SNS COLLEGE OF ALLIED HEALTH SCIENCE

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Affiliated to Dr MGR Medical University, Chennai

DEPARTMENT OF OPERATION THEATRE AND ANAESTHESIA TECHNOLOGY - II YEAR

COURSE NAME: PHARMACOLOGY

TOPIC - BRONCHODILATORS AND BRONCHOLYTICS

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DEFINITION

Bronchodilators: Medications that directly relax bronchial smooth muscle, dilating airways to improve airflow in conditions like asthma and chronic obstructive pulmonary disease (COPD).

Broncholytics: Agents that indirectly reduce bronchoconstriction or inflammation (e.g., leukotriene modifiers, anti-inflammatory drugs), aiding airway patency but not primarily via smooth muscle relaxation.

CLASSIFICATION

Bronchodilators (Direct Airway Smooth Muscle Relaxants):

Beta-2 Adrenergic Agonists:

Short-Acting Beta-2 Agonists (SABA): e.g., Albuterol, Terbutaline, Levalbuterol.

Long-Acting Beta-2 Agonists (LABA): e.g., Salmeterol, Formoterol, Vilanterol.

Anticholinergics (Muscarinic Antagonists):

Short-Acting: e.g., Ipratropium.

Long-Acting (LAMA): e.g., Tiotropium, Aclidinium, Glycopyrronium, Umeclidinium.

Methylxanthines: e.g., Theophylline, Aminophylline.

Broncholytics (Anti-Inflammatory or Indirect Agents):

Leukotriene Modifiers: e.g., Montelukast, Zafirlukast, Zileuton (leukotriene synthesis inhibitor).

RATIONALE FOR CLASSIFICATION

•Bronchodilators act directly on airway smooth muscle via beta-2 receptors, muscarinic receptors, or non-specific mechanisms (methylxanthines).
•Broncholytics target inflammation or mediators (e.g., leukotrienes) to prevent bronchoconstriction, primarily for maintenance therapy.

BETA-2 AGONISTS

Mechanism of Action: Selective beta-2 receptor agonists; stimulate adenyl cyclase, increasing cAMP, relaxing bronchial smooth muscle, reducing mast cell mediator release.

Pharmacodynamics:

Bronchodilation within minutes (SABA) or hours (LABA).

Inhibits airway inflammation and hyperresponsiveness.

SABA for acute relief; LABA for maintenance (with inhaled corticosteroids in asthma).

	Onset	Duration	Dosage
Albuterol (SABA)	5-15 min (inhaled)	4-6 hours	MDI: 90-180 mcg q4-6h PRN; Nebulizer: 2.5 mg q6-8h.
Salmeterol (LABA)	10-20 min	12 hours	MDI: 50 mcg BID; DPI: 50 mcg BID.
Formoterol (LABA)	1-3 min	12 hours	DPI: 12 mcg BID; Nebulizer: 20 mcg BID.

BETA-2 AGONISTS

Metabolism: Hepatic
Excretion: Urine
Interactions:
Beta-blockers (e.g., propranolol) reduce efficacy
MAOIs, TCAs increase CV effects
hypokalemia with diuretics.

Adverse Effects:

Tremor
tachycardia
palpitations
hypokalemia
headache
rare: paradoxical bronchospasm.

ANTICHOLINERGICS

Mechanism of Action: Block muscarinic (M3) receptors, inhibiting acetylcholine-mediated bronchoconstriction and mucus secretion.

Pharmacodynamics:

Bronchodilation by relaxing airway smooth muscle, especially in COPD.

Slower onset than SABAs but synergistic when combined.

Tiotropium: Sustained M3 blockade; improves FEV1 in COPD.

Drug	Onset	Duration	Dosage
Ipratropium (Short-Acting)	15-30 min	4-6 hours	MDI: 36 mcg q6h (2 puffs); Nebulizer: 500 mcg q6-8h.
Tiotropium (Long-Acting)	30 min	24 hours	DPI: 18 mcg daily (Spiriva HandiHaler).
Aclidinium	10-15 min	12 hours	DPI: 400 mcg BID.

ANTICHOLINERGICS

Metabolism: Hepatic.
Excretion: Urine

Interactions:
Additive effects with other anticholinergics
caution with drugs causing dry mouth.

Adverse Effects:
Dry mouth (common)
constipation
urinary retention
blurred vision
rare: glaucoma exacerbation.

METHYLXANTHINES

Mechanism of Action (Theophylline &Aminophylline):

Inhibit phosphodiesterase (PDE), increasing cAMP; possible adenosine receptor antagonism; promote bronchodilation and anti-inflammatory effects. Aminophylline is a theophylline-ethylenediamine complex, improving solubility for IV use.

Pharmacodynamics:

Modest bronchodilation; improves diaphragm contractility.

Narrow therapeutic index (10-20 mcg/mL for theophylline; equivalent for aminophylline); used less due to toxicity.

Drug	Onset	Duration	Dosage
Theophylline	1-2 hour(oral); 30 min (IV)	12-24 hours (SR)	Oral: 300-600 mg/day (adjusted to serum 10-20 mcg/mL); IV loading: 5 mg/kg over 30 min.
Aminophylline	30 min (IV)	12-24 hours	IV loading: 5.7 mg/kg (equivalent to 4.5 mg/kg theophylline) over 30 min; Maintenance: 0.5-0.7 mg/kg/h IV (adjusted to serum theophylline 10-20 mcg/mL). Oral: 225-450 mg BID (adjusted).

METHYLXANTHINES

Metabolism: Hepatic.
Excretion: Urine.

Interactions:
CYP1A2 inducers (e.g., rifampin) reduce levels
inhibitors (e.g., ciprofloxacin) increase toxicity
aminophylline increases risk with other xanthines.

Adverse Effects:
Nausea
vomiting
insomnia
tachycardia
seizures
arrhythmias (both drugs)
aminophylline may cause more GI irritation due to ethylenediamine.

LEUKOTRIENE MODIFIERS (BRONCHOLYTICS)

Mechanism of Action (Montelukast, Zafirlukast): Block cysteinyl leukotriene (CysLT1) receptors, reducing bronchoconstriction, inflammation, and mucus production. Zileuton inhibits 5-lipoxygenase, reducing leukotriene synthesis.

•**Pharmacodynamics:**

- Prevents allergen/exercise-induced bronchospasm; adjunct in asthma.
- No acute bronchodilation; used for maintenance.

Drug	Onset	Duration	Dosage
Montelukast	2-4 hours	24 hours	10 mg oral daily (evening); Pediatrics: 4-5 mg.
Zafirlukast	3-4 hours	12 hours	20 mg oral BID.
Zileuton	1-2 hours	6-8 hours	600 mg oral QID or 1200 mg BID (extended-release).

LEUKOTRIENE MODIFIERS (BRONCHOLYTICS)

- **Metabolism:** Hepatic
- **Excretion:** Feces (major); urine (minor).

Interactions:

•Warfarin increases zafirlukast levels

• CYP inducers (e.g., phenytoin) reduce efficacy

•zileuton increases theophylline levels.

Adverse Effects:

•Headache

•GI upset

•rare neuropsychiatric events (e.g., mood changes)

•liver dysfunction (zileuton requires LFT monitoring).

Class	Example Drug	Mechanism of Action	Onset	Duration	Adverse Effects
SABA (Beta-2 Agonist)	Albuterol	Stimulates beta-2 receptors, increases cAMP, relaxes smooth muscle	5-15 min	4-6 hours	Tremor, tachycardia, palpitations, hypokalemia, headache
LABA (Beta-2 Agonist)	Salmeterol	Stimulates beta-2 receptors, sustained cAMP increase	10-20 min	12 hours	Tremor, tachycardia, hypokalemia, rare paradoxical bronchospasm
Short-Acting Anticholinergic	Ipratropium	Blocks M3 receptors, inhibits bronchoconstriction	15-30 min	4-6 hours	Dry mouth, constipation, urinary retention, blurred vision
LAMA (Anticholinergic)	Tiotropium	Long-acting M3 receptor blockade	30 min	24 hours	Dry mouth, constipation, rare glaucoma exacerbation
Methylxanthine	Theophylline	Inhibits PDE, increases cAMP; adenosine antagonism	1-2(oral) hours, 30 min (IV)	12-24 hours	Nausea, insomnia, tachycardia, seizures, arrhythmias
Leukotriene Modifier (Broncholytic)	Montelukast	Blocks CysLT1 receptors, reduces inflammation	2-4 hours	24 hours	Headache, GI upset, rare neuropsychiatric events, liver dysfunctio

THANK YOU