

Local Anaesthetics

[LA01](#) [Mar96] [Mar97] [Jul97] [Mar99] [Jul01] Lignocaine has a pKa of 7.9 At pH 6.9, the percentage **ionised** is:

- A. 1% (or 5%)
- B. 10%
- C. 50%
- D. 90%**
- E. 99%

Acids are predominantly ionised Above their pKa ; Bases are predominantly ionised Below their pKa

One unit (ie 7.9 \Rightarrow 6.9) away from pKa = 90%

Two units away from pKa = 99%.

[LA02](#) [Mar96] [Jul04] Cocaine:

- A. Blocks reuptake of dopamine and noradrenaline** euphoria mostly due to central dopamine effects
- B. Central effects are due to noradrenaline
- C. Crosses lipid soluble membranes because its pKa is 2.8 pKa 8.7
- D. Is not metabolised by plasma pseudocholesterase** even though an ester is hepatically metabolised
- E. Rapidly absorbed by nasal mucosa**

[LA03](#) [Mar96] [Mar03] Ropivacaine:

- A. Produces greater motor block than bupivacaine - less
- B. Is prepared as the R enantiomer - S
- C. Is less lipid soluble than lignocaine more
- D. Has the same cardiotoxicity as lignocaine more

[LA03b](#) [Mar97] [Feb00] Ropivacaine

- A. Is a pure R isomer
- B. Is an isomer of bupivacaine
- C. Provides more motor block than bupivacaine
- D. Has more toxicity than bupivacaine
- E. Has similar physico-chemical properties to bupivacaine

[LA03c](#) [Mar98] [Jul98] Ropivacaine differs from bupivacaine mainly by:

- A. More motor blockade than bupivacaine
- B. Mainly affecting A beta rather than A delta fibres
- C. Lower cardiac toxicity than bupivacaine
- D. ?
- E. None of the above

[LA04](#) [Mar96] [Mar99] Bupivacaine:

- A. Is an aminoester local anaesthetic
- B. Is formed by substituting butyl for methyl on amino group of mepivacaine
- C. ?Less/**more** toxic than tetracaine
- D. Adrenaline solution contains sodium metabisulphite
- E. Equipotent to etidocaine in causing motor block

Tip/Historical note: Mepivacaine has a methyl group; (p)ropivacaine has a propyl group; bupivacaine has a butyl group

[LA05](#) [Jul97] With regard to molecular weight of local anaesthetics, which is the correct sequence?

- A. Cinchocaine > bupivacaine > lignocaine > prilocaine **follows potency**
- B. Bupivacaine > lignocaine > cinchocaine > prilocaine
- C. Bupivacaine > lignocaine > prilocaine > cinchocaine
- D. Prilocaine > bupivacaine > cinchocaine > lignocaine

E. Lignocaine>bupivacaine>prilocaine>cinchocaine

(see also [LA09](#), [LA10](#))

[LA06](#) [Jul97] [Jul04] Lignocaine works by:

- A. Altering Na⁺ permeability
- B. Altering membrane structure
- C. Reduced Ca⁺⁺ permeability
- D. Increased K⁺ permeability
- E. Ca⁺⁺ binding to tropomyosin

[LA07](#) [Jul97] Lignocaine:

- A. Has ?% uptake in lung
- B. Is 24% ionised at physiological pH **25% UNionised**
- C. Reduces Na⁺ conductance (?)
- D. ?

Lung Uptake:

Alfentanil 80%

Fentanyl 75%

Propranolol 75%

Pethidine 65%

Lignocaine 60%

Thiopentone 14%

Morphine 3-5%

[LA08](#) [Jul97] Lignocaine:

- A. Has active metabolites
- B. Metabolism faster in females because of progesterone
- C. Metabolism is independent of liver blood flow - **dependant**
- D. ?

[LA09](#) [Mar98] [Feb00] Protein binding of local anaesthetics (in decreasing order):

- A. Procaine > bupivacaine > lignocaine > prilocaine
- B. Bupivacaine (most) > lignocaine > prilocaine > procaine (least)
- C. Prilocaine > bupivacaine > lignocaine > prilocaine
- D. Lignocaine > bupivacaine > prilocaine > procaine
- E. Bupivacaine > lignocaine > procaine > prilocaine
- F. Bupivacaine>procaine>lignocaine>prilocaine

[LA10](#) [Mar98] Local anaesthetics are metabolized in the following order:

- A. Bupivacaine>ropivacaine>lignocaine>prilocaine>procaine follows $t_{1/2\beta}$ 160>120>100mins
- B to E. (The above in different orders)

[LA11](#) [Mar98] Saxitoxin site on sodium channel is:

- A. Inside channel
- B. Outside channel
- C. On membrane outside
- D. ?

[LA12](#) [Jul98] The site of action of benzocaine is: weak base with pKa 3.5. ester LA. Risk of PABA and MetHb.

pKa means it will be mostly unionised in body ie unable to travel through membrane!
cause block via membrane expansion ie swelling of lipoprotein matrix of Na channel

- A. Same site as saxitoxin
- B. Inside Na⁺ channel /OR: At the channel mouth
- C. At axoplasmic end of Na⁺ channel
- D. At Ca⁺⁺ channel
- E. In the cell membrane

[LA13](#) [Jul98] [EMLA cream](#) contains:

- A. Soluble in water at >16 degrees C. melting point is 17deg (comp to lignoc 67 & prilo 37 by themselves)
- B. 20% ionised at pH ??
- C. 80% ionised at pH ??.. OR: Base contains 80% local anaesthetic
- D. ?? amount of ionised drug
- E. All of the above

[LA14](#) [Mar99] [Mar03] What factor (?does not) influence the peak plasma levels after epidural injection of local anaesthetic?

- A. Vasoconstrictor
- B. Natural vasoconstrictor activity of the drug
- C. Hepatic clearance
- D. Renal clearance - maybe - renal excretion of unchanged drug is minimal ie 5%

[LA15](#) [Mar99] [Mar03] Which ONE of the following is an amide?

- A. Tetracaine
- B. Procainamide
- C. Procaine
- D. Prilocaine
- E. Cinchocaine

[LA15b](#) [Jul01] The following are all amides except:

- A. Bupivacaine
- B. Prilocaine
- C. Etidocaine
- D. Tetracaine
- E. Dibucaine

[LA16](#) [Jul99] Lignocaine:

- A. Anti-arrhythmic effect - ??Na channel /open & inactivated state

- B. Prolongs QRS. in therapeutic dose has no effect on QRS, QT, AV conduction
- C. ?
- D. ?

[LA17](#) [Jul99] [Feb00] [Jul00] [Jul01] [Jul03]

A solution of local anaesthetic contains 1:100,000 adrenaline. How much adrenaline has been added?

1% = 10mg/ml

1:10,000 = 1mg in 10mls or 100mcg/ml

- A. 0.01% = 100mcg/ml
- B. 0.1% = 1mg/ml
- C. 10 mcg/ml
- D. 100 mcg/ml
- E. 1000 mcg/ml

[LA18](#) [Feb00] Regarding the addition of adrenaline to a local anaesthetic administered epidurally, which of the following is NOT true?

- A. Significantly prolongs the duration of action of bupivacaine
- B. Causes tissue acidosis at the site of injection
- C. Causes vasoconstriction
- D. ?

[LA19](#) [Jul00] [Jul01] Regarding local anaesthetic plasma protein binding

- A. Is predominantly by albumin
- B. Is predominantly by alpha-1 acid glycoprotein
- C. Is greater for tetracaine than for bupivacaine
- D. Neonates have a greater number of binding sites
- E. Plasma binding is directly proportional to local anaesthetic concentration.

(Comment: wording in option E was 'plasma binding' & not 'plasma protein binding')

[LA20](#) [Jul01] For a local anaesthetic agent at a given concentration:

- A. Effect is NOT dependent on resting membrane potential
- B. Faster onset with increasing frequency of stimulation of nerve
- C. Unionised form blocks the surface receptor
- D. Agent blocks the channel in the activated state **open inactive state**
- E. Faster onset with more negative resting membrane potential.

[LA21](#) [Feb04] [Lignocaine](#)

- A. Over 50% unionised at pH 7.4 ?? **25%**
- B. Decreased metabolism with GA ??
- C. ?
- D. ?
- E. ?

[LA22](#) [Mar09] Levobupivacaine is different from bupivacaine in:

- A. Increased hydrophobicity of the aromatic ring
- B. Increased hydrophilicity of amine group
- C. Addition of a methyl group to the hydrophilic amine ring
- D. ?
- E. ?

[LA23](#)[Mar09] A toxic dose of bupivacaine is given and results in seizure and ventricular fibrillation. Which is most correct in order of priority:

- A. Amiodarone, diazepam, ventilate with 100% O₂, defibrillation
- B. Ventilate with 100% O₂, external cardiac compressions, diazepam, defibrillation
- C. Diazepam, defibrillation, ventilate with 100% oxygen, cardiac compression
- D. Ventilate with 100% oxygen, defibrillate, external cardiac compressions, adrenaline
- E. External cardiac compressions, defibrillation, amiodarone, ventilate with 100% oxygen

[LA24](#) [Mar09] [Cocaine](#)

- A. Overdose rarely causes convulsions
- B. Central effects are due to high dopamine levels
- C. Metabolism is dependent on plasma pseudocholinesterase
- D. ?

E. ?