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Synthesis, drug release and biological evaluation of new anticancer drug-bioconjugates containing somatostatin backbone cyclic analog as a targeting moiety

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Peptide conjugates containing somatostatin (SST) cyclic analogs as a targeting moiety are able to deliver chemotherapeutic agents specifically to cancer cells expressing SST receptors, thereby increasing their local efficacy while limiting the peripheral toxicity. Here, we report on the synthesis and biochemical characterization of new SSTR specific anticancer peptide conjugates, with different anticancer payload acting through different oncogenic mechanisms in order to evaluate their biological activities and to provide a comparative study of their drug release profiles. The SSTR2 specific backbone cyclic peptide 3207-86 was chosen for the synthesis of a variety of novel anticancer drug conjugates with a broad drug release capabilities. The N-terminus of 3207-86 was equipped with GABA in order to generate free amino group available for conjugation of Chlorambucil (CLB), Camptothecin (CPT), Combretastatin 4A (COMB), ABT-751 (ABT) and Amonafide (AM) through formation of various biodegradable bonds. The chemo- and biostability/drug release of all the synthetic compounds was investigated at various pHs and in the presence of mouse liver homogenate respectively. Their selective cytotoxic effect was evaluated on several human cancer cell lines that over express SSTR2. Compared with the free drugs, our peptide-drug conjugates exhibited considerable cytotoxic effect on cancer cell lines vs. low SSTR2 expressed HEK cells. Functional versatility of the conjugates was reflected in the variability of their drug release profiles, while the conserved sequence of a selective binding to the SSTR2 likely preserved their binding to the receptor and consequently their favorable toxicity towards targeted cancer cells.

Biography

Boris Redko is pursuing his PhD in Ariel University, Israel.

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