Microneedle assisted permeation of lidocaine HCL from a NaCMC:gel hydrogel

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Citation: NAYAK, A., DAS, D.B. and VLADISAVLJEVIC, G.T., 2014. Microneedle assisted permeation of lidocaine HCL from a NaCMC:gel hydrogel. The Third International Conference on Microneedles 2014, University of Maryland School of Pharmacy in Baltimore, Maryland, 19th - 21st May 2014, pp.44-44.

Additional Information:

- This is a conference contribution.

Metadata Record: [https://dspace.lboro.ac.uk/2134/14917](https://dspace.lboro.ac.uk/2134/14917)

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Lidocaine hydrochloride (HCl) is a common local anaesthetic with a short time of drug action and relatively long period of sustained delivery. Additional active molecules, such as tetracaine and adrenaline, are used in topical lidocaine ointment to enhance lidocaine HCl delivery. However, these molecules compete with the injected lidocaine HCl. For example, adrenaline is likely to cause a reduced percutaneous delivery of lidocaine HCl. Microneedle assisted delivery of lidocaine HCl involves the creation of artificial pores to bypass the SC layer of skin for delivery of lidocaine HCl. Unlike topical based ointments, injectable lidocaine HCl can produce a burning sensation and is suitable for less sustained percutaneous delivery. However, the time delay between skin surface applications of eutectic mixtures of local anaesthetics (EMLA) to permeating at a depth of 3000µm is 60 minutes. In the present work, a pre-fabricated set of stainless steel microneedles with a needle interspacing of 1100µm was impacted on dissected porcine skin section at a force of ~0.09 N per needle. A novel lidocaine hydrogel was also formulated with approximately half the mass loading of local anaesthetics contained in Lidoderm and EMLA formulation. Gelatine (gel) to sodium carboxymethylcellulose (NaCMC) mass ratio of 2.3 resulted in highly favourable zeta potentials when lidocaine HCl 2.4% w/w was loaded. Microneedle assisted lidocaine delivery of gel to NaCMC mass ratio of 2.3 resulted in crossing a minimum therapeutic level at skin depths of ~730µm before 70 minutes (Fig. 1). The lidocaine permeation flux was 1.7 times greater for gel to NaCMC mass ratio of 2.3 compared with a mass ratio of 1.6 under microneedle assisted delivery (Fig. 2).

References