

# NSAIDS

## 1. Non selective COX inhibitors.

- Salicylates : ASPIRIN.
- Propionic acid : IBUPROFEN, KETOPROFEN  
NAPROXEN.
- Acetic acid : KETOROLAC.
- Fenamic acid : ME } Fenamic acid.  
FLU }
- Enolic acid : TEN } Oxicam  
PIR }

## 2. Preferential COX-2 inhibitors.

: DICLOFENAC, ACECLOFENAC.

## 3. Highly selective COX-2 inhibitors.

: ETORI } COXIB  
PARE }

4. Analgesics and Antipyretics with weak anti-inflammatory effect.

: PARACETAMOL, NEFOPAM.

### Mechanism of action.

\* COX - Enzyme responsible for synthesis of various PGs.

\* COX-2 - Induced during inflammation by cytokines and endotoxins and is responsible for production of prostanoic mediators of inflammation.

### PHARMACOLOGICAL ACTIONS.

1. Analgesic Effect [Aspirin 2-3 g/day]

- Relieving Musculoskeletal Pain.

- DYSMENORRHEA.

- PAIN ASSOCIATED WITH INFLAMMATION.

• Analgesic Effect is due to ~~peria~~

Inhibition of peripheral production of prostaglandins.

2. Antipyretic Effect : [Aspirin 2-3 g/day]

- Reset the hypothalamic thermostat and Reduce the elevated body temperature.

### 3. Antiinflammatory Effect [Aspirin 4-6 g/day]

- Suppress signs and symptoms of inflammation.
- Due to inhibition of PG synthesis at the site of injury

### 4. Antiplatelet effect: [Aspirin 50-325 mg/day]

- Reversibly inhibits platelet  $\text{TXA}_2$  synthesis.

- Aspirin [2-3 g/day]

Inhibits both  $\text{PGI}_2$  &  $\text{TXA}_2$  Synthesis.

### 5. Acid-Base Electrolyte balance.

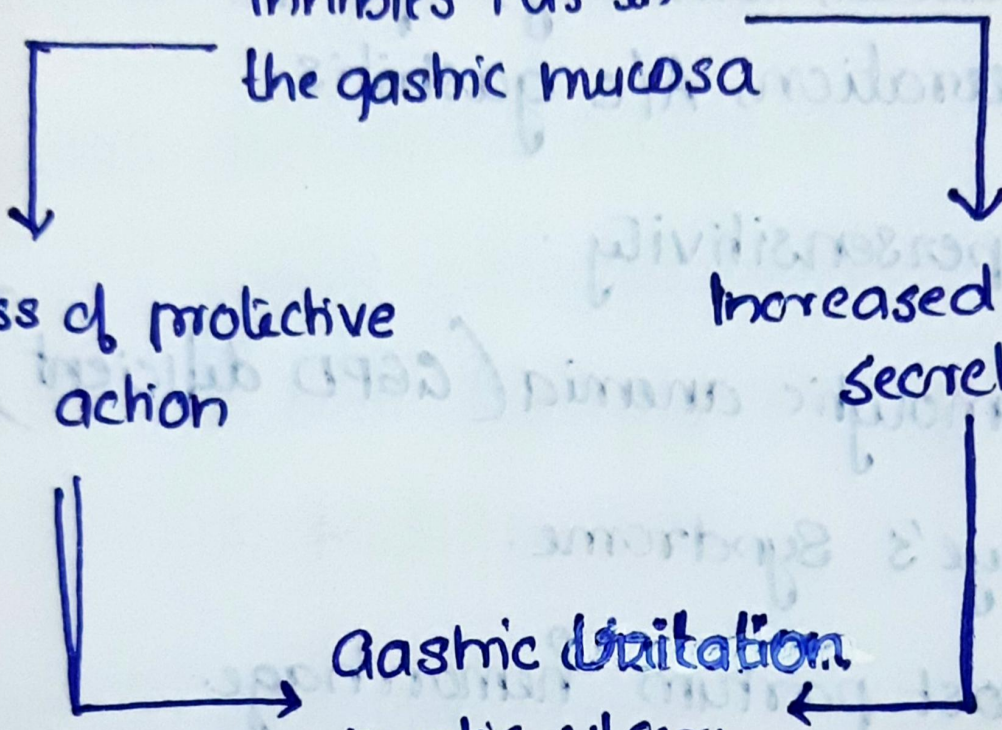
6. GIT : Aspirin

Inhibits PGs in  
the gastric mucosa

Loss of protective  
action

Increased HCl  
secretion

Gastric irritation  
peptic ulcer



## ADVERSE EFFECTS.

1. Nausea, Vomiting, Dyspepsia, Ulceration, A/c gastritis.
2. Hypersensitivity
3. Hemolytic anemia (G6PD deficient)
4. Reye's Syndrome.
5. Post partum hemorrhage
6. Premature closure of ductus arteriosus

Reye N.V Hypersensitized Aspirin  
not partly & Hema prematurely closed  
gas. in perisi.

## Drug Interactions

NSAIDs x Glucocorticoids :  $\uparrow$  GI Complications

NSAIDs potentiates the effect of

1. oral anticoagulants
2. oral hypoglycemic agents.
3. Methotrexate.

NSAID x Lithium  $\rightarrow$  Li Toxicity.

## Selective COX-2 inhibitors.

- PARECOXIB - Prodrug, Parenterally.
- ETORICOXIB - Enteral.
- Gastric Friendly
- Toxic to Kidney & Heart.

## PARACETAMOL

- OPLU, Well, Widely
- Antipyretic, Analgesic.
- Hepatotoxicity, Nephrotoxicity

## A/c paracetamol poisoning

Symptoms: N, V, D, Abd. pain

Hypo  $\frac{1}{2}$  - gt pro.

High doses of paracetamol  $\rightarrow$

Depletion of  
glutathione

Toxic metabolite  
binds covalently with  
proteins in liver

Kidney

Necrosis.

- N-Acetyl cysteine replenishes the  
glutathione stores.

- Activated charcoal is administered  
to decrease the absorption of paracetamol  
from the gut.

# Drugs for A/c attack of migraine

## PAIN

1. NSAIDs : Paracetamol, Aspirin, Ibuprofen, Naproxen
2. Antiemetics : Treat nausea and vomiting associated with migraine

Metoclopramide, Domperidone, Mochlerperazine.

3. Ergot preparations : Ergotamine, Dihydroergotamine
4. Triptans : Sumatriptan, Almotriptan, Naratriptan, Rizatriptan.

# Prophylaxis of migraine (ABCs)

Anticonvulsants : Gabapentin, Valproate, Topiramate.

Beta blockers : Propranolol, Timolol, Atenolol.

Calcium channel blockers : Verapamil, Flunarizine.

Anti Depressants : Amitriptyline.

# H<sub>1</sub> Receptor antagonist / H<sub>1</sub> blockers

## 1. First generation agents.

Cyclizine, Meclizine, Cinnarizine

Promethazine, Diphenhydramine

## 2. Second generation agents.

Cetirizine, Levocetirizine

Loratadine, Desloratadine.

\* Competitively block H<sub>1</sub> receptors.

## First generation agents.

### Pharmacological actions.

1. Antiallergic action.
2. Anticholinergic action.
3. CNS depression, Sedation, Drowsiness.

### Adverse Effects.

1. Anticholinergic side effects
2. N, V, Anorexia.

### Uses.

1. Allergic diseases.
2. Common Cold.
3. Preanaesthetic medication
  - Promethazine.

#### 4. Antiemetic

- Promethazine
- Diphenhydramine.

#### 5. Parkinsonism

- Control tremor, rigidity.
- Promethazine.
- Diphenhydramine.

6. Vertigo in Meniere's disease.

- Cinnarazine

- Meclizine.

7. Sedative & Hypnotic.

Sed Anti & His Allergic friend  
Preen had vertigo ~~at~~ cold park  
took antiemetic.

## Second generation $H_1$ - Blockers.

- They have no, anticholinergic effects, no antiemetic effect, do not cross BBB, do not impair psychomotor functions.

### • Uses.

#### 1. Allergic disorders.

- Allergic rhinitis - nasal spray
- Allergic conjunctivitis - eye drops

Azelastine

- Urticaria, Atopic dermatitis

- Cetirizine, Loratidine.