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DRUG LOADING AND DISSOLUTION PROPERTIES OF LIDOCAINE– POLYESTER MICROPARTICLES

S. BOURICHE [1], K. DAOUD[1]

[1]*Laboratory of Transfer Phenomena, Faculty of Mechanical and Process Engineering, USTHB*

Abstract

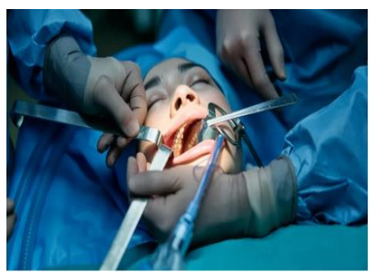
Known for a long time, Lidocaine (LDC) is an important local anesthetic drug with anti-arrhythmic properties which relieves pain. However, due to its short analgesic effect, continuous infusion is usually required, which often results in infection or systemic toxicity. To overcome these problems, micro/nano-encapsulation in biodegradable polyester (Ps) is considered to prepare prolonged-release formulations .

The main goal of this work was to formulate and evaluate injectable microparticles of LDC, by using two different polyesters, Ps1 and Ps2 ; to prolong the release time.

Keywords : microparticles, emulsification method, dissolution rate, lidocaine.

1-INTRODUCTION

❖**Challenge:** Persistent post surgical pain is a known health care problem with substantial impact in patients' lives.



Lidocaine

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Short half-life ~ 1.5 to 2 hours

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Low bioavailability

❖**Goal:** Improve LDC administration by encapsulation for a better treatment.

Nanocapsule Nanosphere

2-MATERIALS AND METHODS

Table 1: Composition of the tested LDC-PS formulations:

Composition	PS1 (50-200)	PS2 (50-200)
LDC (mg)	50	50
Tween 80 (%)	1	1
Ultrapure Water (ml)	100	100

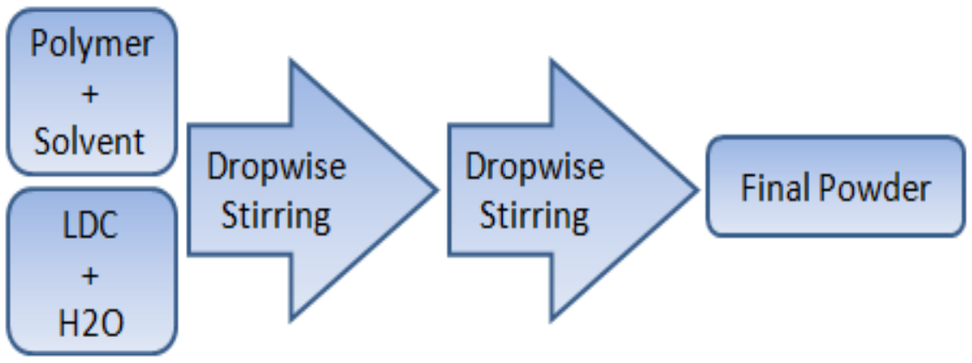

Figure 1: Schematic representation of LDC-PS preparation

$$Cumulative\ drug\ release = \frac{Total\ drug - Unloaded\ Drug}{Total\ drug} \times 100$$

$$Cumulative\ drug\ release = \frac{[drug_t]}{[drug]Total} \times 100$$

Where [Drug]t refers to the concentration of drug released at time t and [Drug]total is the total amount of drug in nanoparticles.

3-RÉSULTATS ET DISCUSSION

➢LDC loading efficiency was equal to 64.32 % with Ps1, while it was equal to 70.2 % with Ps2.

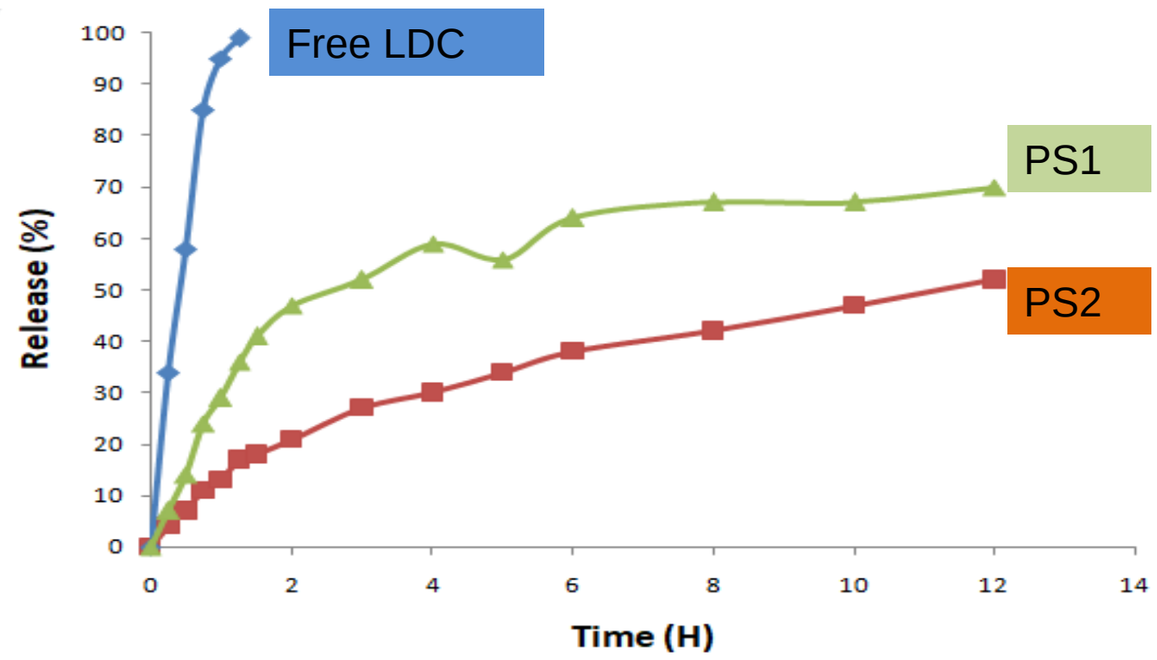

Figure 2: Drug release kinetics at pH=7.2

4-CONCLUSION

Sustained release of LDC from Ps1 microparticles presents a promising alternative for anesthetic use and improving therapeutic outcomes.

Next steps:

Development of a clinical candidate and preclinical evaluation of safety and efficacy in LDC-PS nano/microparicles.

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