

Supporting Information

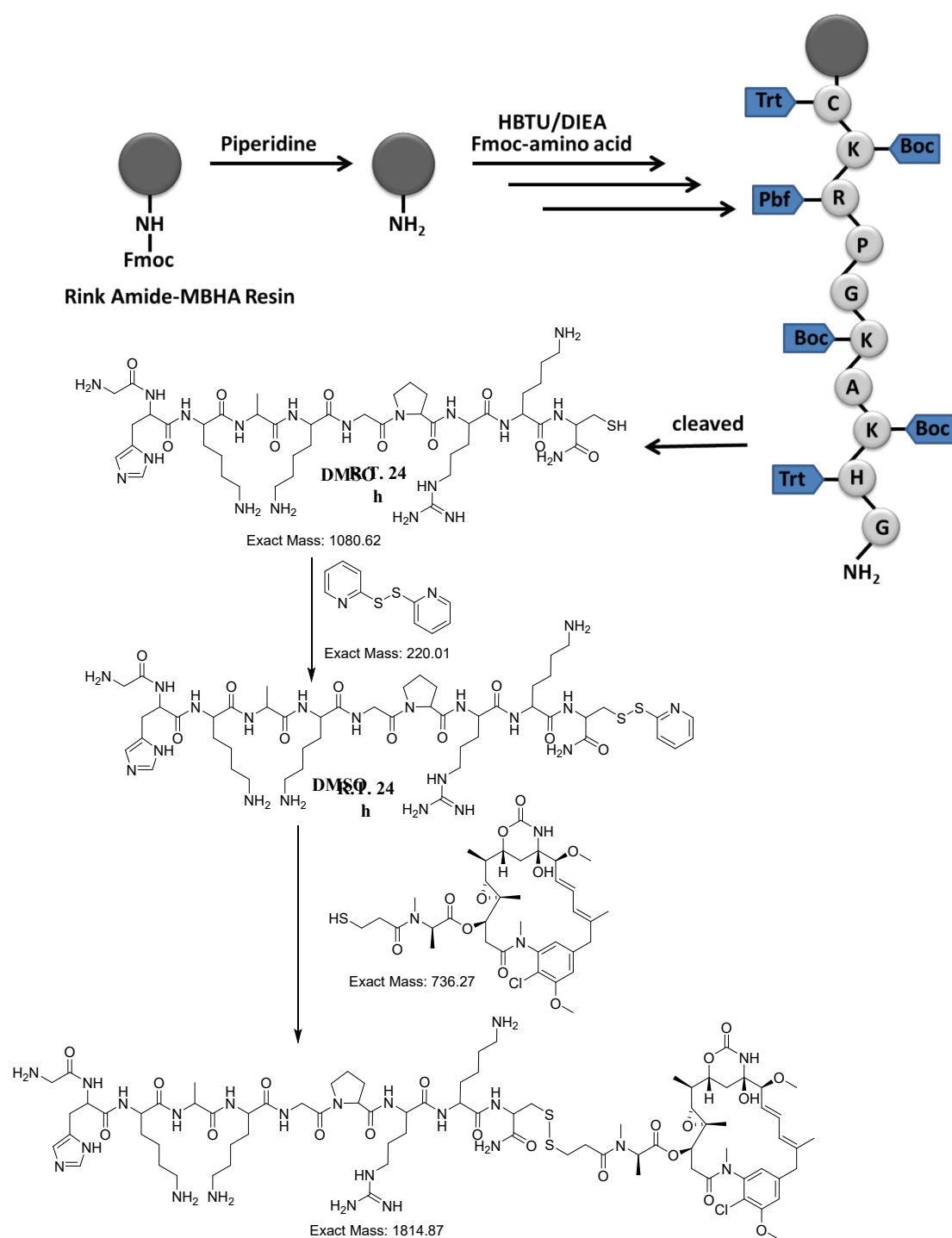


Figure S1. The synthetic schemes for the B6 and conjugate

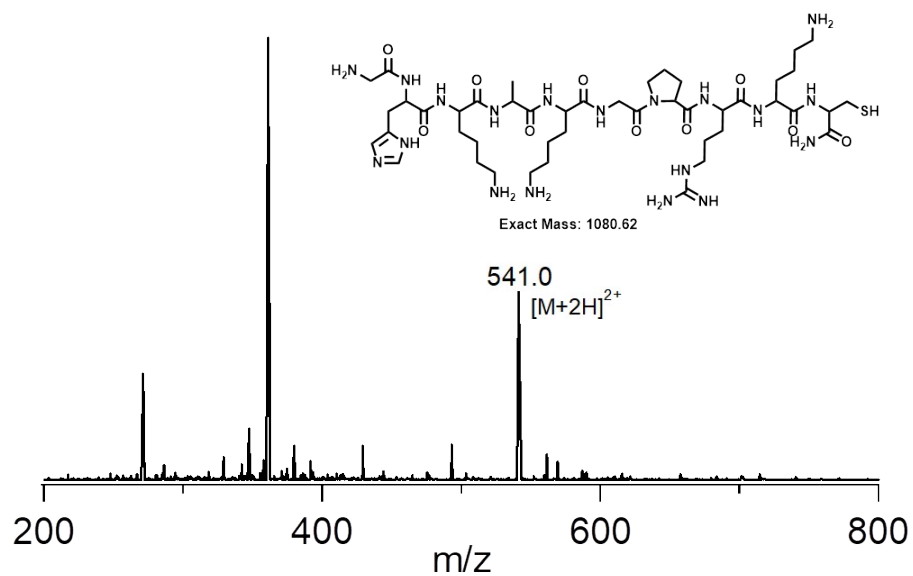


Figure S2. ESI-MS characterization of B6.

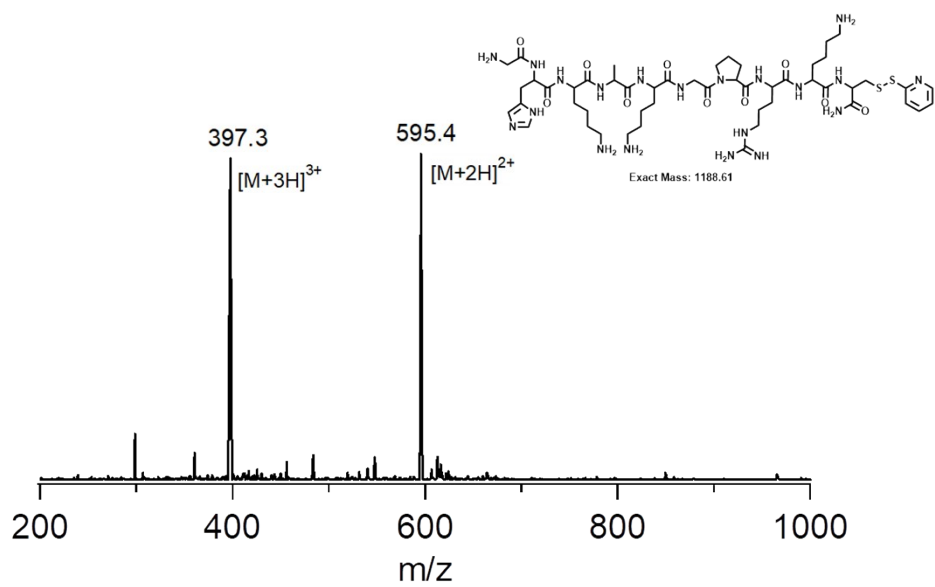


Figure S3. ESI-MS characterization of Pyr-B6.

Table S1 The sequences of the TfR-targeted peptide-DM1 conjugates

Compounds	Peptide sequences	Abbreviation of compound
LWJ-M13	NH ₂ -AHLHNRSGC-CONH ₂	NH ₂ -AHLHNRSGC-SS-DM1
LWJ-M14	NH ₂ -CGAHLHNRS-CONH ₂	DM1-SS-CGAHLHNRS-CONH ₂
LWJ-M15	NH ₂ -CRRHAIYPRH-OH	DM1-SS-CRRHAIYPRH-OH
LWJ-M29	NH ₂ -CGHKAKGPRK-OH	DM1-SS-CGHKAKGPRK-OH
LWJ-M30	NH ₂ -GHKAKGPRKC-CONH ₂	NH ₂ -GHKAKGPRKC-SS-DM1 (DM1-B6)

The reaction conditions for the preparation of LWJ-M13, LWJ-M14, LWJ-M15, and LWJ-M29 were similar to that of the LWJ-M30 only with different corresponding starting materials.

Table S2 The IC50 of the synthesized TfR-targeted peptide-DM1 conjugates with HCT116

Compounds	IC50 (μM)
DM1	0.026
LWJ-M13	0.296
LWJ-M14	0.475
LWJ-M15	0.765
LWJ-M29	1.023
LWJ-M30	0.047

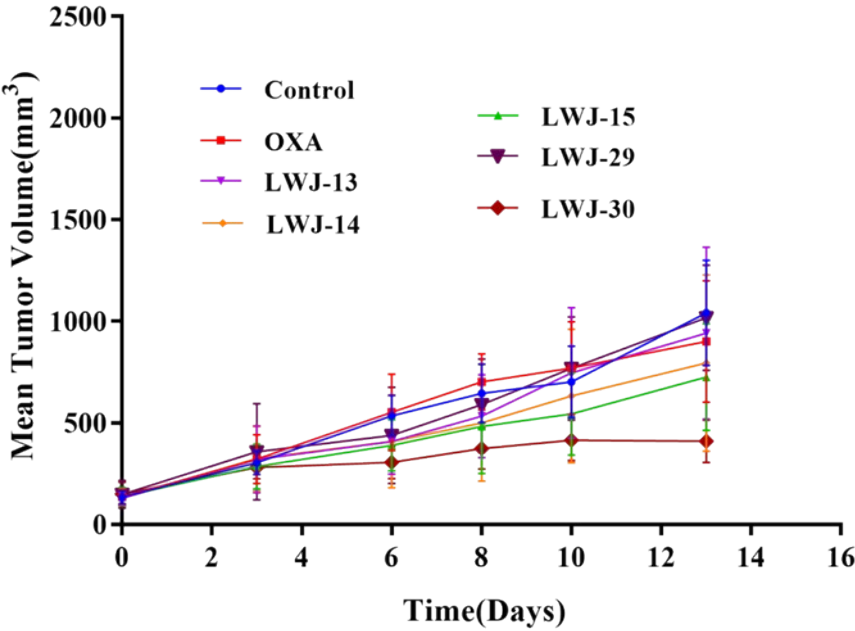
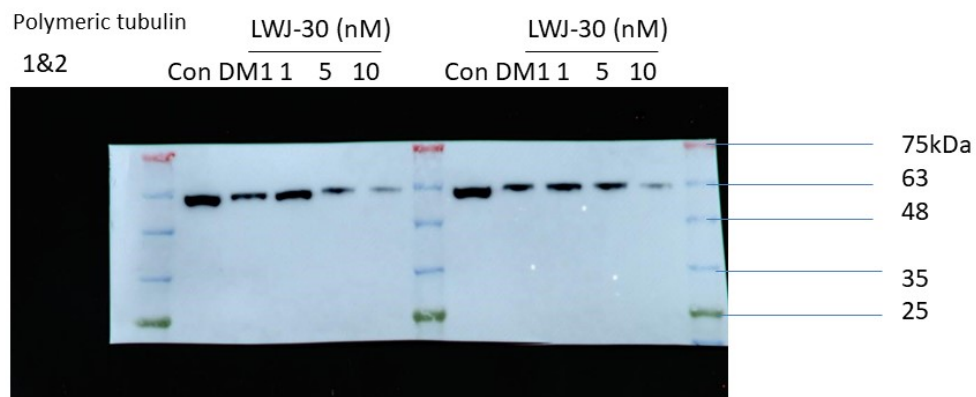
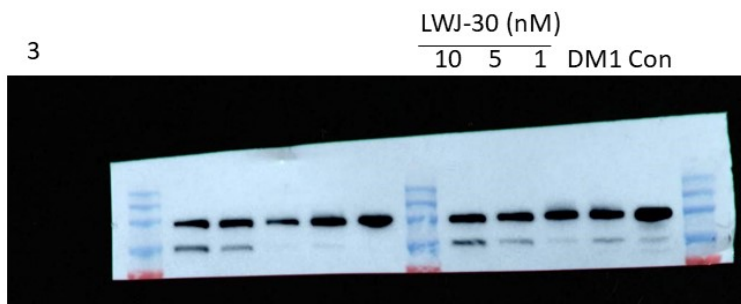
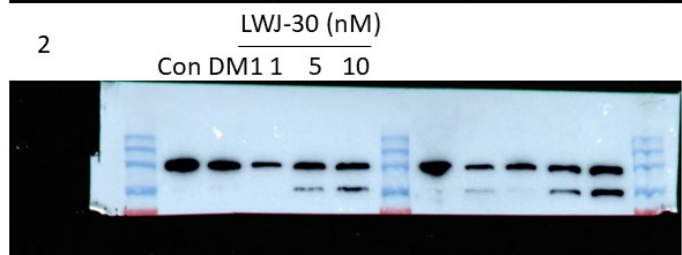
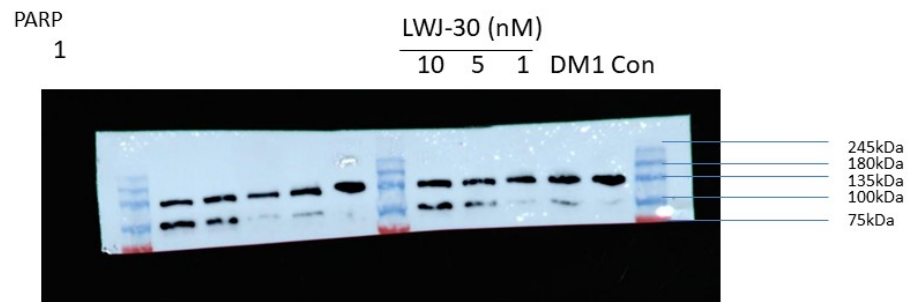


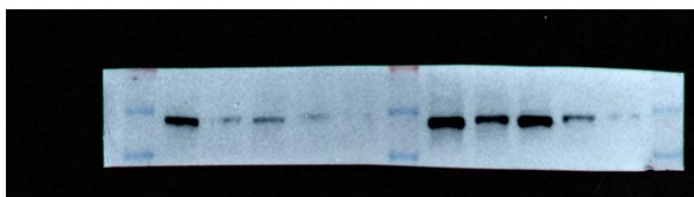
Fig.S4 The mean tumor volume of HCT116 cells bearing mice for the synthesized TfR-targeted peptide-DM1 conjugates

The raw data of Western blot



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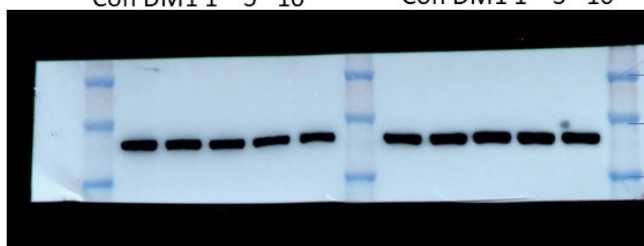
LWJ-30 (nM)
Con DM1 1 5 10



actin

1&2

LWJ-30 (nM) LWJ-30 (nM)
Con DM1 1 5 10 Con DM1 1 5 10



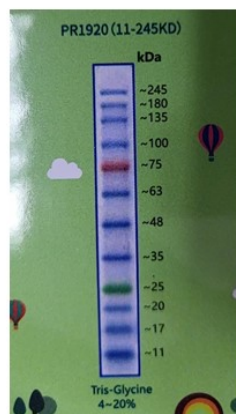
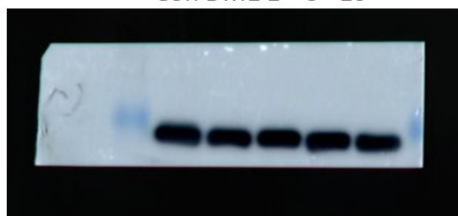
63kDa

48kDa

35kDa

3

LWJ-30 (nM)
Con DM1 1 5 10



The marker