ANTITUSSIVES

ANTITUSSIVES:

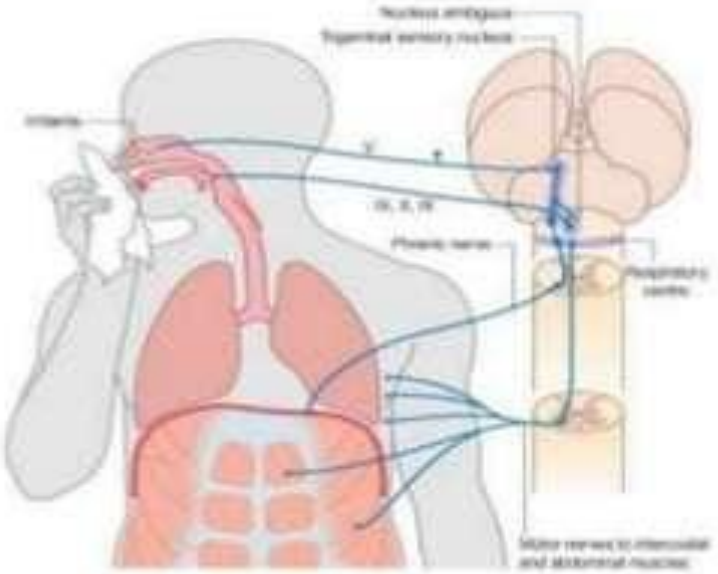
- Antitussives are drugs that suppress coughing, possibly by reducing the activity of the cough center in the brain.
- Antitussive agents are used to relieve dry cough.

COUGH

- Cough is protective reflex, its purpose being expulsion of respiratory secretions or foreign particles from the lungs and upper airway passages.

MECHANISM OF COUGH:

Mechanism of cough



Stimulation of mechano-or chemoreceptors (throat, respiratory passages or stretch receptors in lungs)

↓

Afferent impulses to cough center (medulla)

↓

Efferent impulses via parasympathetic & motor nerves to diaphragm, intercostal muscles & lung

↓

Increased contraction of diaghramatic, abdominal & intercostal (ribs) muscles ⇒**noisy expiration (cough)**

TYPES OF ANTITUSSIVES

- **Centrally acting** antitussives:

narcotics (e.g codeine, hydrocodone)
Addictng
Non addicting

non-narcotics
Opioid derivativs e.g dextromethorphan).
Non opioid

- **Locally acting** agents (e.gdemulsent throat lozenges,
- steam enhalent
- Local anesthatic

CENTRALLY ACTING ANTITUSSIVES:

NARCOTICS:

Codeine, hydrocodone

CODEINE:

- Codeine is an opioid (methylmorphine) with a relatively limited analgesic effect; it does not cause significant respiratory depressions but has good antitussive properties.
- Codeine raises the stimulus threshold of the cough center and thus has a cough suppressing effect.

- In most humans 10% of a codeine dose is transformed to morphine through demethylation in the liver. This explains the analgesic effect that is absent in individuals with the respective genetic traits.


16 FLUID OUNCES
CODEINE
COUGH SEDATIVE
(Syrupus Codeinae Compositus)
EXEMPT NARCOTIC
PARKE, DAVIS & CO.
DETROIT, MICH., U.S.A.

MECHANISM OF ACTION:

- Codeine sulfate is an opioid analgesic, related to morphine, but with less potent analgesic properties. Codeine is selective for the mu receptor, but with a much weaker affinity than morphine. The analgesic properties of codeine have been speculated to come from its conversion to morphine, although the exact mechanism of analgesic action remains unknown.

PHARMACOKINETICS:

- **Bioavailability** 50%
- **Peak plasma level** 1 hour
- **Plasma half-life** 3 to 4 hours
- **Active metabolites** several
- **Elimination** predominantly extrarenal

INDICATIONS:

- Codeine is the *cough medicine* to which all other antitussive drugs are compared.
- Compared to placebos it has been demonstrated to reduce coughing by 40% with a dose of 15 mg and by 60% with a dose of 60 mg.
- Other opioids such as hydrocodon are likely to be even stronger but they are also accompanied by much greater risks (respiratory depression, dependence).

- Codeine is suitable for the treatment of mild and moderate *pain*.
- The analgesic action of 30 mg of codeine corresponds approximately to 325-600 mg of paracetamol or aspirin.
- Codeine can be combined advantageously with non-opioid analgesics. Aspirin (500 mg), paracetamol (500 mg), or other more recent non-steroidal anti-inflammatory agents can be suitably combined with codeine (30 mg). Such combinations can be used after dental surgery or for tumor pains.

ADVERSE REACTIONS

- Retention of urine
- itching
- vertigo
- palpitations or bradycardia
- sweating
- mild central nervous effects

INTERACTIONS:

- The effect of codeine is increased by other drugs with centrally suppressing effects (e.g. alcohol) and by the enzyme inhibitor cimetidine.
- Neuroleptic and antidepressive agents can competitively inhibit the enzyme responsible for the analgesic effect of codeine

EXPECTORANTS:

- Expectorants are agents given orally to liquefy respiratory secretions and allow for their easier removal.
- **Guaifenesin** is the most commonly used expectorant. It is available alone and as an ingredient in many combination cough and cold remedies, although research studies do not support its effectiveness and many authorities do not recommend its use.

EXPECTORANTS:

Other expectorants (hot beverages, potassium iodide, and ipecac) stimulate production of watery mucus.

GUAIFENESIN:

- Guaifenesin is an expectorant, the action of which promotes or facilitates the removal of secretions from the respiratory tract.

MECHANISM OF ACTION:

- By increasing sputum volume and making sputum less viscous, guaifenesin facilitates expectoration of retained secretions.

PHARMACOKINETICS

- **Absorption**

Readily absorbed.

- **Elimination**

The half-life is 1 h; renal excretion; major urinary metabolite is beta-2-(methoxyphenoxy) lactic acid

SILARX

NDC 54838-124-80

Guaifenesin-DM NR Liquid

Each 5 mL (teaspoonful) contains:
Guaifenesin 100 mg
Dextromethorphan Hydrobromide 10 mg

WARNINGS:
KEEP THIS AND ALL DRUGS OUT OF THE REACH OF CHILDREN. In case of accidental overdose, seek professional assistance or contact a Poison control Center immediately.

SEE ACCOMPANYING PACKAGE INSERT FOR WARNINGS, CONTRAINDICATION AND COMPLETE PRESCRIBING INFORMATION.

Store at controlled room temperature at 15°-30°C (59°-86°F). Protect from light. Keep tightly closed.

Dispense in a tight, light resistant container as defined by the USP.

*This product is not manufactured or distributed by Wallace Laboratories, distributor of Tussi-Organidin® - DM NR.

Control # & Exp. Date

N 3 54838-124-80 4

Manufactured by:
Silarx Pharmaceuticals, Inc.
Spring Valley, NY 10977
USA

Rev. 11/05

SILARX

NDC 54838-124-80

Guaifenesin-DM NR Liquid

EXPECTORANT
COUGH SUPPRESSANT

AlcoholFree
Sugar Free

This product labeled for sale by prescription only.

Do not accept if imprinted safety seal or tamper-evident ring around cap is broken or missing.

*Compare to the active ingredient of Tussi-Organidin® - DM NR

473 mL (1 Pint)

INDICATIONS:

- Temporarily relieves cough due to minor throat and bronchial irritation as may occur with the common cold or inhaled irritants.
- Calms the cough control center and relieves coughing.
- Helps loosen phlegm (mucus) and thin bronchial secretions to rid the bronchial passageways of bothersome mucus, drain bronchial tubes, and make coughs more productive.

ADVERSE REACTIONS:

- Dizziness,
- Headache and rash (including urticaria).
- Rare drowsiness or mild gastrointestinal disturbances are the only side effects associated with extromethorphan in clinical use.

MUCOLYTICS:

- Mucolytics are administered by inhalation to liquefy mucus in the respiratory tract. Solutions of mucolytic drugs may be nebulized into a face mask or mouthpiece or instilled directly into the respiratory tract through a tracheostomy.
- **Sodium chloride** solution and **acetylcysteine** (Mucomyst) are the only agents recommended for use as mucolytics.

ACETYLCYSTEINE

- **Acetylcysteine** is effective within 1 minute after inhalation, and maximal effects occur within 5 to 10 minutes. It is effective immediately after direct instillation.
- Oral acetylcysteine is widely used in the treatment of acetaminophen overdosage

OTHER EXPECTORANTS

- Expectorants like **bromhexine** or **ambroxole** may effectively decrease viscosity of bronchial secretions

References

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