  
**Opioid Receptors and Classification of Opioid Analgesics**  
 Psychology 472  
 Pharmacology of Psychoactive Drugs  
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## Opioid Receptors

- Three Classical types:
  - Mu
  - Kappa
  - Delta
- 1 Non-Classical
  - Nociceptin
- All use a G-protein mechanism of action
- Some increase CAMP in MFB
- Feel good

## Responses Mediated by Opioid Receptors

- **Mu**: Analgesia, respiratory depression, miosis, relaxed euphoria, sedation, sense of tranquility, reduced apprehension and concern, cough suppression, reduced GI motility
- **Kappa**: Spinal analgesia, dysphoria, psychotomimetic effects, miosis, minimal respiratory depression

Side Bar: Salvinorin A is a pure kappa agonist psychedelic drug

## Mu ( $\mu$ ) MOP OP<sub>1</sub>

- Three types  
 $\mu_1$ ,  $\mu_2$ ,  $\mu_3$
- Locations
  - Cortex, thalamus, olfactory bulb, nucleus accumbens, amygdala, others
  - periaqueductal gray, others
  - Spinal cord
- Intestinal tract

## Functions $\mu_1$

- Cortical analgesia
- Also associated with physical dependence

## Function $\mu_2$

- Causes: Euphoria
- Side Effects
  - Respiratory Depression
  - Miosis
  - Reduced GI motility
- Also associated with physical dependence

## Function $\mu_3$

- Unknown what it does

7

## Kappa $\kappa$ OP<sub>2</sub> KOP

- Three types  $\kappa_1, \kappa_2, \kappa_3$
- Associated with spinal analgesia
- Locations
  - Hypothalamus, periaqueductal gray, claustrum, spinal cord

8

## Functions

- Causes
  - Sedation, Miosis, inhibition of ADH release, dysphoria, can also trigger pain arousal (Nociceptin)
- Anxiety and Depression
- Reduced appetite
- Can assist in the development of tolerance to  $\mu$  agonists
- Opioids create spinal anesthesia

9

## Delta ( $\delta$ ) OP<sub>1</sub>

- Two types  $\delta_1, \delta_2$
- Locations
  - pontine nuclei, amygdala, olfactory bulbs, cortex

10

## Functions

- Creates analgesia
- Has antidepressant properties
- Associated with physical dependence

11

## Nociceptin Receptor OP<sub>4</sub>

- One type identified so far
- Locations
  - Cortex, amygdala, hippocampus, septum, habenula, hypothalamus, spinal cord, probably others

12

## Functions

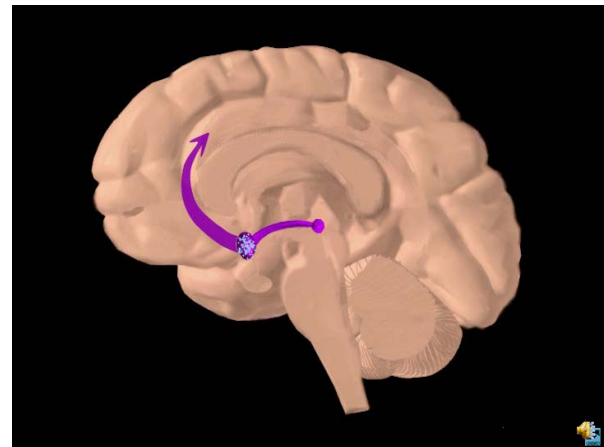
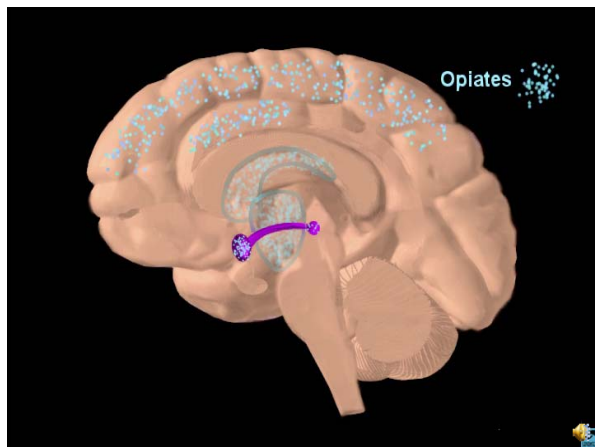
- Thought to be an endogenous antagonist of dopamine transport
- May act directly on dopamine or by inhibiting GABA to affect dopamine level
- Acts as a agonist or antagonist depending on the location of the receptor.
  - Anxiety, depression, appetite
- Also causes tolerance to  $\mu$  agonists

13

## Agonists and Friends

- **Agonist:** Any substance that has affinity for a mu receptor and exerts same effects as morphine (affinity and efficacy).
- **Partial Agonist:** A drug that has affinity but only partial efficacy (limited action).
- **Mixed Agonist-Antagonist:** Binds to opioid receptors (esp. *kappa*),
  - Causes analgesia in non-opioid-dependent persons,
  - May precipitate withdrawal in opioid-dependent persons.

14



## Conclusion

- Several different types of receptors
- Each are activated by different drugs
- Each site causes different effects
- Need to know the receptor types to anticipate potential problems and interactions of different drugs.

17