

Antiemetic drugs

Drug	MOA	Pharmacokinetics and Uses	ADRs
1-5-HT₃ antagonists : e.g. Ondansetron, Granisetron	Blocks 5HT-3 receptors in central (vomiting center, chemoreceptor trigger zone) and peripheral (intestinal and spinal)	<ul style="list-style-type: none"> • Taken Orally or i.v., long duration of action • Has high first pass metabolism • Very effective in nausea & vomiting due to: Cytotoxic drugs (cisplatin) Post-radiation and Post-operative 	
2- Dopamine (D₂) receptor antagonists -metoclopramide, domperidone -Antipsychotics with potent antiemetic property due to D ₂ antagonism e.g.: Chlorpromazine, droperidol <ul style="list-style-type: none"> • Taken orally, parentally, suppository • used for vomiting due to chemotherapy-induced emesis -Side effects: extrapyramidal symptoms, hypotension, sedation, restlessness	Antagonize D₂ receptors in CTZ Both drugs have 5 HT₄ agonist activity (prokinetic) Metoclopramide has a 5HT₃ blocking activity	-Domperidone- oral; Metoclopramide -oral, i.v . Metoclopramide crosses BBB ,but domperidone cannot . Effective against vomiting due to drugs, gastroenteritis, surgery, toxins, uremia, radiation -Can be used in reflux esophagitis .	Uses and ADRs of Metoclopramide : -Facilitate duodenal intubation & endoscopy -↓ Regurgitation & reflux esophagitis -Diagnostic radiology of gut → ↓ time required for barium to reach caecum → ↓ No. of films required -Clears gastric contents in emergency anesthesia Side effects (extrapyramidal): dyskinesia, galactorrhea, menstruation disorders, sedation (only for metoclopramide).
3-Neurokinin₁ (NK₁) receptor antagonists e.g.: Aprepitant	Is a substance P antagonist that acts by blocking neurokinin 1 receptors .	In prevention of acute and delayed <u>chemotherapy-induced nausea and vomiting (CINV)</u> and for prevention of postoperative nausea and vomiting.	
4-H₁-receptor antagonists (Antihistamines): - Diphenhydramine, Cyclizine, Meclizine -Promethazine: severe morning sickness of pregnancy (if only essential)		-Effective for motion sickness, morning sickness in pregnancy, and to combat opioid nausea . - Not in chemotherapy-induced vomiting.	
5-Muscarinic receptor antagonists:	✓ Used as trans-dermal patches in motion sickness (applied behind the external ear) ✓ Not in chemotherapy-induced vomiting.		
6-Cannabinoids -Nabilone, dronabinol (psychoactive drugs)		✓ Used as adjuvant in chemotherapy induced vomiting .	Sedation, hallucination and dysphoria
7-Glucocorticoids Dexamethasone and methylprednisolone		✓ Highly effective in acute emesis alone or combined with ondansetron . ✓ Used for vomiting by cytotoxic drugs .	× Hyperglycemia × Hypertension × Cataract × Osteoporosis × Increased intraocular pressure × Increased susceptibility to infection × Increased appetite & obesity
Summary for Therapeutic Choice of Antiemetics			
Motion sickness: <ul style="list-style-type: none"> • Hyoscine: For short Journey. • Diphenhydramine: For Long Journey. 	Vomiting with pregnancy (morning sickness): <ul style="list-style-type: none"> ✚ avoid all drugs in the first trimester ✚ Pyridoxine (B₆) ✚ Promethazine (late pregnancy) 	Drug- induced vomiting (CTZ) uremia -gasteritis: domperidone & metoclopramide Post-operative vomiting: ✚ Dopamine antagonists (Metoclopramide or Domperidone)	Vomiting due to cytotoxic drugs: <ul style="list-style-type: none"> ✚ Ondansetron ✚ D₂- antagonists. ✚ Dexamethazone ✚ Nabilone.