma in patients not completely responsive to ß2- agonists alone

Approved; 2) Chronic Obstructive Pulmonary Disease (COPD).

Anticholinergic combinations;Ipratropium + Salbutamol (Combivent")

− A longer-acting antimuscarinic agent such as Tiotropium approved and used only in COPD (not used in asthma), but recently Tiotropium studies well in chronic asthma

Tiotropium (Spiriva") is a selective muscarinic receptor blocker (mainly on M3), used in management of COPD

− Recently Tiotropium studies well in chronic asthma and is approved; Spiriva"

Methylxanthines

− The 3 important methylxanthines are Theophylline, Theobromine and Caffeine.
− The importance of Theophylline as a therapeutic agent in the treatment of asthma and COPD
− Aminophylline is a Theophylline complex with Ethylenediamine is less potent and shorter-acting.

Aminophylline is the preferred injectable product owing to
increased solubility.

Theophylline relieves airflow obstruction in chronic asthma and decreases its symptoms.

Side effects; At therapeutic levels; Insomnia, GI upset and agitation,

Toxicity; At high levels: Nausea. vomiting, CNS stimulation, headache, cardiac arrhythmias and seizures,

Drug interactions; Theophylline is metabolized in the liver by CYP1A2 and CYP3A4; Ketoconazole, Cimetidine and Erythromycin
(increase Theophylline effect).

Leukotriene Modifiers (LTMs)

-Leukotrienes (LTs) are synthesized by (not stored) a variety of inflammatory cells in the airways, inclding eosinophils, mast cells, macrophages and basophils Cysteinyl leukotrienes are a potent bronchoconstrictor (1000 times more potent than histamine), increased bronchial reactivity, mucosal edema, and mucus hypersecretion.

Leukotriene Receptor Antagonists (LTRAs); Chronic Asthma Montelukast (Singulair")

⁻ Montelukast is selective antagonists of the cysteinyl leukotriene-1 receptor

Montelukast used for the prophylaxis and chronic treatment of asthma.

Montelukast used in exercise-induced bronchospasm and seasonal & perennial allergic rhinitis.

Monoclonal Antibody

Omalizumab (Xolair") is a recombinant anti-IgE (human immunoglobulin E) antibody approved for the treatment of allergic asthma not well controlled on oral corticosteroids or ICSs.

Mechanism of action, Omalizumab decrease binding of IgE to its receptor on the surface of mast cells and basophils.

Indications, 1) Allergic Asthma 2) Chronic Idiopathic Urticaria (CIU) Side

effects, Injection site reactions

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