Targeted alpha-ray therapy for refractory thyroid cancer

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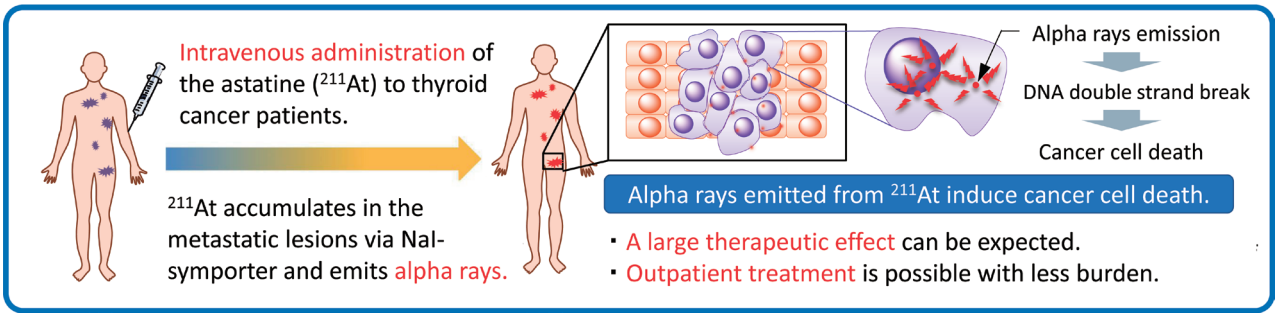
Project Outline

Cancer treatment using alpha rays has garnered attention, with excellent therapeutic effects reported in the treatment of advanced cancers. In the treatment of differentiated thyroid cancer, beta-ray therapy involving radioactive iodine (^{131}I) is commonly employed, but the therapeutic effect may prove insufficient. In addition, it needs isolated hospitalization in dedicated rooms due to regulation. Conversely, alpha rays emit a substantial amount of energy within a short range and have minimal radiation impact on their surroundings, making them suitable for outpatient treatment. Astatine (^{211}At) is an alpha-emitting nuclide that exhibits properties similar to iodine and accumulates in thyroid cancer cells. In preclinical studies, we have confirmed the efficacy and safety of [^{211}At]NaAt and have successfully established stable production as an investigational drug at Osaka University Hospital. We are conducting an investigator-initiated clinical trial using the alpha-ray nuclide astatine (^{211}At), with the goal of practical application as a therapeutic drug that places less burden on both patients and medical institutions



Patent information

(1) Japanese Patent Application No. 2017-255109 (PCT / JP2018 / 048442)
Astatine solution and method for producing the same.
Filing date: Dec 29, 2017 (PCT filing date: Dec 28, 2018)

(2) Japanese Patent Application No. 2017-235141 (PCT / JP2018 / 045068)
Method for producing astatine
Filing date: Dec 09, 2017 (PCT filing date: Dec 07, 2018)

(3) Japanese Patent Application No. 2018-048560 (PCT / JP2019 / 008043)
Radionuclide production system, radionuclide production program, radionuclide production method, and terminal device
Filing date: Mar 15, 2018 (PCT filing date: Mar 14, 2019)

Comparison with existing drugs

	^{131}I (Iodine)	^{211}At (Astatine)
Types of radiation	Beta ray	Alpha ray
Biological effect ratio	1	5
Therapeutic effect	Mild to moderate	High
Range	Short	Extremely short
Gamma ray emission	Large	Small
Dosage (MBq)	Large	Small
Half-life	About 8 days	7.2 hours
Side effects	Mild	Mild
Hospitalization in a dedicated room	Necessary	No
Outpatient treatment	×	○
Domestic self-sufficiency	×	○

Investigator-initiated clinical trial (Alpha-T1 trial: Phase I) (November 2021 to March 2025)
Target: Patients with differentiated thyroid cancer who cannot obtain therapeutic effect with standard treatment or who have difficulty in implementing and continuing standard treatment (planned number of cases: maximum 32 cases)
Objective: A single intravenous dose of [^{211}At]NaAt will be administered to evaluate safety, pharmacokinetics, absorbed dose, and efficacy to determine recommended doses after the Phase II study.