

Chemhistory: Cocaine

About half a century ago, in my mid-teens, I would return from the dentist's surgery with a numb jaw after a filling. I would be greeted by elderly relatives with the question: "Did you have cocaine?"

This question was probably provoked by their experiences half a century earlier still, when cocaine injections were the main means of abolishing the pain of the drill. The stimulant effects of coca leaves, the source of the cocaine, were known even to the ancient Incas, and were exploited by the Spanish conquistadors. Coca-intoxicated slaves worked harder in the goldmines, and moreover, as an appetite-suppressant, the drug made them cheaper to feed.



Speedy ships across the Atlantic Ocean in the 19th century brought the leaves to Europe both for chemical investigation and commercial exploitation. Typical of the latter was Angelo Mariani, who was to become the world's first cocaine millionaire. He became fascinated by the stimulant properties of the leaves but there was a problem: the most active leaves were also the most distastefully bitter.

Mariani found a way round this difficulty by steeping the leaves in cheap Bordeaux wine. The bitter but potent cocaine was dissolved, and the wine disguised its taste. Moreover, part of the drug was converted into a more potent form by reaction with some of the alcohol in the wine. Vin Mariani was marketed as a "tonic" wine became enormously successful in its day, with celebrity endorsements from Queen Victoria, the Shah of Persia, Popes Pius X and Leo XIII, and many more.

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The medical profession was impressed by its tonic action and the product received endorsement from some 8,000 doctors, including a young psychiatrist named Sigmund Freud. In 1884 he wrote up the use of cocaine itself (rather than the wine) to cure addiction to morphine, and as a means of restoring vitality to "run-down" patients. In passing he mentioned one of its side effects to an ophthalmologist colleague, Carl Koller – it would cause a temporary numbness if it was allowed to touch the lips, eyes or the tissues within the mouth.

At that time, Koller was wrestling with a medical problem. He wanted to conduct eye surgery on his patients which needed very fine stitches in the eyeball – so fine that when a patient retched and heaved after receiving the usual anaesthetics (mainly ether and chloroform) they would be torn out and the operation would fail. He had already tried dropping a variety of potential anaesthetics directly on to the eyeball, but success eluded him.

Koller decided to try the effects of a solution of cocaine hydrochloride firstly on a frog's eye, which he then found became insensitive to touch. Moving on, he applied it to rabbits and dogs and finally to himself:

...We (Koller and an anonymous assistant) trickled the solution into each others' lifted eyelids. Then (we) placed a mirror before us, took pins and with the heads, tried to touch the corneas. Almost simultaneously we were able to state jubilantly "I can't feel a thing!"

He presented his results to a conference in 1884. The news spread rapidly and by the following year dentists had discovered its use. An injection either around the base of the tooth, or in the main sensory nerve that served the tooth, would give about thirty minutes of "local anaesthesia". This was sufficient for fillings and reconstructive dental surgery. This discovery put dentistry on to a professional level rather than a 'tooth-pulling' trade.

There were three main drawbacks to the use of cocaine for local anaesthesia: addiction, instability and unpredictability. Its addictive nature and ready availability in surgeries led to many doctors and dentists becoming addicted to the drug. Cocaine solutions also decomposed on boiling, so achieving a sterile solution for injection was rather a hit-and-miss affair.

Most crucially, cocaine's effects were highly unpredictable. Some patients could withstand a dose as large as 1.5g, yet for others an injection as small as 18mg could prove fatal. And, given that it was necessary to inject about 10mg of cocaine to freeze a tooth, the margin of safety was very narrow. Dentists became alarmed at the fatalities and 'near-misses' occurring in their surgeries, and turned to their chemistry colleagues to come up with a better, risk-free local anaesthetic.

In 1907, Alfred Einhorn came up with a man-made alternative named Procaine or Novocaine. This was non-addictive, stable to boiling so sterilization was easily achieved, and safe and predictable in its action. By 1910 it had displaced the use of cocaine in dentists' surgeries, and it remained the anaesthetic of choice for almost the next 50 years.

So to answer my elderly relatives' question posed in the first paragraph: "No, I didn't have cocaine: I had something better!"

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