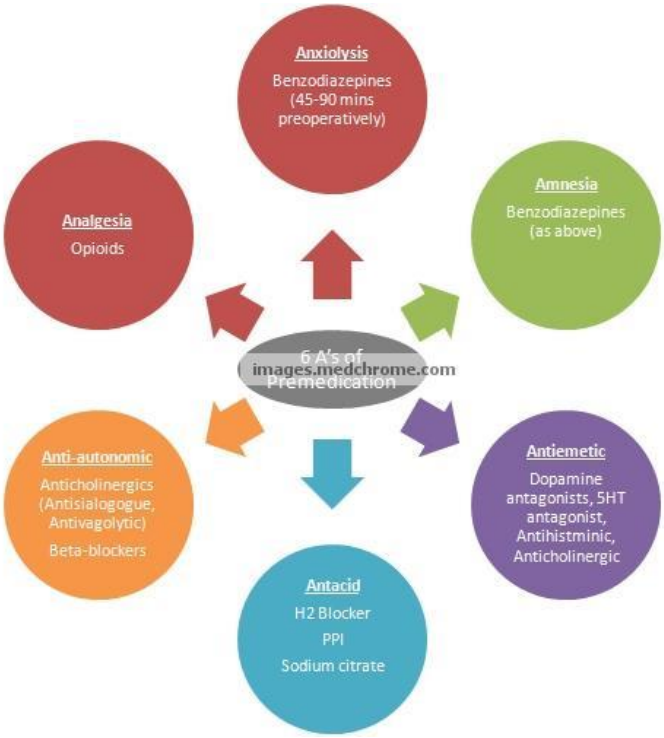
Drugs used in Premedication

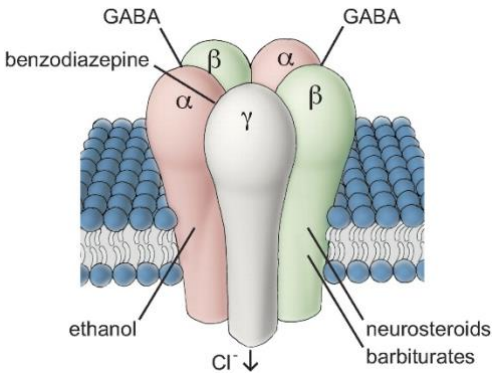


Anxiolytic drugs

- These drugs used to decrease the anxiety of the patients and make him sedative and calm with amnesia (unable to remember)
- They act predominantly on GABA receptors
- Minimum cardiac and respiratory depression
- Do not produce nausea and vomiting
- Lack the analgesic effect
- Cross the placenta and may cause neonatal depression

<u>The main drugs that used are the benzodiazepines;</u>

1. Diazepam
2. Midazolam
3. Lorazepam
4. Alprazolam.



The benzodiazepines exhibit **anxiolytic**, **sedative**, **amnesic** and **anticonvulsant properties**.

They differ mainly in their pharmacokinetic properties, some being relatively short acting whereas others are only slowly eliminated.

Diazepam

- For many years **diazepam** has been the dominant **sedative** and **anxiolytic** for oral premedication. It is rapidly absorbed when given by mouth and in the standard dose of 10 to 20 mg exerts an anxiolytic action similar to that of morphine.
- Diazepam causes anterograde **amnesia** and is **devoid of emetic** side effects.
- Its main disadvantages are a variable and unpredictable anxiolytic and sedative action and a long elimination half-life.
- Its duration of action is further prolonged by active metabolites.
- Intramuscular injection is painful and is not recommended.

Midazolam

- Midazolam is a water-soluble benzodiazepine, a member of a new class of imidazobenzodiazepine derivative. It is water soluble at pH< 4 but becomes highly lipid soluble at physiologic ph. Water solubility minimizes pain at the injection site. The lipid solubility ensures rapid distribution in tissues.
- Midazolam is more potent, has a faster onset and shorter duration of action than diazepam.

- ❖ The elimination half-life is 1.5 to 3.5 hours as against approximately 20 hours in case of diazepam.
- ❖ The metabolites of midazolam have negligible soporific effects.
- ❖ These properties make midazolam a suitable agent for producing conscious sedation in short endoscopic procedures, preoperative sedation and for induction of general anesthesia., midazolam has been found to provide pre-operative sedative effect superior to that of diazepam.
- ❖ The drug has shown good local tolerability as well as good hemodynamic stability.

Analgesic drugs

Analgesic drugs given pre-operatively reduce the required dose of anesthetic agent and improve patient comfort in the immediate postoperative period.

● Options used include:

1. Opioids
2. Paracetamol
3. non-steroidal anti-inflammatory drugs (NSAIDs)

• **NSAIDs** are commonly used, particularly in day surgery, unless there are contra-indications.
• **Opioids** are usually the agents of choice in the presence of **acute severe pain.** In the absence of pain, some people may experience intense dysphoria. Opioids also cause variable sedation and **cardiorespiratory depression**. All opioids can cause **nausea and vomiting** and this may outweigh any beneficial effects. Opioids may also precipitate bronchospasm or anaphylaxis.

• **Clonidine** given as a premedication has been shown to reduce postoperative pain in children

• Relevant pre-operative pain relief naturally depends on the nature of the procedure as well as factors relating to the individual patient.

Classifications of opioids

- **Natural Opium Alkaloids:** Morphine and Codeine
- **Semi-synthetic:** Diacetylmorphine (Heroin) and Pholcodeine
- **Synthetic Opioids:** Pethidine (Mepiridine) and its congeners Diphenoxylate and Loperamide Fentanyl and its congeners fentanyl, and Remifentanil

✓ Can be given parentally

✓ Produce sedation

✓ Control elevated blood pressure during endotracheal intubation

Pethidine versus morphine

➢ 1/10th as potent as Morphine, but **efficacy** is similar

➢ Produces as much sedation, euphoria and respiratory depression in equianalgesic dose and similar abuse potential

➢ Less spasmodic action in smooth muscles

➢ less miosis, constipation and urinary retention

➢ Rapid but short duration of action (2-3 Hrs)

➢ Vagolytic effect

➢ Tachycardia – Devoid of antitussive action

➢ Less histamine releases

➢ safer in asthmatics

◆ **Pharmacokinetics**

➢ Well absorbed orally,

➢ bioavailability 50%

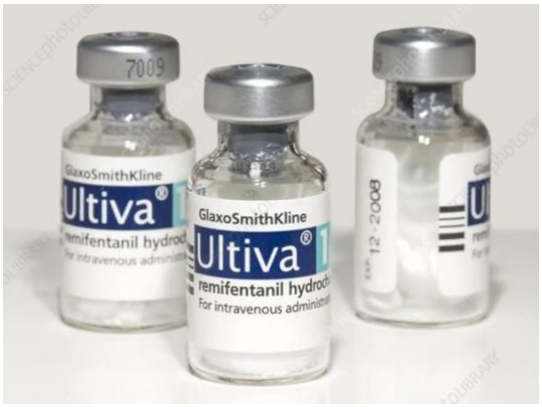
➢ Effects appear in 10-15 min. after oral absorption

➢ On parenteral administration action lasts for 2-3 hrs

➢ Metabolized in liver, Excreted in urine

Fentanyl:

- Potent analgesic 100 times more than morphine
- Metabolized in the liver and excreted in the urine
- Produce respiratory depression so use in caution with COPD
- Cause less nausea and vomiting
- Can be reversed by naloxone
- Dose 1-5 microgram / kilogram i.v
- Fentanyl is preferred due to its rapid onset and short duration of action



Remifentanil

- Remifentanil (marketed by Abbott as Ultiva) is a potent ultra-short-acting synthetic opioid given to patients during surgery for pain relief and adjunctive to an anesthetic.
- Remifentanil is a specific mu-type-opioid receptor agonist which means it reduces sympathetic nervous system tone, and causes respiratory depression and analgesia.
- Remifentanil is an opioid agonist with rapid onset and peak effect and ultrashort duration of action. The opioid activity of remifentanil is antagonized by opioid antagonists such as naloxone.
- The analgesic effects of remifentanil are rapid in onset and offset. Its effects and side effects are dose dependent and similar to other opioids. (Hypotension is the most common side effects)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