CLINIQUIZ

Local anesthetics: pharmacology & clinical application

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A 35 year old male patient is taken up for orthopedic fixation of left humerus. The anaesthesiologist performs interscalene block on ipsilateral side to provide surgical anaesthesia of upper limb. After completion of procedure, the patient immediately starts complaining of numbness around the face, talks irrelevantly which progresses to incoherent speech. Involuntary jerky movements of upper extremities and facial region begin which progress to generalized tonic-clonic convulsions. Understanding the nature of complication, the anaesthesia provider looks rapidly injects midazolam 2 mg and propofol 7-8 ml intravenously in the convulsing patient. As thipentone is prepared, he injects 100 mg of drug intravenously following which, the seizures are abolished. The surgery is started and surgical procedure completed under regional anaesthesia.

Q. 1: An Electro-cardiac finding not observed in lignocaine toxicity is:

a) Prolongation of PR interval
b) Increased conduction velocity
c) Reduced phase 4 depolarization
d) Reduced automaticity

Q. 2: Which of the following local anaesthetics have the potential to cause cyanosis in toxic doses?

a) Procaine & Etidocaine
b) Dibucaine & Mepivacaine
c) Prilocaine & Benzocaine
d) Benoxinate & Tetracaine

Q. 3: Increasing the molecular weight of local anaesthetic increases all of the following physiochemical properties except:

a) Toxicity
b) Onset of action
c) Potency
d) Protein binding

Q. 4: The systemic concentrations of local anaesthetic levels are achieved in a highest to lowest order when they given by which of the following route:

a) Intravenous>tracheal>intercoastal>epidural
b) Intravenous>paracervical>subcutaneous>epidural
c) Intravenous>intramuscular>intercoastal>subcutaneous
d) Intravenous >intercoastal >tracheal >subcutaneous

Q. 5: All of the following local anaesthetics are effective as a topical agent except:

a) Prilocaine
b) Procaine
c) Dibucaine
d) Tetracaine

Q. 6: When injected intravenously lignocaine has all the following properties except:

a) Anti-arrhythmic
b) Anti-epileptic
c) Skeletal & smooth muscle relaxant
d) Vasodepressor

Q. 7: Which sensation is the first to be lost following epidural administration of local anaesthetic drugs:

a) Pain
b) Proprioception
c) Vibration
d) Temperature

Q. 8: Which of the following is not true regarding the structure of local anaesthetic?

a) Chemically they are weak bases
b) The aminoesters are more allergic than aminoacyl anaesthetics
c) They contain a hydrophilic and a lipophilic end
d) Commercial preparations are stabilized in alkaline solution

Q. 9: The cation to base ratio (Pka) is lowest for which of the following local anaesthetic:

a) Procaine

b) Bupivacaine
c) Benzocaine
d) Mepivacaine

Q. 10: Which of the following statement regarding the local anaesthetic is incorrect?

a) Chloroprocaine (pKa ~9) has a rapid onset of action in humans.
b) Levobupivaicaine contains an optically R-entanomer active compound only.
c) Prilocaine and Benzocaine can be used intravenous regional analgesia (IVRA).
d) Cocaine acts by inhibiting reuptake of norepinephrine at nerve endings.

Answers:

Ans. 1 (b): Conduction velocity is decreased as high plasma levels of local anaesthetics lead to myocardial depresssion.

Ans. 2 (c): Prilocaine and benzocaine when metabolized in liver produces aminophenols that oxidize hemoglobin to methemoglobin. This methemoglobinemia is usually clinically insignificant but has the potential to cause cyanosis in toxic doses, especially in neonates with hepatic enzymes deficiceny.

Ans. 3 (b): Adding carbon chains to local anaesthetic structures, i.e. increasing molecular weight increases duration of action, lipophilicity, protein binding and toxicity, while onset of action has inverse relationship leading to a delay in onset.

Ans. 4 (a): The highest plasma levels of any drug correlates with the vascularity of injection site which in declining order is as follows: Intravenous >tracheal >intercoastal >paracervical >epidural > brachial> sciatic > subcutaneous.

Ans. 5 (b): Procaine is not effective for topical anaesthesia. Additionally benzocaine and lignocaine act as topical anaesthetics.

Ans. 6 (c): Local anaesthetics have no direct action on skeletal muscles, though they have a biphasic effect on vascular smooth muscle; at low concentrations these agents tend to cause vasoconstriction, whereas at higher, clinically administered concentrations, they cause vasodilation. Other effects on smooth muscles include bronchodialatation. They are myotoxic if injected intramuscularly. Lignocaine stabilized membranal activity and suppresses neuronal activity, though it is not clinically used as an antiepileptic. Prior to laryngoscopy, lignocaine is used intravenously in a dose of 1-1.5 mg/kg to suppress the vasopressor response to intubation.

Ans. 7 (d): Temperature is the first sensation to be lost following administration of local anaesthetics due to rapid blockade of preganglionic sympathetic fibres.

Ans. 8 (d): Local anaesthetics are stabilized in an acidic solution. Soda bicarbonate when used as an additive to local anaesthetics is used in a concentration of 1:10 ml with lignocaine and 0.1/10 ml with bupivacaine. Inappropriate dilutions lead to precipitation of local anaesthetics in alkaline solutions.

Ans. 9 (c): The pka of benzocaine is 3.5, mepivacaine 7.6, lignocaine 7.8, bupivacaine 8.1 & procaine 8.9. The cation to base ration determines the point at which the drug is unionized form which is necessary for biological activity like crossing of nerve membranes, diffusion, etc. The more the pka of drug is close to physiological pH (7.4), the higher the rapidity of onset on action of a drug.

Ans. 10 (b): The rapid onset of chloroprocaine in vivo may be related simply to mass diffusion because of the large number of molecules placed in the vicinity of peripheral nerves, despite the proportion of charged molecules (97%) is high at physiological pH. Prilocaine is the drug of choice for IVRA in United Kingdom while lignocaine is most commonly used elswhere. Other drugs that have been reported to be used in IVRA are etidocaine, benzocaine, procaine and mepivacaine. Levobupivacaine contains an optically S-entanomer active compound only that leads to decrease in all the toxic complications related to racemic mixture of bupivacaine.

REFERENCES

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