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History of Lidocaine Use in Dentistry

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Abstract

The history of local anaesthetics in dentistry began with the use of cocaine in 1884, but its addictive properties led to the search for safer alternatives. Amylocaine (Stovaine) was introduced in 1903, followed by Procaine (Novocaine) in 1905, synthesised by Alfred Einhorn. To prolong anaesthesia, Braun proposed combining Novocaine with epinephrine as a vasoconstrictor. Early administration of all anaesthetics relied on reusable Luer syringes, but concerns over hepatitis B led to the development of disposable cartridges in 1922. Novocaine remained the primary anaesthetic until 1943, when Swedish chemists Nils Löfgren and Bengt Lundqvist developed lidocaine, a safer and longer-lasting alternative. Marketed as Xylocaine in 1949, lidocaine became the preferred local anaesthetic in dentistry. Today, lidocaine remains the most widely used dental anaesthetic, available in various forms, with later innovations including bupivacaine (1963) and ropivacaine (1993).

Keywords: anaesthetic cartridge, lidocaine, local anaesthesia, xylocaine

The history of local anaesthetics in dentistry began with the introduction of cocaine in 1884.[1] At the time, its addictive properties were unknown. However, once its devastating effects became evident, there was an urgent search for a safer substitute.

One of the earliest alternatives was Amylocaine (Stovaine), synthesized in 1903 by Ernest Fourneau (1872–1949) at the Pasteur Institute. The name "Stovaine" was derived from the French word *fourneau* (meaning "stove" in English). First used in 1904 for local anesthesia and epidural injections, Amylocaine was soon replaced in 1905 by Procaine (Novocaine), a superior anesthetic suited for local and regional anesthesia. This aminobenzoic ester was synthesis by German chemists Alfred Einhorn (1856–1917) and Richard Willstätter, and its first recorded clinical use was by Heinrich Braun (1862–1934), a German surgeon.

Although Novocaine was effective, its anesthetic effect was short-lived due to its rapid dilution by blood circulation, which removed the active ingredient from the injection site. To prolong the duration of anesthesia, Heinrich Braun proposed, in 1903, combining the anesthetic with the recently isolated suprarenal hormone adrenaline, which induced vasoconstriction. When Friedrich Stolz synthesized a laboratory version of adrenaline at Farbwerke Hoechst, the concept of a longer-lasting local anesthetic was realized.[2] Epinephrine (Suprarenin), first isolated in 1901 by Japanese

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scientist Jokichi Takamine, was commercialized in 1906 as a vasoconstrictor by the German pharmaceutical company Hoechst (Fig. 1).[3]

Novocaine remained the primary local and regional anesthetic until 1943, when Swedish chemists Nils Löfgren (1913–1967) and Bengt Lundqvist (1922–1953) synthesized lidocaine (lignocaine). It was clinically tested as an alternative by Thorsten Gordh (1907–2010) to address allergic reactions, which affected approximately 8% of patients using Novocaine.[4,5] Unlike cocaine, lidocaine was non-addictive, safer, had a faster onset, and provided a longer duration of anesthesia than Novocaine. It functioned by inactivating voltage-gated sodium channels in neuronal cell membranes, thereby inhibiting the propagation of action potentials. Lidocaine took effect within minutes, lasting approximately 30 minutes without epinephrine and up to two hours with it.

Lidocaine was introduced to the market in 1949 in Sweden and in 1951 in the United States under the trade name Xylocaine, commercialized by the Swedish pharmaceutical company ASTRA AB. Initially named Xylocaine due to its 2,6-xylidine chemical structure, its name was later changed to Lidocaine to highlight its chemical relationship to acetanilide (Fig. 2). Due to its superior properties, lidocaine quickly became the preferred local anesthetic in dentistry.

Until the early 20th century, all local anaesthetics were administered using sterile injectable solutions in ampules. Dentists aspirated the solution into a reusable Luer syringe an invention by Hermann Wülfing-Lüer (1836–1909), a German surgical instrument maker—and injected it using a 21-gauge needle attached to the syringe. Both syringes and needles were sterilized and reused. Unfortunately, these methods could not prevent the spread of Hepatitis B, which was a significant occupational hazard for dentists in the 1950s and 1960s. The introduction of disposable cartridges in 1922 significantly reduced this risk. This innovation was developed by Harvey Samuel Cook (1888–1924), an Army physician from Valparaiso, Indiana, who conceptualized it while stationed in Europe during World War I. Cook later established Cook Laboratories, Inc., to distribute the invention (Fig. 3).[6]

Lidocaine remains the most widely prescribed local anesthetic in dentistry today. More recent additions to the field include bupivacaine (introduced in 1963) and ropivacaine (introduced in 1993). Lidocaine is now available in various forms, including spray, cream, patch, gel, jelly, ointment, solution, lotion, pad, swab, and powder. In dentistry, it is commonly used as a 2% or 5% gel, a 2%, 4%, or 5% solution, a 5% ointment, a 10% spray, or a 20% patch.

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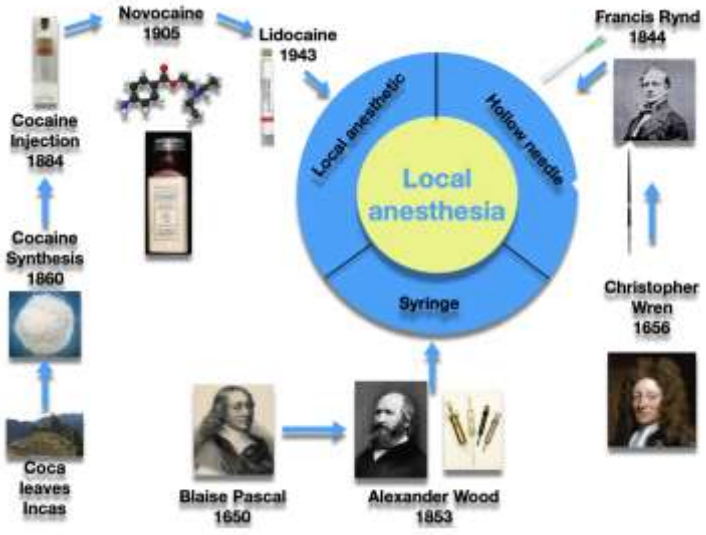


Figure 1. The evolution of injectable local anaesthetics, syringes, and hollow needles.

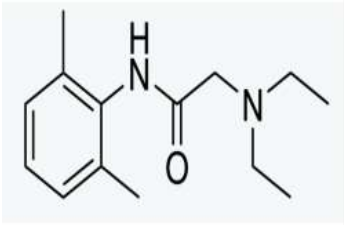




Figure 2. The chemical structure of Lidocaine.
Figure 3. The Cook Carpule System advertised in 1924[6], is a cartridge of lidocaine, and its dedicated syringe.