

Article

Development and Evaluation of Multifunctional Poly(Lactic-co-glycolic acid) Nanoparticles Embedded in Carboxymethyl β -Glucan Porous Microcapsules as a Novel Drug Delivery System for Gefitinib

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Preparation of FA-conjugated CS

FA, n-hydroxysuccinimide (NHS), and 1-(3-dimethylaminopropyl)-3-ethyl carbonimide hydrochloride (EDC·HCl) (with a molar ratio of 1:1.2:1.2) were dissolved in 10ml of dimethyl sulfoxide, and then stirred for 3 h to activate carboxyl groups of FA. Subsequently, 10 ml of 1% (w/v) CS solution was dipped into the above dimethyl sulfoxide solution, and the mixed solution was stirred at 35°C in the dark for 16 h. Next, the solution was brought to pH 9.0 by addition of diluted aqueous NaOH and dialyzed first against PBS (pH 7.4) for 3 days and subsequently against distilled water for 3 days. Finally, the obtained solution was lyophilized at -55°C for 10 h to collect the FA-conjugated CS.

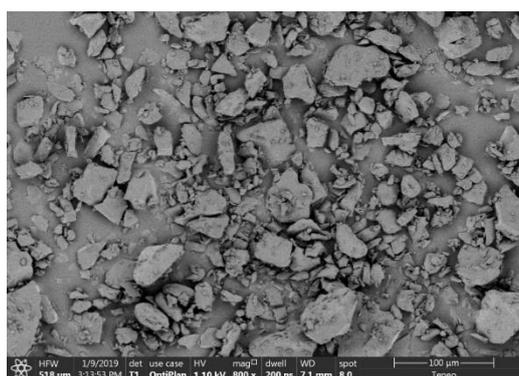


Figure S1. SEM photograph of GFB crystals.

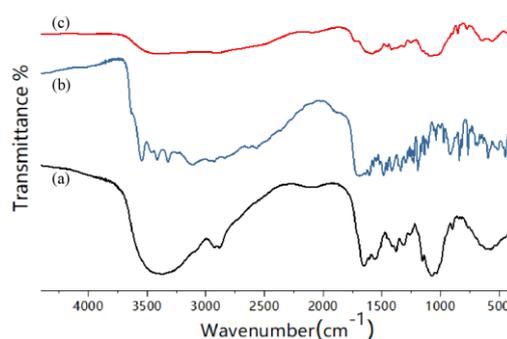


Figure S2. FT-IR spectra of (a) FA-conjugated CS, (b) FA, and (c) CS.

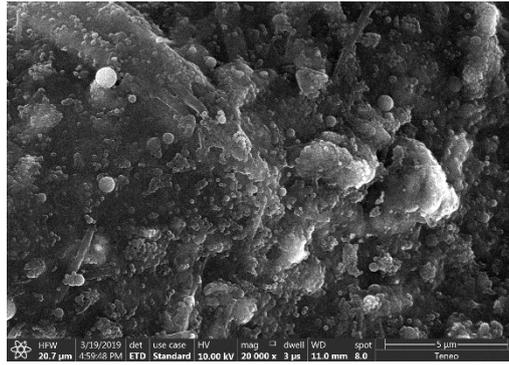


Figure S3. SEM photograph of GFB/FCPP-NEMs after drug release for 2h.

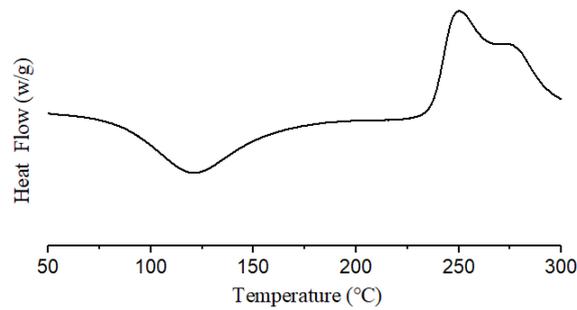


Figure S4. DSC thermograms of GFB/FCPP-NEMs after storage for 3 months.

Table S1. Model parameters of the tested samples at pH 5.0.

Samples	Zero-order		First-order		Higuchi		Korsmeyer-Peppas		
	<i>k</i>	<i>r</i> ²	<i>k</i>	<i>r</i> ²	<i>k</i>	<i>r</i> ²	<i>k</i>	<i>r</i> ²	<i>n</i>
GEF/FCPP NPs	0.006	0.107	0.631	0.999	0.066	0.391	0.528	0.961	0.069
GFB/FCPP-NEMs	0.009	0.394	0.232	0.998	0.087	0.705	0.328	0.905	0.207

k is the release rate constant, *r*² is the correlation coefficient, and *n* is the release exponent.

Table S2. Model parameters of the tested samples at pH 7.4.

Samples	Zero-order		First-order		Higuchi		Korsmeyer-Peppas		
	<i>k</i>	<i>r</i> ²	<i>k</i>	<i>r</i> ²	<i>k</i>	<i>r</i> ²	<i>k</i>	<i>r</i> ²	<i>n</i>
GEF/FCPP	0.012	0.708	0.082	0.960	0.096	0.876	0.124	0.865	0.439
GFB/FCPP-NEMs	0.009	0.944	0.031	0.971	0.065	0.949	0.031	0.968	0.685

k is the release rate constant, *r*² is the correlation coefficient, and *n* is the release exponent.

Table S3. Median diameter, span, related substances of GFB, and drug content of GFB/FCPP-NEMs before and after storage for 3 months (*n* = 3).

Formulations	Median diameter (μm)	Span	Related substances (%)	Drug content (%)
GFB/FCPP-NEMs ^a	2.2±0.1	1.6±0.2	< 0.6	99.2±0.4
GFB/FCPP-NEMs ^b	2.4±0.1	1.9±0.1	< 0.9	98.6±0.8

GFB/FCPP-NEMs^a indicates GFB/FCPP-NEMs before storage.

GFB/FCPP-NEMs^b indicates GFB/FCPP-NEMs after storage for 3 months.