EMETICS AND ANTI-EMETICS

Emesis Vomiting occurs due to stimulation of the emetic (vomiting) centre situated in the medulla oblongata. Multiple pathways can elicit vomitingThe chemoreceptor trigger zone (CTZ) located in the area postrema and the nucleus tractus solitarius (NTS) are the most important relay areas for afferent impulses arising in the g.i.t, throat and other viscera. The CTZ is also accessible to blood-borne drugs, mediators, hormones, toxins, etc. because it is unprotected by the blood-brain barrier.

EMETICS

These are drugs used to evoke vomiting.

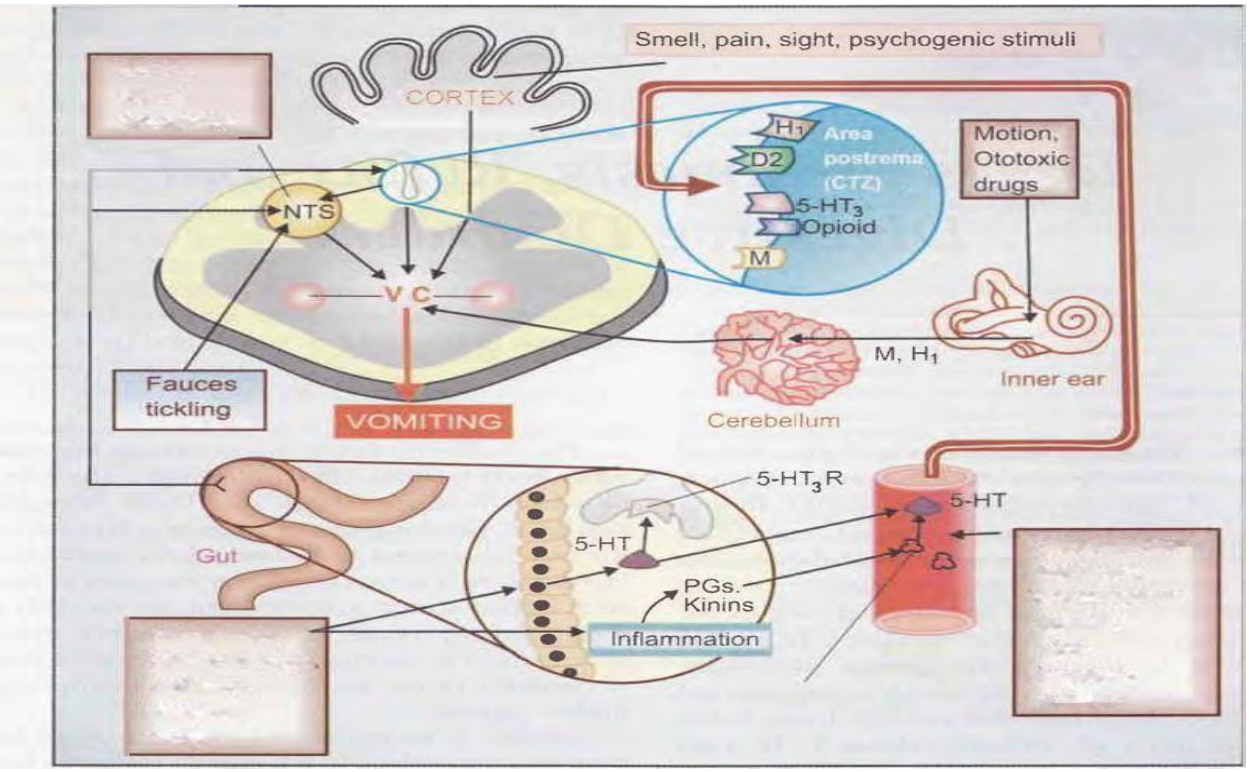
1 . Act on CTZ : Apomorphine

2 . Act reflexly and on CTZ : Ipecacuanha

Vomiting needs to be induced only when an undesirable substance (poison) has been ingested. Powdered mustard suspension or strong salts solution may be used in emergency. They actreflexly by irritating the stomach.

Apomorphine It is a semisynthetic derivative of morphine; acts as a dopaminergic agonist on the CTZ. Injected i.m./s.c. in a dose of 6 mg, it promptly (within 5 min) induces vomiting.

Ipecacuanha The dried root of Cephne/is ipecncunnha contains emetine and is used as surup ipecac (15-30 ml in adults, 10-15 ml in children, 5 ml in infants) for inducing vomiting



ANTI EMETICS

These are drugs used to prevent or suppress vomiting.

CLASSIFICATION

1. Anticholinergics Hyoscine, Dicyclomine
2. H1 antihistaminics: Promethazine, Diphenhydramine, Dimenhydrinate, Doxylamine, Cyclizine, Meclozine, Cinnarizine.
3. Neuroleptics Chlorpromazine,Prochlorperazine, Haloperidol, etc
4. Prokinetic drugs Metoclopramide, Domperidone, Cisapride, Mosapride, Tegaserod
5. 5-HT3 antagonists Ondansetron, Granisetron
6. Adjuvant antiemetics Dexamethasone, Benzodiazepines, Cannabinoids.

ANTICHOLINERGICS

Hyoscine (0.2-0.4 mg oral, i.m.) is the most effective drug for motion sickness. However, it is a brief duration of action; produces sedation and other anticholinergic side effects; suitable

for short brisk journies. It acts probably by blocking conduction of nerve impulses across cholinergic link in the pathway leading from the vestibular apparatus to the vomiting centre and is not effective in vomiting of other etiologies.

H1 ANTI HISTAMINICS

Some antihistaminics are antiemetic. They are useful mainly in motion sickness and to a lesser extent in morning sickness, postoperative and some other forms of vomiting. Their antiemetic effect appears to be based on anticholinergic, antihistaminic and sedative properties. Promethazine, diphenhydramine, dimenhydrinate These drugs afford protection of motion sickness by their central anticholinergic action they block the extrapyramidal side effects
of metoclopramide while supplementing its antiemetic action. Their combination is used in chemotherapy induced vomiting.

NEUROLEPTICS

These are potent antiemetics; act by blocking D2 receptors in the CTZ; antagonize apomorphine induced vomiting and have additional antimuscarinic as well as H1 antihistaminic property.

PROKINETIC DRUGS

These are drugs which promote gastrointestinal transit and speed gastric emptying by enhancing coordinated propulsive motility.

Metoclopramide

Metoclopramide is chemically related to procainamide, but has no pharmacological similarity with it. Introduced in early 1970s as a 'gastric hurrying' agent, it is now a widely used antiemetic. Metoclopramide blocks D2 receptors and has an opposite effect fasting gastric emptying and

5-HT3 ANTAGONISTS

Ondansetron It is the prototype of a new class of antiemetic drugs developed to control cancer chemotherapy I radiotherapy induced vomiting, and later found to be highly effective in postoperative nausea and vomiting as well. It blocks the depolarizing action of 5-HT through 5-HT3 receptors on vagal afferents in the g.i.t. as well as in NTS and CTZ.

ADJUVANT ANTIEMETICS

Cannabinoids Tetrahydrocannabinol (D. THC) is the active principle of the hallucinogen Cannabis indica. It possesses antiemetic activity against moderately emetogenic chemotherapy. Itprobably acts at higher centres or at vomiting centre itself by activating CB1 subtype ofcannabinoid receptors. The disorienting and other central effects of THC limit its clinical utility.