Electronic Supplementary Information (ESI)

for

Enzyme-sensitive cytotoxic peptide-dendrimer conjugates enhance cell apoptosis and deep tumor penetration

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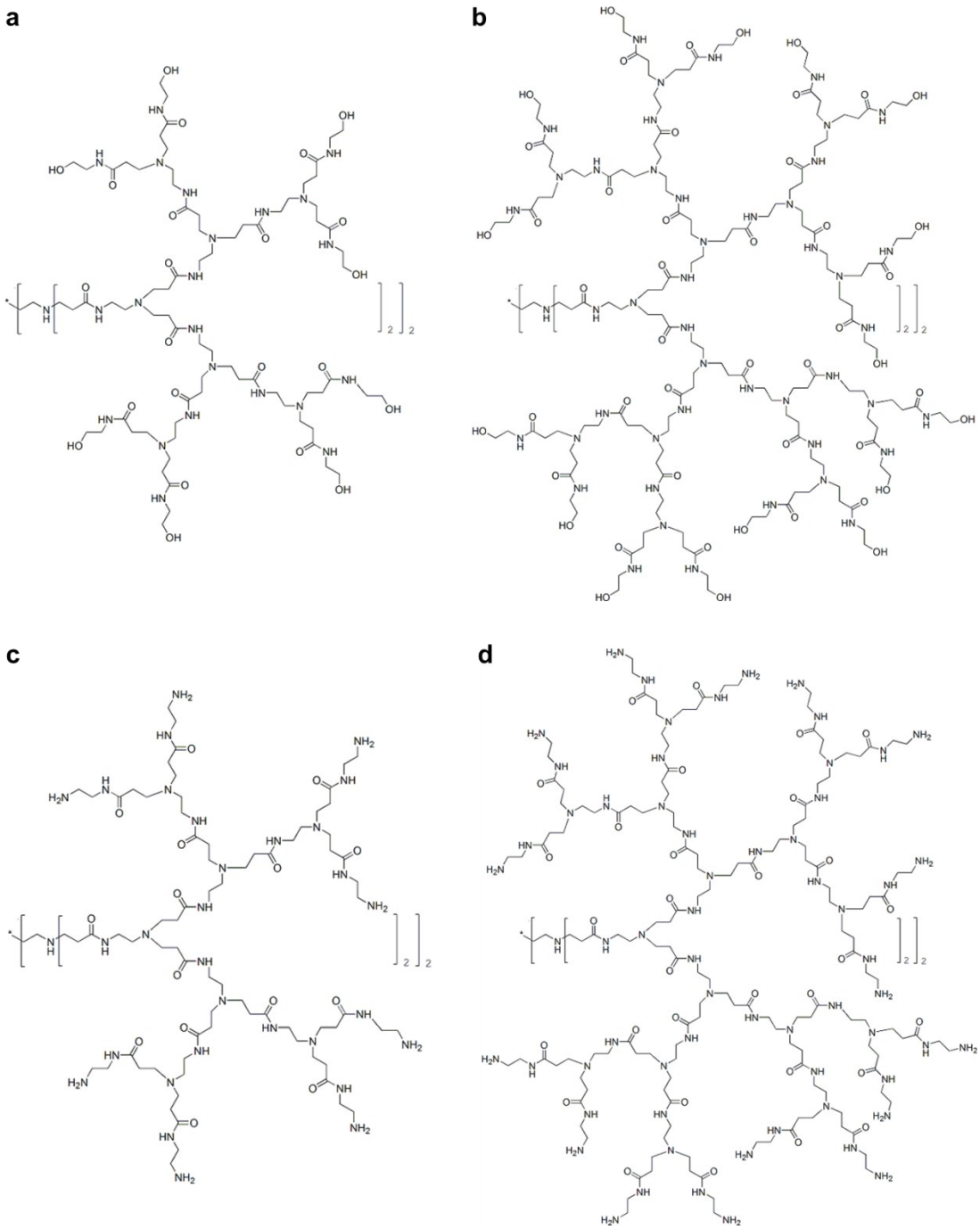

Figure S1. The chemical structures of (a) PAMAM-OH G3, (b) PAMAM-OH G4, (c) PAMAM-NH_2 G3 and (d) PAMAM-NH_2 G4.

Table S1. The synthesis and characterization of Acryl-PAMAM

Dendrimer	N_1 [a]	N_2 [b]	MD [c] (%)
PAMAM-OH G3	32	10	31
PAMAM-OH G4	64	19	30
PAMAM-NH_2 G3	32	22	69
PAMAM-NH_2 G4	64	37	58

[a] The number of end group (OH/NH_2) in dendrimers. [b] The average number of modified end group (acrylate/acrylamide) in dendrimers determined by ^1H NMR spectra. [c] The modification degree (MD) of dendrimers determined by ^1H NMR spectra.

Table S2. The synthesis and properties of PKT dendrimers

Dendrimer	KLAK:TAT [a]	N(KLAK) [b]	N(TAT) [c]	IC_{50} [d] (μM)
PAMAM-OH G3	5:1	5	1	~500
PAMAM-OH G4	5:1	9.5	1.9	~150
PAMAM-NH_2 G3	5:1	11	2.2	~110
PAMAM-NH_2 G4	5:1	18.5	3.7	~15

[a] Molar ratio of KLAK and TAT in feed. [b] Average number of KLAK peptide in dendrimers determined by ^1H NMR spectra. [c] Average number of TAT peptide in dendrimers determined by ^1H NMR spectra. [d] The IC_{50} values of dendrimers determined by cytotoxicity assay.