How does methadone work as a heroin-replacement therapy? And what about the longer-acting buprenorphine?

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Credit: AI-generated image (disclaimer)

Around 1% of Australian adults have tried heroin in their lifetime and 2.7% have used pharmaceutical opioids for non-medical purposes in the past 12 months.

These drugs attach to the opioid receptors in the brain, creating feelings of relaxation, well-being and reduced pain.

Heroin has a short half life, meaning it doesn't stay in the body for very long, so it has a high potential for dependence. If you're dependent, you may need to use several times a day to maintain the effect.

Dependence is when you use a drug regularly and your body and brain become used to it. When you stop, withdrawal symptoms occur, which in the case of opioids can include symptoms such as muscle aches, strong cravings and hot or cold flushes. These symptoms can be so uncomfortable that they sometimes lead to using opioids again, which will stop the withdrawal symptoms.

Around one in three people who try heroin become dependent, and around one in five people who use opioids long-term for pain become dependent.

Research shows the most effective treatment for heroin dependence is to replace it with a similar but longer-acting opioid—such as methadone—in a controlled dose to reduce the need to use heroin. This is called "opioid agonist treatment".

How does methadone work?

Methadone was the first medication trialed as a "replacement therapy". It's a long-acting opioid, with similar effects to heroin.

It's usually swallowed as a solution or a syrup. Because it maintains its action for so long, it only needs to be taken once a day.

When the dose is high enough, methadone blocks the brain's natural opioid receptors. So if someone uses heroin while on methadone they

won't feel the effects of the heroin because the receptors are already full with methadone.

Methadone treatment was first tested in the 1960s in New York, in a groundbreaking trial at The Rockefeller University by Vincent Dole, a physician, and Marie Nyswander, a psychiatrist.

The first trial of 22 people who were given daily doses of methadone was highly successful and laid the foundation for decades of research demonstrating its effectiveness.

By removing the need to regularly take other opioids, and stabilizing opioid withdrawal and cravings, methadone reduces drug use, reduces criminal activity, and improves health.

Once a person is on a steady dose, which often takes up to six to eight weeks, and they no longer feel withdrawal symptoms, they are better able to work or study. When a person is on a steady dose (that is, that they are not intoxicated or feeling "under the influence" after their normal daily dose) they are allowed to drive a car, although driving is not recommended when undergoing dose changes or at the start of treatment.

Methadone works for people who use heroin or prescription opioids. In Australia, the proportion of people in opioid agonist treatment for dependence to prescription opioids has grown significantly.

Opioid agonist treatment, such as methadone, is an effective treatment for prescription opioid dependence, and is also an effective analgesic (painkiller) for those with both chronic pain and opioid dependence.

So what is buprenorphine?

Buprenorphine is the other medicine commonly used for opioid dependence.

Buprenorphine works for even longer than methadone and in some cases can be taken every second or third day. It comes in a film or a tablet that dissolves under the tongue.

It is a partial agonist, so it binds to the opioid receptor but doesn't have a full opioid effect.

Buprenorphine is usually combined with naloxone: the medicine that reverses heroin overdoses. Naloxone is inactive when taken orally (or sublingually, or under the tounge) with buprenorphine, but if it is injected it causes unpleasant side effects. Combining the two reduces the likelihood someone will inject their medication intravenously (into a vein), as it's not intended to be used in this way.

A long-acting buprenorphine that is injected subcutaneously (under the skin) and slowly released over time is also now available in Australia. It can be used as infrequently as once a month, and makes treatment much more accessible.

Some people prefer buprenorphine as it can be less sedating, but others find the full opioid effects of methadone is more effective.

But it can be costly and difficult to access

Methadone and buprenorphine are not expensive medicines, and in Australia they are paid for in full by the government.

Methadone and buprenorphine are usually taken under supervision by a community pharmacist. However, the cost for the pharmacist to provide methadone or buprenorphine is not subsidized by the government. It has

to be paid for by the patient.

The medicine has to be taken daily or, for buprenorphine, at least several times a week. A fee is charged for each dose supplied and the costs can add up.

Some people also need to pay to see a doctor to get a prescription.

All these costs can be a disincentive to stay in the program, even though the outcomes are very good.

There's still a lot of stigma

One of the key barriers to treatment is stigma. This occurs across all levels of the treatment system.

When patients are worried about the stigma of being identified as someone on an opioid pharmacotherapy program, they may wait a long time to seek treatment.

Some treatment providers also hold prejudices against people who use heroin and other drugs and may treat them poorly, compounding the problem.

There is also judgment from people in the community about being on treatments like methadone. Many people have the incorrect idea that methadone is "just replacing one drug for another".

But compared to using street heroin, methadone treatment is better for the individual, their families and the community.

Think of methadone and buprenorphine treatment in a similar way to insulin for diabetes or daily medications that are needed to manage high

blood-pressure. Opioid agonist treatment is usually needed long term and to be taken regularly to be effective.

What replacement therapies might come next?

Several other forms of opioid agonist treatment are available in Canada and Europe, but not yet in Australia. These include slow-release oral morphine and injectable opioid agonist treatments.

With injectable opioid agonist treatments, short acting opioids like hydromorphone are self-injected under medical supervision multiple times per day. This treatment is usually only when methadone or buprenorphine have been ineffective.

Although these are now well-established treatments in countries such as Canada, it is not clear if or when injectable opioid agonist treatments will become widely available in Australia.

Heroin dependence is a health condition and there is very good evidence that receiving any form of opioid agonist treatment saves lives, so it is critical that people can access it when they need it.

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