Local Anaesthetics, General Anaesthetics and Preanaesthic Medication

Local Anaesthetics

- Local anaesthetics (LAs) are drugs which upon topical application or local injection cause reversible loss of sensory perception, especially of pain, in a restricted area of the body.
- They block generation and conduction of nerve impulse at all parts of the neuron where they come in contact, without causing any structural damage.

Classification

A. Injectable anaesthetic

- *Low potency, short duration*: Procaine, Chloroprocaine
- *Intermediate potency and duration*: Lidocaine (Lignocaine), Prilocaine
- *High potency, long duration*: Tetracaine (Amethocaine), Bupivacaine, Ropivacaine, Dibucaine (Cinchocaine)

B. Surface anaesthetic

- *Soluble*: Cocaine, Lidocaine, Tetracaine, Benoxinate
- *Insoluble*: Benzocaine, Oxethazaine.

Uses and technique of LA

Surface anaesthesia
It is produced by topical application of a surface anaesthetics to mucous membranes and abraded skin. Only the superficial layer is anaesthetised.

Infiltration anaesthesia
Dilute solution of LA is infiltrated under the skin in the area of operation-blocks sensory nerve endings.

Conduction block
The LA is injected around nerve trunks so that the area distal to injection is anaesthetised and paralysed.

Epidural anaesthesia (thoracic, lumbar, caudal)

Spinal anaesthesia

- The LA is injected in the subarachnoid space between L2-3 or L3-4 i.e. below the lower end of spinal cord.
- Lower abdomen and hind limbs are anaesthetised and paralysed.
- Spinal anaesthesia is used for operations on the lower limbs, pelvis, lower abdomen, prostatectomy, fracture setting, obstetric procedures, caesarean section etc.
- Its advantages over general anaesthesia are-
  (i) It is safer.
  (ii) Produces good analgesia and muscle relaxation without loss of consciousness.
  (iii) Cardiac, pulmonary, renal disease and diabetes pose less problem.

Mechanism of action

- The LAs block nerve conduction by decreasing the entry of Na+ ions during upstroke of action potential (AP).
- The LAs interact with a receptor situated within the voltage sensitive Na+ channel and raise the threshold of channel opening. This decreases the maximum depolarization and block conduction

Lidocaine

- good both for surface application as well as injection and is available in a variety of forms.
- Injected around a nerve it blocks conduction within 3 min
- anaesthesia is more intense and longer lasting.
- It is used for surface application, infiltration, nerve block, epidural, spinal and intravenous regional block anaesthesia.
- Systemic Side effect: drowsiness, mental clouding, altered taste and tinnitus.
- Overdose causes muscle twitching, convulsions, cardiac arrhythmias, fall in BP, coma and respiratory arrest

Tetracaine

- more potent and more toxic due to slow hydrolysis by plasma pseudocholinesterase.
- It is both surface and conduction block anaesthetic, but its use is restricted to **topical application to the eye, nose, throat, tracheobronchial tree** and rarely for spinal or caudal anaesthesia of long duration.

Table 26.3: Sites and uses of surface anaesthesia

Site	*Drugs*	*Form*	*Purpose*
1. Eye	Tetracaine 1–2% Benoxinate 0.4%	ointment, drops drops	tonometry, surgery tonometry
2. Nose, ear	Lidocaine 2–4% Tetracaine 1–2%	drops	painful lesions, polyps
3. Mouth, throat	Benzocaine	lozenges	stomatitis, sore throat
4. Pharynx, larynx, trachea, bronchi	Lidocaine 2–4% Tetracaine 1–2%	spray	tonsillectomy, endotracheal intubation, endoscopies
5. Esophagus, stomach	Oxethazaine 0.2%	suspension	gastritis, esophagitis, heartburn
6. Abraded skin	Tetracaine 1% Benzocaine 1–2% Butamben 1–2%	cream, ointment, dusting powder	ulcers, burns, itching dermatoses
7. Intact skin	Eutectic lidocaine/ prilocaine 5%	cream under occlusion	i.v. cannulation, skin surgery
8. Urethra	Lidocaine 2%	jelly	for dilatation, catheterisation
9. Anal canal, rectum	Lidocaine 4% Dibucaine 1% Benzocaine 5%	ointment, cream, suppository	fissure, painful piles, surgery, proctoscopy

oxethazine

Uses: Relief of gastritis, esophagitis, heartburn of pregnancy

A/E
Allergic rxn: itchy, fatigue
CNS: diziness, drowsiness

Lignocaine and Adrenaline

- Adrenaline: vasoconstriction
  →Reduce bleeding
  →Prevents the drug reaching systemic circulation
    - reduce systemic side effect
    - Increase duration and quality of anesthesia
    - Reduce the amount of required anesthesia.

General Anaesthesia

- General anaesthetics (GAs) are drugs which produce reversible loss of all sensation and consciousness.
- The cardinal features of general anaesthesia are:
  - ✓ Loss of all sensation, especially pain
  - ✓ Sleep (unconsciousness) and amnesia
  - ✓ Immobility and muscle relaxation
  - ✓ Abolition of somatic and autonomic reflexes.

Stages of anaesthesia

GAs cause an irregularly descending depression of the CNS, i.e. the higher functions are lost first and progressively lower areas of the brain are involved.

1. Stage of analgesia
2. Stage of delirium
3. Surgical anaesthesia
4. Medullary paralysis

Stage of analgesia

- Starts from beginning of anaesthetic inhalation and lasts upto the loss of consciousness.
- Pain is progressively abolished. Patient remains conscious, can hear and see, and feels a dream like state; amnesia develops by the end of this stage.

Stage of delirium

- From loss of consciousness to beginning of regular respiration.
- Aparrent excitement is seen-patient may shout, struggle and hold his breath; muscle tone increases, jaws are tightly closed, breathing is jerky; vomiting, involuntary micturition or defecation may occur.
- Heart rate and BP may rise and pupils dilate due to sympathetic stimulation.

Surgical anaesthesia

- Extends from onset of regular respiration to cessation of spontaneous breathing.
- This has been divided into 4 plane based on occular movement, eye reflexes and pupil size.

Medullary paralysis

- Cessation of breathing to failure of circulation and death.
- Pupil is widely dilated, muscles are totally flabby, pulse is thready or imperceptible and BP is very low.

Classification

Inhalational

Gas	*Volatile liquids*
Nitrous oxide	Ether
	Halothane
	Enflurane
	Isoflurane
	Desflurane
	Sevoflurane

Intravenous

Inducing agents	*Slower acting drugs*
Thiopentone sod.	*Benzodiazepines*
Methohexitone sod.	Diazepam
Propofol	Lorazepam
Etomidate	Midazolam
	Dissociative anaesthesia
	Ketamine
	Opioid analgesia
	Fentanyl

Mechanism of action

- ligand gated ion channels are the major targets of anaesthetic action.
- Unlike local anaesthetics which act primarily by blocking axonal conduction, the GAs appear to act by depressing synaptic transmission.
- Many inhalational anaesthetics, barbiturates, benzodiazepines and propofol potentiate the action of inhibitory transmitter GABA to open Cl^- channels.
- N20 and ketamine do not affect GABA or glycine gated Cl^- channels. Rather they selectively inhibit the excitatory NMDA type of glutamate receptor.

Nitrous oxide

- commonly known as **laughing gas**
- MOA: NMDA receptor antagonist
- Nitrous oxide has significant medical uses especially in surgery and dentistry, for its anaethetic and analgesic effects.
- It is a poor muscle relaxant; neuromuscular blockers are often required.
- Adverse effects: nausea and vomiting; after prolonged administration megaloblastic anemia, depressed white cell formation; peripheral neuropathy
- Dose & Administration: anesthesia, ADULT & CHILD 70% nitrous oxide mixed with at least 30% oxygen; analgesia, 50% nitrous oxide mixed with at least 50% oxygen

Halothane is a volatile liquid anesthetic. Its advantages are that it is potent, induction is smooth, the vapor is non-irritant, pleasant to inhale

MAO: activates $GABA_A$ and glycine receptors. It also acts as an NMDA receptor antagonist

Indications: induction and maintenance of anesthesia. If intubation is likely to be difficult, halothane is preferred

Adverse effects: arrhythmias; bradycardia, respiratory depression; hepatic damage

Dose: 1-5 %

Contra-indications: history of unexplained jaundice or pyrexia following previous exposure to halothane

Ketamine

Ketamine has been classified as an NMDA receptor antagonist; it also acts on opioid receptors.

Indications: induction and maintenance of anesthesia; analgesia for painful procedures of short duration

Side effect: abnormal heart rhythms, slow heart rate or fast heart rate, high blood pressure or low blood pressure, Anorexia, nausea, increased salivation, vomiting

Induction: 1 to 4.5 mg/kg IV; alternatively, 1 to 2 mg/kg IV at a rate of 0.5 mg/kg/min

Propofol

MOA: potentiation of GABA

Indications: induction and maintenance of anesthesia;

Adverse effects: hypotension, apnea, pain at inj. site; convulsions, anaphylaxis, pulmonary edema, postoperative fever

Dose: 1.5-2.5 mg/kg

Table 26.1: Comparative features of general and local anaesthesia

	General anaesthesia	*Local anaesthesia*
1. Site of action	CNS	Peripheral nerves
2. Area of body involved	Whole body	Restricted area
3. Consciousness	Lost	Unaltered
4. Care of vital functions	Essential	Usually not needed
5. Physiological trespass	High	Low
6. Poor health patient	Risky	Safer
7. Use in non-cooperative patient	Possible	Not possible
8. Major surgery	Preferred	Cannot be used
9. Minor surgery	Not preferred	Preferred

Preanaesthetic medication

- refers to the use of drugs before anaesthesia to make it more pleasant and safe.
- The aims are:

1. Relief of anxiety and to facilitate smooth induction.
2. Amnesia for pre- and postoperative events.
3. Supplement analgesic action of anaesthetics and potentiate them so that less anaesthetic is needed.
4. Decrease secretions and vagal stimulation caused by anaesthetics.
5. Antiemetic effect extending to the postoperative period.
6. Decrease acidity and volume of gastric juice so that it is less damaging if aspirated.

Preanaesthetic medication

1. Sedative- anti anxiety drug (diazepam, lorazepam)
2. Opioids (morphine, pethidine)
3. Anticholinergic (Atropine or hyoscine or glycopyrrolate to reduce salivary and bronchial secretions)
4. H2 blocker (Ranitidine or Famotidine given night before and in the Morning)
5. Antiemetic (metoclopramide, domperidone, ondansetron)