**Question 1**

Which receptor action is required for an antiemetic to be effective?

A Nicotinic receptor antagonism

B Serotonin receptor agonsim

C Neurokinin receptor agonism

D Dopamine receptor antagonism

Explanation (D)

**Question 2**

Which of the following anti-emetics do not cause extra pyramidal side effects?

A Droperidol

B Ondansetron

C Promethazine

D Metoclopramide

Explanation (B)

The 5HT3 receptor antagonists (ondansetron, granisetron, dolsetron and palonosetron) are generally well tolerated. Commonly reported side effects are headache, dizziness and constipation. All agents cause a small but statistically significant QT prolongation. Dolsetron seems to be the agent with the greatest risk and should not be administered to patients with prolonged QT. These drugs do not cause any extra pyramidal side effects

Note: droperidol may prolong the QT interval as well

**Question 3**

Which of the following drugs is not an antiemetic?

A Diphenoxylate

B THC

C Dexamethasone

D Ondansetron

Explanation (A)

Diphenoxylate is a prescription opioid agonist that has no analgesic properties in standard doses. It is used as an antidiarrheal agent. However in higher doses there are CNS effects and this leads to dependence. Atropine is added in small doses (too low to have a significant antidiarrheal effect) but to discourage overdose of diphenoxylate. The anticholinergic properties of atropine may contribute to the antidiarrheal action. Chemicals, which work at the chemoreceptor trigger zone, are ACH, serotonin, dopamine, substance P and THC

Extra: THC (Delta 9 - TetraHydroCannabinol) is used as an antiemetic in patients undergoing chemotherapy. Dexamethasone - is an effective antiemetic drug, unclear mechanism. Ondansetron is 5HT3 blocker at CTZ, effective antiemetic.

Antiemetics: D2 receptor blockers- prochlorperazine, 5HT3 receptor blockers-ondansetron, antimuscarinic-hyoscine and scopolamine, antihistamines-diphenhydramine.

**Question 4**

Regarding metoclopramide, which of the following statements is correct?

A It increases gastric emptying

B It is a dopamine agonist

C It decreases the tone of the lower oesophageal sphincter

D It decreases ileal peristalsis

Explanation (A)

Metoclopramide is a prokinetic that aids gastric emptying and promotes peristalsis. It is a dopamine antagonist. It also increases lower oesophageal sphincter tone

**Question 5**

Regarding cisapride, which of the following statements is correct?

A It delays oesophageal clearance

B It increases pancreatic secretions

C It slows gastric emptying

D It raises lower oesophageal sphincter pressure

Explanation (D)

Cisapride is a 5-HT4 agonist and was used in the treatment of gastro-oesophageal reflux and motility disorder. Due to its association with increased cardiovascular events that were attributed to the inhibition of cardiac hERG K channels, which resulted in QT prolongation in patients, it is only prescribed in the USA for compassionate reasons

**Question 6**

Regarding cimitidine, which of the following statements is correct?

A T1/2 is 22 hours

B It never causes gynaecomastia

C It blocks both H1 and H2 receptors

D It inhibits cytochrome P450

Explanation (D)

Cimetadine blocks H2 receptors and not H1. Half life is 1.9hrs. Bioavailability of approximately 62%. VD 70L/Kg. Gynaecomastia is one of the many side effects (others include mental state changes, and galactorrhea in women; impotence in men). They rarely cause blood dyscrasias

**Question 7**

Which is of the following is a stool softener?

A Docusate

B Psyllium

C Senna

D Lactulose

Explanation (A)

Laxatives

Bulk forming: psyllium, methylcellulose- both are natural plant products and polycarbophil is a synthetic compound

Stool softeners: docusate, glycerin suppository and mineral oil

Osmotic laxatives: magnesium hydroxide, sorbitol, lactulose, magnesium citrate, sodium phosphate and polyethylene glycol.

Stimulants: aloe, senna, cascara, biscodyl

Chloride channel activator: lubiprostone (increase chloride rich fluid secretion into the intestine)

Opioid receptor antagonists: methylnaltrexone and alvimopan (antagonizes the opioid causing intestinal dismotility)

Serotonin receptor agonists: tegaserod and prucalopride (promotes peristaltic activity, proximal bowel contraction and distal bowel relaxation)

Guanylate cyclase C agonists: linaclotide (stimulates intestinal fluid secretion)

**Question 8**

Which is true about omeprazole?

A Is safe for long term use in pregnancy

B They are administered as acid stable active drugs

C Needs dose alteration in patients with renal insufficiency

D Blocks both fasting and meals stimulated acid secretion

Explanation (D)

Omeprazole has a bioavailability of 40-65%. A half life of 0.5-1hr. It undergoes rapid first pass and systemic hepatic metabolism and has only negligible renal clearance. Dose reduction is not required for patients with renal insufficiency or mild to moderate liver impairment. PPIs are administered as inactive acid labile prodrugs which are enteric coated to protect them form destruction in the gastric lumen. After passing into the alkaline intestinal lumen, the coating dissolves, the lipophilic weak base prodrug rapidly cross the lipid membrane into acid compartments e.g. the parietal cell. In the parietal cell's acid medium they become activated. Proton pump inhibitors block fasting and meal stimulated secretion. In standard dosings PPI inhibit 90-98% of 24hr acid secretion. PPI are safe and do not have proven teratogenicity in animals. However, safety in pregnancy has not been well established.

Note in EDVIVA states only inactivates actively secreting acid pumps (<10% of fasting patients)??