



# Design of Polyamine-Grafted Starches for Nucleotide Analogue Delivery: In Vitro Evaluation of the Anticancer Activity

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Nucleotide analogues are a therapeutic class that is very promising and currently used in clinics, notably against viral infectious diseases and cancer. However, their therapeutic potential is often restricted by a poor stability in vivo, the induction of severe side effects, and limited passive intracellular diffusion due to their hydrophilicity. Polysaccharide-based polymers (e.g., starch) have considerable advantages, including a lack of toxicity and the absence of antigenicity. The aim of this study was to develop new cationic starches able to form complexes with nucleotide analogues, thus protecting them and increasing their cell uptake. At the same time, the material should demonstrate good biocompatibility and low cytotoxicity. Different polyamines, (TREN, TEPA, and spermine) were grafted to starch to evaluate the impact of side-chain properties. The resulting cationic starch derivatives were characterized (e.g., degree of modification) and compared in their ability to form polyplexes with ATP as a model nucleotide. Among the tested candidates, the formulation of starch-TEPA and ATP with an N/P ratio of 2 led to nanoparticles with a size of 429 nm, a PDI of 0.054, and a  $\zeta$  potential of  $-9$  mV. MTT and LDH assays on A549 cell line showed low toxicity for this polymer. Confocal microscopy study proved that the cell internalization was an incubation-time- and energy-dependent process. Most important, starch-TEPA complexed with ddGTP showed significant biological activity on A549 cancer cells compared to that of plain ddGTP at the same concentration.

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### **Liens**

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