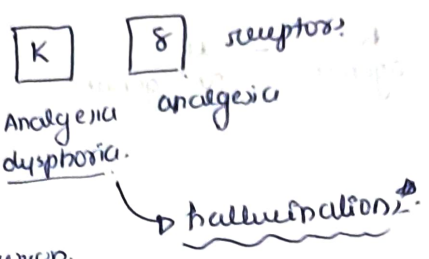


# Opioid Analgesics

• from poppy.  
mainly - morphine.

act on  $\mu$

- actions
- S - sedation
  - A - analgesia
  - C - constipation
  - R - respiratory depression
  - U - euphoria
  - M - miosis



## $\mu$ receptor action

- S - sedation
- A - analgesic
- C - constipation
- R - respiratory depression
- U - euphoria
- M - miosis

## action CNS depression

- Opioid poisoning.
- sedation  $\rightarrow$  coma.
- depress brain  $\rightarrow$  CNS depression, bradycardia.

## pain

- used in severe pain - MI, cancer.
- why not in mild pain?

$\rightarrow$  cause of respiratory depression  
fear

• avoided in biliary colic type pain.

morphine causes spasms of sphincter of oddi.  
(can cause rupture)

## Respiratory depression

So, avoided in asthma, COPD

## miosis

• pin point pupil in overdose.

## euphoria

• caused addiction.

addictive drugs have 2 properties

- tolerance  $\rightarrow$  has to give increasing dose.
- dependence  $\rightarrow$  psychological - craving, physical - withdrawal symptoms.

## tolerance does not occur to

- C - constipation
- C - convulsions
- C - constriction of pupil.

## cross tolerance

• tolerance to other opioids.

$\rightarrow$  only partial tolerance.

so use

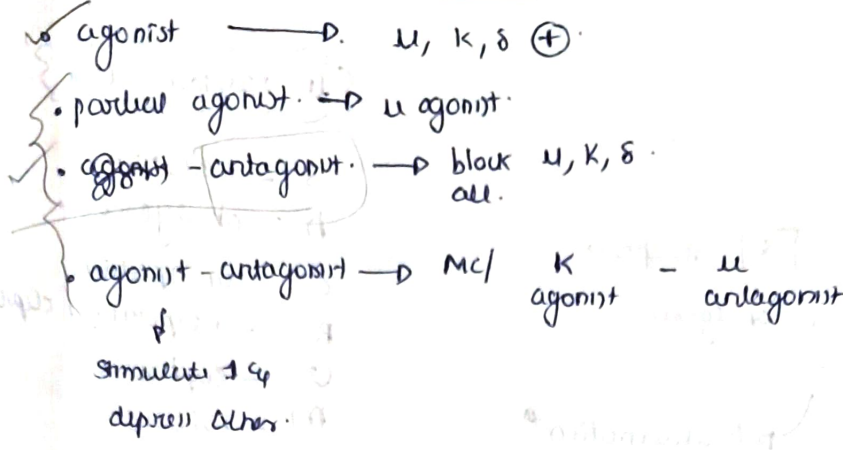
## opioid rotation therapy

due to partial cross tolerance.

## withdrawal symptoms

- $\rightarrow$  sympathetic symptoms  $\rightarrow$   $\uparrow$  HR, palpitations, BP  $\uparrow$ , tremors.
- Normal  $\rightarrow$  sweating, nausea, vomiting, diarrhoea, rhinorrhoea, lacrimation.

Stimulate

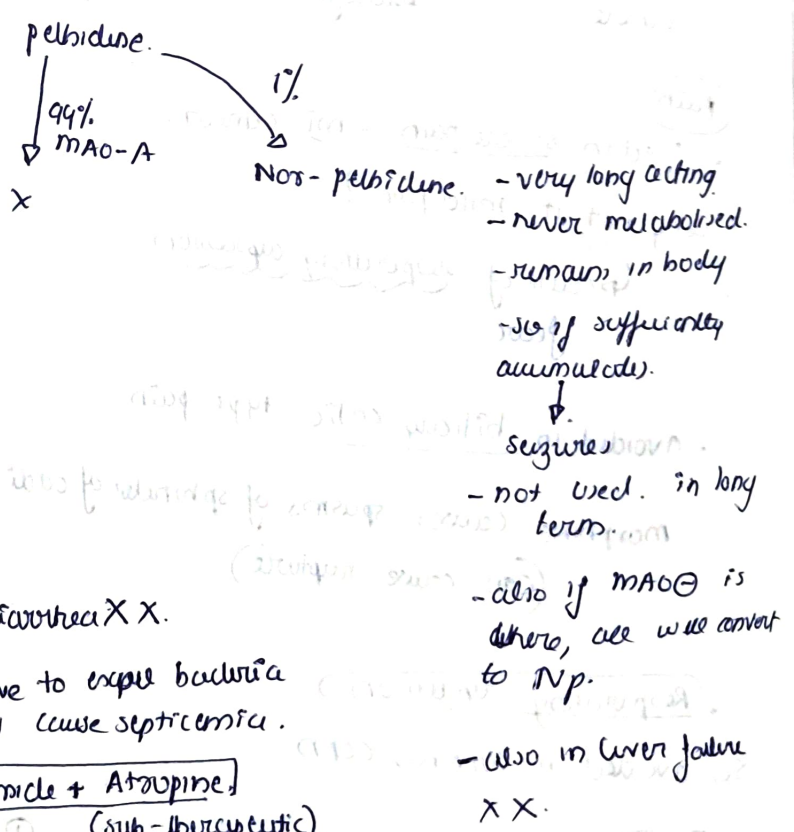


Agonist

morphine  
 Heroin - very addictive XX not used clinically

• methadone - very long acting  
 ↳ used in de-addiction

• pethidine → metabolism.



- codeine
  - phol-codeine
  - Noscapine
  - Dextromethorphan
- } Antitusive  
 ↓  
 dry cough.

• Loperamide } used in diarrhoea.  
 • diphenoxylate } but C/I in infective diarrhoea XX.

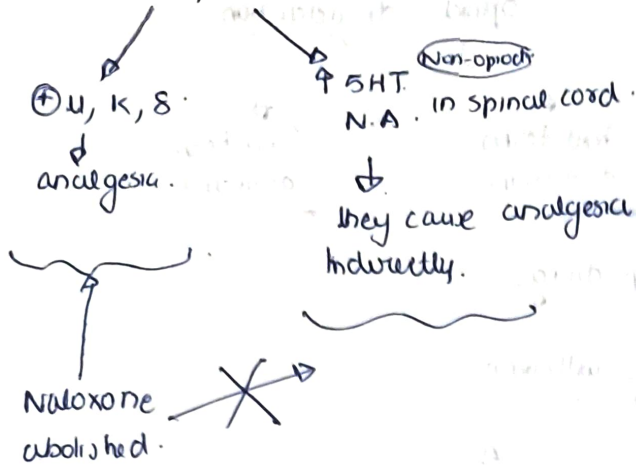
↓  
 Cause we have to expel bacteria or else they'll cause septicemia.

Loperamide always comes as Loperamide + Atropine (sub-therapeutic).

in high dose to avoid overdosing - to cause addiction effect. atropine side will come - so no addiction occurs.

• Tramadol / Tapentadol.

→ they cause analgesia by both opioid & Non-opioid.



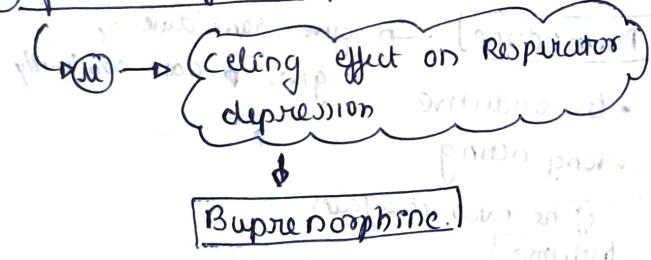
So, Naloxone can't stop effect of Tramadol entirely.

- Fentanyl
  - alfentanil
  - sufentanil
- highly lipid soluble.  
used as anaesthetic  
S/E - post operative muscle rigidity.  
WOODEN CHEST SYNDROME.
- most potent opioid.

post op. muscle pain  
↓  
Succinyl choline.

- Remifentanyl - short acting.
- pseudocholinesterase metabolism.

② partial agonist



• But ceiling effect on pain as well.

③ Agonist - Antagonist

Punjab National Bank

- Pentazocine
  - Nalbuphine.
- dysphoria /  
psychomimetic  
hallucination.

④ Antagonist

- Ⓜ • Naloxone - short acting.
- Ⓞ • Naltrexone - long.

acute morphine poisoning.

(respiratory depression, pinpoint pupil)

- DOC in acute morphine poisoning.

↓  
Naloxone.

- But very short acting.
- so should be restricted in hospital.

So give IV Naloxone first.  
give → Naltrexone oral.

- Acute poisoning - Naloxone.
- maintenance - Naltrexone.

(b) oral opioid + Naloxone tablet  
so that iv abuse is not possible.

(c) Naltrexone

↓ alcohol craving;

to prevent relapse in opioid addiction.

opioid de-addiction

Short term addiction

long term addiction

- stop drug.

↓  
• so we will come.

↓  
Sympathetic symptoms.

- to treat give
- β#
- clonidine.

opp to action

- BZD
- loperamide

Long term addiction

- high dose. tolerance
- But can't stop drug X
- he will die.
- so we have to replace it with

Methadone → give same dose & give ↓ dose eventually

if he takes it again:  
relapse.

to prevent that → Naltrexone.

- give orally tablet
- long acting.
- block all receptors.
- Morphine won't act X no addiction.