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A novel therapeutic phthalimide derivative for cancer: Synthesis, radioiodination and biological evaluation
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Abstract
Objective of the study: Developing novel agents for tumor diagnosis and therapy is relevant in the attempt to improve prognosis and to increase the patient survival. The target of this study is the synthesis of a new phthalimide derivative and radiolabeling with one of the most important therapeutic radioisotope; iodine-131 to be investigated as a novel therapeutic agent for cancer.
Methodology: Synthesis of biologically active novel phthalimide derivative containing pyrimidine moiety, N-(6-(2-hydroxyphenyl)-2-mercaptopyrimidin-4-yl)phthalimide (HSPMPTH), in two steps from the intermediate chalcone by the claisen-schimidt condensation of N-acetylphthalimide with salicaldhyde, and then chalcone undergo a subsequent cyclization reaction with thiourea. The synthesized compounds were characterized by IR, mass and 1H-NMR spectra. New synthesized phthalimide derivative was radiolabeled with iodine-131 by direct electrophilic substitution reaction using chloramine-T as oxidizing agent. Radiochemical yield was determined by using different chromatographic techniques (HPLC, paper chromatography and paper electrophoresis). Factors affecting labeling yield were optimized and biological evaluation in solid tumor bearing mice was studied in details.
Results and Discussion: The synthesized phthalimide derivative was prepared in excellent yield (about 86 %) and its structure was confirmed by IR, mass and 1H-NMR spectra. The radioiodination study of HSPMPTH showed high radiochemical yield of 95.20 ± 1.30 and good in vitro and in vivo stability of the 131I-HSPMPTH. Biodistribution study for radioiodinated HSPMPTH in solid tumor bearing mice showed high solid tumor uptake and T/NT ratio (8.45 ± 0.08 at 30 min. post-injection) compared with many new tracers which have been developed in recent years.
Conclusion: 131I-HSPMPTH accumulated specifically in the solid tumor with high T/NT ratio suggesting this tracer could be considered as the potential lead for its development as a new therapeutic agent for cancer.

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