

# Naloxone Encyclopedia Article

## Naloxone

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# Contents

<a href="#">Naloxone Encyclopedia Article.....</a>	<a href="#">1</a>
<a href="#">Contents.....</a>	<a href="#">2</a>
<a href="#">Naloxone.....</a>	<a href="#">3</a>

# Naloxone

Naloxone is an OPIOID ANTOGONIST (i.e., a blocker of morphine-like agents) commonly used to reverse the actions of drugs such as morphine. In the early 1990s, it was the treatment of choice for reversing the life-threatening effects of opioid overdose. Structurally, naloxone is very closely related to OXYMORPHONE, both compounds being derivatives of the opium alkaloid thebaine. Indeed, the structural differences between oxymorphone and naloxone are minimal; they are restricted to a simple substitution on the nitrogen atom. Oxymorphone has a methyl group whereas naloxone has an allyl substitution. This small substitution changes the pharmacology of the compound dramatically. Whereas oxymorphone is a potent ANALGESIC with actions very similar to MORPHINE, naloxone has no analgesic actions by itself and instead has the ability to antagonize, or reverse, virtually all the effects of morphine-like drugs. This ability to reverse opiate actions has proven valuable clinically. However, giving naloxone to opiate addicts will immediately precipitate WITHDRAWAL symptoms.

Naloxone is rapidly metabolized in the liver to inactive compounds, resulting in a relatively brief duration of action. When naloxone is used clinically to reverse the actions of morphine and other OPIATES, care must be taken to ensure that the drug being reversed does not last longer than the naloxone.

## Figure 1 *Naloxone*

Should that happen, a patient may be revived by naloxone only to relapse back into a coma or even die from the side effects of the initial opioid AGONIST. Despite its effectiveness following injection, naloxone is not very active when given orally; this, together with its short duration of action, prevents its widespread use as a treatment for opioid addiction.

## See Also

Naltrexone; Naltrexone in Treatment of Drug Dependence; Opioids: Complications and Withdrawal)

## Bibliography

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