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A Microscale Synthesis of A Promising Radiolabelled Antitumor Drug : cis- 1,1- cyclobutanedicarboxylato (2R) -2-methyl-1,4-butanediamine platinum (II), NK121*

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A promising antitumor drug, cis-1,1-cyclobutane-dicarboxylato (2R)-2-methyl-1,4-butanediamine platinum(Ⅱ), NK 121, was synthesized from radionuclides of platinum such as ^{193m}Pt, ^{195m}Pt and ^{191}Pt which were produced by neutron irradiation of enriched ^{192}Pt. The overall yield was 38.6% in a synthesis time of 10 hours. The radioactivities present in 8.39 mg of NK 121 were 115.3 μ Ci as ^{193m}Pt, 29.9 μ Ci as ^{197}Pt, 22.0 μ Ci as ^{195m}Pt, and 4.8 μ Ci as ^{191}Pt at the end of synthesis. The specific activity of the NK 121 was 13.7 μ Ci (^{193m}Pt)/mg NK 121 at the end of synthesis. The radiochemical purity of NK 121 was typically 99 %. HPLC analyses confirmed that NK 121 was in an adequate chemical purity and suitable for animal experimentation.

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