Radioactive gold-198/199 can be used in therapeutic radiopharmaceutical agents to combat cancer. In this thesis, two projects involving the development of radioactive gold-198/199 are described. The first project discusses the first tetridentate Au(III) bis-thiosemicarbazones (Au-ATSM/PTSM) that were synthesized and characterized. At radiotracer levels using Au-198/199 required a high ratio of gold-to-ligand (1:>100). Our studies indicated that Au(III) can be coordinated to both ATSM or PTSM ligands. These results allowed for further investigation into a variety of bis-thiosemicarbazide derivatives to coordinate Au(III).

The second project involved radioactive gold nanoparticles (AuNPs) that were synthesized, characterized, and evaluated in vivo. Three stabilizing agents (starch, gum Arabic, and epigallocatechin gallate (EGCG)) were studied to determine the ease of syntheses of AuNPs, relative stability to aggregation, and toxicity in vivo. Incorporating radioactive Au-198/199 allowed for biodistribution studies by three administration routes (intravenous (IV), intraperitoneal (IP), and intratumoral (IT) injections) in prostate cancer bearing mice. These studies indicated that radioactive (Au-198/199) AuNPs can be used to treat prostate cancer by IT injection. Two of the three stabilizers (gum Arabic and EGCG) were further evaluated for treating prostate tumors in mice. The results indicated that the radioactive AuNPs reduced the tumor size with no apparent toxic effects.