

SUPPORTING INFORMATION

for

Development and application of a nanocomposite derived from crosslinked HPMC and Au nanoparticles for colon targeted drug delivery

Raghunath Das^a, Dipankar Das^a, Paulomi Ghosh^b, Santanu Dhara^b, Asit Baran Panda^{c*}, Sagar Pal^{a*}

Polymer Chemistry Laboratory, Department of Applied Chemistry, Indian School of Mines, Dhanbad-826004, India. Tel: 91-326-2235769; Fax: 91-326-2296615, E-mail: sagarpall@hotmail.com

^b *School of Medical Science & Technology, Indian Institute of Technology, Kharagpur – 721302, India.*

^c*Discipline of Inorganic Materials and Catalysis, Central salt and Marine Chemicals Research Institute (CSIR), Bhavnagar-364002, Gujarat, India, E-mail: abpanda@csmcrici.org*

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Preparation of c-HPMC/Au 3 pellets:

The finely grounded powder of c-HPMC/Au 3 nanocomposite was filled in a stainless steel disk followed by placing a second steel disk on the top. The sandwich disks were transferred onto a pistil in a hydraulic press. Pressure was provided for few seconds on the sandwiched disk containing the nanocomposite. The pressure was then released. The disks were pulled apart to retrieve the pellets.

Determination of % erosion

Rate of drug release from polymer matrix also depends on the erosion of matrix. It is presumed that attainment of 'critical gel concentration' erosion of the polymer matrix began.

The % erosion was determined using eq. 1

$$\% D(t) = \frac{W_i - W_d(t) - W_{\text{drug}} (1 - M_t/M_\infty)}{W_i} \times 100 \text{ -----(eq. 1)}$$

Where, 'W_i' is the initial weight of the dried tablet, 'W_d' is the weight of the dried tablet (W_d) at time t, 'W_{drug}' is the initial weight of the drug, M_t/M_∞ is the fraction of drug release at time 't'.

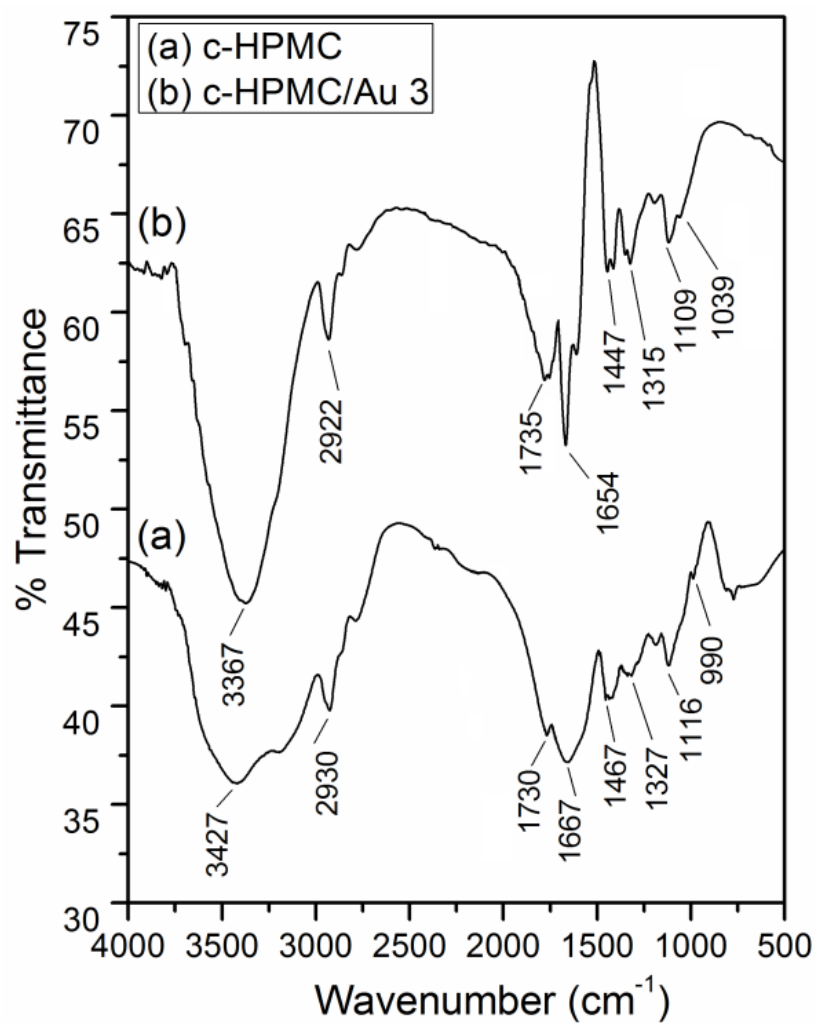


Fig. S1: FTIR spectra of (a) c-HPMC and (b) c-HPMC/Au 3 nanocomposite

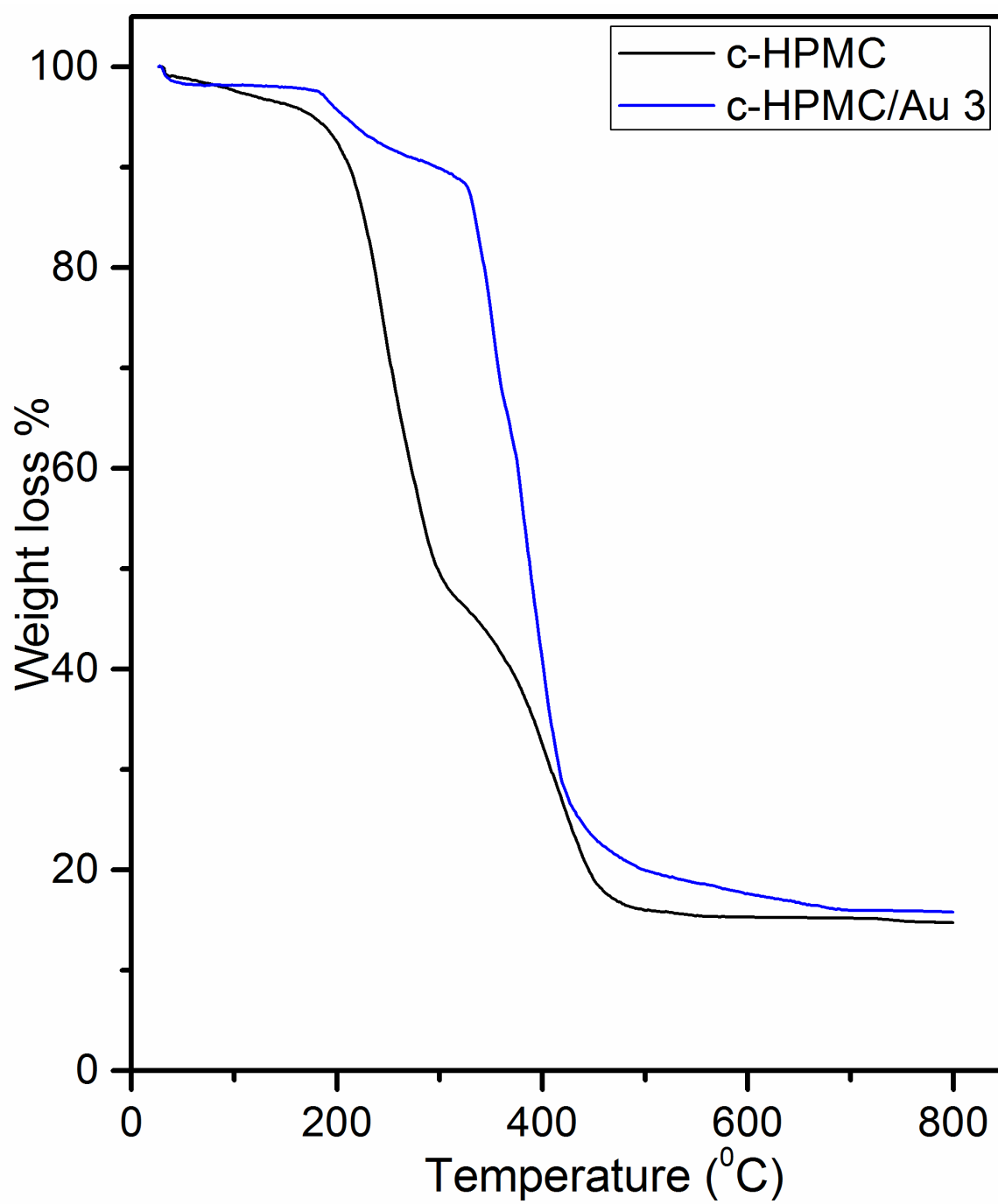


Fig. S2: TGA plots of c-HPMC and c-HPMC/Au 3

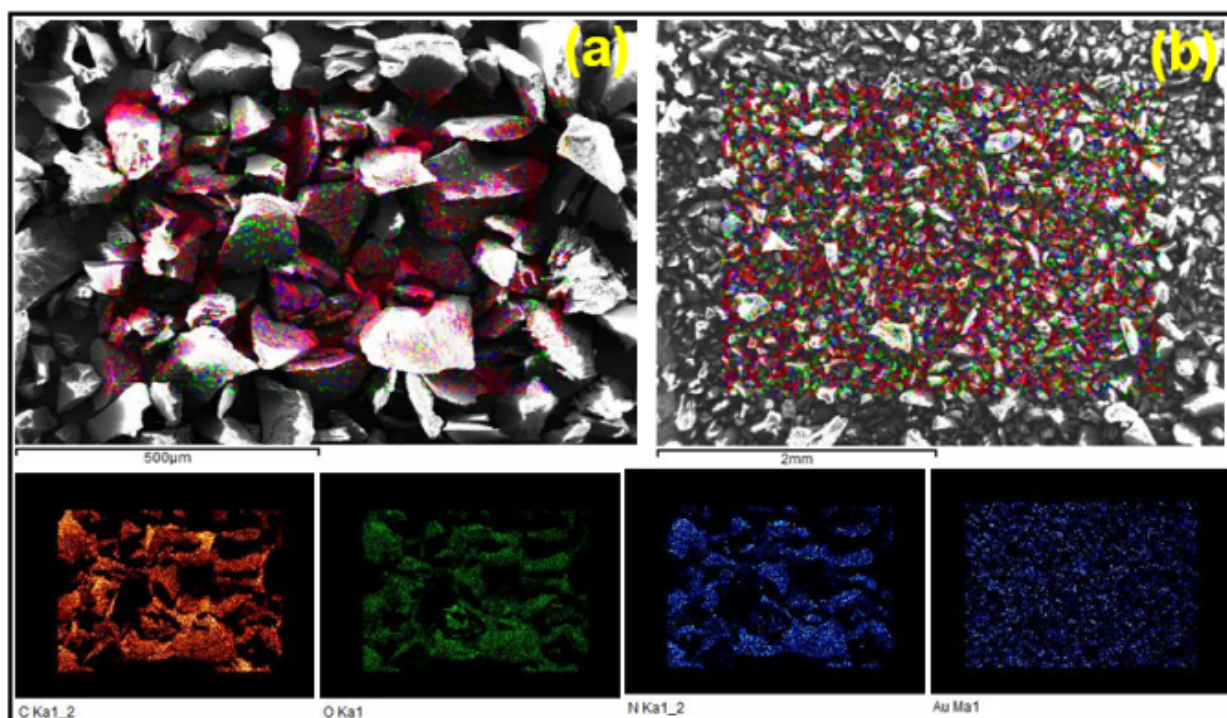


Fig. S3: Elemental mapping images of (a) c-HPMC and (b) c-HPMC/Au 3

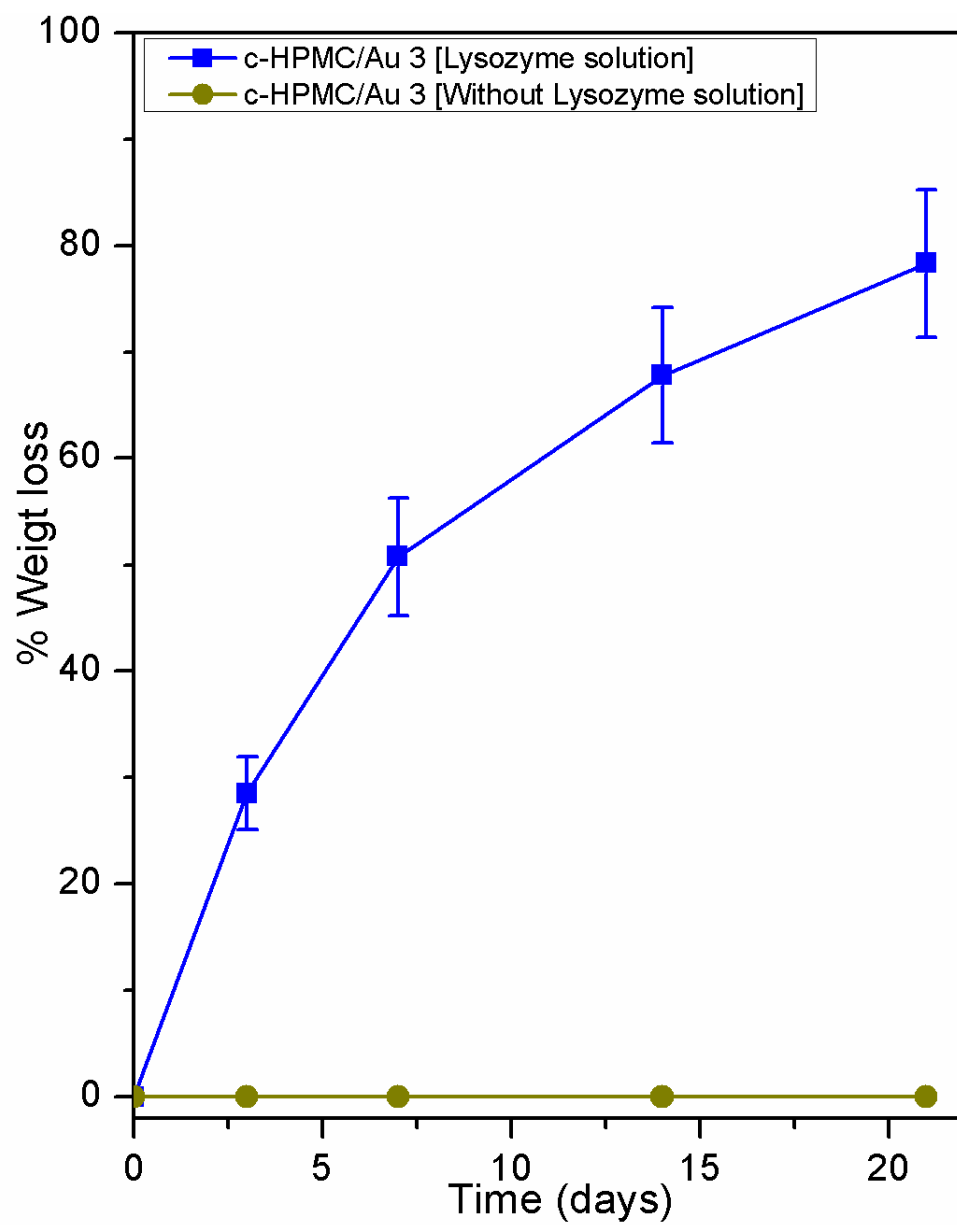


Fig. S4: Biodegradation study using egg white lysozyme.

Table S1: % equilibrium swelling, swelling and deswelling kinetics parameters of c-HPMC and c-HPMC/Au nanocomposites

Polymers	% equilibrium Swelling (Results are mean $\pm$ SD, n = 3)		Swelling kinetics parameter (τ)		Deswelling kinetics parameter(τ)			
	pH 1.2	pH 7.4	pH 1.2	pH 7.4	pH 1.2		pH 7.4	
					37 °C	42°C	37°C	42°C
c-HPMC	425.3 $\pm$ 21.3	742.3 $\pm$ 21.3	194.9 $\pm$ 2.7	143.6 $\pm$ 2.1	-75.8 $\pm$ 1.2	-93.8 $\pm$ 1.5	-92.4 $\pm$ 1.3	-112.9 $\pm$ 1.9
c-HPMC/Au 1	305.2 $\pm$ 27.5	486.8 $\pm$ 29.6	216.9 $\pm$ 2.8	204.1 $\pm$ 2.4	-67.5 $\pm$ 1.1	-79.8 $\pm$ 1.4	-73.5 $\pm$ 1.2	-87.6 $\pm$ 1.3
c-HPMC/Au 2	214.9 $\pm$ 23.2	316.2 $\pm$ 23.6	261.7 $\pm$ 2.7	215.5 $\pm$ 2.1	-45.7 $\pm$ 1.2	-59.7 $\pm$ 1.4	-52.6 $\pm$ 1.7	-62.3 $\pm$ 1.3
c-HPMC/Au 3	109.7 $\pm$ 10.3	188.9 $\pm$ 15.3	309 $\pm$ 2.9	242.1 $\pm$ 2.4	-21.3 $\pm$ 0.8	-37.1 $\pm$ 1.0	-32.7 $\pm$ 1.1	-42.7 $\pm$ 1.3
c-HPMC/Au 4	342.5 $\pm$ 23.6	517.4 $\pm$ 26.5	213.2 $\pm$ 1.9	192.3 $\pm$ 1.5	-68.9 $\pm$ 1.2	-80.4 $\pm$ 1.3	-74.6 $\pm$ 1.1	-89.1 $\pm$ 2.1
c-HPMC/Au 5	240.2 $\pm$ 17.3	331.5 $\pm$ 21.3	246.9 $\pm$ 2.6	210.1 $\pm$ 2.1	-43.7 $\pm$ 0.9	-61.2 $\pm$ 1.4	-51.9 $\pm$ 1.2	-61.5 $\pm$ 1.7
c-HPMC/Au 6	128.2 $\pm$ 13.2	221.5 $\pm$ 26.3	295.7 $\pm$ 2.7	236.9 $\pm$ 2.3	-22.5 $\pm$ 0.9	-36.5 $\pm$ 1.5	-31.7 $\pm$ 1.8	-42.1 $\pm$ 1.6
c-HPMC/Au 7	345.8 $\pm$ 19.6	526.9 $\pm$ 23.2	211.4 $\pm$ 2.4	189.2 $\pm$ 1.9	-66.9 $\pm$ 1.1	-78.4 $\pm$ 1.7	-74.2 $\pm$ 1.3	-87.3 $\pm$ 1.8
c-HPMC/Au 8	246.9 $\pm$ 21.3	337.4 $\pm$ 26.3	245.7 $\pm$ 2.9	207.9 $\pm$ 2.3	-44.3 $\pm$ 1.1	-59.1 $\pm$ 1.3	-52.9 $\pm$ 1.5	-63.8 $\pm$ 1.7
c-HPMC/Au 9	133.7 $\pm$ 12.3	225.7 $\pm$ 21.3	271 $\pm$ 1.9	233.6 $\pm$ 1.6	-21.7 $\pm$ 0.8	-38.5 $\pm$ 1.1	-33.1 $\pm$ 0.9	-41.6 $\pm$ 1.2

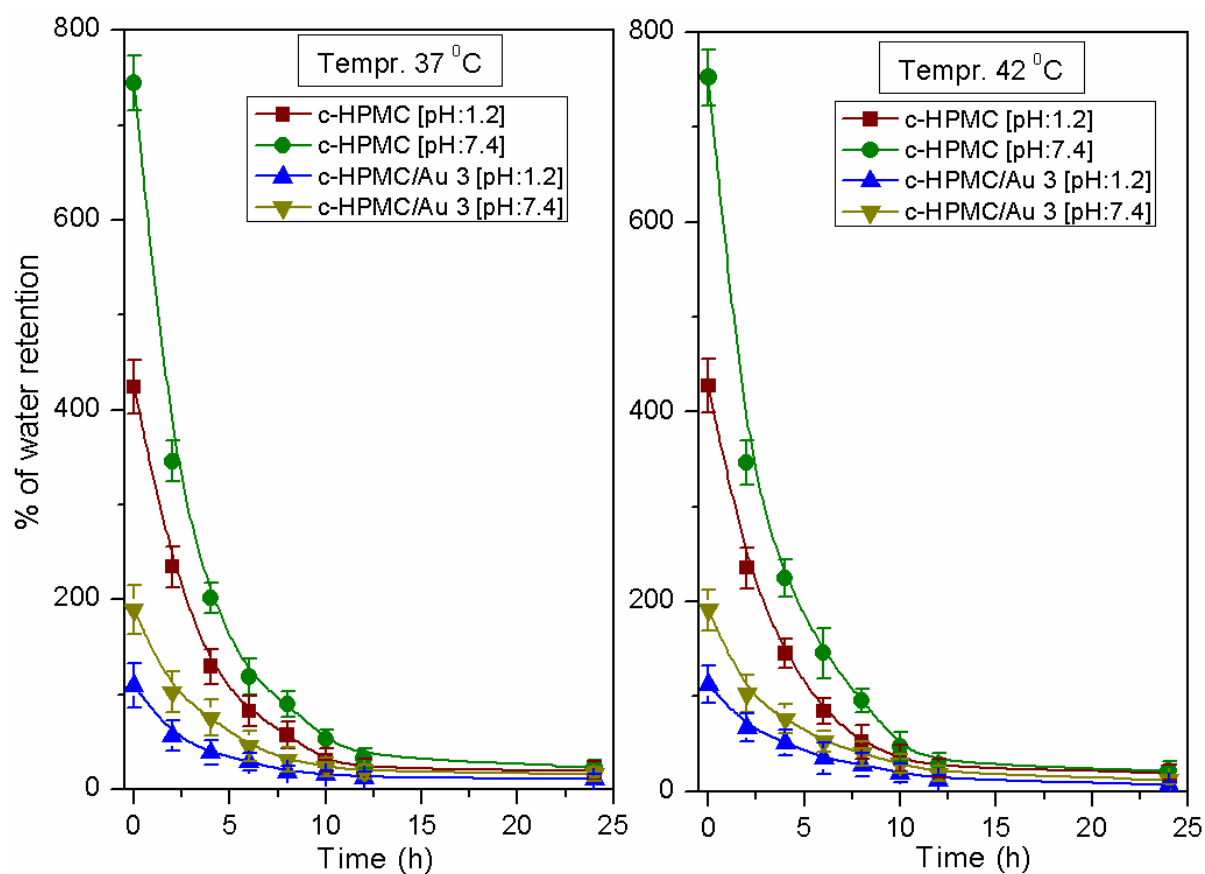


Fig. S5: Deswelling study of c-HPMC and c-HPMC/Au 3 nanocomposite at different temperature

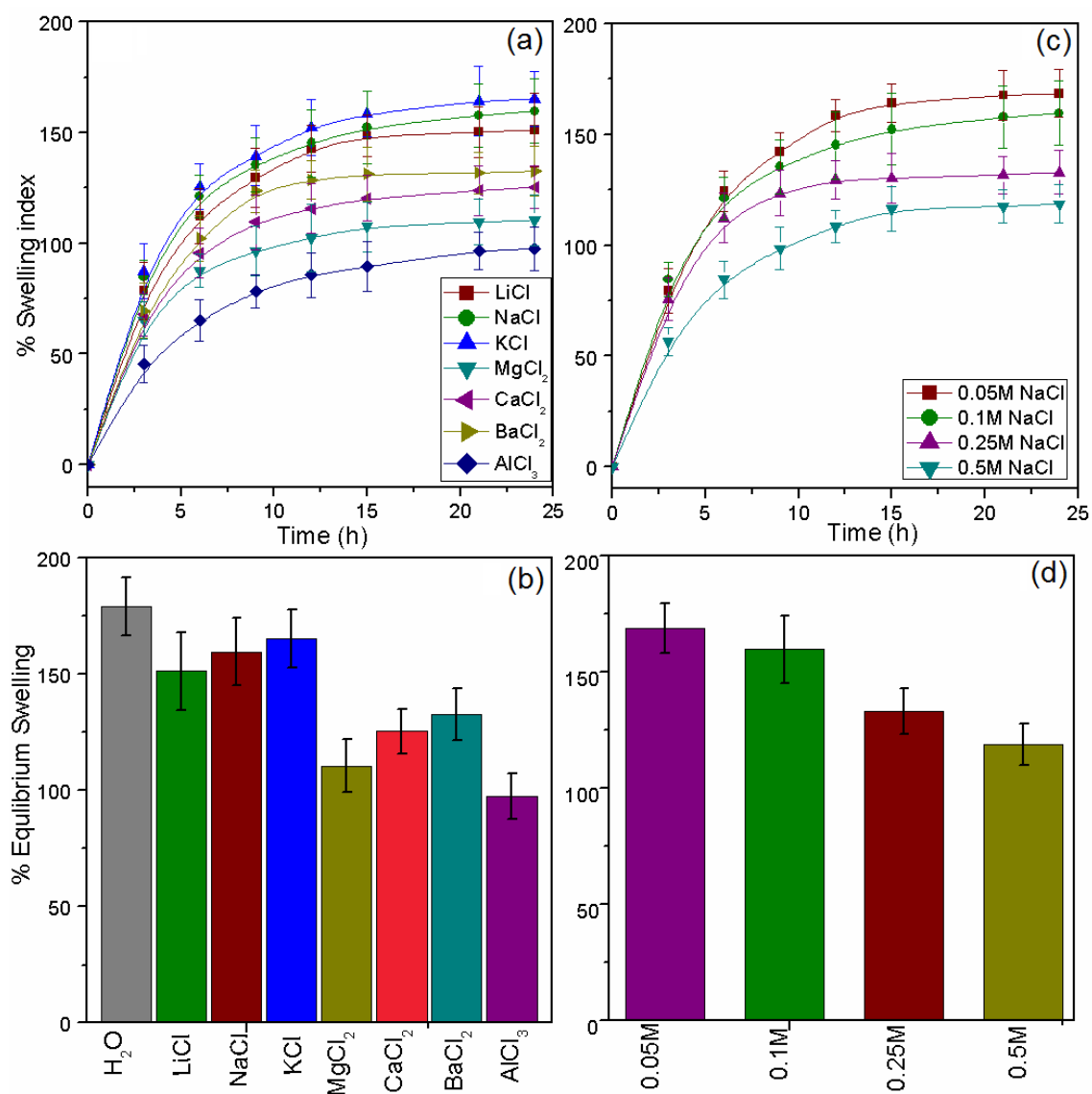


Fig. S6: (a) % swelling and (b) % equilibrium swelling of c-HPMC/Au 3 at various salt solutions; (c) % swelling and (d) % equilibrium swelling of c-HPMC/Au 3 at different NaCl concentrations. Results represented here are $\pm$ SD (n=3)

Table S2: Release parameters of 5-ASA from HPMC, c-HPMC and c-HPMC/Au nanocomposite

Polymeric hydrogel	Rate of erosion (%) (±SD, n=3)	Zero order (R ²)	First order (R ²)	Higuchi Model (R ²)	Hixson-Crowell model (R ²)	Korsmeyer-Peppas model R ² n	
pH=7.4							
HPMC	85.3±12.8	0.9085	0.9871	0.9913	0.9785	0.9749	0.67
c-HPMC	28.5±5.4	0.9156	0.9925	0.9879	0.9876	0.9928	0.54
c-HPMC/Au 1	26.7±4.7	0.8963	0.9915	0.9908	0.9901	0.9717	0.55
c-HPMC/Au 2	23.5±3.4	0.9147	0.9904	0.9911	0.9912	0.9869	0.59
c-HPMC/Au 3	18.5±2.6	0.9235	0.9917	0.9927	0.9892	0.9903	0.56
c-HPMC/Au 4	20.3±3.9	0.9187	0.9935	0.9932	0.9876	0.9891	0.53
c-HPMC/Au 5	24.5±3.1	0.9023	0.9942	0.9919	0.9775	0.9857	0.56
c-HPMC/Au 6	22.9±3.5	0.9275	0.9907	0.9945	0.9931	0.9892	0.53
c-HPMC/Au 7	23.7±3.6	0.8965	0.9887	0.9961	0.9872	0.9912	0.51
c-HPMC/Au 8	25.1±4.7	0.9145	0.9910	0.9896	0.9910	0.9930	0.62
c-HPMC/Au 9	25.9±3.3	0.9021	0.9908	0.9912	0.9936	0.9893	0.57

Table S3: Release parameters of ornidazole from HPMC, c-HPMC and c-HPMC/Au nanocomposite

Polymeric hydrogel	Rate of erosion (%)(±SD, n=3)	Zero order (R ²)	First order (R ²)	Higuchi Model (R ²)	Hixson-Crowell model (R ²)	Korsmeyer-Peppas model R ² n	
pH=6.8							
HPMC	76.5±9.5	0.9345	0.9878	0.9893	0.9921	0.9921 0.79	
c-HPMC	24.5±5.6	0.9154	0.9912	0.9916	0.9936	0.9776 0.60	
c-HPMC/Au 1	16.8±4.7	0.8954	0.9934	0.9907	0.9915	0.9821 0.57	
c-HPMC/Au 2	15.7±3.7	0.9142	0.9913	0.9923	0.9924	0.9951 0.51	
c-HPMC/Au 3	11.8±4.7	0.9234	0.9964	0.9910	0.9961	0.9767 0.60	
c-HPMC/Au 4	13.8±3.9	0.8763	0.9932	0.9869	0.9942	0.9887 0.56	
c-HPMC/Au 5	15.6±6.2	0.9085	0.9897	0.9925	0.9937	0.9996 0.55	
c-HPMC/Au 6	14.2±4.2	0.9323	0.9913	0.9909	0.9879	0.9955 0.57	
c-HPMC/Au 7	19.8±7.2	0.9178	0.9907	0.9917	0.9912	0.9984 0.52	
c-HPMC/Au 8	20.3±6.2	0.8975	0.9883	0.9931	0.9906	0.9989 0.61	
c-HPMC/Au 9	18.5±5.2	0.9271	0.9911	0.9945	0.9908	0.9985 0.63	
pH=7.4							
HPMC	86.6±10.7	0.9123	0.9882	0.9945	0.9856	0.9858 0.69	
c-HPMC	32.9±4.8	0.8912	0.9935	0.9962	0.9907	0.9735 0.56	
c-HPMC/Au 1	26.7±4.2	0.9345	0.9915	0.9913	0.9914	0.9842 0.51	
c-HPMC/Au 2	25.4±3.8	0.9047	0.9921	0.9952	0.9926	0.9865 0.57	
c-HPMC/Au 3	20.7±4.7	0.9243	0.9934	0.9929	0.9931	0.9875 0.50	
c-HPMC/Au 4	23.5±3.9	0.9145	0.9951	0.9915	0.9942	0.9914 0.54	
c-HPMC/Au 5	25.7±3.8	0.8792	0.9908	0.9937	0.9910	0.9807 0.55	
c-HPMC/Au 6	22.4±4.6	0.9031	0.9892	0.9921	0.9909	0.9929 0.60	
c-HPMC/Au 7	27.8±5.1	0.8942	0.9913	0.9896	0.9924	0.9861 0.59	
c-HPMC/Au 8	29.8±4.7	0.9012	0.9927	0.9905	0.9937	0.9768 0.58	
c-HPMC/Au 9	28.7±2.9	0.9172	0.9903	0.9915	0.9919	0.9863 0.52	

Table S4: Parameters for Kopcha model

Hydrogels	pH = 7.4		
	R ²	A	B
5-ASA			
HPMC	0.9970	3.40	5.11
c-HPMC	0.9568	1.59	2.45
c-HPMC/Au 1	0.9758	1.23	1.85
c-HPMC/Au 2	0.9856	1.56	2.12
c-HPMC/Au 3	0.9921	0.96	1.79
c-HPMC/Au 4	0.9857	1.28	1.97
c-HPMC/Au 5	0.9785	1.18	1.84
c-HPMC/Au 6	0.9908	0.97	1.46
c-HPMC/Au 7	0.9865	1.15	1.35
c-HPMC/Au 8	0.9912	1.29	1.73
c-HPMC/Au 9	0.9852	1.08	1.43
Ornidazole			
HPMC	0.9506	5.95	10.23
c-HPMC	0.9827	2.1	2.79
c-HPMC/Au 1	0.9863	1.86	2.34
c-HPMC/Au 2	0.9903	1.75	1.95
c-HPMC/Au 3	0.9924	1.07	1.56
c-HPMC/Au 4	0.9819	1.19	1.75
c-HPMC/Au 5	0.9902	1.17	1.38
c-HPMC/Au 6	0.9765	1.14	1.27
c-HPMC/Au 7	0.9845	1.39	2.21
c-HPMC/Au 8	0.9885	1.23	1.75
c-HPMC/Au 9	0.9796	1.08	1.48

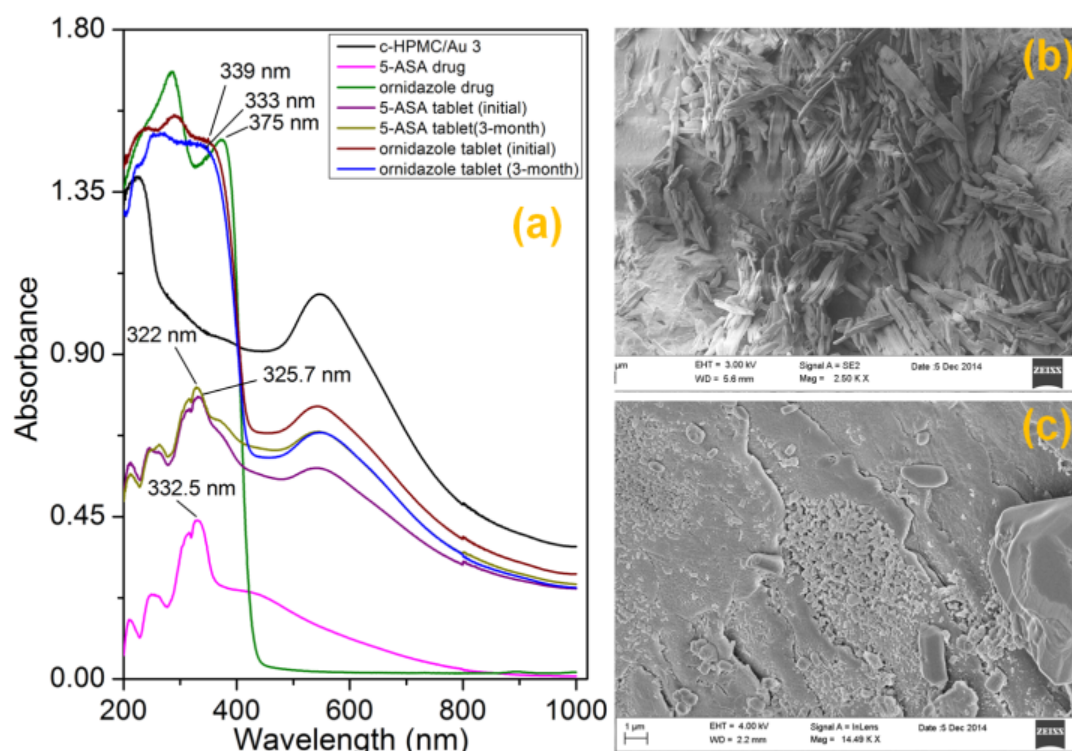


Fig. S7: (a) UV-VIS-NIR spectra of c-HPMC/Au 3, ornidazole, 5-ASA and triturated form of corresponding tablets, FESEM image of (b) 5ASA tablet, (c) ornidazole tablet

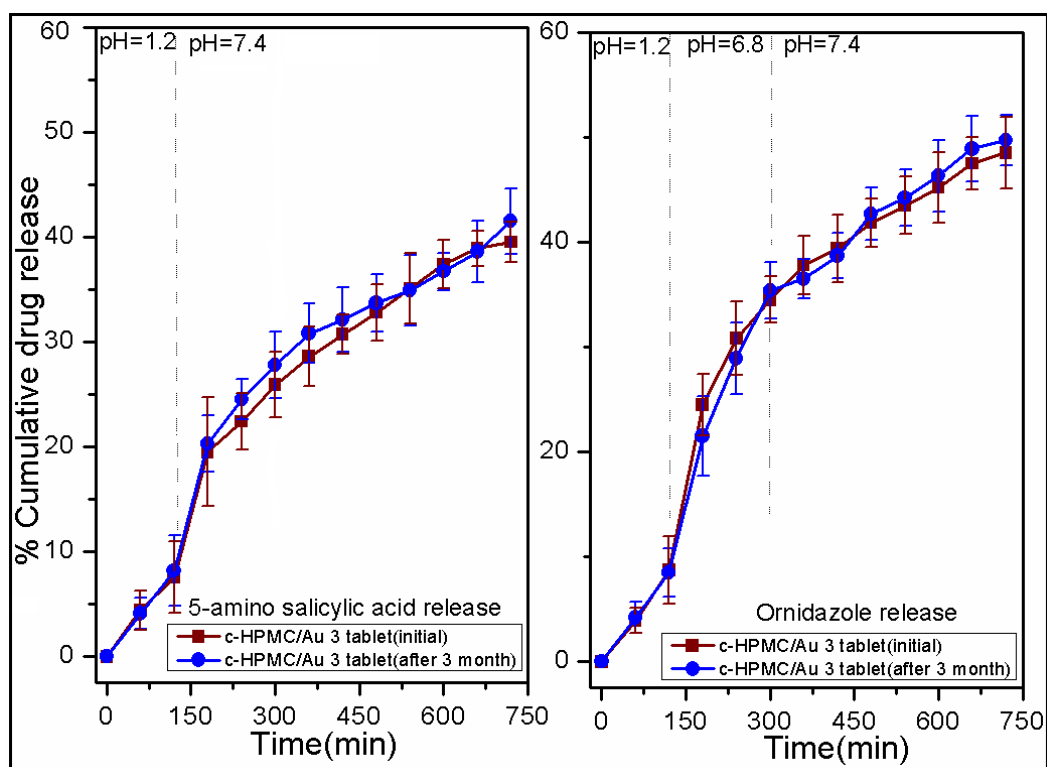


Fig. S8: Drugs release profile of c-HPMC/Au 3 using (a) 5-ASA and (b) ornidazole drug at initial and 3 months of stability study. (Result are mean $\pm$ SD, n=3).

Table S5: Drug stability study result. Each value represents mean $\pm$ SD (n=3)

Parameters	5-amino salicylic acid		Ornidazole	
	Initial	3 Month	Initial	3 Month
Description	Complies	Complies	Complies	Complies
Average weight (mg)	1001.8 $\pm$ 4.53	998.7 $\pm$ 6.89	1003.7 $\pm$ 3.56	1002.4 $\pm$ 5.92
Content assay (%)	98.87 $\pm$ 2.52	96.54 $\pm$ 3.46	99.07 $\pm$ 2.21	97.13 $\pm$ 4.7
<i>In-vitro</i> release in pH 1.2			<i>In-vitro</i> release in pH 1.2	
2h	7.45 $\pm$ 3.4	8.2 $\pm$ 3.4	8.7 $\pm$ 3.2	8.5 $\pm$ 2.3
<i>In-vitro</i> release in pH 7.4			<i>In-vitro</i> release in pH 6.8	
3h	19.5 $\pm$ 5.2	20.3 $\pm$ 2.7	24.5 $\pm$ 2.9	21.5 $\pm$ 3.8
4h	22.4 $\pm$ 2.7	24.5 $\pm$ 1.9	30.8 $\pm$ 3.8	28.9 $\pm$ 3.4
5h	25.9 $\pm$ 3.1	27.8 $\pm$ 3.2	34.5 $\pm$ 2.2	35.4 $\pm$ 2.7
<i>In-vitro</i> release in pH 7.4			<i>In-vitro</i> release in pH 7.4	
6h	28.6 $\pm$ 2.8	30.8 $\pm$ 2.8	37.8 $\pm$ 2.8	36.5 $\pm$ 1.9
7h	30.7 $\pm$ 1.9	32.1 $\pm$ 3.1	39.4 $\pm$ 3.2	38.7 $\pm$ 2.2
8h	32.8 $\pm$ 2.7	33.7 $\pm$ 2.7	41.8 $\pm$ 2.3	42.7 $\pm$ 2.5
9h	35.1 $\pm$ 3.4	34.9 $\pm$ 3.4	43.5 $\pm$ 2.7	44.2 $\pm$ 2.7
10h	37.4 $\pm$ 2.3	36.7 $\pm$ 1.8	45.2 $\pm$ 3.4	46.3 $\pm$ 3.4
11h	38.9 $\pm$ 1.7	38.6 $\pm$ 2.9	47.5 $\pm$ 2.5	48.9 $\pm$ 3.1
12h	39.5 $\pm$ 1.9	41.5 $\pm$ 3.1	48.6 $\pm$ 3.4	49.7 $\pm$ 2.4