Enhanced Cellular Uptake with a Cobaltacarborane-Porphyrin-HIV-1 Tat 48-60 Conjugate

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1. HPLC Traces



Figure 1. HPLC trace for porphyrin **3**. Column: Delta Pak C₁₈ 300 Å, 5 μ m, 3.9 x 150 mm (Waters, USA); flow rate 1 mL/min.; injected volume 20 μ L; wavelength detection: 420 nm. Stepwise gradient from 40% to 95% mobile phase B. Mobile phase A: 5% acetonitrile, 0.1% TFA, H₂O. Mobile phase B: 5% H₂O, 0.1% TFA, acetonitrile.



Figure 2. HPLC trace for conjugate **4**. Column: Delta Pak C₁₈ 300 Å, 5 μ m, 3.9 x 150 mm (Waters, USA); flow rate 1 mL/min.; injected volume 20 μ L; wavelength detection: 420 nm. Stepwise gradient from 85% to 95% mobile phase B. Mobile phase A: 5% acetonitrile, 0.1% TFA, H₂O. Mobile phase B: 5% H₂O, 0.1% TFA, acetonitrile.



Figure 3. HPLC trace for Boc-protected conjugate **5**. Column: Delta Pak C₁₈ 300 Å, 5 μ m, 3.9 x 150 mm (Waters, USA); flow rate 1 mL/min.; injected volume 20 μ L; wavelength detection: 420 nm. Stepwise gradient from 85% to 95% mobile phase B. Mobile phase A: 5% acetonitrile, 0.1% TFA, H₂O. Mobile phase B: 5% H₂O, 0.1% TFA, acetonitrile.



Figure 4. HPLC trace for conjugate **5**. Column: Delta Pak C₁₈ 300 Å, 5 μ m, 3.9 x 150 mm (Waters, USA); flow rate 1 mL/min.; injected volume 20 μ L; wavelength detection: 420 nm. Stepwise gradient from 80% to 95% mobile phase B. Mobile phase A: 5% acetonitrile, 0.1% TFA, H₂O. Mobile phase B: 5% H₂O, 0.1% TFA, acetonitrile.



Figure 5. HPLC trace for conjugate **6**. Column: Delta Pak C₁₈ 300 Å, 5 μ m, 3.9 x 150 mm (Waters, USA); flow rate 1 mL/min.; injected volume 20 μ L; wavelength detection: 420 nm. Stepwise gradient from 80% to 95% mobile phase B. Mobile phase A: 5% acetonitrile, 0.1% TFA, H₂O. Mobile phase B: 5% H₂O, 0.1% TFA, acetonitrile.



Figure 6. HPLC trace for conjugate **7**. Column: Delta Pak C_{18} 300 Å, 5 µm, 3.9 x 150 mm (Waters, USA); flow rate 1 mL/min.; injected volume 20 µL; wavelength detection: 420 nm. Stepwise gradient from 85% to 95% mobile phase B. Mobile phase A: 5% acetonitrile, 0.1% TFA, H₂O. Mobile phase B: 5% H₂O, 0.1% TFA, acetonitrile

2. Subcellular Localization



Figure 7. Subcellular localization of conjugate **4** in HEp2 cells at 10 μ M for 18 h. (a) Phase contrast, (b) Overlay of **4** fluorescence and phase contrast, (c) ER Tracker Blue/White fluorescence, (e) LysoSensor Green fluorescence, (g) MitoTracker Green fluorescence, (i) BODIPY Ceramide, (d), (f), (h), and (j) overlays of organelle tracers with **4** fluorescence. Scale bar: 10 μ m.



Figure 8. Subcellular localization of conjugate **5** in HEp2 cells at 10 μ M for 18 h. (a) Phase contrast, (b) Overlay of **5** fluorescence and phase contrast, (c) ER Tracker Blue/White fluorescence, (e) LysoSensor Green fluorescence, (g) MitoTracker Green fluorescence, (i) BODIPY Ceramide, (d), (f), (h), and (j) overlays of organelle tracers with **5** fluorescence. Scale bar: 10 μ m.



Figure 9. Subcellular localization of conjugate **7** in HEp2 cells at 10 μ M for 18 h. (a) Phase contrast, (b) Overlay of **7** fluorescence and phase contrast, (c) ER Tracker Blue/White fluorescence, (e) LysoSensor Green fluorescence, (g) MitoTracker Green fluorescence, (i) BODIPY Ceramide, (d), (f), (h), and (j) overlays of organelle tracers with **7** fluorescence. Scale bar: 10 μ m.