

RESEARCH MONOGRAPH . COMPOUND PROFILE

Mepivacaine

The methyl-substituted pipecoloxylidide parent of bupivacaine and ropivacaine, used in dental and orthopedic regional anesthesia.

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COMPOUND CLASS	Amide local anesthetic (intermediate-acting)

ABSTRACT

Mepivacaine (1-methyl-N-(2,6-dimethylphenyl)piperidine-2-carboxamide; CAS 96-88-8; molecular formula C₁₅H₂₂N₂O; molecular weight 246.35) is an amide local anesthetic synthesized by Bo af Ekenstam at AB Bofors in the same pipecoloxylidide series that produced bupivacaine and ropivacaine. The compound was introduced clinically in the late 1950s (Carbocaine, Polocaine) as a successor to lidocaine for dental and short-procedure regional anesthesia. The methyl substituent on the piperidine nitrogen makes mepivacaine the shortest-acting member of the pipecoloxylidide family; lipid solubility and protein binding are intermediate between lidocaine and bupivacaine. Mechanism is voltage-gated sodium channel block. Onset is 3 to 5 minutes for infiltration; duration is 2 to 3 hours, longer with epinephrine. Maximum recommended dose is 5 mg/kg without epinephrine and 7 mg/kg with epinephrine. The principal niches in current practice are dental anesthesia (the 3 percent plain solution avoids epinephrine in patients where the vasoconstrictor is contraindicated, and the intermediate duration matches typical dental procedure length), and ambulatory orthopedic spinal anesthesia where the shorter duration relative to bupivacaine permits earlier ambulation and discharge. Mepivacaine is sold as a racemate. Cardiotoxicity is intermediate between lidocaine and bupivacaine; lipid emulsion rescue applies to LAST. The ester-class procaine and chlorprocaine are alternative short-acting infiltration agents; mepivacaine retains a market niche owing to the absence of the para-aminobenzoic acid metabolite that drives ester allergic reactions.

1. Compound Identification

Mepivacaine is described as amide local anesthetic (intermediate-acting). The methyl-substituted pipecoloxylidide parent of bupivacaine and ropivacaine, used in dental and orthopedic regional anesthesia.

1.1 Class summary

Amide local anesthetic (intermediate-acting)

1.2 Subtitle

The methyl-substituted pipecoloxylidide parent of bupivacaine and ropivacaine, used in dental and orthopedic regional anesthesia.

2. Abstract

Mepivacaine (1-methyl-N-(2,6-dimethylphenyl)piperidine-2-carboxamide; CAS 96-88-8; molecular formula C₁₅H₂₂N₂O; molecular weight 246.35) is an amide local anesthetic synthesized by Bo af Ekenstam at AB Bofors in the same piperidylidide series that produced bupivacaine and ropivacaine. The compound was introduced clinically in the late 1950s (Carbocaine, Polocaine) as a successor to lidocaine for dental and short-procedure regional anesthesia. The methyl substituent on the piperidine nitrogen makes mepivacaine the shortest-acting member of the piperidylidide family; lipid solubility and protein binding are intermediate between lidocaine and bupivacaine. Mechanism is voltage-gated sodium channel block. Onset is 3 to 5 minutes for infiltration; duration is 2 to 3 hours, longer with epinephrine. Maximum recommended dose is 5 mg/kg without epinephrine and 7 mg/kg with epinephrine. The principal niches in current practice are dental anesthesia (the 3 percent plain solution avoids epinephrine in patients where the vasoconstrictor is contraindicated, and the intermediate duration matches typical dental procedure length), and ambulatory orthopedic spinal anesthesia where the shorter duration relative to bupivacaine permits earlier ambulation and discharge. Mepivacaine is sold as a racemate. Cardiotoxicity is intermediate between lidocaine and bupivacaine; lipid emulsion rescue applies to LAST. The ester-class procaine and chlorprocaine are alternative short-acting infiltration agents; mepivacaine retains a market niche owing to the absence of the para-aminobenzoic acid metabolite that drives ester allergic reactions.

3. Mechanism of Action

Voltage-gated sodium channel block, state-dependent. Shorter alkyl chain than bupivacaine and ropivacaine drives shorter duration.

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

5 mg/kg without epinephrine, 7 mg/kg with epinephrine. Dental 1 to 4 mL of 2 to 3 percent.

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. Covino BG. Pharmacology of local anaesthetic agents. Br J Anaesth 1986.
2. Hadzic A. Textbook of Regional Anesthesia and Acute Pain Management. 2007.

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