

ANTIEMETICS

ANTICHOLINERGIC

① HYOSCINE - 0.2 - 0.4 mg oral / IM

Most effective drug for motion sickness. However brief duration of action

A/E - Produced dry mouth, sedation & other anticholinergic side effect

Suits only short busk journeys

M/O - Block conductⁿ of nerve impulse across cholinergic link pathway leading from vestibular apparatus to VC. (& has poor efficacy in vomiting due to other causes)

② DICYCLOMINE - 10-20 mg oral, children >5-10 mg

Used for motion sickness & morning sickness (also in dysmenorrhoea & IBS)

M/O - Smooth muscle relaxant action & a little anticholinergic action

CYCLOSPAS-D, CYCLOPAM Inj 10 mg/ml in 2/10/30 ml amp

ANTIHISTAMINE

① PROMETHAZINE, DIPHENHYDRAMINE - Affords protectⁿ from motion sickness for 4-6 hrs, but produce sedation & dryness of mouth. Driving is not advisable

② DOXYLAMINE - Sedative & prominent anticholinergic activity

Marketed in combinatⁿ & pyridoxine is used in India for morning sickness (not in UK)

(1st line T/T of morning sickness)

Oral absorption is slow, $t_{1/2}$ is 10 hr.

A/E - Drowsiness, dry mouth, vertigo, abdominal upset.

GRAVIDOX, VOMNEX 10 mg (± 10mg pyridoxine)

③ LINNARIZINE - An anti vertigo drug & anti motion sickness property

PROKINETIC DRUG

Drugs which promote speed gastric emptying by enhancing coordinated propulsive motility.

① **METACLOPRAMIDE** - Commonly used antiemetic. Acts in GIT as well as CNS

GIT → ↑ gastric peristalsis while relaxing pylorus & first part of duodenum

Lower esophageal sphincter tone is ↑ & gastroesophageal reflux is opposed

(Also ↑ intestinal peristalsis to some extent but no significant action on colonic motility & gastric secret)

CNS → Acts on CTZ & blocks apomorphine induced vomiting.

P/K - rapid absorption orally, enters brain, cross placenta & is secreted in milk

$T_{1/2}$ is 3-6 hours. Orally it acts in $\frac{1}{2}$ - 1 hr but within 10 min after IM

& 2 min after IV. Action last 4-6 hrs.

S/E → Well tolerated drug.

Sedation, dizziness, loose stool etc & main side effects.

PERINORM, REGLAN (10 mg tab & 5 mg/5 ml sol)

Dose - 10 mg oral or IM (children - 0.2 - 0.5 mg/kg)

Uses →

① Most effective & popular drug for many type of vomiting (post op, drug induced, disease associated like migraine etc but less effective in motion sickness, etc)

② Gastrokinetic - when emergency general anaesthesia to be given & pt has taken food less than 4 hrs before

③ GERD (though less effective than PPI)

② **DOMPERIDONE** - Like metoclopramide but its prokinetic action based only on

D₂ receptor blockade in upper GIT.

Antiemetic action exerted thru CTZ not protected by BBB.

A/E - Few (dry mouth, loose stool, headache, rashes etc. Cardiac arrhythmia on rapid IV)

Dose - 10-40 mg TDS

③ **LEVOSULPIRIDE** → Blocks central as well as peripheral D₂ receptor & has atypical anti-psychotic, prokinetic & antiemetic prop. Use for many functional GIT disorders like dyspepsia, bloating, nausea, IBS

Dose - 25 mg TDS or 75 mg BD

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Adjuvant antiemetic

CORTICOSTEROIDS - (eg - dexamethasone 8-20 mg IV before chemotherapy)
Though can partly ^{relieve} alleviate nausea & vomiting due to emetogenic chemotherapy but more often used w/ other antiemetic like metoclopramide or ondansetron

Basic of effects appears to be due to their anti-inflammatory action

Dexa 8 mg/day oral from 2-5th day chemotherapy help to alleviate delayed vomiting

BENZODIAZEPINE - Weak antiemetic property of BZD primarily based on sedative action. Diazepam/lorazepam given before chemotherapy as adjuvant to metoclopramide or ondansetron help relieving psychogenic component

5HT₃ ANTAGONIST

ONDANSETRON - Distinct class of antiemetic developed to control cancer chemo/radio induce vomiting though later found useful for other disease induce vomit. Cellular damage in chemo releases mediator including 5HT from int. mucosa, activate of vagal afferent in gut resulting in transmission of emetogenic impulses to CTZ. (It does not block dopamine receptor so vomiting due to apomorphine or motion sickness is not suppressed)

Dose - 8 mg IV by ff slow inj before 15 min of chemo infusion - followed by 2 similar doses 4 hr apart

EMESET, OSETRON

Ondansetron alone is less effective in delayed vomiting (for that we use adjuvant) than acute vich occurs within 24 hrs of cisplatin dose in all patient.

S/E - Well tolerated, though headache & dizziness.

med constipation & abdominal discomfort, hypotension, bradycardia

GRANISETRON - 10 times more potent than onda. & probably more effective during repeat cycle of chemotherapy. It's plasma t_{1/2} is longer (8-12 hrs) & it needs to be given only twice on day of chemo

GRANICIP, GRANISET

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