TOPIC – ANTIPROTOZOAL AGENTS MAINLY BLOOD PARASITES DRUGS

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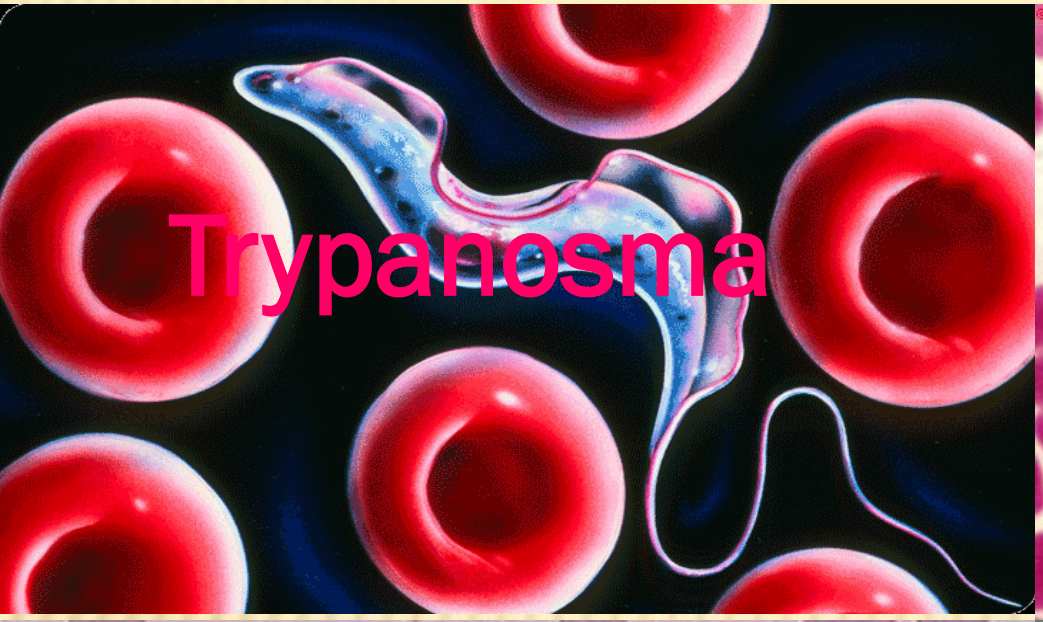
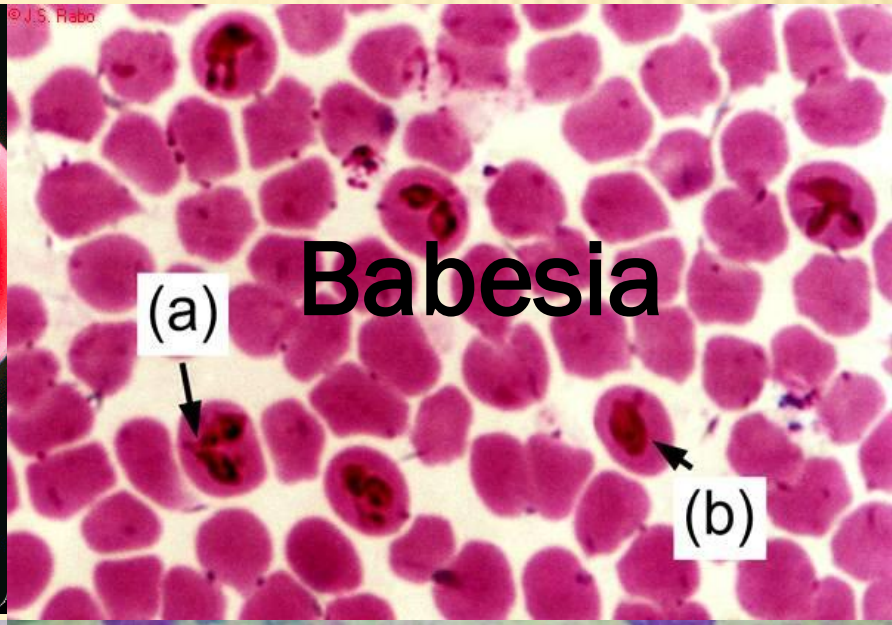
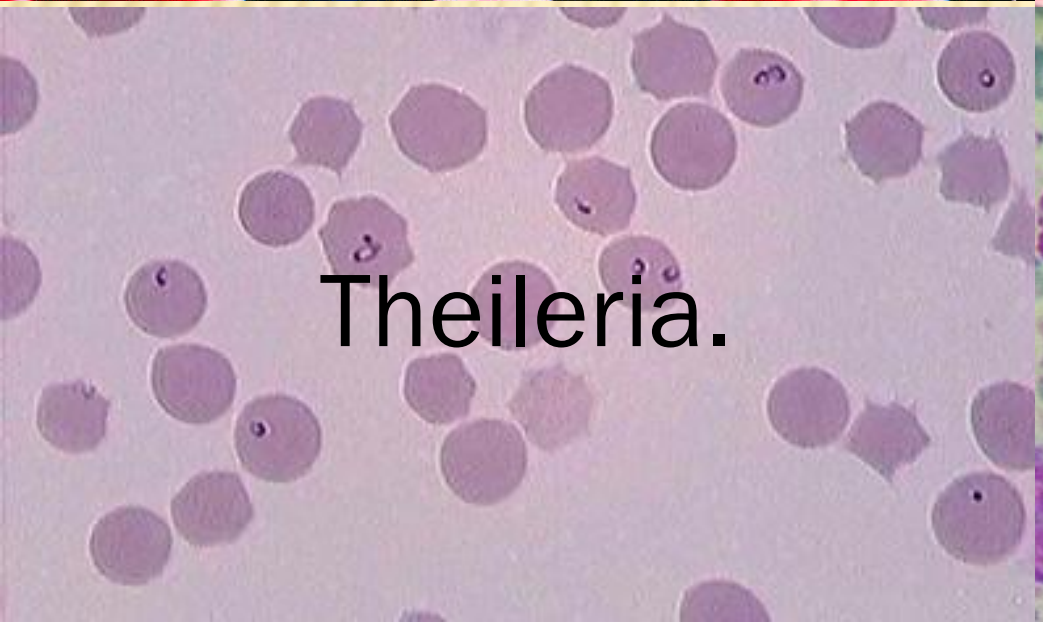
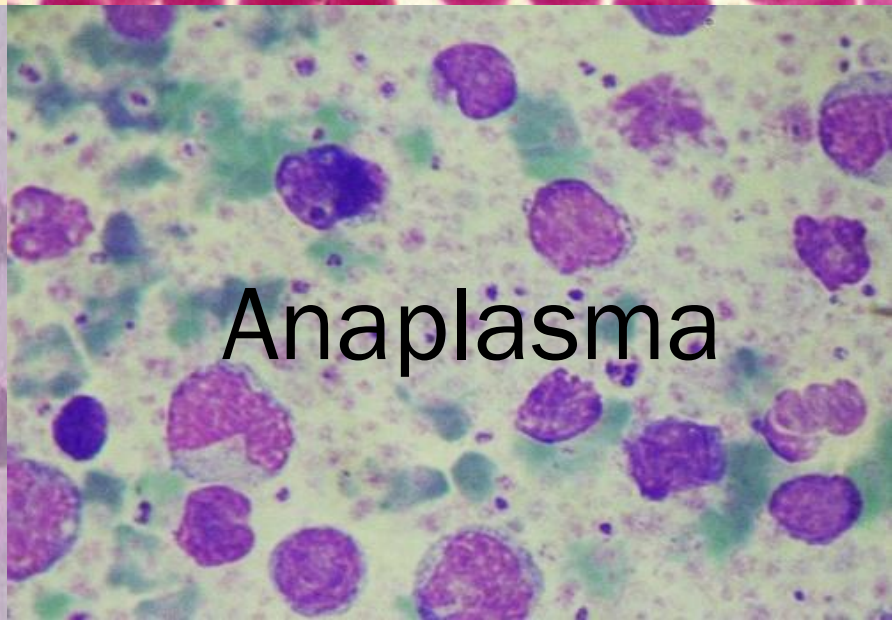
Main blood borne parasites









METHODS OF TICK CONTROL.

TRYPANOCIDAL DRUGS

1. Diamidine group -

- Diminazene aceturate,phenamidine, stilbamidine and pentamidine

2. Phenanthridium group –

- phenidium, dimidium, homidium and isometamidium (trypamidium).

3. Quinapyramine compounds –

- quinapyramine chloride and quinapyramine sulphate.

- Diminazene aceturate (berenil)

Mechanism of action-

- binds rapidly to complementary strands of DNA.
- Interfere with DNA formation and parasite replication.
- Also interfere aerobic glycosis
- Displace Mg ions and inhibit function of polyamines in the parasite.

- **Pharmacology –**
- Poorly absorbed orally, rapid absorption through IM and subcut route.
- Wide and rapid distribution in tissues.
- Half life is 29 days in cattle.
- Accumulate in liver, kidney and adrenal glands.
- **side effects –**
- Local reaction in horses at the site of injection .
- In exotic dog neurotoxicity and nephrotoxicity.
- Hepatic impairment.

- Dose-

for babesia and trypanosomal infection

3.5 mg/kg of 7% freshly prepared aqueous solution.

- Use –

1) trypanosomiasis in early stages.

2) babesial infection.

3) bactericidal against (Brucella and streptococcus).

- Phenanthridium group –

- it include phenidium, dimidium, homidium and isometamidium (trypamidium).

Mechanism of action –

- Cleave kinetoplast DNA resulting in diskinetoplastic DNA.
- Inhibit cell division of protozoa.
- Interfare with glycosomal function.
- Homidium is mutagenic, trypanosoma exposed to it for 1 hour may retain motility for 24 hrs but no longer infective.

- Pharmacology –
- Phenidium and dimidium show photo sensation and toxicity, so homidium and isometamidium are used.
- Orally poorly absorbed, IM and subcut rapidly absorbed.
- Elemination in 24 hrs.

Use –

- effective against T.vivax, T.congolense.

  Less effective to T. brucei.

- **dose –**

- homidium as bromide and chloride salts single dose of 1mg/kg 2% solution IM.

- isometamidium –

- MOA-
- Inhibit DNA synthesis.
- Modify mitochondrial membrane and glycoprotein structure of ER.

- Uses –

- Narrow margin of safety.
- Narrow spectrum of activity.
- Used for prophylaxis against T. congolense and T. brucei in dogs.

- Dose –

- 0.5 – 1 mg/kg deep IM.

Quinapyramine compounds –

- it include quinapyramine chloride and quinapyramine sulphate.
- 3 parts of dimethyl sulphate + 2 parts of dimethyl chloride = antrycide prosalt.

it is used for therapy and prophylaxis.

MOA –

Cause kinetoplastic DNA convulsion, loss of ribosomes, aggregate formation with large no of lysosomes.

- Trypanostatic in action.

Pharmacology –

- Poorly tolerated by horses.
- Local reaction at site of injection so given 2 or more divided doses at 6 hr interval in 5% or 10% solution.
- Effective against T.congolense, T.vivax, T. evensi

Doses –

- 4.4 mg/kg or 1gm total dose for body weight 150-200 kg.
- Not given in young one cause polypnea, salvation, tachycardia and death may occur.

- Surramin

- Water soluble derivative of urea.
- **MOA –**

Binds host plasma protein

↓

Forms drug -protein complex

↓

enter trypanosoma by endocytosis.

↓

Release from complex by lysosomal proteases.

↓

Drug act freely on trypanosomal cytosolic serin olygopeptidase enzyme.

↓

Cidal activity.

- Effective to T.evansi, T.brucei and T.equinum.
- Ineffective to T.congolense and T.vivax.
- Narrow margin of safety.
- Cause hepato and nephrotoxicity, demage to spleen and adrenal gland.
- Do not cross BBB, so not used in chronic cases.
- Protozoa of camel resistant.
- **Doses –**
- Cattle – 12 mg/kg body wt.
- Horse – 7-10mg/kg body wt. slow IV

ANTIBABESIAL DRUGS.

-Dimizine aceturate :

doses – 3-5 mg/kg IM in cattle,

3.5 – 7 mg/kg subcut in dog.

-Imidocarp dipropionate:

MOA –

Cause an alteration in number and size of nuclei and morphology (vacuolation) of cytoplasm.

Doses –

6.6 mg/kg IM or subcut to be repeated after 2 weeks in dogs.

-Amicabalide istheonate –

dose – cattle 5 – 10 mg/kg IM or subcut.

-Terracyclines –

long acting formulation are used for prophylaxis of babesia.

dose – 20 mg/kg every 4 days.

-Quinuronium sulphate

it is used for pre-immunity in cattle against babesia.

dose – 0.5 mg/kg subcut.

-Trypan blue –

dose – 1 -4 gm IV cattle.

ANTI THELERIAL DRUGS.

1) Buparvaquone and parvaquone –

MOA –

- interfare with mitrochondral electron transport and ATP synthesis, together with nucleotide synthesis of protozoa.
- vaculation of cytoplasm.

Dose – 2.5 mg/kg IM single dose.

2) Terracyclines

given for long period in high doses.

dose – 20 mg/kg IV.

3) Halofuginine –

dose – 1-2 mg/kg oral single dose.

ANTIANAPLASMIC DRUGS.

1) Tetracyclines –

- tetracycline, oxytetracyline, chlortetracycline are equally effective.
- used for both prophylaxis and elemination of carrier state.
- dose for acute anaplasmosis

  one IM injection of tetracyclines @ 6.6 – 11 mg/kg.

  and slow infusion of 2-4 l blood.
- for elemination of carrier state – chlortetracycline @ 20 mg/kg given for 10- 20 days.

2) imidocarb –

- originally introduced as an antibabesial drug but found also effective to anaplasm.
- effective for treatment and elemination of carrier state.
- ineffective orally so administered subcut.
- not approved in many countries because of suspected carcinogenic effect and long lasting residues.

dose – 1.2 mg/kg IV, IM or subcut.