SUPPLEMENTARY INFORMATION

Sulfonate-ended carbosilane dendrimers with a flexible scaffold cause
inactivation of HIV-1 virions and gp120 shedding

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**Supplementary Table 1** Chemical and structural characteristics of polyanionic carbosilane dendrimers with a polyphenolic core

<table>
<thead>
<tr>
<th>Dendrimer</th>
<th>Molecular Formula</th>
<th>Mw (g/mol)(^{a})</th>
<th>(G^{b})</th>
<th>SG(^{c})</th>
<th>NSG(^{d})</th>
</tr>
</thead>
<tbody>
<tr>
<td>G1-S12P</td>
<td>(C_{93}H_{192}N_{6}Na_{12}O_{39}S_{12}Si_{9})</td>
<td>2,932.0</td>
<td>1</td>
<td>Sulfonate</td>
<td>12</td>
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<tr>
<td>G2-S24P</td>
<td>(C_{189}H_{402}N_{12}Na_{24}O_{75}S_{24}Si_{21})</td>
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<td>Sulfonate</td>
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<tr>
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<td>Sulfonate</td>
<td>48</td>
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<tr>
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<tr>
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<td>3</td>
<td>Carboxylate</td>
<td>48</td>
</tr>
</tbody>
</table>

\(^{a}\)Mw: Molecular weight  
\(^{b}\)G: Number of generations according to the number of repeated layers with branching units from silicon atoms  
\(^{c}\)SG: Surface groups  
\(^{d}\)NSG: Number of surface groups
Supplementary Figure 1 Cytotoxicity associated to polyanionic carbosilane dendrimers in TZM.bl cells at 48 h post-loading using MTT assay. The cells were loaded with increased concentrations of dendrimers (ranged from 0.1 to 100 µM) from (A) first, (B) second or (C) third generation, or treated with 10 µM dextran (innocuous control) or 10% of DMSO (control of cell death). The percent of cell viability was calculated as optical density of treated condition/non-treated control (NT) x 100. The 80% of viability was set as limit of toxicity. Data are represented as mean ± SD of three experiments performed in triplicate. Abbreviations: Dext = dextran; DMSO = dymethyl sulfoxide.
Supplementary Figure 2 Time-of-drug-addition in the HIV-1 lifecycle of selected polyanionic carbosilane dendrimers. (A) G1-S12P (1 µM), (B) G2-S24P at high (100 µM, ↑) and low (0.1 µM, ↓) concentrations, (C) G3-S48P at high (100 µM, ↑) and low (0.1 µM, ↓) concentrations, or G2-S16 (10 µM), MRV (1 µM), T-20 (20 µM), TFV (1 µM) or RAL (0.1 µM) as controls were added upon R5-HIV-1NLAD8 infection (20 ng p24/10^6 cells) or at various points post-infection. Luciferase activity was measured at 48 h post-infection vs. non-treated control. Data represent the mean ± SD of one experiment performed in duplicate. Abbreviations: MRV = maraviroc; RAL = raltegravir; T-20 = enfuvirtide; TFV = tenofovir.
Supplementary Figure 3 Cell-drug interactions and interaction of selected polyanionic carbosilane dendrimers with cellular surface markers. (A) TZM.bl cells were exposed to G1-S12P (1 µM), G2-S24P (0.1 µM) or G3-S48P (0.1 µM), or G2-S16 (10 µM) as a control for 1 h, extensively washed and infected with R5-HIV-1NLAD8 (20 ng p24/10^6 cells). Luciferase activity was measured at 48 h post-infection vs. non-treated control (NT). (B) PHA-activated PBMCs were exposed to G1-S12P (1 µM), G2-S24P or G3-S48P (0.1 µM), or TAK-779 (0.1 µM) as a CCR5 antagonist control for 24 h, and levels of CD4, CD8, and CCR5 at the cellular surface were followed by flow cytometry vs. NT. Data represent the mean ± SD of three individual experiments performed in triplicate. Abbreviations: PBMCs = peripheral blood mononuclear cells; PHA = phytohemagglutinin.