MICROWAVE-ASSISTED RAPID SYNTHESIS OF ^{166}Ho-1,4,7,10-TETRAAZACYCLODODECANE-*N*,*N*′,*N*′′,*N*′′′-TETRAACETIC ACID (DOTA): A BIFUNCTIIONAL CHELATING AGENT FOR RADIOPHARMACEUTICALS

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Non carrier-free ^{166}Ho is currently obtained by a neutron irradiation of ^{165}Ho [^{165}Ho(n, γ)^{166}Ho] and carrier-free ^{166}Ho is currently obtained by a separation from a neutron irradiated $^{164}Dy_2O_3$ target from a nuclear reactor [1]. Due to its excellent physical properties such as 26.8 h half life and the fact it decays with the emission of high-energy β particles with energies of 1.78 MeV (49 %) and 1.86 MeV (51 %) corresponding to a maximum soft tissue penetration of 8.5 mm, and with the emission of one gamma photon with an energy of 80.6 keV suitable for a gamma imaging, ^{166}Ho has received considerable scientific attention for its therapeutic applications [2-4]. 1,4,7,10-tetraazacyclododecane-*N*,*N*′,*N*′′,*N*′′′-tetraacetic acid and its derivatives [5,6] have been widely applied in the field of radioactivity labeled biologically active molecules for pharmaceutical purposes. These bifunctional chelating agents (BFCs) enable the indirect labeling of biomolecules. A rapid procedure for the preparation of ^{166}Ho-1,4,7,10-tetraazacyclododecane-*N*,*N*′,*N*′′,*N*′′′-tetraacetic acid (DOTA), a bifunctional chelating agent for therapeutic radiopharmaceuticals, was established. The [^{166}Ho(DOTA)]$^-$ chelate was prepared in very short reaction times (0.5-1 min) with good yields (>99 %) under a microwave irradiation (300 W), while it was prepared at elevated temperatures at over 3 h for the conventional method. A microwave irradiation offers a rapid and efficient methodology for the formation of the ^{166}Ho-complex.

References

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