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Radiolabelling and Preliminary Biodistribution Study of Samarium-153-Zoledronic Acid As A Novel Bone Pain Palliative Agent

Background: A large number of advanced stage breast cancer, prostate cancer and lung cancer patients suffering from severe bone pain due to the metastases of the disease. The conventional therapy which includes oral analgesics and localised external radiotherapy has been associated with various unwanted side effects. Targeted radiotherapy using radiolabeled bisphosphanate complexes are known to be the most effective agent. These compounds bind avidly to the bone and the radiation emitted from the radionuclides can substantially reduce the formation of bone tumor. Zoledronic acid (ZOL), a bisphosphonate agent, is currently being widely used in clinical as osteoclast bone resorption inhibitor. ZOL has proven to be an effective agent to prevent the manifestation/occurence of skeletal-related complications in patient with bone metastases.
Objectives: The aim of this study was to develop ZOL-Samarium-153 as potential radiotherapy agent. The 153Sm-ZOL complexes were assessed for its radiolabelling efficiency, in vitro stability, and bone uptake visualized by whole body scintigraphic images using Sprague Dawley rats.
Methodology: Production of 153Sm was performed using 152Sm(n,gamma)153Sm reaction at TRIGA PUSPATI reactor located at Agensi Nuklear Malaysia. Enriched 152Sm (purity>98%) was used to produce Sm-153. ITLC-SC strips, were used for radiochemical purity studies. Radio-chromatography were performed by using BIOSCAN scanner, connected with NaI(Tl) detector. Scintigraphic images of whole body were acquired using T-Quest gamma camera integrated with the NuQuestTM software to produce 2D whole body image. The rat was placed on a flat hard surface with both legs spread out and all legs fixed with surgical tape, then an aliquot of 0.2-0.3 ml containing 18.5-37MBq of 153Sm-ZOL was injected intravenously via the tail vein. Ketamine/xyline were used for anethazing the rats before recording the scintigraphic images. All others activity measurement were made with Na(I) gamma counter.
Results and Discussion: The labelling yield was found to be greater than 99.1+0.07. 153Sm-ZOL moves with solvent front with Rf value of 0.89+0.01, while free samarium-153 remained at the point of origin. Biodistribution and localization of free Samarium-153 cation solution and 153Sm-ZOL were studied using Sprague Dawley rats as animal model. It was observed that for 153Sm cation, the biodistribution was mainly accumulated in the liver, as expected. The uptake of 153Sm-ZOL in rat's bone was visualized after accumulation of injected 153Sm-ZOL. Our preliminary study showed that free 153Sm-ZOL was excreted via the kidney. The tracer was clearly visible in bone at 2d, 4d and 7d post administration and the uptake in bone increased with time and accumulated in bone as expected for bone avid radiopharmaceuticals.
Conclusion: Radiolabelling of 153Sm-ZOL was successful with radiochemical purity (>99%). The complex also exhibited significant stability in room temperature for up to 24h in vitro. Scintigraphic imaging in rats shows high uptake of complex in skeleton up to 7 days, the duration of studies. The biodistribution results of 153Sm-ZOL demonstrated that this tracer has great potential to be a new candidate for clinical applications for bone pain palliation therapy

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