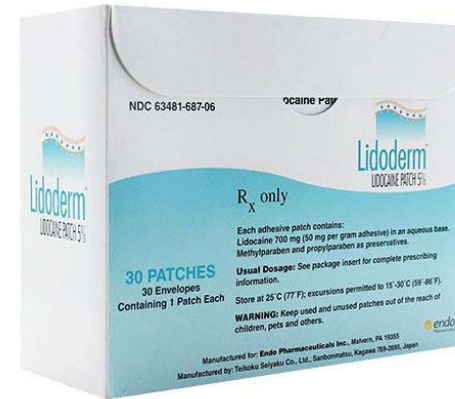


In Vivo Evaluation of Lidocaine Bioavailability from Two Topical Patch Products by Pharmacokinetic and Skin (Tape) Stripping Analyses

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Purpose

- ❖ The local bioavailability for many topical dermatological drug products may influence their therapeutic efficacy and may be relevant for evaluating bioequivalence.
- ❖ Can tape stripping be used effectively to determine the bioavailability of topical drug products
- ❖ In order to test this we are utilizing two different 5% lidocaine topical patch products (A and B) as model products and conducting both a pharmacokinetic and tape stripping studies.

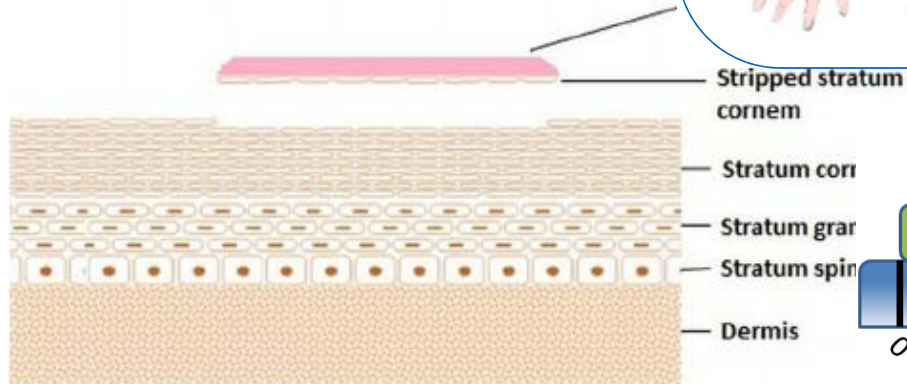


Study Design

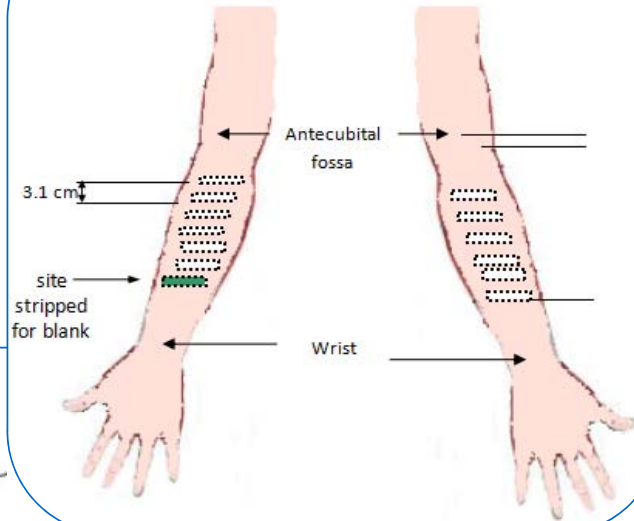
Tape Stripping

- ❖ Tape Stripping is used to quantify the amount of drug in the SC
- ❖ Successive tape strips are used to pull off the majority of SC

Stratum Corneum Removal

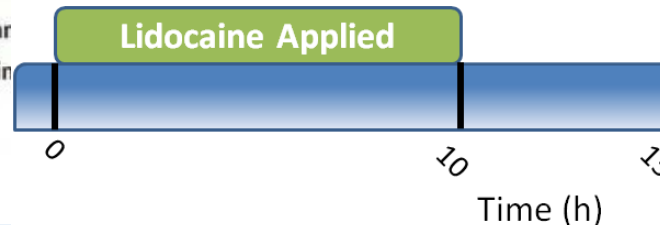


Patch Sites



Study Design

- ❖ 8.25 cm² patch pieces were randomized to six different sites (three time points per drug product)
- ❖ Tape stripping time points were tested in duplicate (one on each arm)
- ❖ 5 cm² area within a site was tape stripped



Pharmacokinetic Study

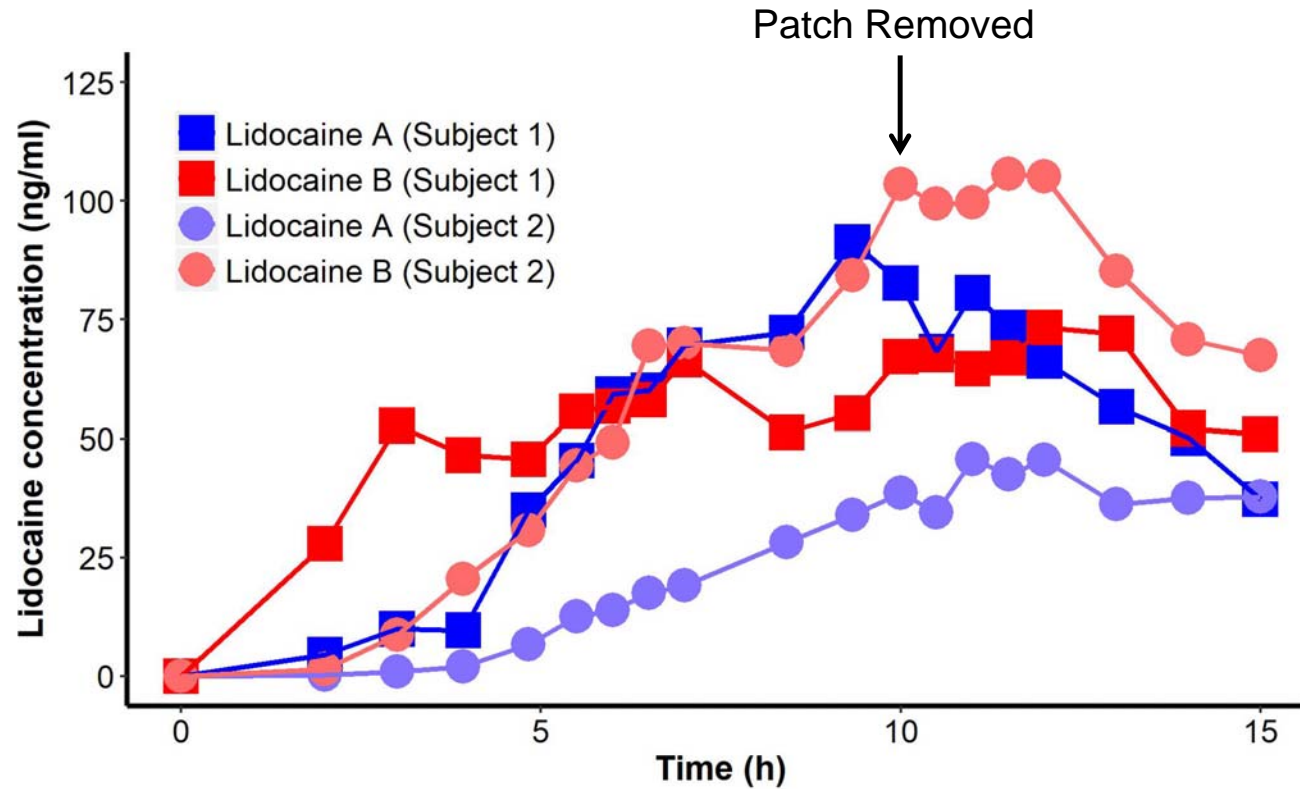


Figure 2. Lidocaine serum concentration versus time from two subjects following the 10 h administration of two patches (Lidocaine A or B)

Tape Stripping Study

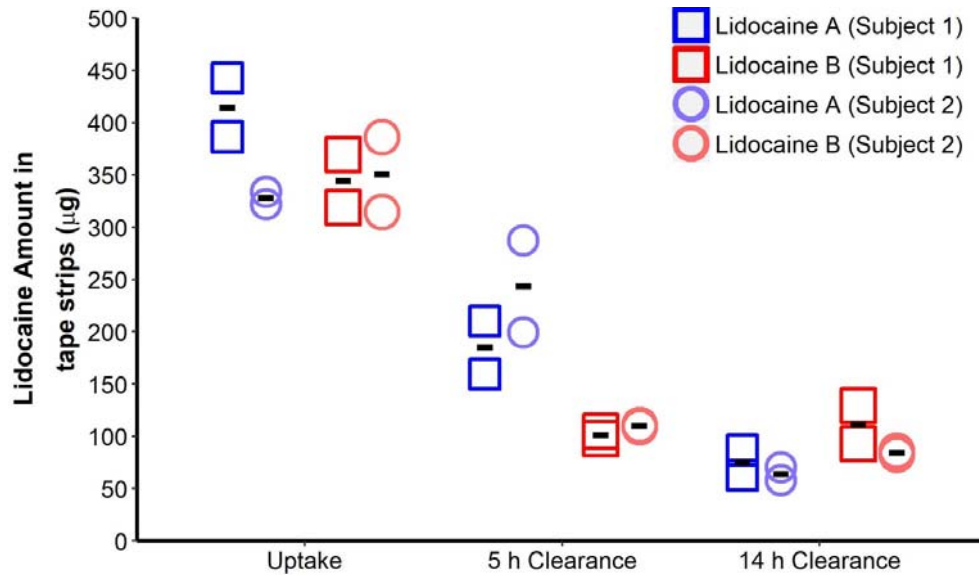


Figure 4. Amount of lidocaine (average represented by line) in tape strips per topical patch product (Lidocaine A; blue, Lidocaine B; red) and per subject (Subject 1; square, Subject 2; circle). Amount of lidocaine was quantified at three different time-points, immediately following 10 h patch application, 5 h and 14 h following patch removal.

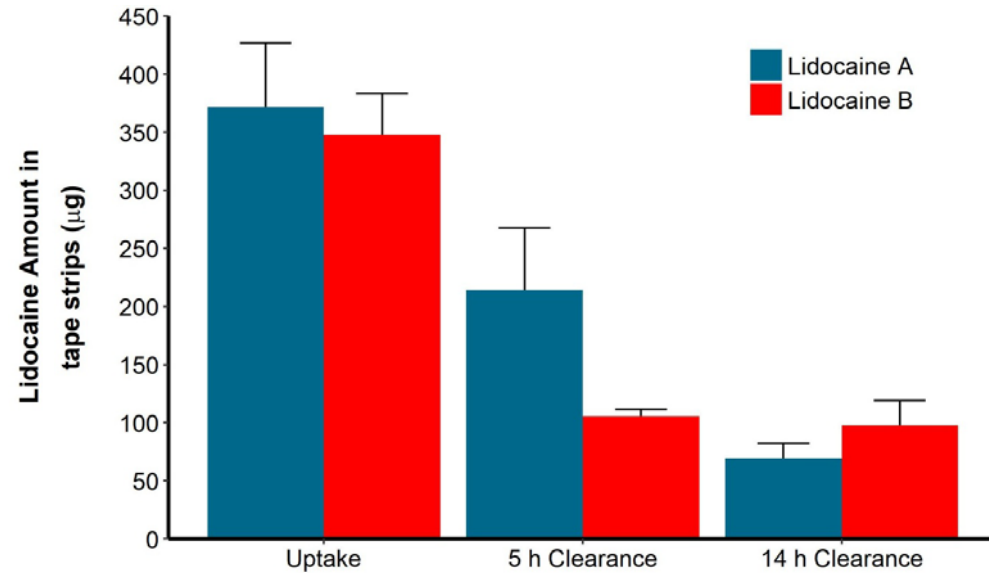


Figure 5. Comparison of the amount of lidocaine (mean \pm SD) in tape strips of two different subjects (n=4 replicates per lidocaine product). Amount of lidocaine was quantified at three different time-points, immediately following 10 h patch application, 5 h and 14 h following patch removal.

- ❖ The PK, drug delivery and SC clearance (at least at 14 h) of products A and B do not appear to be different in the first 2 volunteers
- ❖ The SC clearance at 5 h for products A and B do appear to be different but the results could change as measurements from a larger number of subjects (n=12) are added.
- ❖ The combination of PK and in vivo skin tape stripping may provide insight into the relationship between systemic and local bioavailability of topical products.
- ❖ Tape Stripping may be vital in understanding bioavailability in topical drug products with extremely low systemic absorption.

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