

# NARCOTIC ANTAGONIST

The drugs, which competitively antagonise the effects of opioids by blocking specific opioid receptors.

↳ having greater affinity than opioids

Martin & Gilbert (1977) postulated that there are mainly three types of opioid receptors

- ①  $\mu$ R - Analgesia, Sedation, addiction, Euphoria, respiratory depression, &  $\downarrow$  GI motility
- ②  $\kappa$ R - Spinal analgesia, Sedation, Dysphoria
- ③  $\delta$ R - Analgesia, addiction, antidepressant
- ④  $\sigma$ R - produce hallucination, & Respiratory stimulation

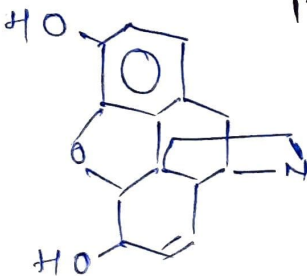
## Drugs (Antagonist)

- ① Pure Antagonist - Naloxone ✓
- ② Partial Antagonist - Nalorphine ✓ & Levallorphan ✓
- ③ Partial Agonist - Propiram & profadol ✓

Uses -

- ① used in opioid overdose to reduce the effects
- ② overcoming the opioid addiction
- ③ Also used in treatment of alcohol addiction

## ① Nalorphine hydrochloride

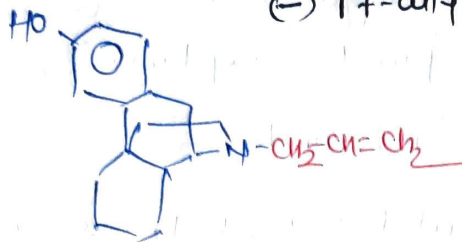


17-allyl-7,8-didehydro-4,5-epoxy morphinan-3,6-diol.

↳ MOA -  $\mu$ R blocker  
 $\kappa$ R agonist

↳ USE - ~~##~~ Morphine / opioid Overdose

## ② Levallorphan Tartrate



(-) 17-allyl morphinan-3-ol

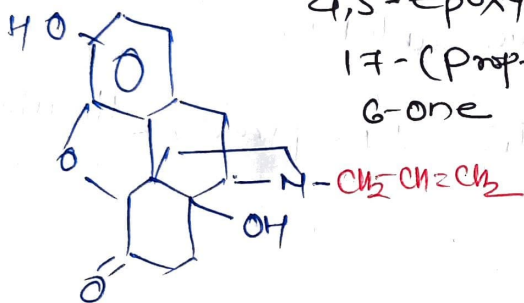
#  $\mu$ R blocker

#  $\kappa$ R Agonist

Use = ① treatment of respiratory depression due to narcotic Overdose

②

## ③ Naloxone hydrochloride



4,5-epoxy-3,4-dihydroxy-

17-(Prop-2-enyl) morphinan-6-one

M/OA = Pure Antagonist -  $\mu$ R >  $\delta$ R >  $\kappa$ R

Uses - # narcotic Overdose

# antidote for Clonidine

# Used along with opioids to reduce narcotic side effects.