Metallic complexes for radiolabeling

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Astatine-211 is an isotope of interest for many radiopharmaceuticals due to its short half life and simple decay scheme. In order for it to be used in radiopharmaceuticals, however, it must be attached to other molecules which can transport or target specific cells such as cancer cells. To achieve this, the isotope must be attached via radiolabeling. Previous efforts in radiolabeling have been successful using rhodium triphenylphosphine ligands, but requires the reduction of At-211 into its negative oxidation state.1 The goal of this project is to achieve consistent radiolabeling with other metallic centered complexes while also achieving full reduction of astatine into its negative oxidation state.

Astatine-211 is produced at TAMU via bombardment of a bismuth target with an alpha beam. This target is then dissolved in a high concentration of nitric acid, which leads to astatine in its At^+ and AtO^+ oxidation state. This At^+ and AtO^+ must then be reduced via a reducing agent so that it can be used in this radiolabeling scheme. Reduction of the astatine has been observed via radio-TLC using varying amounts of cysteine, with higher amounts leading to more reduced astatine. Complete reduction of the astatine, however, has not been achieved consistently, with about half of the astatine remaining in its At^+ or AtO^+ oxidation state. Observations with hydroxylamine as a reducing agent in other experiments show success as well, making it a desirable option as a reducing agent in the future.

Once the reduction of astatine has been achieved, the reduced astatine is then mixed with the complex of interest. Currently, only gold triphenylphosphine complexes (see Fig. 1) have been tested with

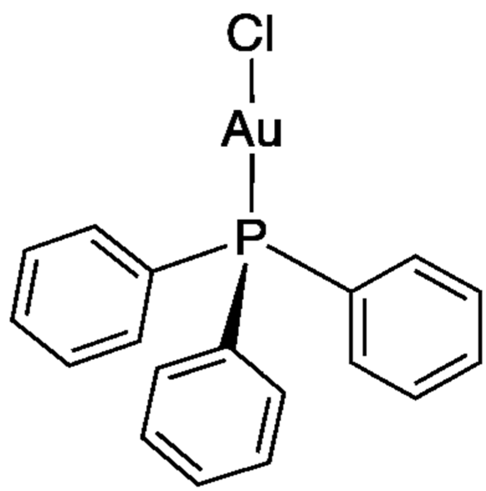

Fig. 1. Gold triphenylphosphineligands used for radiolabeling experiments.

varying degrees of success. While some complexation has been observed, the presence of At^+ and AtO^+ has caused the complexation to be obscured as it does appear in the same region when observing via radio-TLC. The overlap of the peaks on the radio-TLC indicates the need to find a way to completely isolate the At- state from the At^+ and AtO^+ before adding the complexes.

Future directions for this project include using different metallic complexes for radiolabeling, finding new ways to isolate At- after reduction, and trying new reducing agents to achieve full reduction of astatine.

[1] H. Rajerison, F. Guérard, M. Mougin-Degraef, M. Bourgeois, I. Da Silva, M. Chérel, J. Barbet, A. Faivre-Chauvet, J.F. Gestin, Nucl. Med. Biol. Suppl:e23-9. (2014); doi:
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