MICRONEEDLE ASSISTED PERMEATION OF LIDOCAINE HCL FROM A NaCMC:GEL HYDROGEL

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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[1]. 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[2]. For example, adrenaline is likely to cause a reduced percutaneous delivery of lidocaine HCl[3,4]. Microneedle assisted delivery of lidocaine HCl involves the creation of artificial pores to bypass the SC layer of skin for delivery of lidocaine HCl [5]. Unlike topical based ointments, injectable lidocaine HCl can produce a burning sensation and is suitable for less sustained percutaneous delivery[6,7]. 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[8]. 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[5]. A novel lidocaine hydrogel was also formulated with approximately half the mass loading of local anaesthetics contained in Lidoderm and EMLA formulation[5,9,10]. A poke and patch method was adopted in directing the polymeric hydrogel into the microneedles holes on skin. Mild pseudoplasticity resembling an ointment formulation for lidocaine NaCMC:gel hydrogel remained constant when lidocaine HCl loading mass increased. 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).

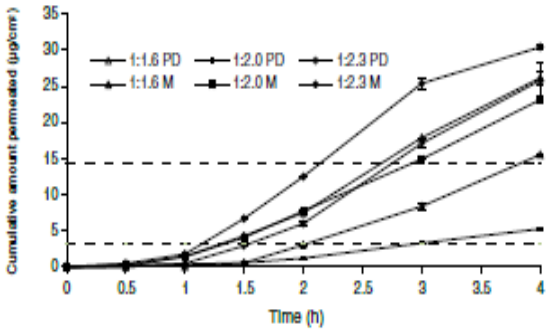

Fig. 1 Example of cumulative amount of lidocaine hydrochloride permeated through skin from NaCMC/GEL within a 4 hour period.

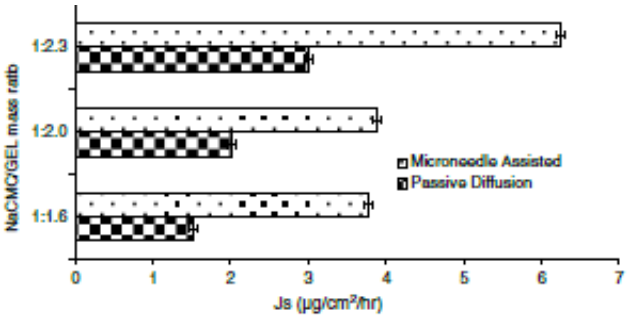

Fig. 2 Example of Lidocaine (2.4% w/w) NaCMC/GEL flux permeation through skin.

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