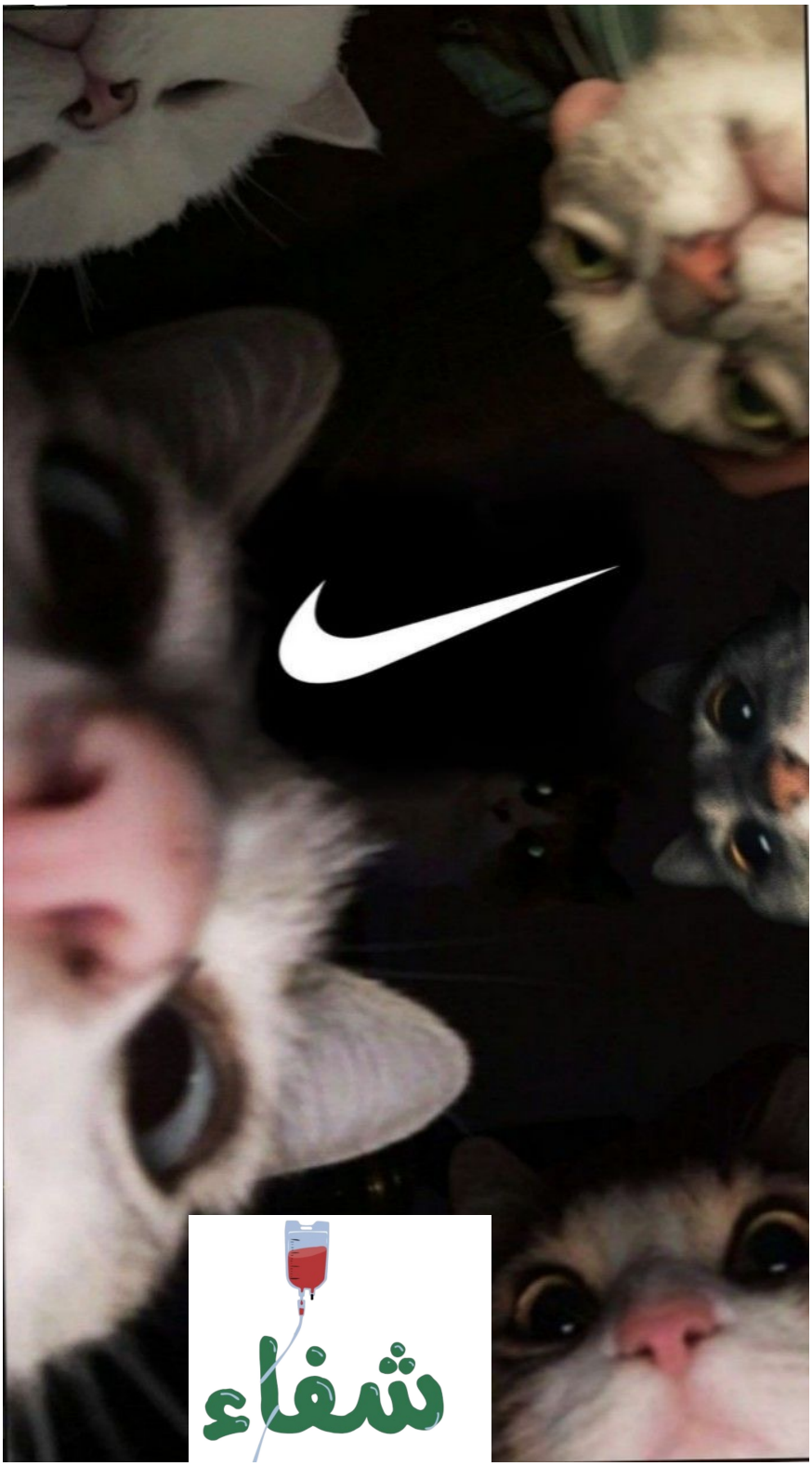

شفاء

used after a meal.

* Antiacids
not used in heartburn, dyspepsia

- AL^{+2}: cuse constubation, interfear with apsorp of many durg
- mg^{+2}: // diarrha, acid rebound
- $AL^{+2}-mg^{+2}$ combination: No diarra, No constubation (mostly used)
- React slow without gas formation
- Contraindicated in renal insufficiency ⇒ ele. disterbance
- Calcium carbonate: in chronic use it may cuse milk-alkali syndrome
- // bicarbonate: higly absorbed, cause metabolic alkalosis.

also CO_2 cuse belching, shold be avoided bec. counteract diuretic therapy for hypertention.

* Reduse acid secretion
عاملين دواعهم إفرازه

- H2-Receptor antagonist → the H2-R for histamin: slective competitive (-) of the parital cell H_2 and cuse reduse pepsin due to ⇓ HCL.
  ex: - Cimetidine → Prototype, many proplems
  - Ranitidine → 50% pass first-pass metabolism bioavalibity
  - Famotidine → 50% pass first-pass metabolism bioavalibity
  - Nizatidine → High bioavalibility → small portion get metabolized
  * Inhibit 90% from nocturnal acid (during overnight for atleast 60 min contions
  * // 60% from day time
  * used in GERD: prophylactically, befor meals, healing erosive esophagites in less than 50
  * can prevent bleeding ⇒ usually I.V
  * in peptic ulser D - PPI is recomeded ⇒ greater healing with PPI

⇒ VB_{12} half blance immune response

H.2R antagonist * Not used → H. pylore / chronic used NSAID.

food P

* S.E only cimitidine
  - CNS: confusion, hallucination only in I.V
  - endocrine: ↑ prolactin serum, (-) esterdiole metabolism
  - Not used in pregnant ♀ → cross placental, milk
  - Inhibit cytochrome P450 enzyme A2, D6, C9, A4, while → Ranitide ⇒ bind less time; → Niza., famo ⇒ no binding

strong effect!
(90-98)% from total acid get inhibit
Pharmaco.D
most effective
Before male used

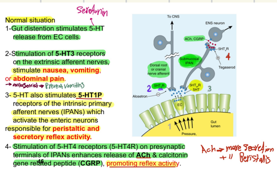
- PPI: save and effective ⇒ azole family * only oral أقراص
  * formulated as prodrug + Immediate Relase suspention result in rapid respone.
  * Durg of chiose for GERD ⇒ most effective
  * Stress-Related gastrites ⇒ omeprazole - nasogastric tube
  * Gastrinomas, hypersecretory condition
  * Nonulcer Dyspesia
  * PPI have direct anti-m. impact in H. pyloRy
  * chronic NSAID ⇒ PPI is your durg #
  * Not used in pregnant ♀, not teratogenic in animal. ⇓ of cyanocopalamin apsroption

Pharmaco.k.: lipophilic weak base
so diffus across the membrane to place with high H^+ to be active
and when it protenated and it bind covalantly bind to the H^+/k^+ pump
omperezole have faster onset of action, Rabaparzole immdiate relase
* short t1/2 but long impact due to covalent binding

*Durg Affecting G-I motality

* Laxatives

- Nonpharmacologic Remdies: not durg
  - High fiber dite
  - take fluid
  - Regular exersicise
  - Responding to nature cell
- Bulk-forming laxative
  - nondigestible hydrophithal absorb H_2O and promte pristalsis
  - case blotting and flatus
  - Natural: Psyllium, normacol, metylcellulose
  - Synthetic fiber: Polycarbophil
- Surfactant
  - permit H_2O, lipids to pentrate · given oral or rectally
  - ex: Docusate, Glycerin Sup, mineral oil
    - lubrication
    - ↓ H_2O absorbtion
    - treat fecal impaction
    - can impair absorption fat solube-V.
- osmitic Lax. (purgative) ⇒ fast fecal evacuation, non-absorb
  - milk of Mg^{+2} → cause hyper Mg^{+2} ⇒ Larg dose cause purgation
  - Sorbitol, Lactolse → undigestble sugar ⇒ metabolized by Bac. and produse sever flatues and cramp
  - Blanced Polyethylen Glycol
    - safe solution → No GAS
    - used for cleaning of colone bef. endoscopy
    - sugar but ne absrob
  - catharics: direct + for ENS
    - Colonic elec. and fluid screetion
    - can lead to dependance and distruction of myentric plex.
    - might be needed in nurological impaired and in p. bed patient in long time
    - antraquinole derivitives: Aloe, Senna, cascara
      - not carcinogenic
      - melanosi coli → Brown pegmentation
    - casteroil → local irritant
    - Tegaserod → 5-HT4 partial agonist (more Ach)
      - used in chronic constubation, irritable bowel syndrome
      - Adverse effects: Diarrhea occure in 9%



Normal situation
1- Gut distention stimulates 5-HT (Seretonin) release from EC cells.

2- Stimulation of **5-HT3** receptors on the extrinsic afferent nerves, stimulate **nausea, vomiting**, or **abdominal pain**.
→ antagonist → prevent vomiting

3- 5-HT also stimulates **5-HT1P** receptors of the intrinsic primary afferent nerves (IPANs) which activate the enteric neurons responsible for **peristaltic and secretory reflex activity.**

4- Stimulation of 5-HT4 receptors (5-HT4R) on presynaptic terminals of IPANs enhances release of **ACh** & calcitonin gene related peptide (**CGRP**), promoting reflex activity.

* antidiarrhea Agents

- Partial constubation
- not used in viral or bacterial infection → infective diarrea
- used in chronic diarrea: infla. bowel disase, irritable bow. D
- opioid Agonist → Revare Ach effect
  - have CNS effect, addiction
  - Loperamid: No CNS effect, No cross BBB ⇒ no addiction — mostly common
  - Diphenoxylate: cross BBB
- Pectin and kaolin → hydrated magnesium
  - nondiges. carbs from appel
  - combinde with kaopectate
  - Taken far from other medication
- Bile salt-binding resins
  - colistipol, cholestyramine — durgs
  - used in malabsorption of bile-salt → cause diarrea
  - S.E: fat malabsorption, constubtion
- octeride
  - same effect to somatostatin
  - used: Diarrhe due to vasoactive intestinal polypeptide-secreting tumor (vasopomyordumfind syndrome), Pituitary tumers and G I bleeding

*IBD durg
- Disyclomine
- Hyoscymine

→ dicrease Pristalsis by theranicolinergic Agent

- Seritonin 5-HT3-R antagonist → Alosterone → aproved for ♀ with sever
  - IBS in whome with sever diarrhea
  - Not effective for men.
  - SE: Ischemic colites, Surgery due chronic constubation
- 5-HT3 R agonist → Short time in ♀ with constubation
  - $ ↔ blofing and hardness of stool

*Antiemic durg
- Ondansetron, Granisetron → Block central 5-HT3 and Peripheral (main Receptor)
  - Prevent emesis, and motion Sickness → Poorly controld
  - compind dexamethasone + NK1-R antagonist
  - Headache, dizziness, constubation

*AntiPsychotic drugs
- Prochloroperazine
- Droperidol
- Promethazine

→ Antiemitic by Blooking (Dopamin + M) R
*sad effect due to antihistamine activity

*Benzodiazepins → Reduse Vomiting Caused by anxeity

Lecture 5 — oral anti-Protozoal Drugs
- Anti-malarial
- miscellaneous antiprotozoal

Some of them used to treated bacterial inf: Metronedazol, Doxycyclin

① Miscellaneous antiprotozoal

Metronedazole
- *Drug of choice in Tm of extraluminal Amebiasis
- *kills trophozoites but Not Cysts of E. histolytica
- *Eradicate Intestinal & Extraintestinal Tissue infx.
- *Flagyl, Metrogel
- *The Drugs freely pentrates Protozoal & bacterial cells but Not mammalian cells.

MOA of Metro
- *① Pyruvate-ferredoxin enzyme & ② oxidoreductase (anaerobic organisms) — they Reduce Metro to become active.
- Reduced Metro ① distrupts Riplication & transcription & ② inhibit DNA Repair

tinidazole
- *Similar activity
- & better toxicity Profile than Metro.

Tinidazole works as well as metronidazole and has many of the same side effects, but it can be given in a single dose

nifuratel.
nifuratel can be used as an alternative to metronidazole or tinidazole in the treatment of trichomoniasis.

* Adverse Effects

Common	Infrequent	Rare
nausea	vomiting	– Pancreatitis
headache	Diarrhea	– severe CNS toxicity (Tinidazol is better tolerated)
dry mouth	insomnia	
metallic taste	weakness	
	dizziness	

*Metro avoided in ① pregnant or nursing women

على الرغم انه لم تسجل حالات تشوهات من قبل

*Clinical uses:

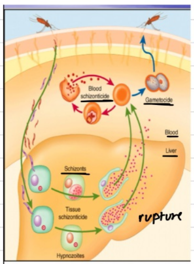
① Amebiasis
- Metronidazole (The Drug of choice) for (Entameba histolytica) (hepatic abscess) (Intestinal wall) (Extraintestinal infx)
- Not effective against Luminal Parasites. So must be used with (luminal amebicide) to ensure eradication of infx
- kills trophozoites not cysts.

② Giardiasis
- → Metronedazole (Tm of choice)
- Efficacy after single tm is 90%
- → Tinidazole is equally effective

③ Trichomoniasis.
- → Metronedazol (Tm of choice) single Dose of 2g is effective.

* Anti-malarial Drugs.
•Malaria is a mosquito-borne infectious disease of humans and other animals caused by parasitic protozoans (a group of single-celled microorganism) belonging to the genus Plasmodium.

→ life cycle of malaria Parasites.



Source: Katzung BG, Masters SB, Trevor AJ: Basic & Clinical Pharmacology, 11th Edition: http://www.accessmedicine.com

- Malaria transmitted by the bite of infected female Anophelinemosquitoes . (انثى)
- From the mosquito salivary glands enter the circulation
- localize in hepatocytes to multiply, and develop
- Asymptomatic for 5 to 15 days, depending on the Plasmodium
- Tissue schizonts rupture,
- releasing thousands of merozoites that enter the circulation, invade erythrocytes where mature schizonts form
- Schizont-containing erythrocytes rupture, each releasing 6 to 32 merozoites this process that produces febrile attacks.

Drugs

chloroquine
- Most useful agent to terminate an acute attack.
- Available as oral, IV, and IM preparation.
- Resistance develops.
- Causes N, headache, and is teratogenic.

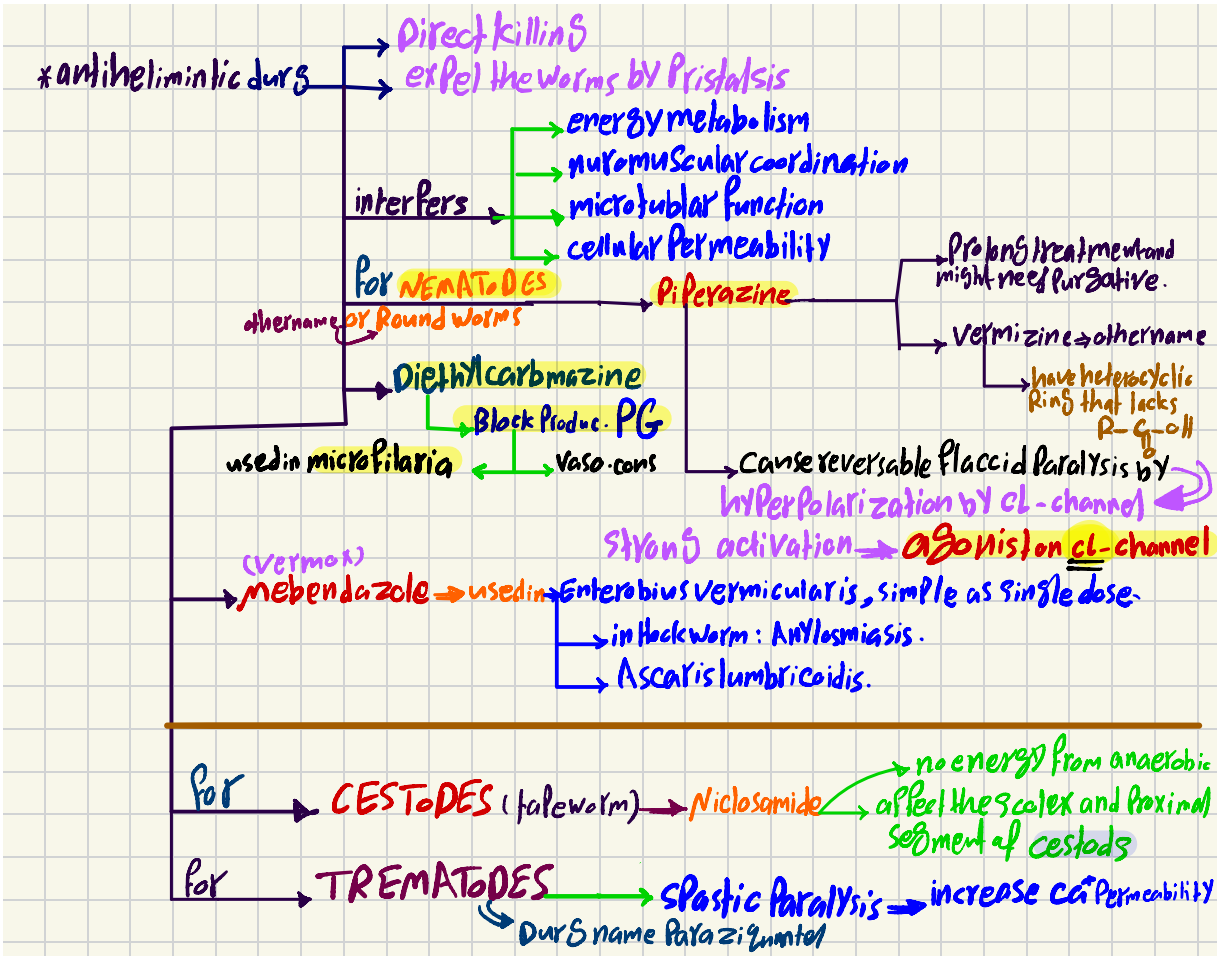
Quinine
Oldest drug, from Cinchona tree.
- Many actions
- Toxic
- Still used, no resistance to its action

Artemisin
New drug from Sweet wormwood (الشيح)

Doxycyclin → Resistance develops.

Pyrimethamin

الحمد لله


*antihelimintic durg
Direct killing
expel the worms by Pristalsis
interfers
energy metabolism
muromuscular coordination
microtubular function
cellular Permeability
For NEMATODES
othername or Round worms
Piperazine
Prolong treatment and might need purgative.
Vermizine → othername
have heterocyclic Ring that lacks R-C(=O)-R
Diethylcarbmazine
Block Produc. PG
used in microfilaria
vaso.cons
Cause reversable flaccid paralysis by hyperpolarization by Cl- channel
Strong activation → agonist on Cl- channel
(Vermox)
mebendazole → used in
Enterobius vermicularis, simple as single dose.
in Hookworm : Anylosmiasis.
Ascaris lumbricoidis.
For
CESTODES (tapeworm)
Niclosamide
no energy from anaerobic
affect the scolex and Proximal segment of cestods
For
TREMATODES
Spastic paralysis → increase Ca permeability
Durg name Praziquantel