76

Transferrin-Targeted Nanoparticle Delivery of ACGs: Release Kinetics and In-vitro Stability Studies

Avan Erhunmwunsee Dalton[1,2,*], Okolie Ngozi Paulinus[1**]

[1]Biochemistry, University of Benin, P.M.B 1154 Ugbowo, Benin city, Nigeria; [2]Biomedical Engineering, College of Medicine, University of Lagos, P.M.B. 12003, Lagos, Nigeria

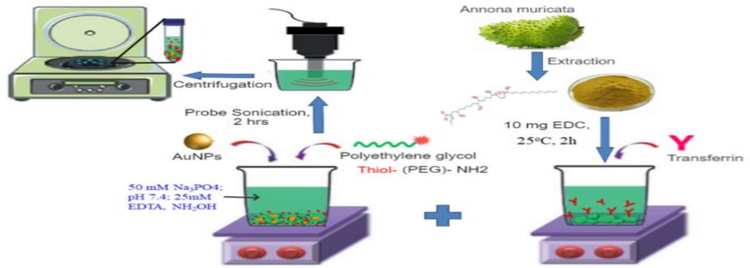
CONTACT INFORMATION: *erhunmwunsee@lifesci.uniben.edu, **ajino@uniben.edu

PURPOSE:

Evaluate the loading/entrapment efficiency, release kinetics and stability with synthetic biological fluids and interaction with endosome-mimicking membranes of transferrin decorated nanoparticles for targeted delivery of Annonaceous acetogenins (ACGs)

METHODS

Transferrin decorated nanoparticles was formulated



$$\% \text{ Drug Loading Efficiency} = \frac{[\text{Bound drug}]}{[\text{Total drug}]} \times 100 \quad \ldots\ldots [1]$$

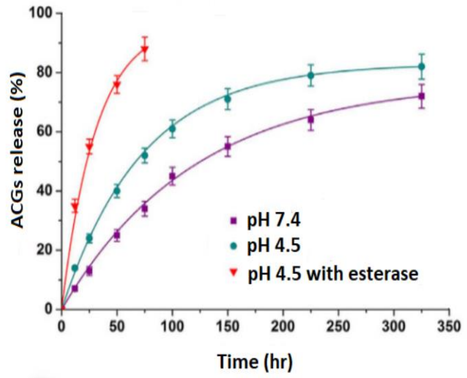
$$EE\% = \frac{\text{Total drug} - \text{Unloaded Drug}}{\text{Total drug}} \times 100 \quad \ldots\ldots\ldots [2]$$

$$\text{Cumulative drug release} = \frac{[\text{Drug}]_t}{[\text{Drug}]_{total}} \times 100 \quad \ldots. [3]$$

Where [Drug]t refers to the concentration of drug release at time t and [Drug]total is the total amount of drug loaded onto the nanoparticles

RESULTS:

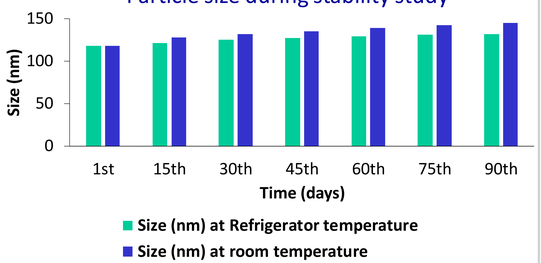
Drug release kinetics



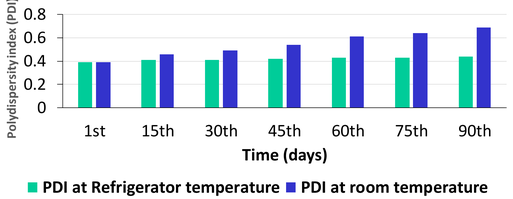
- The Tf-PEG nanoparticles showed accelerated release under weakly acidic conditions (pH 4.5) and the release kinetics followed a first order mechanism.

- The nanosystem showed no aggregation in the synthetic biological fluid and the PSD was not affected.

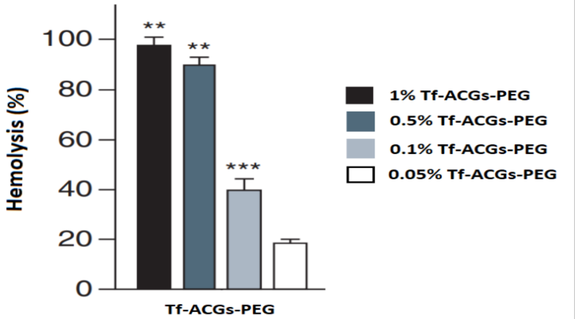
Particle size during stability study



PDI during stability study



Interaction with endosome-mimicking membranes



- The endosomal escape properties as determined by the interaction of nanosystem with erythrocyte membranes showed a significantly ($p < 0.01$) higher hemolysis at 1% Tf-PEG-ACGs

CONCLUSION

In vitro and in vivo release study confirmed that SLNs system is very suitable to improve oral delivery of poor water soluble drug like famotidine with increased solubility and permeability which in turn enhanced bioavailability

ACKNOWLEDGEMENT

The Authors are grateful to Prof. L. O. Adams of the department of Chemistry, University of Lagos, and Engr. Bright Eghosa of Engineering Material Development Institute, Nigeria