

# Drugs Used in Asthma and COPD



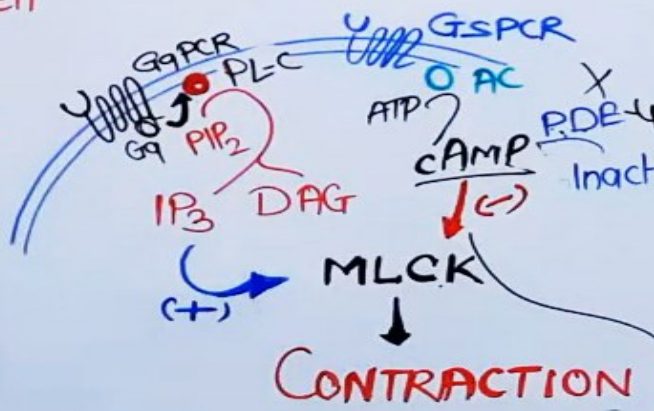
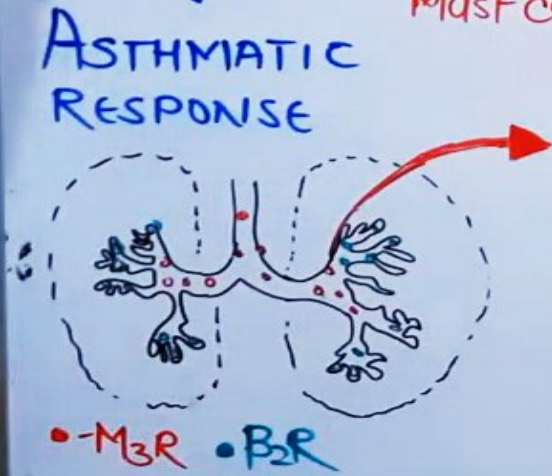
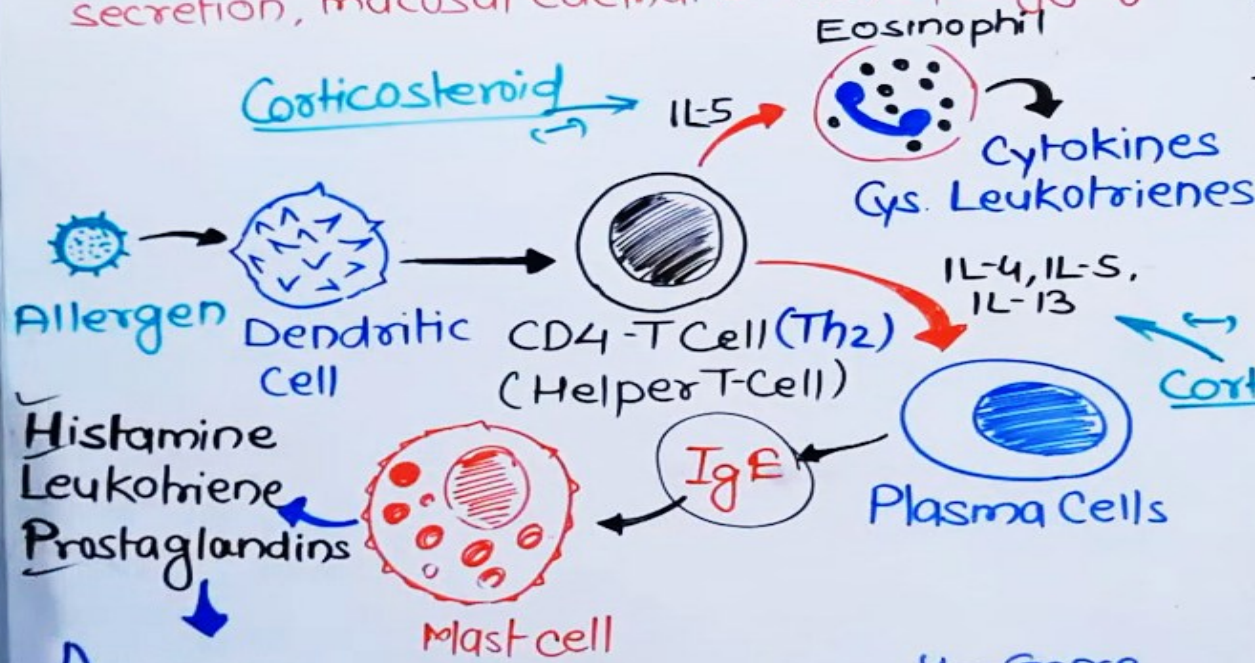
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# ANTI-ASTHMATIC DRUGS PHARMACOLOGY

# Hyper-responsiveness of tracheobronchial smooth muscle to variety of stimuli & Resulting in → Bronchoconstriction, Excessive mucus secretion, mucosal edema. & mucus plugging



## BRONCHO DILATORS

- # B<sub>2</sub> Agonist → Salbutamol, Terbutaline, Salmeterol
- # Anticholinergic → Ipratropium, Tiotropium
- # Methyl Xanthines - Theophylline, Aminophylline

## CORTICOSTEROIDS

- # Systemic → Hydrocortisone, Prednisolone
- # Inhaled → Beclomethasone, Fluticasone, Budesonide

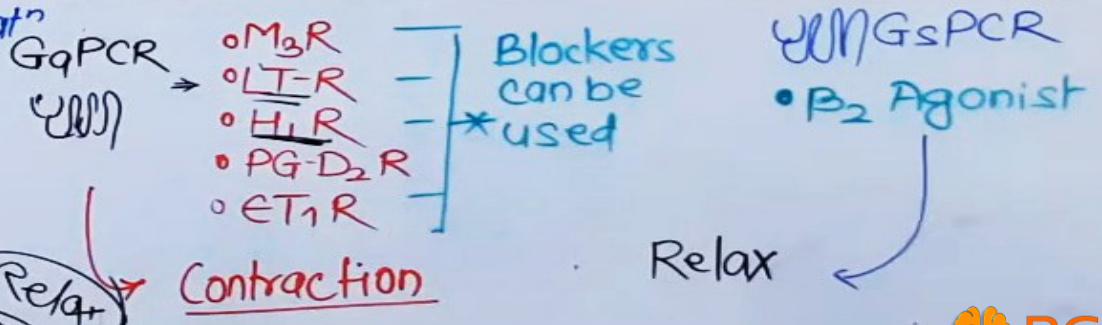
## LEUKOTRIENES INHIBITORS

- # LT-R Antagonist - Montelukast, Zafirlukast
- # 5 LOX Inhibitor - Zileuton, Meclofenamate Sa

## MAST CELL STABILIZER

- # Sod. Cromoglycate, Ketotifen

## Anti-IgE ANTIBODY - Omalizumab

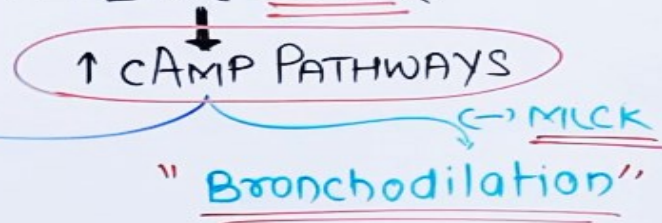


# ANTI ASTHMATIC DRUGS

## $\beta_2$ -Sympathomimetics

- A) SHORT ACTING (3-5h) - Salbutamol, Terbutaline
- B) LONG ACTING (8-12h) - Salmeterol, Formoterol

Therapeutic Action - (+)  $\beta_2$ -R (GsPCR)



← Release  
Mast Cell/Monocyte  
↓  
Histamine TNF- $\alpha$

(PMDI)

# Used by - Pressurized Metered Dose Inhaler

Side Effects - Tremor, Tachycardia, Arrhythmia

Contraindication - Hypertensive, Ischemic Heart pat.

# Salbutamol [R(-)] - More Active & less side effect

↳ Used to abort & terminate asthmatic attack

↳ Reversible obstructive airway disease

↳ Not used Scheduled therapy

↳ Inhaler Preferly

# Bambuterol → Biscarbamate ester prodrug of terbutaline. slowly hydrolysed by Pseudocholinesterase (over 24h)

↳ used in nocturnal & chronic asthma as a single evening oral dose (10-20mg)

# Salmeterol & Formoterol :-

↳ long acting, used by inhalation on a twice daily schedule for maintenance therapy, as well as for nocturnal Asthma

↳ long term use enhance the risk so concurrent inhaled steroid\* Always in combination

## ANTI CHOLINERGIC DRUG

Ipratropium (short Acting, 4-6h) → X-  $M_3$ R  
Tiotropium (Long Acting, 24h) (GsPCR)

# Inhaled bronchodilator, have minimum anticholinergic side effect due to poor absorption

# Better for COPD, bronchitis & psychogenic asthma

# Tiotropium is better for COPD than Ipratropium

# Regular maintenance therapy - ↓ episode of COPD

# Salbutamol (100ug) + Ipratropium (20ug)

↳ Marked & Additive Bronchodilator effect

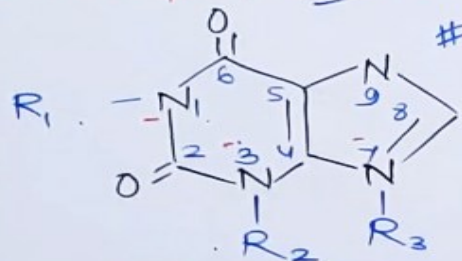
↳ Employed in Refractory Asthma

\* Glycopyrronium Br. (4° Anticholinergic)

# ANTI-ASTHMATIC DRUGS

## XANTHENE DRUGS (BRONCHODILATORS)

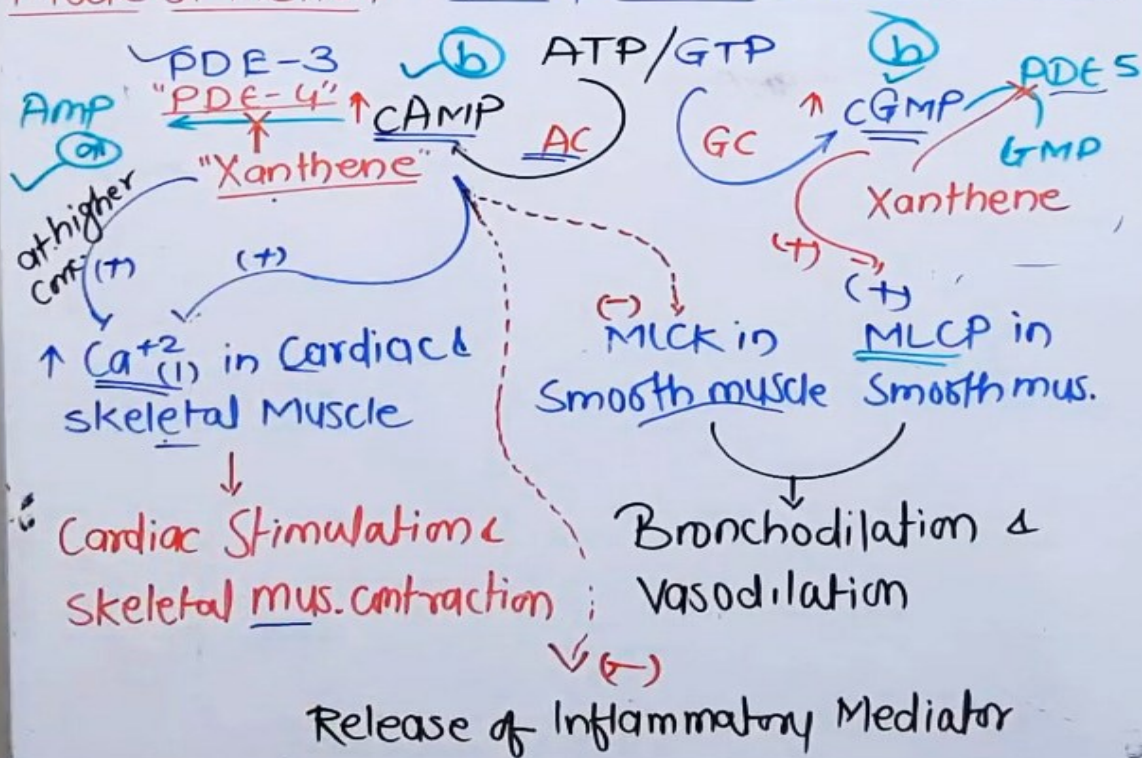
↳ Theophylline, Aminophylline



- # 1 Caffeine - 1,3,7-Trimethyl X.
- 2. Theophylline - 1,3-dimethyl X.
- 3. Theobromine - 3,7-dime. X

"Xanthene"

Mode of Action - Phosphodiesterase Inhibitor



Xanthene ✖

Adenosine-R → Bronchoconstriction  
 ↓ Cardiac pacemaker  
 ↓ Gastric Secretion

Biological Action of Caffeine & Theophylline

- ✖ Bronchodilation # Cardiac Stimulation
- # Vasodilation # CNS Stimulation, (toxic at large dose)
- # Diuresis # Skeletal mus. contraction
- # PDE Inhibitor # Adenosine Antagonism
- # Gastric irritation

ADR → Theophylline → Narrow Therapeutic Window (7-18 µg/ml)

- # CNS - Nervousness, Headach, Seizure
- # CVS - Tachycardia # Gastric irritation

Rapid iv injectn - Precordial pain, Syncope, Death due to fall in BP, arrhythmia & Asystole

USE :- COPD, Asthma, Apnoea

Interaction - Metabolic Enz Inducer → ↓ Th. plasma Conc.

- # Metabolic Enz. Inhibitor - ↑ Theophylline plasma Conc.
- # Theophylline enhance the action of - Furosemide, Sympathomimetics, Digitalis, Oral anticoagulant, Hypoglycemic.

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# ANTI-ASTHMATIC DRUG

## GLUCOCORTICOIDS = ANTI INFLAMMATORY

A. Systemic - Hydroxy cortisone, Prednisolone

# Used in Severe asthma, Status asthma & COPD

B. ICS - Beclomethasone (MDI), Budesonide (MDI), Fluticasone (MDI, DPI)

# Used in Asthma along with B<sub>2</sub> Agonist & COPD

MOA - ↓ Inflammatory pathways & ↓ CK, LTs

✓ # ↓ Bronchial Hypersensitive

✓ # ↓ Mucosal Edema.

✓ # ↓ Immune (Ag-Ab) Response

## LEUKOTRIENE ANTAGONIST

# Zafirlukast, Montelukast \* CysLT<sub>1</sub>R

# They inhibit the → Bronchoconstriction, airway mucus secretion, increased vascular permeability, Eosinophil recruitment

# Use - prophylaxis for mild to moderate asthma, as alternative to ICS

# Zileuton → 5 Lipo oxygenase (Lox) Inhibitor

## MAST CELL STABILIZER - Sod. Cromoglycate, Ketotifen

# Stabilize the Mast cells and other inflammatory cells & inhibit the release of asthmatic mediators. (Histamine, LTs, PGs, PAF, etc)

# Not use during attack

# Administered by aerosol through MDI

Use - Asthma, Allergic Rhinitis, Allergic Conjunctivitis

## ANTI-IgE ANTIBODY - Omalizumab

# Humanized monoclonal antibody against IgE

# Administered through S.C.

# Non benefit against non-allergic asthma

# Neutralize the free circulatory IgE and inhibit the activation of mast-cells & other inflammatory cell & release of mediators

# Reduce the exacerbation asthma & requirement of steroids

# Reserve for Resistant Asthma patients.

# DRUGS USED IN COPD

## ↳ CHRONIC OBSTRUCTIVE PULMONARY DISEASE

- ↳ Starts with attacks of morning cough during winter & progress to chronic cough.
- ↳ Chronic Smoking is the main factor ✓
- ↳ Generally Co-exist with Asthma ✓

## # DRUGS →

1) Corticosteroids - to suppress inflammatory gene and ↑ Histone Deacetylase (HDAC) activity ✓

- ↳ Inhaled Steroid → Fluticasone, Budesonide

## 2) Bronchodilators

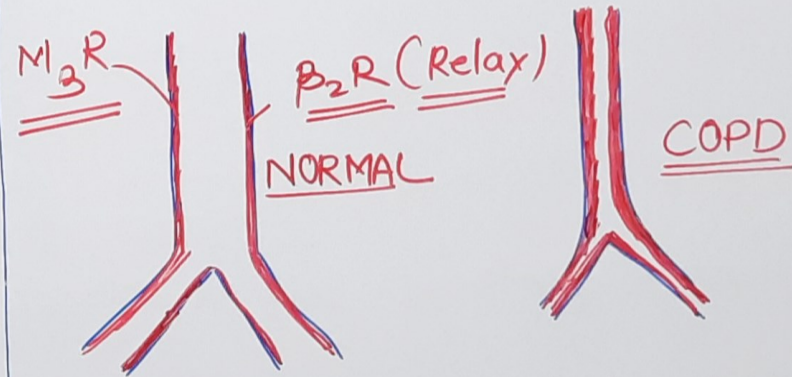
- ↳ short acting - Albuterol, Ipratropium ✓
- ↳ long acting → Formoterol, Salmeterol, Tiotropium ✓

3) PDE-IV Inhibitors → Roflumilast, Theophyllin  
↳ ↓ Inflammation

4) Antibiotics → to ↓ Respiratory infection & acute bronchitis, pneumonia, influenza  
- Azithromycin

5) Respiratory Stimulants - Doxapram

- # LUNG THERAPY - Oxygen therapy
- Pulmonary Rehabilitation
- Non-Invasive ventilation



- # Airway obstruction
- # Mucous gland Hyperplasia
- # Excessive Cough
- # thickened bronchial wall
- # Bronchitis/Smoking/Air pollution

