SNS COLLEGE OF ALLIED HEALTH SCIENCE

SNS Kalvi Nagar, Coimbatore - 35

Affiliated to Dr MGR Medical University, Chennai

DEPARTMENT OF OPERATION THEATRE AND ANAESTHESIA TECHNOLOGY - II YEAR

COURSE NAME: PHARMACOLOGY

TOPIC - ANTIEMETICS

MRS.GAYATHIRI.K
Lecturer

ANTIEMETICS

Definition

Antiemetics : Medications that prevent or alleviate nausea and vomiting by acting on various receptors and pathways in the central nervous system (CNS) and gastrointestinal (GI) tract involved in the vomiting reflex.

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Mechanism of Action

Ondansetron (5-HT3 Receptor Antagonist)

- Blocks serotonin (5-HT3) receptors in the chemoreceptor trigger zone (CTZ) of the brain and vagal afferents in the GI tract, inhibiting nausea and vomiting signals.

Metoclopramide (Dopamine D2 Receptor Antagonist & Pro kinetic)

- Antagonizes dopamine D2 receptors in the CTZ.
- Enhances gastric motility and accelerates gastric emptying by stimulating 5-HT4 receptors and inhibiting 5-HT3 receptors in the GI tract.

Promethazine (H1 Receptor Antagonist & Anticholinergic)

- Blocks histamine H1 receptors in the vestibular system and CTZ.
- Exhibits anticholinergic effects, reducing stimulation of the vomiting center via muscarinic receptors.

Dexamethasone (Corticosteroid):

Exact antiemetic mechanism unclear; likely reduces inflammation in the CTZ, modulates neurotransmitter release, and inhibits prostaglandin synthesis.

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Pharmacodynamics

Ondansetron

- Selectively inhibits 5-HT3 receptors, reducing nausea and vomiting triggered by chemotherapy, radiotherapy, or postoperative states.
- Minimal effect on other neurotransmitter systems, leading to fewer CNS side effects.

Metoclopramide

- Acts on dopamine and serotonin pathways to reduce nausea and enhance GI motility.
- May cause CNS effects due to dopamine antagonism.

Promethazine

- Broad action on histamine, muscarinic, and dopamine receptors, providing antiemetic and sedative effects.
- Effective for motion sickness and postoperative nausea due to vestibular and histamine receptor blockade.

Dexamethasone:

Modulates inflammatory pathways and neurotransmitter activity in the CTZ.

Potentiates antiemetic effects of 5-HT3 antagonists and NK1 antagonists, particularly in CINV and PONV.

Provides prolonged antiemetic action due to its long half-life.

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Pharmacokinetics

Ondansetron

Onset of Action: 30 minutes (oral), 10–15 minutes (IV), 15–30 minutes (IM).

.Duration of Action.: 4–8 hours.

.Absorption.: Well-absorbed orally

.Metabolism.: Extensively metabolized in the

.Excretion.: Primarily renal

.Dosage (IM).: 4–8 mg every 4–8 hours as needed (adults); pediatric doses vary by weight (0.1 mg/kg).

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.Metoclopramide:

- .Onset of Action.: 30–60 minutes (oral), 1–3 minutes (IV), 10–15 minutes (IM).
- .Duration of Action.: 1–2 hours.
- .Absorption.: High oral
- .Metabolism.: Hepatic
- .Excretion.: Primarily renal
- .Dosage (IM).: 10 mg every 4–6 hours as needed (adults).

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Promethazine:

- .Onset of Action.: 20 minutes (oral), 5–10 minutes (IV), 15–20 minutes (IM).
- .Duration of Action.: 4–6 hours
- .Absorption.: Well-absorbed orally
- .Metabolism.: Hepatic
- .Excretion.: Primarily renal, some biliary.
- .Dosage (IM).: 12.5–25 mg every 4–6 hours as needed (adults).

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Dexamethasone:

Onset of Action: 1–2 hours (oral/IV/IM).
Duration of Action: 36–72 hours
Absorption: Well-absorbed orally
Metabolism: Hepatic
Excretion: renal
Dosage (IM): 4–8 mg single dose for PONV or CINV (adults); may repeat every 6–12 hours as needed; pediatric: 0.1–0.2 mg/kg.

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Indications.

Ondansetron:

- Chemotherapy-induced nausea and vomiting (CINV).
- Radiotherapy-induced nausea and vomiting (RINV).
- Postoperative nausea and vomiting (PONV).

Metoclopramide:

- PONV, CINV.
- Gastroparesis and gastroesophageal reflux disease (GERD) due to prokinetic effects.

Promethazine:

- Motion sickness, PONV, Allergic conditions (adjunctive therapy due to antihistamine effects).

Dexamethasone:

CINV (especially in combination with 5-HT3 antagonists).

PONV (prophylaxis and treatment).

Nausea/vomiting associated with acute infections or inflammation.

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Contraindications.

Ondansetron:

- Hypersensitivity to 5-HT3 antagonists.
- Concomitant use with apomorphine (risk of profound hypotension and loss of consciousness).
- Congenital long QT syndrome (risk of torsades de pointes).

Metoclopramide:

- GI obstruction, perforation, or hemorrhage (due to prokinetic effects).
- Pheochromocytoma (risk of hypertensive crisis).
- History of tardive dyskinesia or extrapyramidal symptoms (EPS).
- Seizure disorders.

Promethazine:

- Hypersensitivity to phenothiazines.
- Children under 2 years (risk of respiratory depression).
- Coma or severe CNS depression.
- Glaucoma, prostatic hypertrophy (due to anticholinergic effects).

Dexamethasone:

Hypersensitivity to corticosteroids.
Active systemic fungal infections.
Recent live virus vaccination (immunosuppression risk).
Peptic ulcer disease or uncontrolled diabetes (with caution).

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Side Effects.

Ondansetron:

- Common: Headache, constipation, fatigue.
- Less Common: Dizziness, diarrhea, rash.

Metoclopramide:

- Common: Drowsiness, fatigue, diarrhea.
- Less Common: Restlessness, agitation, EPS (e.g., dystonia, akathisia).

Promethazine:

- Common: Sedation, dry mouth, blurred vision.
- Less Common: Confusion, urinary retention, hypotension.

Dexamethasone:

Common: Insomnia, increased appetite, hyperglycemia.

Less Common: Mood changes, GI irritation, hypertension

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Adverse Effects.

Ondansetron:

- QT prolongation and risk of arrhythmias (rare, dose-dependent).
- Serotonin syndrome (if combined with other serotonergic drugs).

Metoclopramide:

- Tardive dyskinesia (potentially irreversible, especially with prolonged use).
- Neuroleptic malignant syndrome (rare).
- Hyperprolactinemia (galactorrhea, gynecomastia).

Promethazine:

- Severe respiratory depression (especially in children).
- Tissue necrosis with IV administration (if extravasation occurs).
- Cholestatic jaundice (rare).

Dexamethasone:

Adrenal suppression (with prolonged use).
Increased infection risk (immunosuppression).
Osteoporosis, myopathy, or psychosis (long-term, high-dose).

- .Ondansetron. is frequently mentioned across the provided question papers (e.g., [LP 0819], [LQ 0220]) as a key antiemetic for PONV and CINV.
 Dexamethasone. is noted as an antiemetic, particularly in the context of chemotherapy and postoperative settings ([LK 0217]).

THANK YOU