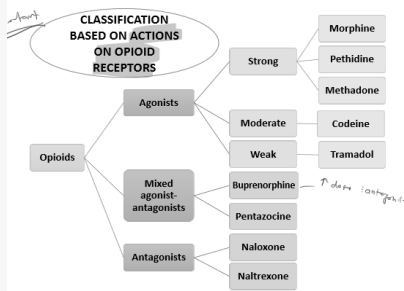


Type of analgesics

Opioids NSAIDs Paracetamol

Opiod = poppy

Opioids classification (Action on R_c)



Opioid Receptor

3 types opioid R_c

μ, κ, δ

Type of R_c

GPCR

Action of opioids

1. Close voltage gated Ca²⁺ in presynaptic neuron (↓ NT release).
2. Open K⁺ channel in postsynaptic neuron (↓ response to NT)

Functional effect associated with R_c

μ -> Respi depress, euphoria

κ -> Hallucination, dysphoria

δ -> less analgesic effect

Pharmacological effect

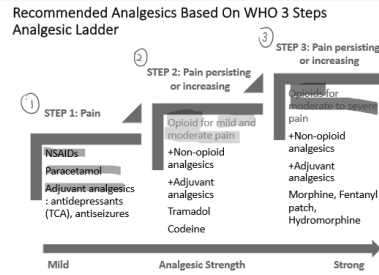
Pharmacokinetics

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

Cross placenta

Poor oral bioavailability

Analgesic ladder



Opioids agonist

Heroin & Morphine

Acute MI, pulm edema, cancer

Fentanyl

Anaesthesia, Extremely potent, transdermal patch

Pethidine

labor (x ↓ uterine force, ↓ 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 dt 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

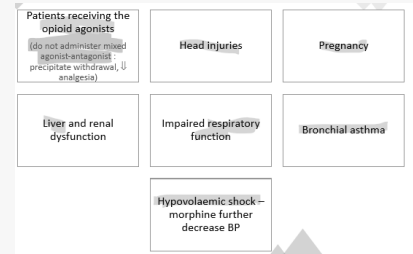
Opioids poisoning: CLinical triad

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 labor
(GIT, bladder, uterus)

Naloxone

Rapid reversal, no tolerance, no withdrawal syndrome

Naltrexone

Longer DOA

Nalorphine

causing physical dependence



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