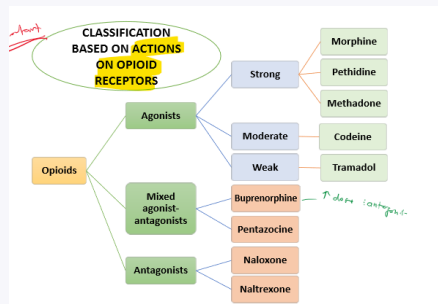


Type of analgesics

Opioids NSAIDs Paracetamol

Opioid = poppy

Opioids classification (Action on Rc)



Opioid Receptor

3 types opioid Rc

μ , κ , δ

Type of Rc

GPCR

Action of opioids

1. Close voltage gated Ca^{2+} in presynaptic neuron ($\downarrow$ NT release).
2. Open K^{+} channel in postsynaptic neuron ($\downarrow$ response to NT)

Functional effect associated with Rc

μ -> Respi depress, euphoria

κ -> Hallucination, dysphoria

δ -> less analgesic effect

Pharmacological effect

Pharmacokinetics

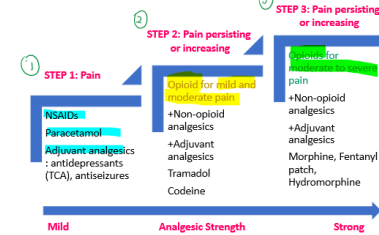
Cross BBB (Lipophilic: morphine < fentanyl, methadone, heroin)

Cross placenta

Poor oral bioavailability

Analgesic ladder

Recommended Analgesics Based On WHO 3 Steps Analgesic Ladder



Opioids agonist

Heroin & Morphine

Acute MI, pulm edema, cancer

Fentanyl

Anaesthesia, Extremely potent, transdermal patch

Pethidine

labor ($\times$ $\downarrow$ uterine force, $\downarrow$ respi effect neonates)

Methadone

relieve opioid dependence

Codeine

mild pain, AE: constipation

Dextromethorphan

antitussive, CNS effect

Tramadol

safe in lactation, post operative pain

Loperamide

diarrhea, neuropathic pain

Buprenorphine

partial agonist on μ

Pentazocine

rarely use due to AE

Opioid antagonist

Adverse effect

D	Dry mouth
E	Euphoria
S	Sedation
I	Itch (Histamine release)
G	GI (constipation)
N	Nausea and vomiting
E	Eyes (pupillary constriction)
R	Respiratory depression

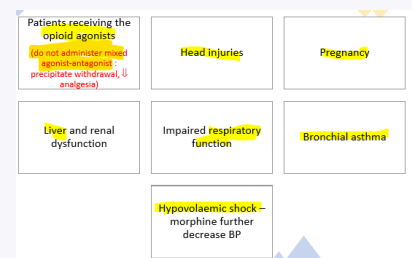
Opioids poisoning: Clinical triad

1. CNS depression
2. Respi depression
3. Pupil constriction

Withdrawal symptoms

W	Withdrawal
I	Irritability / Insomnia
T	Tremors / Tachycardia
H	Hypertension
D	Diarrhoea / Diaphoresis
R	Rhinorrhoea
A	Anxiety / Arthralgias / Myalgias
W	Weight loss (anorexia)
A	Abdominal cramps
L	Lacrimation

Contraindication



Respi depression ↓ sensitive to pCO₂,
pCO₂ ↑, dilatation cerebral vessel, ↑ intracranial pressure

Euphoria

Cough suppression

Sedation

Miosis Feature drug abuse &
opioid poisoning, Antidote:
iv naloxone

Histamine release

Hypotension, bradycardia

Smooth muscle effect ↑ GIT tone → Constipation,
↓ uterine tone → prolong
(GIT, bladder, labor
uterus

Naloxone

Rapid reversal, no tolerance, no
withdrawal syndrome

Naltrexone

Longer DOA

Nalorphine

causing physical dependence



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