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| Title            | □ □ □Tc標識シンチスキャン剤の開発  |
| Sub Title        |   |
| Author           | 加留部, 善晴(Karube, Yoshiharu)<br>松島, 美一( Matsushima, Yoshikazu)  |
| Publisher        | 共立薬科大学  |
| Publication year | 1982  |
| Jtitle           | 共立薬科大学研究年報 (The annual report of the Kyoritsu College of Pharmacy). No.27 (1982. ),p.118- 118   |
| Abstract         |   |
| Notes            | 学会講演要旨  |
| Genre            | Technical Report  |
| URL              | <a href="http://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000027-0121">http://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000027-0121</a> |

〔結果・考察〕 合成した配位子は S-カルボキシメチルシステイン等の S-置換含硫アミノ酸およびグルタチオン, リジンおよびオルニチン-<sup>14</sup>N, <sup>15</sup>N-ジ酢酸, ジおよびトリグリシン-N,N-ジ酢酸, タウリン-N,N-ジ酢酸, エチレンジアミン-N,N-ジ酢酸等である。<sup>99m</sup>Tc 標識化率はすべて 90% 以上であった。 $\gamma$ -カメラによる膵形態造影は不鮮明な像しか得られなかった。<sup>99m</sup>Tc 標識体は腎, 肝, 胆のうに集積し血中放射能が高く, 膵親和性は <sup>75</sup>Se-セレノメチオニンより低かった。<sup>99m</sup>Tc 標識化に伴ない, アミノ酸やペプチドの膵親和性が低下したと考えられる。

### <sup>99m</sup>Tc 標識シンチスキャン剤の開発

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〔第 1 回金属の関与する生体関連反応シンポジウム (1982年 6 月, 東京) で発表〕

For scintigraphy, Tc-99m is an ideal radionuclide for its optimal half life and good quality scintigrams. There is at present time no outstanding Tc-99m radiopharmaceuticals for the imaging of various malignant tumors. A need exists for such agents.

Hoping to find good radiotracers for tumors, we prepared Tc-99m complexes of substances which were expected to have affinity for tumor tissues such as amino acids, peptides, and porphyrins and studied the scintigraphic behaviors in experimental animals bearing spontaneous or transplanted tumors.

In the course of the study, we found that S-containing amino acids and peptides were complexed with Tc-99m in high yield, and the images of transplanted Ehrlich tumor in mice were visualized with the complexes of cysteine, S-carboxymethylcysteine, and glutathione. Recently Tc-99m complex of ethylenediamine-N,N-diacetic acid (EDDA) was found to give much more satisfactory scintigrams of Ehrlich tumor in mice. Sequential scintigrams show that the image of the tumor was recognized in 1 hr and visualized very clearly 2—5 hr after the i.v. administration of Tc-99m complex of EDDA. The radioactivity was not accumulated in any specific organ other than the tumor and excreted through kidneys. The Tc-99m EDDA complex was also effective for scintigraphic visualization of other malignant tumors in experimental animals.

A number of chelating ligands structurally related to EDDA were examined for Tc-99m labeled radiotracers for tumors. Among the Tc-99m complexes examined, those of ethylenediamine-N,N'-diacetic acid, N-hydroxyethyliminodiacetic acid, and propylene-1,3-diamine-N,N-diacetic acid achieved clear visualization of Ehrlich tumors.

Studies on the scintigraphic visualization of human tumors and on the mechanism of the concentration in the tumor tissues of the Tc-99m complexes are in progress in our laboratories.

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