Biodegradable poly(lactic-co-glycolic acid) based tacrolimus microspheres prepared by using single jet electrospraying method could be potential drug delivery system for long term immune suppressive effects

Regmi Shobha, Pathak Shiva, Gupta Biki, Poudel Bijay Kumar, Pham Thanh Tung, Yong Chul Soon, Kim Jong Oh, and JeongJee-Heon
College of Pharmacy, Yeungnam University, 214-1, Dae-Dong, Gyeongsan 712-749, South Korea

Tacrolimus-loaded poly (lactic-co-glycolic acid) microspheres (TAC-PLGA-M) can be used for the long term survival of transplanted organs, owing to immunosuppressive activity [1], mediated through the inhibition of calcineurin, and the subsequent blockage of interleukin-2 production, leading to decrease in T cell proliferation [2].

The purpose of our study was to optimize the parameters of electrospraying method, and to prepare TAC-PLGA-M with a high payload and desirable release properties. TAC-PLGA-M was prepared by using electrospraying method, which has been found to be an attractive method for preparation of micro-particles that are suitable for drug delivery. In vitro characterization and evaluation were performed using scanning electron microscopy (SEM), X-ray powder diffraction (XRD), differential scanning calorimetry (DSC), and Fourier transforms infra-red spectroscopy (FTIR). Drug loading efficiency was found to be above 80% in all the formulations with a maximum loading capacity of 16.81 ± 0.37%. XRD and DSC studies suggested that the drug was incorporated in amorphous state or was molecularly dispersed in the microspheres. The in vitro release study showed prolonged release patterns, following zero order release patterns. Cytotoxicity assay indicated that there was no significant cytotoxicity or adverse effects on proliferation of INS-1 cells in comparison to control. TAC-PLGA-M with enhanced drug loading and prolonged release patterns were
successfully prepared using electrospraying method. Owing to its reproducibility [3], electrospraying has potential to be scaled up to industrial level for the preparation of various formulations that could be applied in clinical settings in near future.

Keywords: Electrospraying, microsphere, PLGA, Prolonged release, Tacrolimus

![Image](image_url)

**Fig. 1.** Scanning electron microscopic images of various formulations (a,b,c), and (d) in vitro release profile of the formulations.

**References**

