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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*

Masato Suwa**, Osamu Kogawa**, Hiroyoshi Nowatari**, Yuko Murase, Yoshio Homma and Yutaka Hashimoto**

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 µCi as $^{193m}$Pt, 29.9 µCi as $^{197}$Pt, 22.0 µCi as $^{195m}$Pt, and 4.8 µCi as $^{191}$Pt at the end of synthesis. The specific activity of the NK 121 was 13.7 µCi ($^{193m}$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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