UNIT-VII

Respiratory System

Prototype Drugs Affecting The Respiratory System

In this chapter we will discuss the prototype drugs used in common diseases of respiratory system.

Common Diseases Related To Respiratory System

1. **Asthma**
2. **Allergic Rhinitis**
3. **Cough**

Now we will discuss prototype drugs of each disease…

Asthma

Asthma is an inflammatory disease of the airways characterized by episodes of acute bronchoconstriction causing shortness of breath, cough, chest tightness, wheezing, and rapid respiration.

Prototype Drugs Used To Treat Asthma

β 2-Adrenergic Agonists

Corticosteroids

Leukotriene Antagonists
➔Montelukast

Xanthine Oxidase Inhibitor
➔Theophylline

Available Brands in the Market

Betnesol Cream (Corticosterioids)
Kanadex Cream (Corticosterioids)
Montika Tab. (Montelukast)
Myteka Tab. (Montelukast)
Theograde Tab. (Theophylline)
Respro-SR Cap. (Theophylline)

B2-Adrenergic Agonists

Inhaled adrenergic agonists with β2 activity are the drugs of choice for mild asthma; Direct-acting β2 agonists are potent bronchodilators that relax airway smooth muscle.

Most clinically useful β2 agonists have a rapid onset of action (5 to 30 minutes) and provide relief for 4 to 6 hours. They are used for symptomatic treatment of bronchospasm, providing quick relief of acute bronchoconstriction. Adverse effects are tachycardia, hyperglycemia, hypokalemia and hypomagnesemia.

Corticosteroids

Inhaled corticosteroids (ICS) are the drugs of first choice in patients with any degree of persistent asthma (mild, moderate, or severe). No other medications are as effective as ICS in the long-term control of asthma in children and adults. These are also effective when administered as nasal sprays for the treatment of Allergic Rhinitis.

Actions On Lung
Inhaled corticosteroids do not directly affect the airway smooth muscle. They directly targets underlying airway inflammation by decreasing the inflammatory cascade, reversing mucosal edema, decreasing the permeability of capillaries, and inhibiting the release of leukotrienes.

Montelukast (Leukotriene Antagonists)

Montelukast is selective, reversible inhibitor of the cysteinyl leukotriene-1 receptor, it block the effects of cysteinyl leukotrienes. Montelukast is used as a prophylaxis of asthma but are not effective in situations in which immediate bronchodilation is required. montelukast is also used for treatment of both seasonal and perennial allergic rhinitis.

Pharmacokinetics

The drug is orally active. Greater than 90 percent of drug is bound to plasma protein. The drug is extensively metabolized, and their metabolites undergo biliary excretion.

Adverse Effects

Elevations in serum hepatic enzymes, headache and dyspepsia

Theophylline (Xanthine Oxidase Inhibitor)

Theophylline is a bronchodilator that relieves airflow obstruction in chronic asthma and decreases its symptoms. Theophylline is well absorbed by the gastrointestinal tract, and several sustained-release preparations are available.

Allergic Rhinitis

Rhinitis is an inflammation of the mucous membranes of the nose and is characterized by sneezing, itchy nose/eyes, watery rhinorrhea, and nasal congestion. An attack may be due to inhalation of an allergen such as dust, pollen, or animal dander. The foreign material interacts with mast cells which, release mediators, such as histamine that promote bronchiolar spasm and mucosal thickening from edema and cellular infiltration.

Prototype Drugs Used To Treat Allergic Rhinitis

- **β -Adrenergic Agonists**
- **Antihistamines**
- **Corticosteroids (see in Asthma)**
- **Montelukast (see in Asthma)**

Available Brands in the Market

Antial (Antihistamines)
Softin (Antihistamines)

β-Adrenergic Agonists

Short-acting β-Adrenergic agonists constrict dilated arterioles in the nasal mucosa and reduce airway resistance. Longer-acting β-Adrenergic agonists are also available. When administered as an aerosol, these β-Adrenergic agonists nasal formulations should be used no longer than 3 days due to the risk of rebound nasal congestion.

Antihistamines (H1-Receptor Blockers)

Antihistamines are the most frequently used agents in the treatment of sneezing and watery rhinorrhea associated with allergic rhinitis. H1-histamine receptor blockers are useful in treating the symptoms of allergic rhinitis caused by histamine release.

Cough

Prototype Drugs Used To Treat Cough

- **Codeine**
- **Dextromethorphan**

Available Brands in the Market

Brufen-Plus (Codeine)
Codamin-P (Codeine)
Dextromethorphan Syrup (Dextromethorphan)
Pacific DM Syrup (Dextromethorphan)

Codeine

Codeine decreases the sensitivity of cough centers in the central nervous system to peripheral stimuli and decreases mucosal secretion. These therapeutic effects occur at doses lower than those required for analgesia but still have common side effects, such as constipation, dysphoria, and fatigue, as well as having addictive potential.

Dextromethorphan

Dextromethorphan is a synthetic derivative of morphine that suppresses the response of the central cough center. It has no analgesic effects in antitussive doses. It has a low addictive profile. Dextromethorphan has a significantly better side effect profile than codeine and has been verified to be equally effective for cough suppression.