Supporting Information for

Regulation of PDGFR-β gene expression through targeting G-vacancy-bearing G-quadruplex in promoter

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Supplementary Figures S1-S11, Table S1 and S2

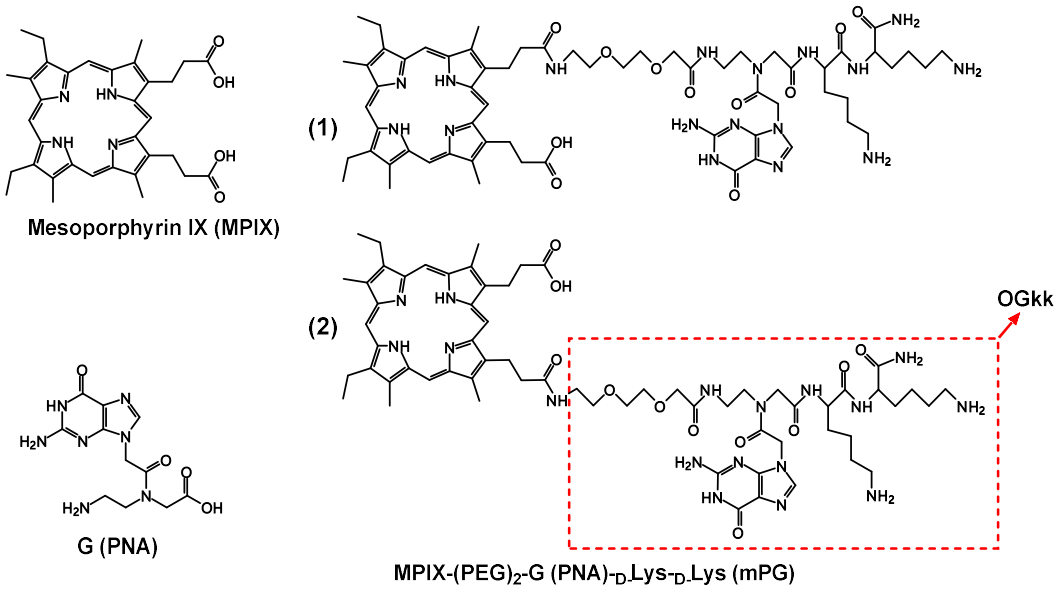

Figure S1. Structure of Mesoporphyrin IX (MPIX), guanine peptide nucleic acid (PNA-G), MPIX-$(PEG)_2$-G (PNA)-$_D$-Lys-$_D$-Lys (mPG) and OGkk. (1) and (2) are two isomers of mPG.

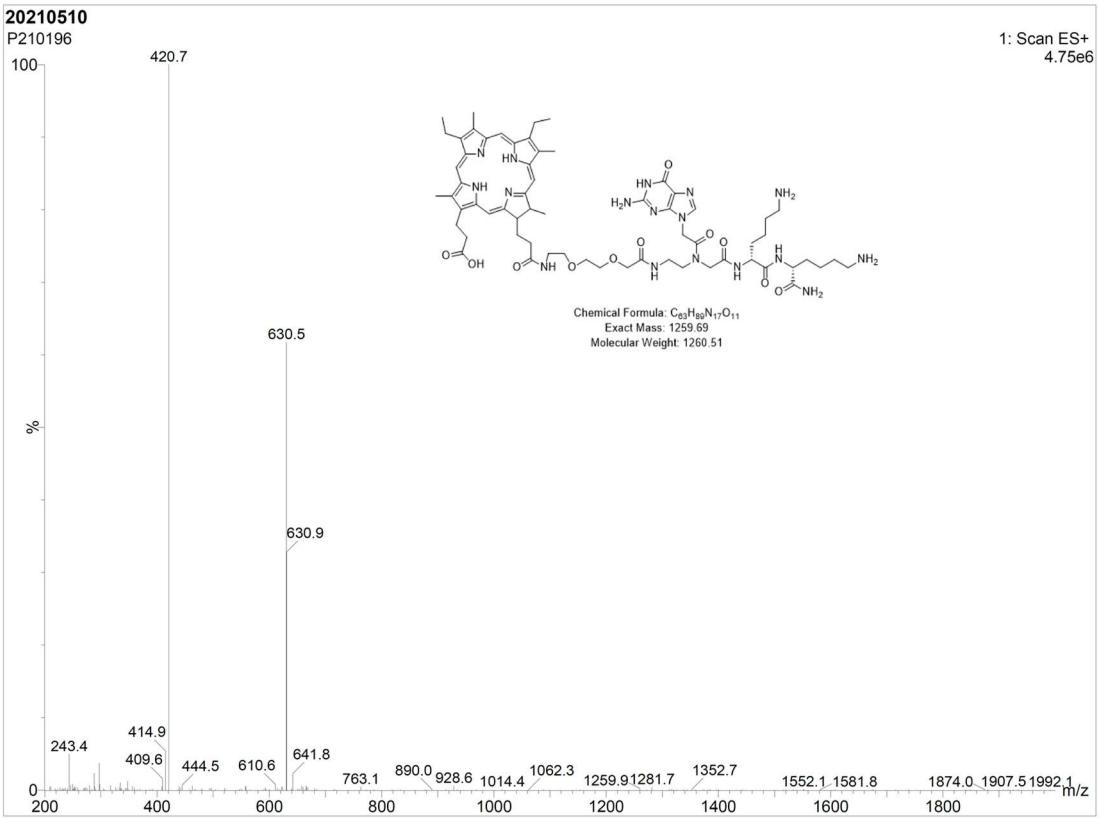

Figure S2. ESI mass spectra of mPG.

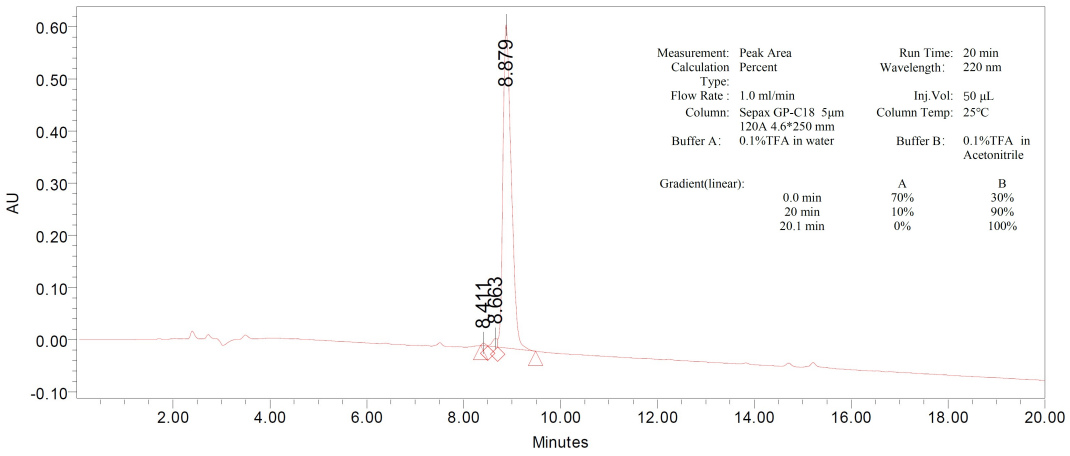

Figure S3. HPLC characterization of synthesized mPG.

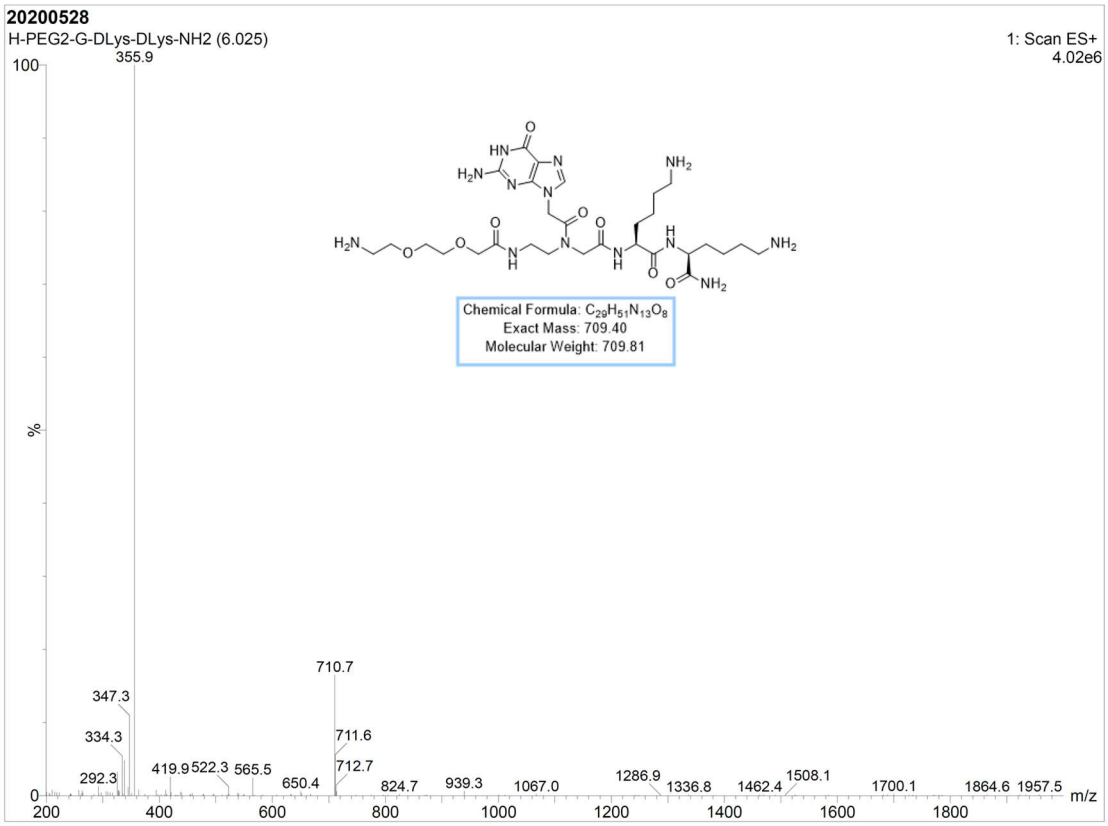

Figure S4. ESI mass spectra of OGkk.

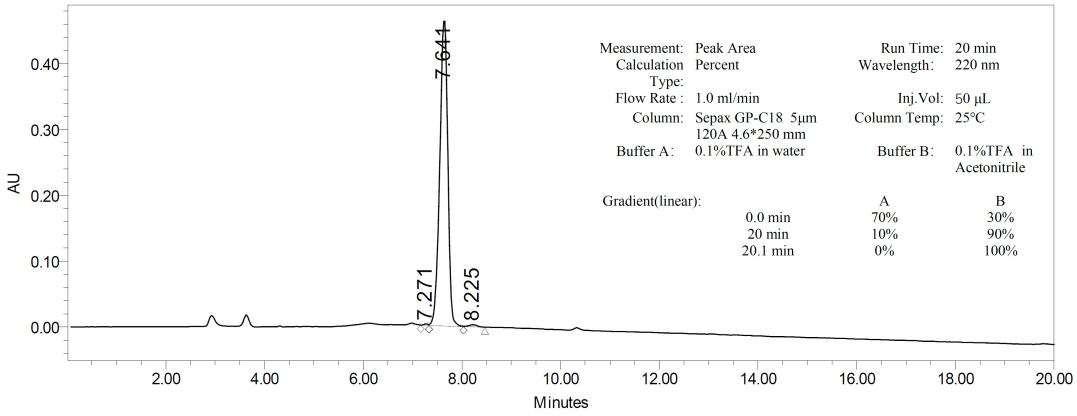

Figure S5. HPLC characterization of synthesized OGkk.

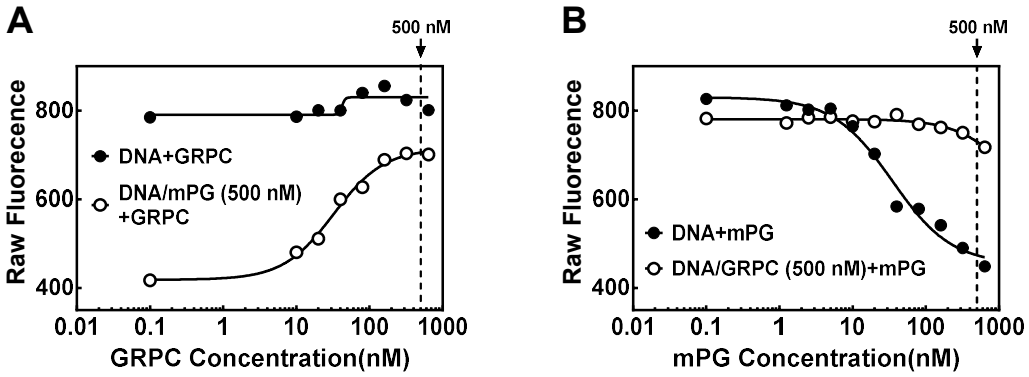

Figure S6. Comparison of the binding ability between PDGFR-β GVBQ with GRPC and mPG by fluorescence titration. A) 100 nM PDGFR-β GVBQ DNA (M2-3-4-T) with or without 500 nM mPG was mixed with GRPC of the indicated concentrations, incubated at room temperature for 2 hours and then subjected to measure the fluorescence. The fluorescence of PDGFR-β GVBQ DNA can first be quenched by 500 nM mPG. The competitive binding of GRPC to DNA leads to a reduction in DNA/mPG complex, thereby increasing the fluorescent signal. B) 100 nM PDGFR-β GVBQ DNA (M2-3-4-T) with or without 500 nM GRPC was mixed with mPG of the indicated concentrations at room temperature for 2 hours and then subjected to measure the fluorescence. The PDGFR-β GVBQ DNA can first be bound by 500 nM GRPC. The competitive binding of mPG to DNA leads to a formation of DNA/mPG complex, thereby decreasing the fluorescent signal.

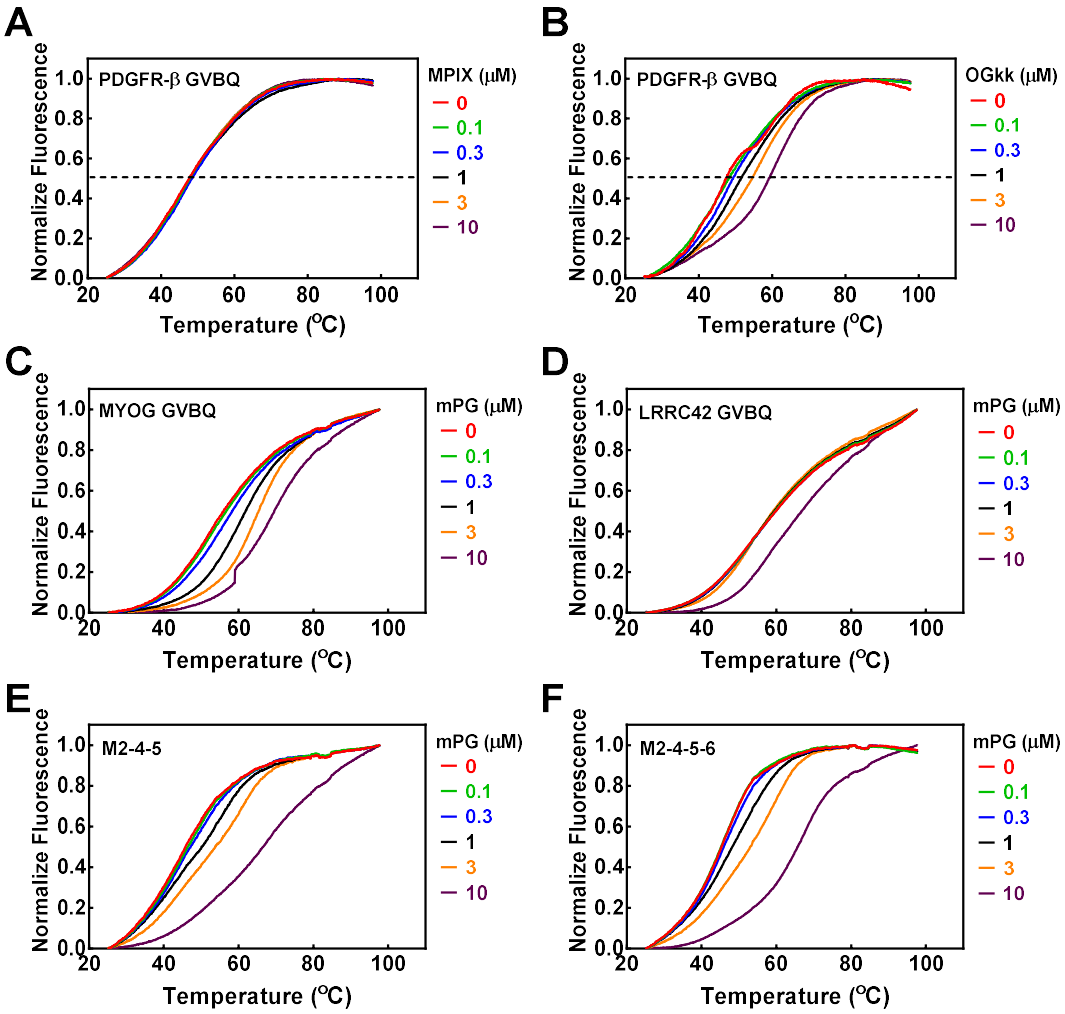

Figure S7. A-B) Stabilization of PDGFR-β GVBQ (M2-3-4-F) by MPIX and OGkk. C-D) Effect of mPG on MYOG and LRRC42 GVBQ. E-F) Effect of mPG on M2-4-5 and M2-4-5-6 G-quadruplex from PDGFR-β promoter.

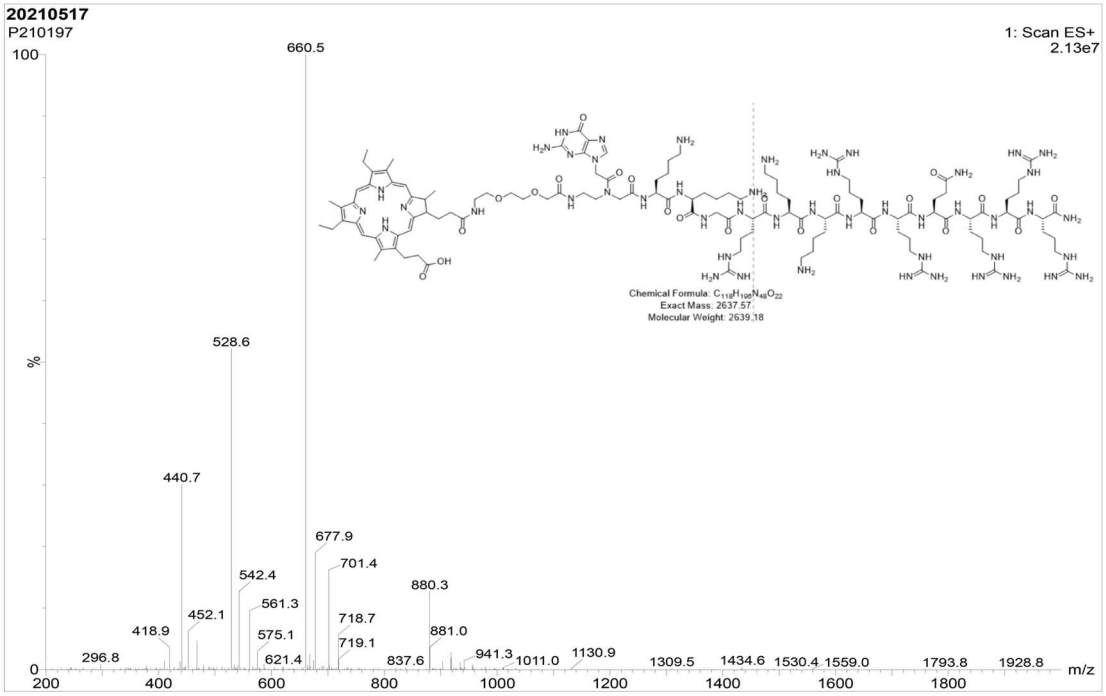

Figure S8. ESI mass spectra of mPG-($_{L}$-GRKKRRQRRR) (mPG-TAT).

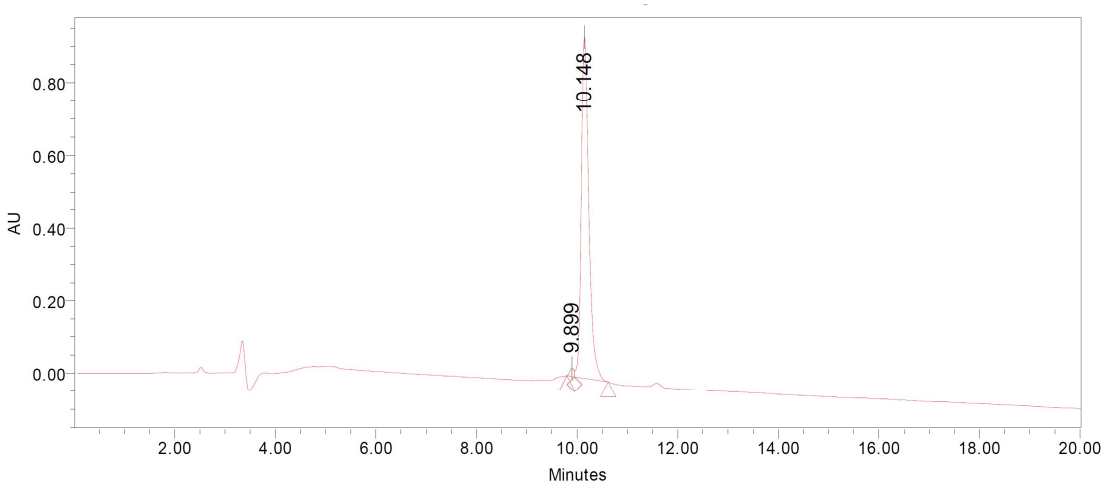

Figure S9. HPLC characterization of synthesized mPG-TAT.

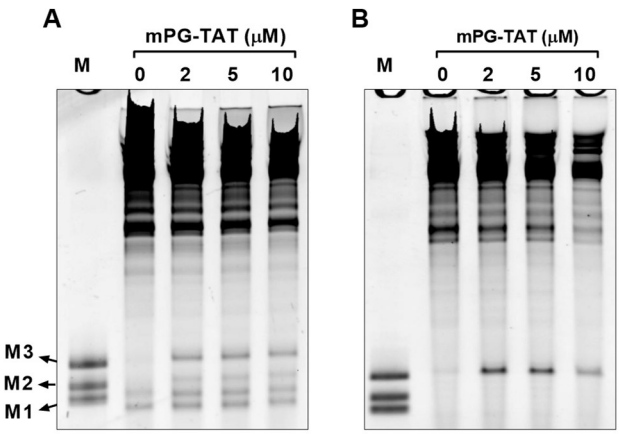

Figure S10. Detecting the effect of mPG-TAT on the stability of PDGFR-β GVBQ in transcribed plasmids by RNA polymerase arrest. A) Effect of mPG-TAT on the stability of GVBQ in the WT plasmid. B) Effect of mPG-TAT on the stability of GVBQ in the mutant M3A plasmid. Marker (M) shows termination sites as labeled in Figure 3.

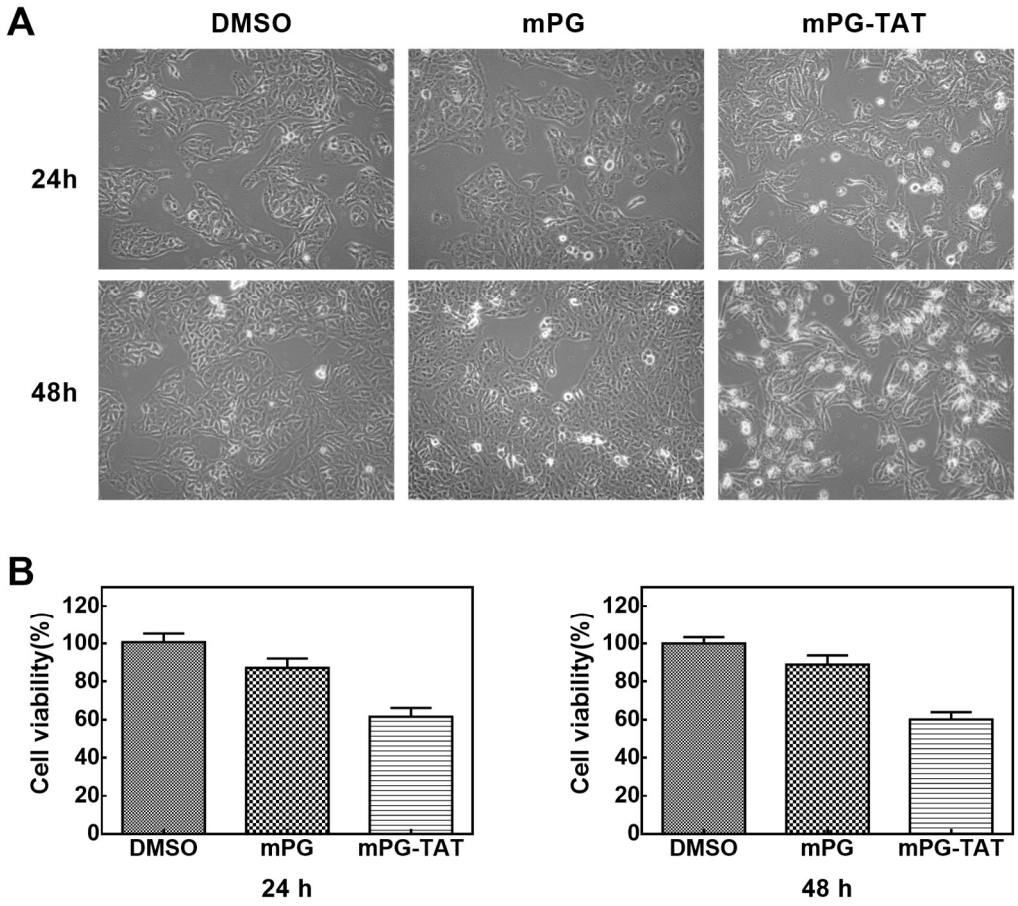

Figure S11. Effects of mPG and mPG-TAT on the growth of U2OS cells. A) Images of cells were captured when cells were cultured in the presence of 10 μM mPG or 10 μM mPG-TAT for 24 h and 48 h. B) Cell viability with 10 μM mPG and 10 μM mPG-TAT in MTT assay.

Table S1. Oligonucleotides used in this study.

Names	Sequences (5′-3′)
WT	CTGATTGGCCCAGCTGGGAGAAGGGGGGGCGGCGGGG CAGGGAGGGTGGACGCGTGCGT
M2A	CTGATTGGCCCAGCTGGGAGAAGGGGGGGCGGCGGGG CAGAGAGAGTGGACGCGTGCGT
M3A	CTGATTGGCCCAGCTGAGAGAAGGGGGGGCGGCGGGG CAGAGAGAGTGGACGCGTGCGT
M2-3-4-F	AGGGGGGGCGGCGGGGC
M2-3-4-T	TTTGGGGGGGCGGCGGGGTTT
M2-4-5	TTTGGGGGGGCAACGGGGCAGGGTTT
M2-4-5-6	TTTGGGCAACGGGGCAGGGAGGGTTT
MYOG	AGGGTGGGCTGGGAGGT
ABTB2	TGGGCGGAGGGAAGTGGGA
LRRC42	CGGGCCGCGGGAGGAGGGA
KRAS	AGGGCGGTGTGGGAAGAGGGAAGAGGGGGAGG
MYC	AGGGTGGGGAGGGTGGGGA
CSTB	GGGGCGGGGCGCGGGGCGGGG
HP1	TCAGCTGCGCAGTACAGATCTGTACTGCGCAGCTGA
HP2	TCAGCTGCGCGCAGCGATTGCGTTTTCGCTGCGCGCAG CTGA
TEL-C	CCCTAACCCTAACCCTAACCCT
BCL-C	CCCGCCCCCTTCCTCCCGCGCCC
ssDNA	CCAGCCTGCGGCGAGTG

Table S2. qPCR primers used in this study.

Names	Sequences (5′-3′)
PDGFR-β-F	GAGAAGCAAGCCCTTATGTCG
PDGFR-β-R	CGTAGCGGCAGTACTCAGTGAT
GAPDH-F	GGAGCGAGATCCCTCCAAAAT
GAPDH-R	GGCTGTTGTCATACTTCTCATGG
MYC-F	GTCAAGAGGCGAACACACAAC
MYC-R	TTGGACGGACAGGATGTATGC
Hif1a-F	TGCACAGGCCACATTCACG
Hif1a-R	GTTCACAAATCAGCACCAAGC
VEGFA-F	AGGGCAGAATCATCACGAAGTG
VEGFA-R	AGGGTCTCGATTGGATGGCA
BCL2-F	GGTGGGGTCATGTGTGTGG
BCL2-R	CGGTTCAGGTACTCAGTCATCC
KRAS-F	GAGTACAGTGCAATGAGGGACC
KRAS-R	TCCTGAGCCTGTTTTGTGTCTAC
BRCA1-F	GAAACCGTGCCAAAAGACTTC
BRCA1-R	CCACACTGCAATAAGTTGCCTTA
MYB-F	GAGGTGGCATAACCACTTGAA
MYB-R	AGGCAGTAGCTTTGCGATTTC
ERBB2-F	TGCAGGGAAACCTGGAACTC
ERBB2-R	ACAGGGGTGGTATTGTTCAGC