agent and adding 37 MBq of sodium pertechnetate solution in a sterile vial. The mixture was boiled at 100°C for 10 min. This solution was filtered through a cellulose ester filter (0,22 μ m) to remove radiochemical contaminants, mainly the 99mTcO2. Radiochemical purity was determined using ITLC-SG/MEK and ITLC-SG/0,9% NaCl. The labeling yield of ceftizoxima with 99mtechnetium was 93.68 ± 1.4 (n = 10). Aliquots of 100 μ l of 99mTc-ceftizoxima (3.7 MBq) were administered to mice by intravenous injection. After 1 and 2 hours, the mice were sacrificed, the blood was collected and organs such as liver, lung, spleen, kidney and stomach were removed for determination of radioactivity. The results showed high uptake of 99mTc-ceftizoxima in kidney, 76.4% and 67.5% at 1 and 2 hours after the injection, respectively. The radioactivity level in other organs was less than 15% of injected dose at both investigated times. These data showed a fast elimination of 99mTc-ceftizoxima by kidney. There is no radioactivity concentration in others organs. It is, therefore, possible to prepare a 99mTc-ceftizoxima kit for posterior detection of infection foci in human being.

Ca 14 - Labelling of vasoactive intestinal peptide (VIP) with radioiodine using different oxidate electrophilic substituition agents

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Vasoactive Intestinal Peptide (VIP) is a 28 amino-acid peptide with a wide range of biological activities. Various tumor cells express significantly higher amounts of VIP receptors that provided the basis for the clinical use of radiolabelled VIP for the *in vivo* localization of adenocarcinomas. The VIP molecule contains two tyrosine residues, in positions 10 and 22, that are theoretically equally susceptible to iodination. In this work, we described the production of radioiodinated VIP in high radiochemical yield and study the influence of the oxidation agents in the production of different labeled forms of VIP. All labelling procedures employed human VIP (12.5 and 25.0 mg, Sigma) dissolved in 20 mL of 0.2M phosphate buffer pH 7.5 and [¹³¹I]NaI solution (3.7-7.4 MBq/10 mL). Two labelling procedures using Iodogen as oxidant agent were employed. In the first one, Iodogen was dissolved in dichlomethane, dispersed in the bottom of a polypropylene iodination vial (5 to 15 mg) and evaporated to dryness. In a second procedure, Iodogen was introduced as a suspension (3, 6 and 12 mg). To the reaction mixture containing the VIP, [¹³¹I]NaI and Iodogen in the appropriated form, 5 mL of KI (0.10 ng) and 40-50 mL of 0.2 M phosphate buffer pH 7.5 were added. The iodinations were allowed to proceed for 30 minutes at room temperature with gentle stirring. Another labelling procedure was developed using 5 mL of Chloramine T (1.0 mg Chloramine T/mL distilled water) as oxidant agent and after few minutes of reaction (varing from 5 seconds to 3 minutes) at room temperature and gentle stirring, the reaction was terminated by the addition of 5 mL of sodium methabisulfite solution (2.0 mg Na, S, O_z / mL distilled water). Aliquots of the reaction mixture were injected into a HPLC system (column RP C18, 10 mm, 4.2 x 50 mm, Waters) eluted isocratically with 73% aqueous TFA (trifluoroacetic acid 1% solution) and 27% acetonitrile with a flow rate of 0.5 mL/minute. Free radioiodine was determined by horizontal zone electrophoresis (Amershan) on Whatman 1 MM paper, 0.1 M barbital buffer, pH 8.6, using a field of 295 V for 40 minutes. Only 6 mg of Iodogen suspension produced high radiochemical yield (about 97%), equivalent to 15 mg of Iodogen in a pre-coated tube form. Good radiochemical yields were also obtained using Chloramite T as oxidant agent in a very low reaction time (1 minute). Comparativelly, labelling final yield using Chloramine T method was higher than using Iodogen. Radioactivity HPLC profile of the labelling conditions employing Iodogen as oxidant agents are quite similar when using Iodogen in the form of pre-coated tube or as suspension, with four peaks that are probably related to the VIP-Tyr¹⁰ and VIP-Tyr²² radioiodinated species and the correspondents VIP_{av} species, with the Methionine residue (Met¹⁷) presented in an oxidated state. When using Chloramine T as oxidant agent, only two peaks are observed, correspondent to the VIP_{ox} species. We concluded that radioiodinated VIP can be obtained with high labelling yield and radiochemical purity, in a short reaction time, when using Chloramine T as oxidant agent. The proposed isocratic HPLC system possibilited the separation of labelled VIP in high specific activity, necessary for receptor-mediated diagnostic procedures with radiopharmaceuticals.