

NARCOTIC ANTAGONISTS

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NARCOTIC ANTAGONISTS

Prevents or abolishes excessive respiratory depression caused by the administration of morphine or related compounds. They act by competing for the same analgesic receptor sites. They are structurally related to morphine with the exception of the group attached to nitrogen.

CLASSIFICATION

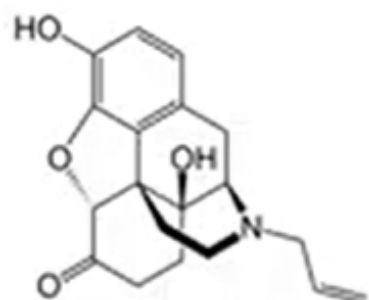
- i. Pure antagonists (e.g. naloxone, naltrexone).**
- ii. Partial agonists of nalorphine type (e.g. Nalorphine, levallorphan, and cyclazocine).**
- iii. Partial agonists of morphine type (e.g. propiram, profadol).**

Morphine-Related Antagonists

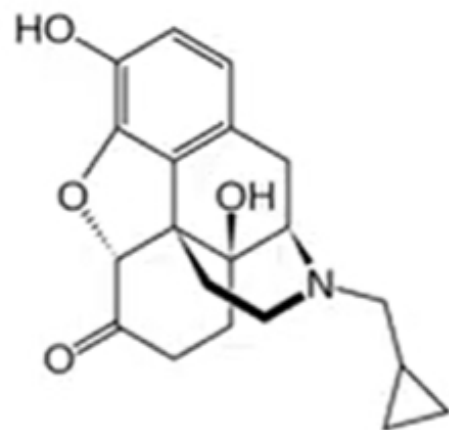
General Structure	Name	R	X	Y	Z	Other	Therapeutic Category
	Nalorphine	$-\text{CH}_2-\text{CH}=\text{CH}_2$	H	OH	OH	—	Narcotic antagonist
	Levallorphan	$-\text{CH}_2-\text{CH}=\text{CH}_2$	H	OH	H	a^*	Narcotic antagonist
	Naloxone	$-\text{CH}_2-\text{CH}=\text{CH}_2$	OH	OH	$\text{C}=\text{O}$	b^{**}	Narcotic antagonist
	Naltrexone	$-\text{CH}_2$ (cyclopropyl)	OH	OH	$\text{C}=\text{O}$	b	Narcotic antagonist
	Nalbuphine	$-\text{CH}_2$ (cyclobutyl)	OH	OH	OH	b	Narcotic analgesic
	Butophanol	$-\text{CH}_2$ (cyclobutyl)	OH	OH	H	a, b	Narcotic analgesic

* a = No *o*-atom between C_4 and C_5 .

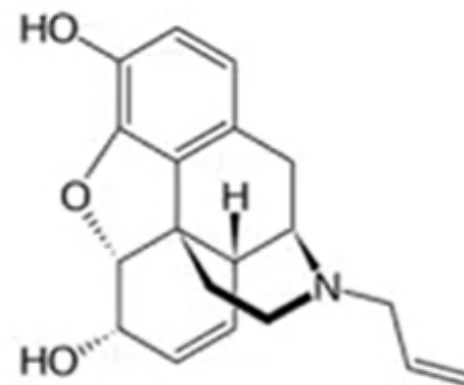
** b = No 'double bond' between C_7 and C_8 .



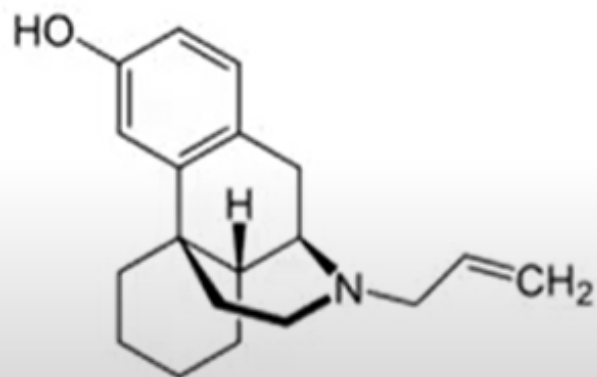
naloxone



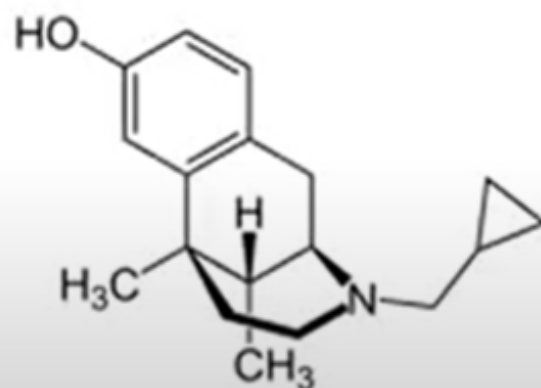
naltrexone



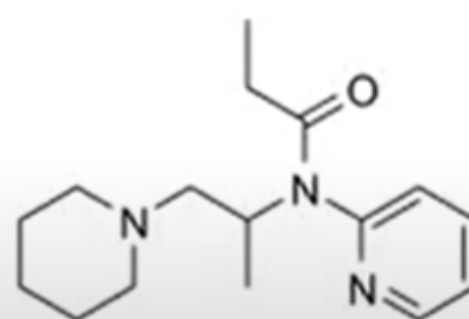
Nalorphine



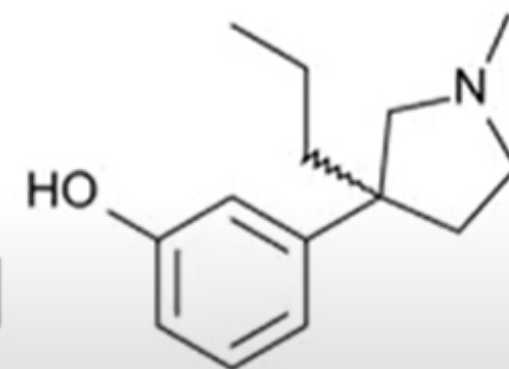
levallorphan



cyclazocine

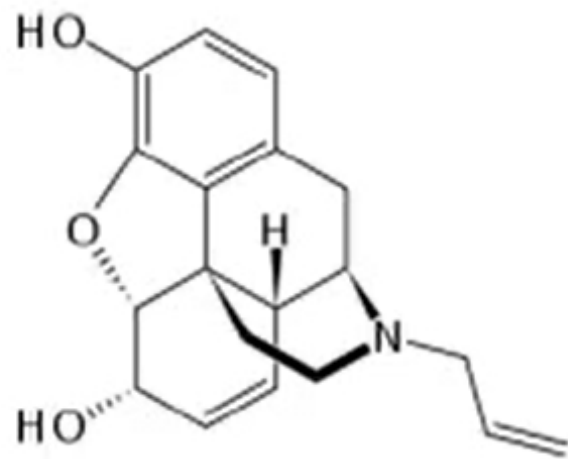


propiram



profadol

Nalorphine

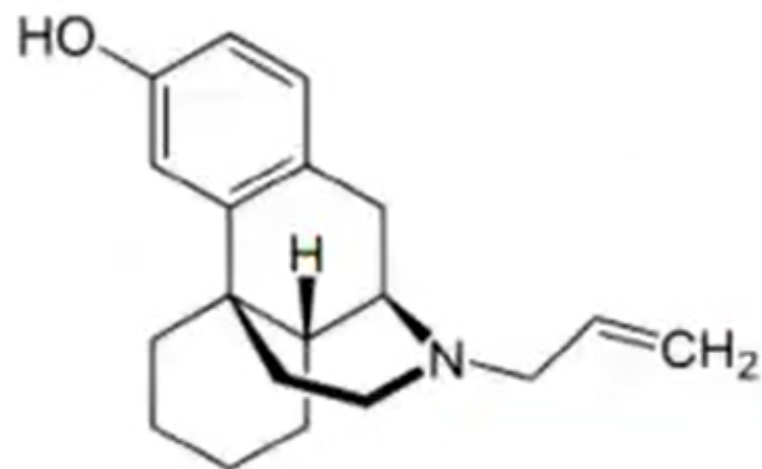


**9 α -allyl- 4,5-epoxymorphin-
7-en- 3,6-diol**

Uses:

- Also known as **N-allyl normorphine**, is a **mixed opioid agonist-antagonist** with opioid antagonist and analgesic properties.
- It was **used as an antidote to reverse opioid overdose** and in a challenge test to determine opioid dependence.
- It acts at two opioid receptors — the μ -opioid receptor, and at the κ -opioid receptor
- Drug has side effects such as dysphoria, anxiety, confusion and hallucinations and for this reason, is no longer used medically.

Levallorphan

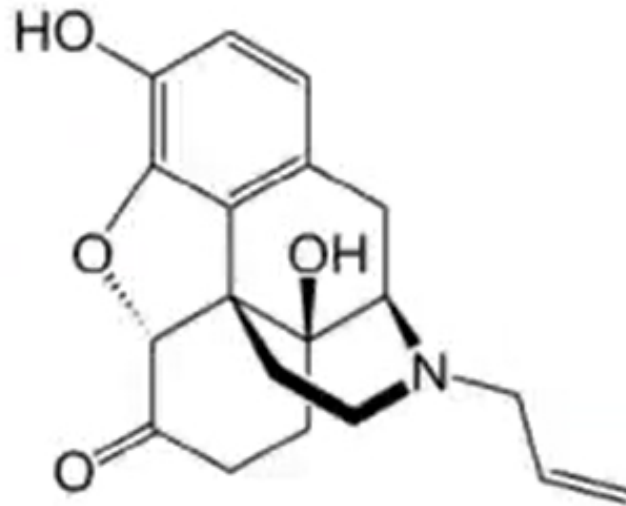


17-prop-2-enyl-17-azatetracyclo heptadeca-2(7),3,5-trien-4-ol

Uses:

- Also known as **levallorphan tartrate**, is an opioid modulator of the morphinan family.
- Levallorphan was formerly widely **used in general anesthesia**, mainly to reverse the respiratory **depression** produced by opioid analgesics and barbiturates used for induction of surgical anesthesia.

Naloxone(Allyl noroxymorphone)



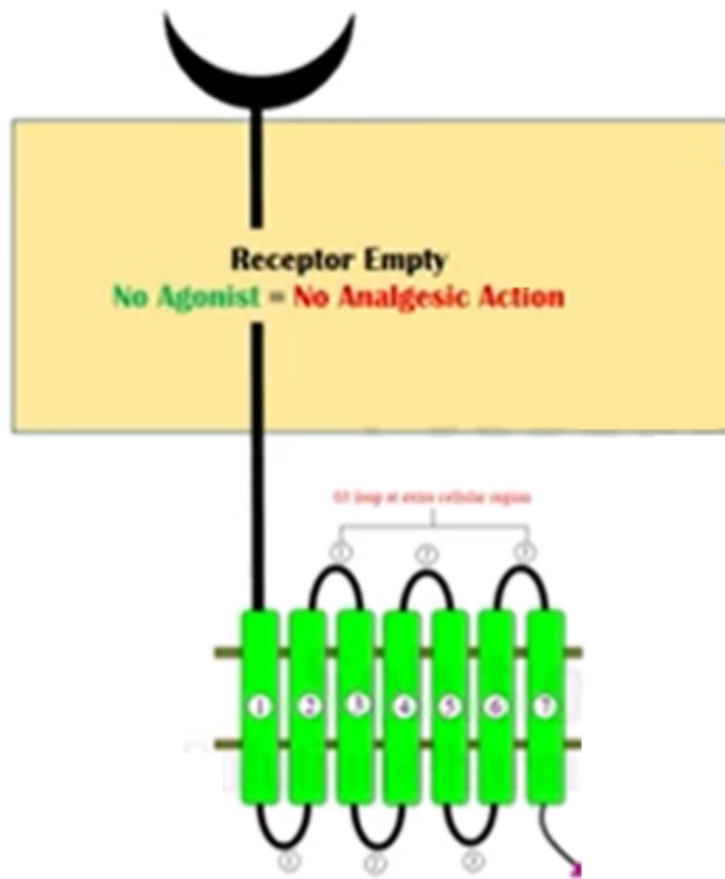
17-Allyl-4,5 α -epoxy-3,14-dihydroxymorphinan-6-one

Uses:

- **Narcan (naloxone)** is an opioid antagonist **used for the complete or partial reversal of opioid overdose, including respiratory depression.**
- **Narcan** is also used for diagnosis of suspected or known acute opioid overdose and also for blood pressure support in septic shock.
- **Narcan** is available in generic form.

NALOXONE :

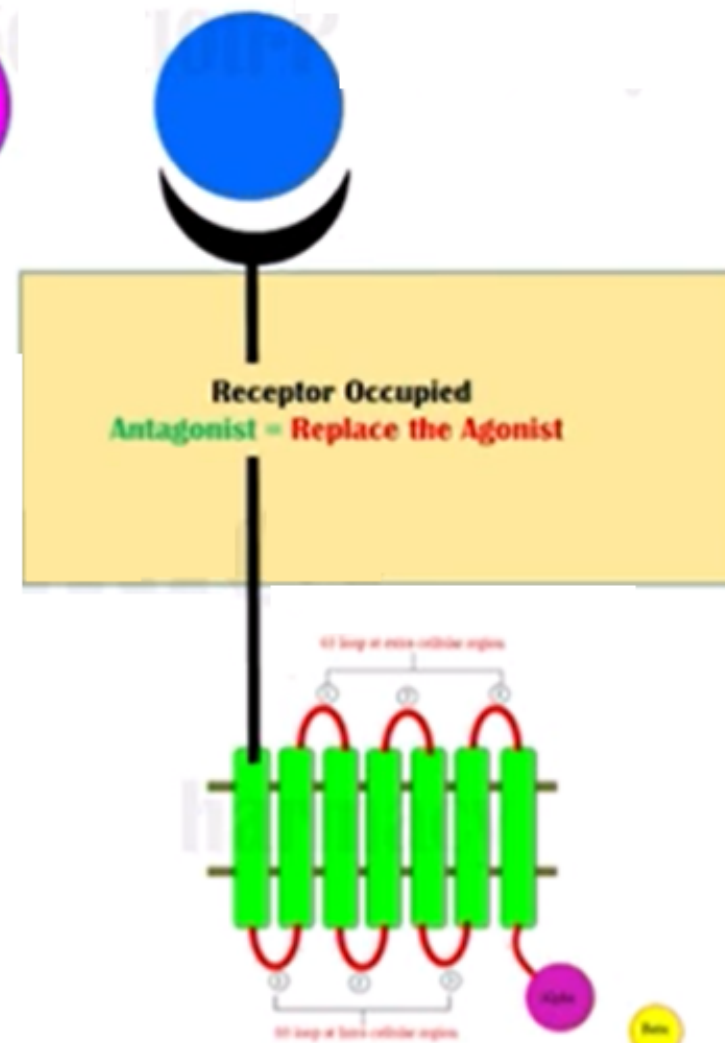
1. It is N - allyl analogue of oxymorphone.
2. It selectively antagonises respiratory depression produced by morphine and other opioids.
3. Because of inactivation in the liver, it is potent on parenteral administration than on oral administration.
4. It is a pure antagonist. So by itself, naloxone does not produce respiratory depressant, analgesic or euphoriant effects.
5. It does not produce withdrawal symptoms. But it decreases the withdrawal symptoms of morphine and heroin.
6. It is used as an antagonist in opioid poisoning.



Opioid Agonist

Opioid Antagonist

Opioid Agonist Displaced



Analgesic Effect = Pain Lost

Toxicity Neutralized by Antagonist

THANK
YOU

