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Antiemetic Agents

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OVERVIEW

Antiemetics are used for the symptomatic management of nausea and vomiting that can be caused by a variety of medical conditions and situations, including acute gastroenteritis, pregnancy, surgery, anesthesia, opioids and chemotherapy. Antiemetics are rare causes of liver injury, partially because they are used in low doses and for a short time only.

Antiemetics can be categorized based upon their mechanism of action, their receptor activity or their chemical structure. Antihistamines have mild antiemetic activity and are commonly used for motion sickness and the transient nausea that might accompany a viral illness or gastrointestinal infection or disorder. Antihistamines that are commonly used for nausea include cyclizine, chlorcyclizine, diphenhydramine, dimenhydrinate, doxylamine, meclizine, hydroxyzine and promethazine. The anticholinergics also have antiemetic activity, although their other side effects often outweigh any relief they might provide for nausea. Nevertheless, some anticholinergics are used alone or in combination with other agents for mild nausea and vomiting, including scopolamine and hyoscyamine. Phenothiazines, which are typically used as antipsychotic medications, also have antiemetic effects and several minor phenothiazines are used to treat transient nausea and vomiting associated with viral infections, surgery or gastrointestinal illnesses.

More potent antiemetics used in restricted situations include cannabinoid agonists, serotonin receptor antagonists and antagonists of the neurokinin 1 (NK-1) receptor for substance P. Cannabinoid agonists have potent activity against nausea and can also stimulate appetite. The cannabinoids are generally reserved for patients with recurrent nausea, particularly if accompanied by cachexia as might occur with cancer chemotherapy. Cannabinoids in current use for nausea and cachexia include tetrahydrocannabinol (THC), nabilone and dronabinol as well as cannabis itself, often referred to as medical marijuana. The serotonin type 3 (5-HT3) receptor antagonists have potent activity both in the central nervous system and the gastrointestinal tract and are used to treat postoperative and chemotherapy induced nausea and vomiting. They typically can also cause diarrhea or constipation, dizziness and fatigue and are usually give for a limited time in persons with severe temporary nausea and vomiting. Antagonists of the neurokinin 1 receptor for substance P are very potent inhibitors of nausea and vomiting, and particularly the delayed (>24 hours) nausea and vomiting after cyclic cancer chemotherapy. These agents include aprepitant, fosaprepitant and rolapitant and are generally given for a short time only during cyclic, highly emetigenic cancer chemotherapy.

Finally, there are miscellaneous antiemetics that may have other major activities, the effect on nausea and vomiting being a secondary effect. These agents include trimethobenzamide, metoclopramide, tegaserod and octreotide.

Antiemetics that are discussed in LiverTox are listed below:

- Anticholinergic Agents
  - Hyoscyamine, Methscopolamine, Scopolamine
- Antihistamines
  - Cyclizine, Dimenhydrinate, Hydroxyzine, Meclizine, Promethazine
- Cannabinoid Receptor Agonists
  - Dronabinol, Nabilone, Tetrahydrocannabinol
- Phenothiazines [See Antipsychotic Agents]
  - Chlorpromazine, Prochlorperazine
- Serotonin 5-HT3 Receptor Antagonists
  - Alosetron, Dolasetron, Granisetron, Ondansetron, Palonosetron
- Substance P/Neurokinin 1 Receptor Antagonists
  - Aprepitant, Fosaprepitant, Rolapitant
- Miscellaneous
  - Dexamethasone, Metoclopramide, Trimethobenzamide

References to the safety and potential hepatotoxicity of the various antiemetics are given with the specific drug records.

Drug Class: Gastrointestinal Agents