Theme Article

# Drugs Used in Ocular Local Anaesthesia: An Overview

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**Abstract** *Ocular local anaesthetics are the drugs which are used for various ocular procedures including examination of cases of ocular trauma, removal of superficial foreign bodies, intraocular pressure assessment and in ocular surgery. Various drugs used for ocular local anaesthesia are summarised.*

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| Classification | Name of the drug | Mechanism of action | Route of administration and concentration of the drug used (Percentage) | Dose/toxic dose | Site of action | Onset of action | Duration of action (DOA) | Complications/ side effects |
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| Solutions Amide linked anaesthetics | 1) Lidocaine hydrochloride[1,2]<br><br>Trade names- (LOX 2% XYLOCAINE LIGNOX 2 % ADR GESICAINE WITH ADRENALINE) | Reversible inhibition of nerve impulse generation and conduction at free nerve endings of cornea (topical) and nerves within the cornea (retro/ peribulbar)<br>Due to blockage of sodium channels | Topical-2 – 4% (preferably- 2%)<br>Peri/retrobulbar block- 1 – 2%<br>Intracameral- 1%1<br>Sub-tenon- 2% lignocaine with 150 IU hyaluronidase[2] | Peak blood levels of lidocaine may occur as early as 5 minutes and as late as 30 minutes<br>Max dose with epinephrine -7mg/kg & not >500mg. | Topical-naked nerve endings in cornea & conjunctiva, penetrate through cornea into the anterior chamber & acts on iris & ciliary body neurons<br>Regional- branches of the ophthalmic division of trigeminal nerve as they pass through supraorbital / infraorbital fissure & annulus of zinn | Topical- Action begins within 2-5 mins<br>Retro/ peribulbar- begins within 10 mins | Topical-lasts for 15 – 20 minutes<br>Retro/ peribulbar- lasts for 60-120 mins | Burning-due to acidic nature of solution (ph 6- 6.5), alteration of tear film temporarily<br>Crosses corneal epithelium & may cause epithelial & stromal edema<br>Drowsiness & mental clouding- after systemic absorption |
| | 2) Bupivacaine hydrochloride<br>Trade names- (SENSORCAINE BUPIVAN) | Most lipid soluble. Excellent corneal penetration & entry into anterior chamber. Higher lipid solubility & protein binding than mepivacaine, more potent & has longer duration of action. Blocks sodium channels in nerve endings of cornea, blocking nerve impulse generation when used topically. Retro/peribulbar- blocks motor nerves supplying the extraocular muscles, orbicularis oculi & sensory neurons from cornea and conjunctiva-blocking impulse generation | Topical-0.75%<br>Retrobulbar/ peribulbar-0.25-0.75%<br>Sub-tenon- 0.5% bupivacaine with 2% lignocaine and 150 IU hyaluronidase[2] | The maximum recommended dose for bupivacaine without epinephrine is 1 to 2 mg/kg, increasing to 2 to 3 mg/kg when epinephrine is added | Topical-naked nerve endings in cornea & conjunctiva<br>Peribulbar/ retrobulbar- branches of ophthalmic division of trigeminal nerve, branches of oculomotor, abducent & trochlear nerves | Topical- starts within 5-10 mins<br>Regional- starts within 10 mins | Topical-lasts for 20-30 mins<br>Regional- lasts for 180-360 mins | Same as lidocaine |

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| | 3) Mepivacaine hydrochloride | Amide linked anesthetic Poor corneal penetration-not preferred topically | Retrobulbar/ peribulbar-0.25-0.75% | - | Same as lidocaine | Topical-starts within 1-3 mins Retro/ peribulbar-starts in 10 mins | Topical- lasts 10-15 minutes Retro/peribulbar-lasts -80-160 mins DOA of 2% mepivacaine is 50%longer than lidocaine-lesser vasodilator property | Used Intracamerally as 0.4 ml of 2% unpreserved solution Causes more burning because of pH 5-5.6 |
| | 4) Etidocaine hydrochloride | Amide linked anaesthetic | 1% | - | Same as lidocaine | Slightly rapid onset | Slightly longer duration of action | - |
| | 5) Ropivacaine[1] | Amide linked anaesthetic | Topical- 0.2% Peribulbar-0.5% Intracameral-0.1% | | Ropivacaine elicits regional anaesthetic that, like all amide-type anaesthetic-nerve block via reversible inhibition of sodium ion influx in nerve fibres | With 2 drops equivalent to 375 μg of ropivacaine dose, the onset of action (loss of corneal reflex) is 5 minutes. | Duration of action (reappearance of corneal reflex) is 48 min. | Minimal cardiological and neurological toxic events. This action is potentiated by dose-dependent inhibition of potassium-when used in high concentrations.[3] |
| | 6) Prilocaine | Amide linked anaesthetic | 3 % prilocaine injection for peribulbar anaesthesia. In 1994, a sub-Tenon's injection of prilocaine chlorhydrate using an atraumatic curved cannula, without the use of the needle, in order to avoid the risk of globe perforation or intraocular structures got popularised as "No-needle anaesthesia technique uses atraumatic cannula for infiltration." Not routinely used now. | - | - | - | - | - |
| | 7) Levobupivacaine[4] (CHIROCAINE) | Amide linked anaesthetic | Peribulbar/ Retrobulbar: 5mg/ml | Maximum single dose of levobupivacaine for peribulbar administration is 112.5 mg (15 mL in 0.5% solution) | | 12 ± 2.6 minutes | Duration of peribulbar block was similar between racemic bupivacaine and levobupivacaine (188 ± 35.7minutes versus 185 ± 33.2 minutes[5] | Hypotension Lower chances of neurotoxicity and cardiotoxicity compared to bupivacaine[5] |

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| | 8)Oxybuprocaine[6] | Amide linked anaesthetic | Topical: 0.4% | Overdose following the recommended use is unlikely | Reversibly blocks the propagation and conduction of nerve impulses along nerve axons. | One drop instilled into the conjunctival sac – sufficient to anaesthetise the ocular surface to allow tonometry after one minute. A further drop after 90 seconds -adequate anaesthesia for the fitting of contact lenses. Three drops at 90 second intervals- sufficient for a foreign body removal from cornea or for incision and curettage of the chalazion. | Corneal sensitivity is normal after about one hour. | Dose dependent adverse effects which include severe allergic reactions, burning sensations, iritis, moderate corneal swelling, pulmonary edema and certain effects on CNS. Burning or stinging sensation subsides within 30 seconds of instillation. Frequent or chronic use may result in tolerance to its beneficial effects, severe corneal damage, disciform keratitis, peripheral corneal ring formation, and infiltration of the corneal stroma. |
| | 9)Proxymetacaine[6] | Reversibly blocks initiation and conduction of nerve impulses by decreasing permeability of the neuronal membrane to sodium ions. | Topical- 0.5% | 1 drop every 5-10 minutes for 5-7 applications for deep anaesthesia. 1 or 2 drops 2 to 3 minutes before a short procedure like suture removal, foreign body removal. | | | | Contraindicated in patients with hypersensitivity to any component of the preparation. No adverse safety issues reported |
| Ester linked compounds | 1)Tetracaine | 1st of ester linked compound | Topical- 0.5-1% | Maximum doze-1.5-3mg/kg | - | - | - | Circumoral numbness, tinnitus, blurry vision, dizziness, hyperexcitability/ seizures, increase in PR and QRS interval[7] Discouraged due to corneal toxicity. Also toxic in patients with esterase deficiency. Not used nowadays |
| | 2) Proparacaine (PARACAINE) | Ester linked anaesthetic. Sodium channel blocker, hydrolysed by plasmatic & tissue esterases. | Used as 0.5% concentration topically | - | As other agents | Duration of action-starts within 0.25 minutes | Lasts 5-10 minutes | Not degraded to PABA so safer than other ester linked anesthetics. Certain side effects include: blurred vision, redness of eyes, sensitivity to light, tearing, stinging in the eye or a change in vision. Excessive instillation may cause epithelial corneal haze and punctate keratopathy as the drug has toxic effect on stromal keratocytes. Proparacaine inhibits epithelial cell migration and adhesion. Less irritating and painful than benoxinate |

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| | 3)Benoxinate Benzdon 0.4% eye drops | - | - | | - | - | - | It is more toxic to cornea hence to be used cautiously. Caution to be observed in patients with history of allergy, heart disease, hyperthyroidism and open wounds. |
| Gels | 1)Lignocaine | Preservative free gel formulation of high concentration lignocaine | | 3.5 % | One drop of gel followed by cleaning with betadine after 2-3 minutes, reapplication of another gel drop | As lignocaine | High dose lignocaine might protect from bacterial infections and hydroxypropyl cellulose aids in preserving corneal epithelium. | Most common adverse effect is corneal staining which usually resolves within 24 hours. |

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