Electronic Supplementary Material (ESI) for RSC Advances.

Synthesis, physicochemical characterization, toxicity and efficacy of a PEG conjugate and a hybrid PEG conjugate nanoparticle formulation of the antibiotic moxifloxacin

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Double emulsion was used as a method of choice, since it allows various solvents to be used, and both hydrophilic and hydrophobic drugs can be nanoencapsulated using the method (1,2). Mox is a relatively hydrophilic drug. The encapsulation of hydrophilic drug in polymeric nanoparticles using single emulsion is problematic due to their escape to the external aqueous phase.

Lactose is mostly used as an excipient in the preparation of spray-dried nanoparticles. Our team have optimized the production of nanoparticles using different concentrations of lactose in the formulation. 5% lactose was found to give optimum results. The formulation was optimized using Taguchi L_8 method (3).

Figure 1 shows the average particle size of the prepared conjugates and nanoparticles. Spherical nanoparticles were obtained as shown in Figure 2.

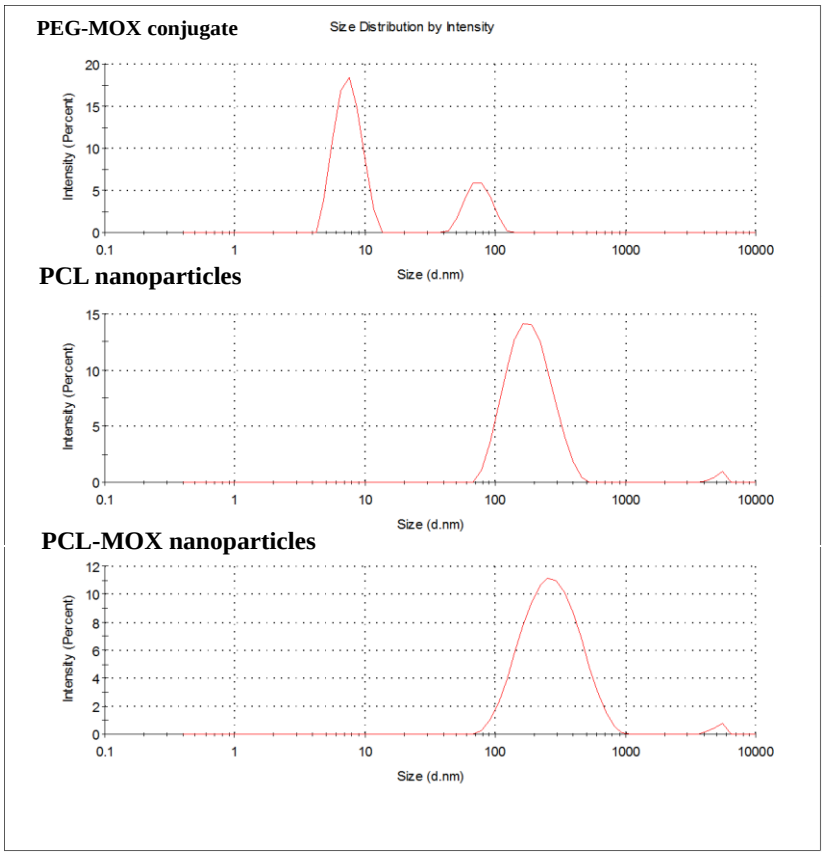

Figure 1 : Average particle size of PEG-Mox conjugate, PCL NPs and PCL(PEG-Mox) NPs.

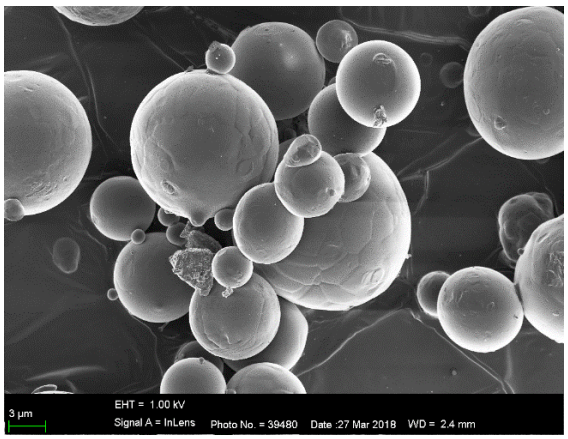

Figure 2 SEM image of PCL(PEG-Mox) NPs

The MIC table was left out because the sample of interest [i.e. PCL (PEG-Mox)-NPs] did not show anti-bacterial activity in the micro-dilution assay (Table S1).

Table S1: MIC of samples against pathogenic bacteria

Bacterial Strains	**MIC (µg/mL)**			
	Mox	**PEG-Mox**	**PCL-(PEG-Mox) NPs**	**NPs**
P. aeroginosa	50	50	>100	>100
E. coli	>100	>100	>100	>100
K. pneamoniae	>100	>100	>100	>100
S. aureus	100	25	>100	>100
MRSA	>100	100	>100	>100

References

[1] Tshweu L, Katata L, Kalombo L, Swai H. Nanoencapsulation of water-soluble drug, lamivudine, using a double emulsion spray-drying technique for improving HIV treatment. Journal of Nanoparticle Research 2013; **15**(11): p. 2040.

[2] Tshweu, L., Katata, L., Kalombo, L., Chiappetta, D., Hocht, C., Sosnik, A., Swai, H., 2013. Enhanced oral bioavailability of the antiretroviral efavirenz encapsulated in poly(epsilon-caprolactone) nanoparticles by a spray-drying method. Nanomedicine 0, 1–13.

[3] Katata, L., Tshweu, L., Naidoo, S., Kalombo, L., Swai, H., 2012. Design and formulation

of nano-sized spray dried efavirenz-part I: Influence of formulation parameters. J. Nanoparticle Res. 14. https://doi.org/10.1007/s11051-012-1247-0