Evaluation of Polyamine-Anthracene Conjugates as DNA Ligands: a Potential Anti-Cancer Agent

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The uptake of polyamine-anthracene conjugates into cells is facilitated by the polyamine transport system (PTS). As a result, polyamine-anthracene conjugates have been utilized to selectively target cancer cells that display high PTS activity. While cellular uptake is an essential first step, the cytotoxic effects of the polyamine-anthracenes are likely to involve interactions with macromolecules inside of the cell. The planar aromatic ring system of anthracene coupled with the high positive charge of the polyamine component makes the conjugates potentially excellent DNA ligands. To test this hypothesis, we have examined the DNA interactions of five polyamine conjugates that share a common anthracene core. Data from UV-visible absorption experiments, thermal melting analyses, and gel shift assays suggest that charged polyamine amino groups contribute to binding. The anthracenes with two polyamine chains were accordingly found to display the highest DNA affinity. Possible correlations to PTS activity and cytotoxicity will be discussed.