Targeted alpha-ray therapy for refractory thyroid cancer

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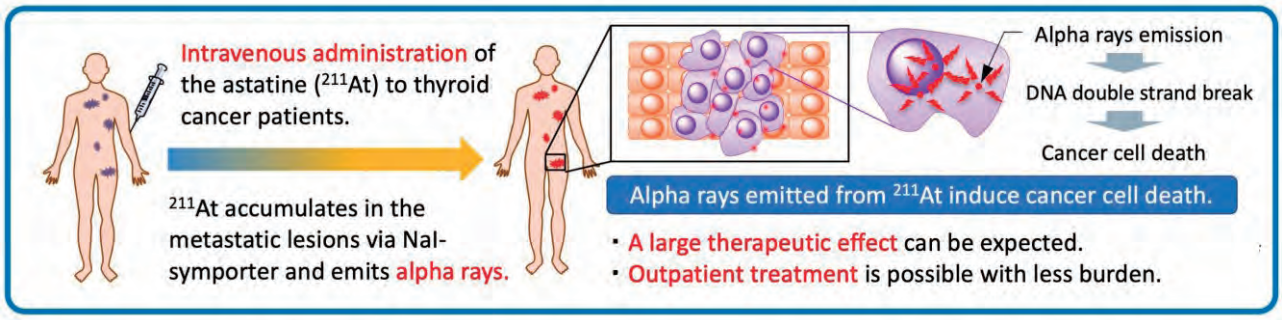
Project Outline

Cancer treatment using alpha rays has attracted attention, and good therapeutic effects have been reported in the treatment of advanced cancer, which has been exacerbated by conventional beta rays. In the treatment of differentiated thyroid cancer, beta-ray treatment using radioactive iodine (^{131}I) is being performed, but the therapeutic effect may not be sufficient, and isolated hospitalization in a dedicated room is required. On the other hand, alpha rays emit a large amount of energy in a short range and have almost no effect of radiation on the surroundings, and they can be used in outpatient clinics. Astatine (^{211}At) is an alpha-emitting nuclide that exhibits similar properties to iodine and is taken up by thyroid cancer cells. In preclinical studies, we have verified the efficacy and safety of [^{211}At]NaAt, and succeeded in stable production as an investigational drug at Osaka University Hospital. Currently, after the approval of the clinical trial review committee, we are conducting a investigator-initiated clinical trial using the alpha-ray nuclide astatine (^{211}At), aiming at the practical goal as a therapeutic drug with 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 2024)

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 16 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.