



SNS COLLEGE OF ALLIED HEALTH SCIENCES

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DEPARTMENT OF CARDIO PULMONARY PERFUSION CARE
TECHNOLOGY

COURSE NAME : Pharmacology Pathology and Clinical Microbiology

2 nd YEAR

TOPIC : EXPECTORANTS AND ANTI TUSSIVES



Expectorants : Introduction

Definition: “Expectorants are oral drugs that increase bronchial secretion or reduce its viscosity, facilitating its removal by coughing simply they enhance the clearance of mucus.”

Also called as **Mucokinetics**.

FDA has removed most expectorants from the market in a review of over-the-counter drugs; only **guaifenesin** is approved as expectorants in the U.S.

Classification of Expectorants

The drugs used as expectorants are classified as follows;

1. Bronchial secretion enhancers:

Ex- Sodium or Potassium citrate,
Potassium iodide,
Guaiphenesin
(Glyceryl guaiacolate),
balsum of Tolu & Vasaka,
Ammonium chloride.

2. Mucolytics:

Ex- Bromhexine,
Ambroxol,
Acetyl cysteine,
Carbocisteine





1. Bronchial secretion enhancers:

Potassium iodide secreted by bronchial glands and can irritate the airway mucosa are considered to increase bronchial secretion by salt action. If potassium iodide given externally for prolonged use can affect thyroid function and produce iodism.

Guaiphenesin, vasaka, tolu balsum are plant products that enhance bronchial secretion and mucociliary function.

Ammonium salts are nauseating—reflexly increase respiratory secretions so US-FDA has stopped marketing of all expectorants, except guaiphenesin.

Nowadays steam inhalation and proper hydration may be more helpful in clearing airway mucus.



2. Mucolytics:

Several agents can reduce the viscosity of sputum in vitro but clinical studies in chronic bronchitis, asthma, and bronchiectasis not showing much activity.

Mucolytic drugs (ex-derivatives of cysteine) reduce the disulfide bridges that bind glycoproteins to other proteins such as albumin and secretory IgA.

These drugs also act as antioxidants and may therefore reduce airway inflammation.

Only N-acetylcysteine (MUCOMYST, others) is available in the U.S.; carbocysteine, methycysteine, erdosteine, and bromhexine are available elsewhere.



COPD patients if not treated with inhaled corticosteroids or other medications shows symptomatic relief after treatment with carbocysteine .

But N-acetylcysteine is not currently recommended for COPD management.

Various triggers like oxidative stress, cigarette smoke, inflammatory cytokines, and activated TLRs stimulates the epidermal growth factor receptor (EGFR) which plays a critical role in airway mucus secretion from goblet cells and submucosal Glands.

Small molecule inhibitors of EGFR kinase, such as gefitinib and erlotinib (anticancer drugs) are currently used for treatments of mucus hypersecretion in COPD patients.



Sr No	Drug Name	Use	Dose
1	Bromhexine	<p>A derivative of the alkaloid vasicine obtained from <i>Adhatoda vasica</i> (Vasaka). It is particularly useful if mucus plugs are present.</p> <p>Potent mucolytic and mucokinetic. It depolymerises mucopolysaccharides directly as well as by liberating lysosomal enzymes network of fibres in tenacious sputum is broken</p>	<p>Adults 8 mg TDS, children 1–5 years 4 mg BD, 5–10 years 4 mg TDS. Side effects : Rhinorrhoea and lacrimation, nausea, gastric irritation, hypersensitivity.</p>
2	Ambroxol	<p>Metabolite of bromhexine having similar mucolytic action, uses and side effects.</p>	<p>15–30 mg TDS. AMBRIL, AMBROLITE, AMBRODIL, MUCOLITE</p>
3	Acetylcysteine	<p>It opens disulfide bonds in mucoproteins present in sputum—makes it less viscid, but has to be administered directly into the respiratory tract.</p>	<p>MUCOMIX 200 mg/ml inj in 1,2,5 ml amps; injectable solution may be nebulized/instilled through trachostomy tube.</p>
4	Carbocisteine	<p>It liquefies viscid sputum in the same way as acetylcysteine. Some patients of chronic bronchitis have been shown to benefit. It may break gastric mucosal barrier; is contraindicated in peptic ulcer patients. Side effects are gastric discomfort and rashes.</p>	<p>MUCODYNE 375 mg cap, 250 mg/5 ml.</p>



Antitussives: Introduction

Definition: “ These are drugs that act in the CNS to raise the threshold of cough centre or act peripherally in the respiratory tract to reduce tussal impulses, or both these actions.”

Actually cough is a common symptom of airway disease, but its mechanisms are poorly understood. Viral infections of the upper respiratory tract are the most common cause of cough; postviral cough is usually self-limiting and commonly patient-medicated.

Antitussives should be used only for dry nonproductive cough or if cough is unduly tiring, disturbs sleep or is hazardous (hernia, piles, cardiac disease, ocular surgery).

Before treatment with antitussives, it is important to identify underlying causal mechanisms that may require therapy.

Classification of Antitussives

- 1. Opioids:** Ex- Codeine,
Ethylmorphine,
Pholcodeine.
- 2. Nonopioids:** Ex- Noscapine,
Dextromethorphan,
Chlophedianol.
- 3. Antihistamines:** Ex- Chlorpheniramine,
Diphenhydramine,
Promethazine.
- 4. Peripherally acting:** Ex- Prenoxdiazine.
- 5. Adjuvant antitussives/ Bronchodilators:**
Ex- Salbutamol,
Terbutalin.





1. Opioids derivatives:

Definition: “Opiates have a central mechanism of action on μ opioid receptors in the medullary cough center, they may have additional peripheral action on cough receptors in the proximal airways.”

Codeine and pholcodine are commonly used derivatives in postviral cough but they produces side effects like sedation and constipation.

Morphine and methadone are effective but indicated only for intractable cough associated with bronchial carcinoma.



Sr No	Drug Name	Use	Dose
1	Codeine	<p>An opium alkaloid, less potent than morphine, but is more selective for cough centre. Codeine is standard antitussive; suppresses cough for about 6 hours. The antitussive action is blocked by naloxone indicating that it is exerted through opioid receptors in the brain. Side effect: Low Abuse liability and constipation. At higher doses specially in children respiratory depression and drowsiness can occur.</p>	<p>10–30 mg; children 2–6 years 2.5–5 mg, 6–12 years 5–10 mg, used as syrup codeine phos. 4–8 ml. CODINE 15</p>
2	Ethylmorphine	<p>It is closely related to codeine which is methylmorphine, and has antitussive, respiratory depressant properties like it, but is believed to be less constipating.</p>	<p>DIONINDO N 16 mg tab</p>
3	Pholcodeine	<p>It has practically no analgesic or addicting property, but is similar in efficacy as antitussive to codeine and is longer acting—acts for 12 hours.</p>	<p>dose: 10–15 mg.</p>

2. Nonopioids derivatives:

Definition: “ These are the agents used in reducing cough without causing any hallucinations or narcotic action it less abuse potential .”

Sr No	Drug Name	Use	Dose
1.	Noscapine (Narcotine)	An opium alkaloid of the benzoisoquinoline series. It depresses cough but has no narcotic, analgesic or dependence inducing properties. It is nearly equipotent antitussive as codeine, especially useful in spasmodic cough. Side effect: Headache and nausea. It can release histamine produce bronchoconstriction in asthmatics.	Dose: 15–30 mg, children 2–6 years 7.5 mg, 6–12 years 15 mg. COSCOPIN 7 mg/5 ml syrup, COSCOTABS 25 mg tab.



Sr No	Drug Name	Use	Dose
2	Dextro-methorphan	<p>A synthetic central NMDA (N-methyl D-aspartate) receptor antagonist; also antagonize opioid receptors; The d-isomer - antitussive action l-isomer - analgesic.</p> <p>Non-addicting. The antitussive action of dextromethorphan has been rated equivalent to codeine.</p> <p>Side effect: Dizziness, nausea, drowsiness; at high doses hallucinations and ataxia may occur.</p>	Dose: 10–20 mg, children 2–6 years 2.5–5 mg, 6–12 years 5–10 mg.
3	Chlophedianol	<p>It is a centrally acting antitussive with slow onset and longer duration of action.</p> <p>Side effect: Dryness of mouth, vertigo, irritability.</p>	Dose: 20–40 mg;



3. Antihistamines:

Many H1 antihistamines have been conventionally added to antitussive/ expectorant formulations .

They relieve cough due to their sedative and anticholinergic actions, but lack selectivity for the cough centre.

They have no expectorant property, may even reduce secretions by anticholinergic action. They have been specially promoted for cough in respiratory allergic states, though their lack of efficacy in asthmatic conditions.

Chlorpheniramine (2–5 mg),

Diphenhydramine (15–25 mg) and

Promethazine (15–25 mg; PHENERGAN 5 mg/5 ml elixir)

Second generation antihistamines like fexofenadine, loratadine, etc. are ineffective.



4. Peripherally acting antitussives:

Prenoxdiazine In contrast to other antitussives, it acts peripherally; desensitizes the pulmonary stretch receptors and reduces tussal impulses originating in the lungs.

It is indicated in cough of bronchial origin.

Efficacy, however, is not impressive.



5. Bronchodilators:

Bronchospasm can induce or aggravate cough. Stimulation of pulmonary receptors can trigger both cough and bronchoconstriction, especially in individuals with bronchial hyperreactivity.

Bronchodilators relieve cough in such individuals and improve the effectiveness of cough in clearing secretions by increasing surface velocity of airflow during the act of coughing.

Fixed dose combinations of a centrally acting antitussive with a bronchodilator or with an antihistaminic having high atropinic activity.

SOME ANTITUSSIVE-EXPECTORANT COMBINATIONS

Sr. No	Brand Name	Drugs	Dosage form
1	ASTHALIN EXPECTORANT	Salbutamol 2 + guaiphenesin 100 mg /10ml	syrup
2	ASCORIL-C	Codeine 10mg+chlorpheniramine 4 mg/5ml	
3	AXALIN	Ambroxol 15 mg+guaiphenesin 50 mg+salbutamol 1 mg+ menthol 1 mg / 5 ml	
4	BRONCHOSOLVIN	Guaiphenesin 100 mg+ terbutalin 2.5mg + bromhexine 8 mg /10 ml	suspension
5	CADICOFF, GRILINCTUS	Dextromethorphan 5 mg+ chlorpheniramine 2.5 mg+ guaiphenesin 50 mg+ Amm.chloride 60 mg/ 5 ml	
6	BENADRYL	Diphenhydramine 14 mg+ amm. chlor. 138 mg + sod. citrate 57 mg+ menthol 1.1 mg/ 5 ml	syrup
7	BRO-ZEDEX	Bromhexine 8 mg+ guaiphenesin 100 mg+ terbutaline 2.5 mg+ menthol 5 mg /10 ml	syrup



Sr. No	Brand Name	Drugs	Dosage form
8	CADISTIN EXPECTORANT	Chlorpheniramine 2 mg+ glyceryl guaiacolate 80 mg+ amm. chlor. 100 mg+ sod. citrate 44 mg+ menthol 0.8 mg+ terpin hydrate 4 mg+ tolu balsum 6 mg+ Vasaka syrup 0.13 ml.	syrup
9	CHERICOF	Dextromethorphan 10 mg+ chlorpheniramine 2 mg, phenylpropanolamine 12.5 mg/ 5 ml.	Monophasic liquid
10	CLISTIN	Carbinoxamine 4 mg+ amm. chlor. 240 mg+ sod. citrate 240 mg/ 10 ml	Syrup
11	COREX	Chlorpheniramine 4 mg+ codeine phos. 10 mg+ menthol 0.1 mg/ 5 ml	syrup
12	COSCOPIN LINCTUS	Noscapine 7 mg+ chlorpheniramine 2 mg+ citric acid 29 mg+ sod. citrate 3 mg+ amm. chlor. 28 mg/5 ml;	syrup
13	COSOME	Dextromethorphan 10 mg+ phenylpropanolamine 25 mg+ chlorpheniramine 4 mg /10 ml	
14	GRILINCTUS	Dextromethorphan 5 mg, chlorpheniramine 2.5 mg, guaiphenesin 50 mg, ammon. chlor. 60 mg/5 ml	

Sr. No	Brand Name	Drugs	Dosage form
15	GRILINCTUS SOFTCAPS:	Dextromethorphan 10 mg+ chlorpheniramine 2 mg+ phenylpropanolamine 12.5 mg.	Softcapsule
16	SOLVIN EXPECTORANT	Bromhexine 4 mg+ pseudoephedrine 30 mg	Tablet
17	SOLVIN EXPECTORANT:	Bromhexine 4 mg+ pseudoephedrine 30 mg	Tablet & Liquid
18	TOSSEX:	Codeine phos 10 mg+ chlorpheniramine 4 mg.+menthol 1.5 mg+sod. citrate 75	Liquid
19	VENTORLIN EXPECTORANT	Salbutamol 2 mg+guaiphenesin 100 mg	syrup
20	ZEET LINCTUS:	Dextromethorphan 10 mg+ guaiphenesin 50 mg+ phenylpropanolamine 25 mg	



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THANK YOU