

RESEARCH MONOGRAPH . COMPOUND PROFILE

Tetracaine

A long-acting butyl-substituted ester local anesthetic used principally in topical ophthalmic and spinal anesthesia.

DOCUMENT	KDC-MN-1315
ISSUED	2026-05-10
REVISION	1.0
AUTHOR	Kodiac bioLabs Research
COMPOUND CLASS	Ester local anesthetic (long-acting)

ABSTRACT

Tetracaine (2-(dimethylamino)ethyl 4-(butylamino)benzoate; CAS 94-24-6; molecular formula $C_{15}H_{24}N_2O_2$; molecular weight 264.36) is an ester-class local anesthetic synthesized in the 1930s and introduced clinically as Pontocaine. The n-butyl substitution at the para-amino position of the procaine scaffold substantially increases lipid solubility, potency, and duration of action; tetracaine is roughly 10-fold more potent than procaine and produces 2 to 3 hour blocks suitable for spinal anesthesia. Mechanism is voltage-gated sodium channel block with state-dependent kinetics. Hepatic and plasma cholinesterase hydrolysis produces the para-aminobenzoic acid metabolite shared with other ester anesthetics, with the same allergic considerations. Clinical use centers on three contexts: topical ophthalmic anesthesia (0.5 percent ophthalmic drops for tonometry, foreign body removal, and corneal procedures), topical anesthesia of mucous membranes including ENT and bronchoscopy preparation, and spinal anesthesia (the long duration suits longer surgical cases though levobupivacaine and bupivacaine spinal have largely displaced tetracaine in modern practice). Topical eutectic mixtures with lidocaine (LMX, AmetopTM) provide effective skin anesthesia. The principal safety concerns are systemic toxicity from absorbed topical doses (particularly across mucous membranes where rapid absorption can produce LAST events) and the historical association with cardiovascular collapse during spinal anesthesia in the era before standard intervention algorithms. Maximum dose for spinal anesthesia is approximately 20 mg; topical mucous membrane dosing should be limited to under 50 mg total. Tetracaine has been studied extensively in

topical pediatric venipuncture preparation as part of LMX-4 formulation.

1. 1. Compound Identification

Tetracaine is described as ester local anesthetic (long-acting). A long-acting butyl-substituted ester local anesthetic used principally in topical ophthalmic and spinal anesthesia.

1.1. 1.1 Class summary

Ester local anesthetic (long-acting)

1.2. 1.2 Subtitle

A long-acting butyl-substituted ester local anesthetic used principally in topical ophthalmic and spinal anesthesia.

2. Abstract

Tetracaine (2-(dimethylamino)ethyl 4-(butylamino)benzoate; CAS 94-24-6; molecular formula C₁₅H₂₄N₂O₂; molecular weight 264.36) is an ester-class local anesthetic synthesized in the 1930s and introduced clinically as Pontocaine. The n-butyl substitution at the para-amino position of the procaine scaffold substantially increases lipid solubility, potency, and duration of action; tetracaine is roughly 10-fold more potent than procaine and produces 2 to 3 hour blocks suitable for spinal anesthesia. Mechanism is voltage-gated sodium channel block with state-dependent kinetics. Hepatic and plasma cholinesterase hydrolysis produces the para-aminobenzoic acid metabolite shared with other ester anesthetics, with the same allergic considerations. Clinical use centers on three contexts: topical ophthalmic anesthesia (0.5 percent ophthalmic drops for tonometry, foreign body removal, and corneal procedures), topical anesthesia of mucous membranes including ENT and bronchoscopy preparation, and spinal anesthesia (the long duration suits longer surgical cases though levobupivacaine and bupivacaine spinal have largely displaced tetracaine in modern practice). Topical eutectic mixtures with lidocaine (LMX, Ametop™) provide effective skin anesthesia. The principal safety concerns are systemic toxicity from absorbed topical doses (particularly across mucous membranes where rapid absorption can produce LAST events) and the historical association with cardiovascular collapse during spinal anesthesia in the era before standard intervention algorithms. Maximum dose for spinal anesthesia is approximately 20 mg; topical mucous membrane dosing should be limited to under 50 mg total. Tetracaine has been studied extensively in topical pediatric venipuncture preparation as part of LMX-4 formulation.

3. Mechanism of Action

Voltage-gated sodium channel block, long-duration ester. Hydrolyzed to PABA by plasma cholinesterase.

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. 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. 5. Reported Research Dose Ranges

Topical ophthalmic 0.5 percent; spinal 5 to 20 mg; topical mucous membrane up to 50 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. 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. 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. 9. References

1. Covino BG. Pharmacology of local anaesthetic agents. Br J Anaesth 1986.
2. Adriani J, Campbell D. Fatalities following topical application of local anesthetics to mucous membranes. JAMA 1956.
3. Ashburn MA, et al. Tetracaine 4 percent gel for topical anesthesia of intact skin. Anesth Analg 1996.

This monograph is provided for research use only. Not for medical, diagnostic, or therapeutic purposes. Not for human consumption. Compound class generalizations are noted where compound-specific data are sparse; investigators should weight the evidence accordingly.