

# EMETICS

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# Emetics

## Emesis:

It is defined as:

- “A protective reflex that serves to rid the stomach and intestine of toxic substances and prevent their further ingestion.”

## Emetics

- Drugs or substances causing vomiting.
- Derived from the word “emein” meaning “to vomit”.

## Classification:

### 1. CTZ (Chemoreceptor Trigger Zone) Stimulants

- Morphine
- Apomorphine

### 2. Gastric Mucosa Irritants

- Mustard
- Sodium Chloride

### 3. Having Both Effects

- Ipecacuanha
- Digitalis

## CTZ stimulants

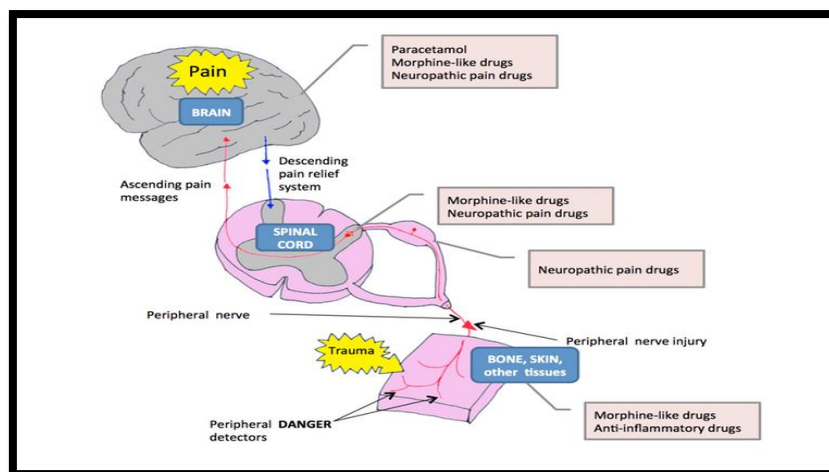
### 1) Morphine

- Narcotic Analgesic
- Leads to opiate induced emesis

## Mechanism of Action

- Opioids act at the chemoreceptor trigger zone (CTZ; area postrema in the medulla), triggering emetic mechanisms mediated by the vomiting center in the medulla.
- The mechanism of opioid-induced emesis is still not completely clear and may involve release of neurotransmitters such as dopamine in the CTZ.
- Also, opioids such as morphine are known to increase vestibular sensitivity, which may in turn cause nausea and vomiting and also explain the higher incidence of these symptoms in ambulatory patients.
- Protective mechanism which serves to eliminate harmful substances from stomach and duodenum.
- Occurs due to stimulation of emetic centre situated in medulla oblongata.
- Multiple pathways can elicit vomiting.





- In addition to the effect of opioid agonists on the CTZ, the other actions of opioids result in inhibition of gastric motility and delay in gastric emptying. Morphine, even at lower doses, slows gastric emptying in humans.
- Overall, the inhibitory gastric effects of opioid agonists could contribute to nausea and vomiting by activating gastrointestinal mechanoreceptors that convey signals to the vomiting center via the vagal afferents. The vagal input to the vomiting center may be only complementary to the direct stimulation of the CTZ by opioids in producing nausea and vomiting.

### Pharmacokinetics

- **Absorption:** Morphine presents an almost complete absorption mainly done in an alkaline environment in the upper intestine as well as in the rectal mucosa.
- **Distribution:** The reported volume of distribution of morphine is 5.31 L/kg while for morphine-6-glucuronide is of 3.61 L/kg.
- **Metabolism:** Around 90% of morphine is glucuronidated and sulfated by the activity of UDP-Glucuronosyltransferase-2B7 in the liver.
- **Elimination:** The elimination of morphine and its metabolites is mainly done by the urine from which only 2-10% of the dose corresponds to the unchanged form.

### Drug-Drug Interaction

- **Warfarin and Morphine:** The metabolism of warfarin can be decreased when combined with Morphine.
- **Alprazolam and Morphine:** The risk or severity of adverse effects can be increased when Morphine is combined with Alprazolam.

### Drug-Food Interactions

- Avoid alcohol.
- Take with food.
- To avoid constipation: increase your daily intake of fiber (beans, whole grains, vegetables).

### Indications

Include acute pain, chronic pulmonary oedema, Gastric motility disorders, Epilepsy, Dyspnea, Cough, Insomnia, MI, Parkinson's disease, Surgery etc.

## **Contraindications**

Respiratory disease, Biliary colic, raised intracranial pressure, Coronary perfusion disorder, Head Injury.

## **Side effect**

- Include Dependency, asthma, Coma, cyanosis, respiratory depression, Anaphylatic shock. Acute effects include hypotension, tremor, respiratory failure, Hypothermia, dysphoria, sedation. Late effects include pruritis, itching, Urticaria, hallucination, Biliary spasm and mental clouding.
- High Risk groups include patients of kidney dysfunction.

## **2) Apomorphine**

- Obtained by treating morphine with HCl
- Produce vomiting in 5-10 min after administration
- **Dose:** 0.6 mL (6 mg) per single injection.
- **Route:** Subcutaneous only. Do not inject intramuscularly or intravenously
- Activity as a non-selective dopamine agonist which activates both D<sub>2</sub>-like and, to a much lesser extent, D<sub>1</sub>-like receptors. It also acts as an antagonist of 5-HT<sub>2</sub> and  $\alpha$ -adrenergic receptors with high affinity.
- Apomorphine is used to treat "wearing-off" episodes (muscle stiffness, loss of muscle control) in people with advanced Parkinson's disease.

## **Drug-Drug Interactions**

- **Warfarin and Apomorphine:** The risk or severity of adverse effects can be increased when Apomorphine is combined with warfarin.
- **Amobarbital and Apomorphine:** Amobarbital may increase the hypotensive activities of Apomorphine.

## **Food-Drug Interactions**

- Not Available

## **Gastric mucosa irritants**

### **1. Mustard**

- A condiment made from the seeds of a mustard plant.
- used as a food flavoring, for forage, as an emetic, and diuretic, as well as a topical treatment for inflammatory conditions such as arthritis and rheumatism.
- House hold remedy.
- Irritate sensory nerve endings at pyloric ends of stomach.
- **Dose:** I teaspoon full with water.



## 2. Sodium chloride

- Sodium chloride with warm water is emetic.
- **Dose:** 2 spoonful of common salt in 1 pint of warm water.
- When a person drinks salt solution, the condition in his body becomes hypertonic and causes exosmosis resulting in shrinkage of the cell. The outward movement of water from the cell results in irritation and excessive dehydration. This results in reverse movements and hence, vomiting.
- Some do this due to an eating disorder, or to try and purge something from their system.

## Drugs with both effects

### 1. Ipecacuanha

- Obtained from dried rhizome and roots of *Carapichea ipecacuanha*
- Commonly available as ipecac syrup. It acts by irritating gastric mucosa and as well as through CTZ.
- **Dose:** In an adult is 15-30ml, in children 10-15ml and 5ml in the infant.
- Induce vomiting within 15 minutes
- Also used to treat bronchitis associated with croup in children, a severe kind of diarrhoea (amoebic dysentery), and cancer. Ipecac is also used as an expectorant to thin mucous and make coughing easier. Small doses are used to improve appetite.



## 2. Digitalis

- Digitalis is a genus of about 20 species of herbaceous perennials, shrubs, and biennials commonly called fox gloves. It is extracted from the leaves of a plant called *Digitalis lanata* and *Digitalis purpurea*.
- Emesis is one of the most common symptoms of poisoning with the members of the digitalis group.
- Digitoxin, chemical extract of plant, is a cardiac glycoside having positive inotropic effect.
- Increases the force of contraction of the muscle of the heart by inhibiting the activity of an enzyme (ATPase) that controls movement of calcium, sodium, and potassium into heart muscle.

- Eliminated via the liver, so could be used in patients with poor or erratic kidney function.
- **Indication:** Used to treat heart failure, usually along with other medications. It is also used to treat certain types of irregular heartbeat (such as chronic atrial fibrillation).
- The reference range for digoxin is as follows: 0.8-2 ng/ml (1.2-2 nmol/L).
- Half-life: 36 hours. Toxic level: more than 2 ng/ml.

### **Drug-Drug Interactions**

- **Acetaminophen and Digoxin:** Acetaminophen may decrease the excretion rate of Digoxin which could result in a higher serum level.
- **Apomorphine and Digoxin:** The risk or severity of QTc prolongation can be increased when Digoxin is combined with Apomorphine.

### **Drug-Food Interactions**

- Avoid avocado.
- Avoid bran and high fiber foods within 2 hours of taking this medication.
- Avoid excess salt/sodium unless otherwise instructed by your physician.
- Avoid milk, calcium containing dairy products, iron, antacids, or aluminium salts 2 hours before or 6 hours after using antacids while on this medication.
- Avoid salt substitutes containing potassium.
- Limit garlic, ginger.

### **Other drug inducing emesis**

- Chemotherapeutic drugs
- Amiodarone
- Chloroquine
- Quinine
- Deltiazem
- Ergot derivatives
- Fluoroquinolones
- Metronidazole
- Erythromycin
- Tetracyclines