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Radiolabeling of anti-tumoral peptide for in vivo studies in animal models

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The application of the method of radioactive indicators in research and development of drugs is of great importance for the pharmaceutical industry. Many non-clinical and clinical trials rely on the radiolabelling of the molecules being tested as potential drugs. In the present investigation, a preliminary computational model was developed to obtain the possible structures of the CIGB-300 peptide without radiolabelling and radiolabelling. The radiolabelling with ^{99m}Tc of the antitumor peptide CIGB-300 in its cyclic and non-cyclic forms was studied considering the influence of the peptide mass in the reaction, as well as the influence of the amount of the reducing system. The stability of the radiolabeling was evaluated by mean of cysteine and DTPA challenged and in dilution of serum albumin and fresh human serum. Subsequently, pharmacokinetic evaluation of radiolabeled peptide was performed to verify its tumor uptake in an experimental model in mice and to observe its tissues distribution. Sampling schedule follows a spars data design and the obtained information was processed using the Monolix Suit. Population and individual pharmacokinetic parameters were obtained after selecting the best-fit model. The study was supplemented with scintigraphic images. The results indicate that with only 100 µg of the non-cyclic peptide 98% of radiochemical purity is obtained and is stable, with adequate tumor uptake in the experimental model.

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