

RESEARCH MONOGRAPH . COMPOUND PROFILE

Dibucaine

A quinoline-based amide local anesthetic with the highest potency in the class, used principally in topical preparations and as the historical reference for cholinesterase typing.

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COMPOUND CLASS	Amide local anesthetic (high-potency, topical)

ABSTRACT

Dibucaine (cinchocaine; 2-butoxy-N-[2-(diethylamino)ethyl]quinoline-4-carboxamide; CAS 85-79-0; molecular formula C₂₀H₂₉N₃O₂; molecular weight 343.46) is a quinoline-based amide local anesthetic synthesized by Karl Miescher at Ciba in 1929 (Nupercaine, Cinchocaine, Sovcaine). The compound is structurally distinct in carrying a quinoline ring and a butyloxy substituent rather than the dimethylphenyl ring of lidocaine and piperidyl ether family agents. Dibucaine is the most potent local anesthetic in the amide class on a milligram basis (approximately 15-fold the potency of lidocaine for infiltration block), producing intense and prolonged sodium channel block; the high potency is paired with high systemic toxicity that restricts modern clinical use to topical preparations. The historical use in spinal anesthesia (Nupercaine spinal) was extensive in the early-to-mid 20th century but has been displaced by safer agents (bupivacaine, levobupivacaine, ropivacaine). Modern indications are topical: hemorrhoidal preparations (combined with hydrocortisone in commercial products), topical anesthesia of intact skin and mucous membranes, and ENT applications. Mechanism is the standard voltage-gated sodium channel block with state-dependent kinetics. The dibucaine number is a clinical laboratory parameter measuring plasma butyrylcholinesterase activity through the relative inhibition of cholinesterase by dibucaine: normal individuals show 80 percent inhibition, atypical heterozygotes 40 to 60 percent, atypical homozygotes 16 to 20 percent. The dibucaine number predicts succinylcholine and mivacurium duration in patients with

butyrylcholinesterase variants and remains a clinically used diagnostic test.

1. Compound Identification

Dibucaine is described as amide local anesthetic (high-potency, topical). A quinoline-based amide local anesthetic with the highest potency in the class, used principally in topical preparations and as the historical reference for cholinesterase typing.

1.1 Class summary

Amide local anesthetic (high-potency, topical)

1.2 Subtitle

A quinoline-based amide local anesthetic with the highest potency in the class, used principally in topical preparations and as the historical reference for cholinesterase typing.

2. Abstract

Dibucaine (cinchocaine; 2-butoxy-N-[2-(diethylamino)ethyl]quinoline-4-carboxamide; CAS 85-79-0; molecular formula C₂₀H₂₉N₃O₂; molecular weight 343.46) is a quinoline-based amide local anesthetic synthesized by Karl Miescher at Ciba in 1929 (Nupercaine, Cinchocaine, Sovcaine). The compound is structurally distinct in carrying a quinoline ring and a butyloxy substituent rather than the dimethylphenyl ring of lidocaine and pipecoloxylidide-family agents. Dibucaine is the most potent local anesthetic in the amide class on a milligram basis (approximately 15-fold the potency of lidocaine for infiltration block), producing intense and prolonged sodium channel block; the high potency is paired with high systemic toxicity that restricts modern clinical use to topical preparations. The historical use in spinal anesthesia (Nupercaine spinal) was extensive in the early-to-mid 20th century but has been displaced by safer agents (bupivacaine, levobupivacaine, ropivacaine). Modern indications are topical: hemorrhoidal preparations (combined with hydrocortisone in commercial products), topical anesthesia of intact skin and mucous membranes, and ENT applications. Mechanism is the standard voltage-gated sodium channel block with state-dependent kinetics. The dibucaine number is a clinical laboratory parameter measuring plasma butyrylcholinesterase activity through the relative inhibition of cholinesterase by dibucaine: normal individuals show 80 percent inhibition, atypical heterozygotes 40 to 60 percent, atypical homozygotes 16 to 20 percent. The dibucaine number predicts succinylcholine and mivacurium duration in patients with butyrylcholinesterase variants and remains a clinically used diagnostic test.

3. Mechanism of Action

Voltage-gated sodium channel block, highest potency in amide class. Distinguished from other amides by the quinoline scaffold.

The mechanistic profile described above represents the consensus position from peer-reviewed literature where available; for compounds with sparse formal characterization, this section reflects vendor-grade reports and structurally-related class pharmacology and should be interpreted with appropriate caution.

4. Pharmacokinetics and Routes of Administration

Pharmacokinetic data are summarized within the abstract for compounds with formal Phase 1 records. Compounds without published human pharmacokinetic studies are noted as such; investigators should treat the absence of data as a research limitation.

5. Reported Research Dose Ranges

Topical 0.25 to 1 percent for skin and mucous membrane application. Historical spinal 5 to 10 mg.

Doses are scaled from clinical use where the compound is approved as a medicine, from Phase 2/3 trials where development advanced, or from rodent dose-response data scaled by allometric assumptions where the compound has no human clinical record. Investigators should treat dose ranges from research-chemical-grade vendors as starting points for their own pharmacokinetic and tolerability characterization rather than validated dosing recommendations.

6. Sourcing and Quality Verification

Investigators should obtain analytical confirmation of identity (mass spectrometry; for peptides, additionally amino acid analysis or N-terminal sequencing) and purity (HPLC area-percent, ideally greater than 95 percent) on every lot. Vendor certificates of analysis vary in quality; third-party analytical confirmation through independent laboratories (e.g., Janoshik Analytical, Anabolic Lab) is the gold standard for research-grade peptides and small molecules.

For compounds with established pharmaceutical-grade marketing in any jurisdiction, sourcing from the marketed product (where legal and accessible) provides the highest quality assurance.

7. Reconstitution and Handling

Peptides should be reconstituted in bacteriostatic water or sterile water for injection in the volume appropriate to the desired concentration; lyophilized peptides should be allowed to come to room temperature before reconstitution to minimize precipitation. Reconstituted peptides should be stored refrigerated and used within the timeframe specified by the manufacturer (typically 14 to 30 days for bacteriostatic water reconstitutions, shorter for sterile water).

Small molecules: storage conditions vary by compound; consult the certificate of analysis for the specific product. Most are stable at room temperature in dry, dark conditions; oxidation-sensitive compounds (e.g., catecholamine precursors) require cool storage and protection from light.

8. Stack Interaction Considerations

Investigators combining this compound with other research substances should consider receptor-level interactions (additive effects at shared targets), enzyme-level interactions (CYP-mediated drug-drug interactions where applicable), and pharmacodynamic interactions (additive sedation, additive serotonergic activity, additive hemodynamic effects).

The stack interaction section in published monographs of well-characterized compounds is necessarily incomplete for any specific combination; investigators should treat novel combinations with elevated caution and titrate gradually.

9. References

1. Miescher K. The chemistry of local anaesthetics. *Helv Chim Acta* 1932.
2. Kalow W, Genest K. Determination of dibucaine numbers. *Can J Biochem Physiol* 1957.
3. Covino BG. Pharmacology of local anaesthetic agents. *Br J Anaesth* 1986.

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