Drugs ～Cancer～

Innovative alpha therapy targeting PSMA for refractory prostate cancer

Principal Investigator

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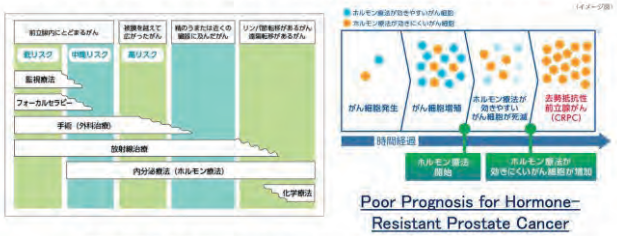
Project Outline

Unmet needs in prostate cancer

- Patient data (2018, Japan)
  - Number of new patients: 92,021/year (1st male)
  - Number of deaths: 12,544/year
- Castration-resistant prostate cancer
  - Five-year survival rate: 42% (low risk), 24% (intermediate risk), 5% (high risk)



Poor Prognosis for Hormone-Resistant Prostate Cancer

(National Cancer Center Cancer Information Service https://betterl.bayer.jp/ , Armstrong AJ. et al. Eur Urol. 2020.)

PSMA theranostics

(Prostate specific membrane antigen)

- Membrane protein highly expressed on the membrane surface of prostate cancer cells
- Expressed in most of prostate cancers, including castration-resistant prostate cancer

(F. Giesel et al. EJNMMI. 2016)

(* Approved by FDA)

^{211}At-PSMA5: new alpha therapy

[^{18}F]PSMA-1007 PET

(Clinical research in Osaka University)

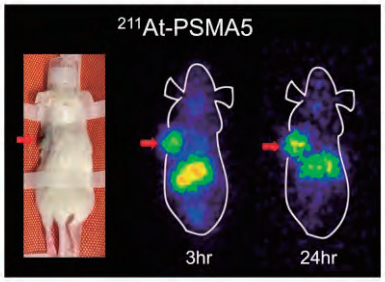
^{211}At-PSMA5 therapy

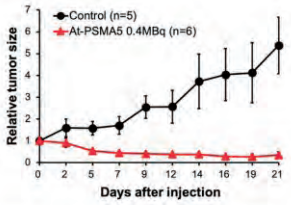
(Patent filed)

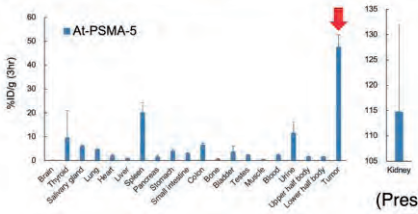
In Osaka University, we developed a new drug ^{211}At-PSMA5 by replacing the radionuclide with ^{211}At. ^{211}At is an alpha-emitting nuclide that can be produced in an accelerator, which can be used on an outpatient basis and manufactured domestically.

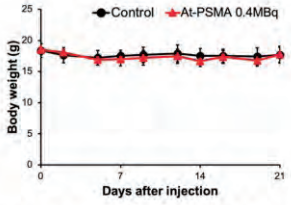
(Collaborative research with Heidelberg and Dusseldorf University)

^{211}At-PSMA5: new alpha therapy









(Presented at SNMMI2022, Revision in EJNMMI)

Comparison (^{177}Lu, ^{225}Ac, and ^{211}At)

	^{177}Lu-PSMA	^{225}Ac-PSMA	^{211}At-PSMA5
Radiation	β	α	α
Half-life	7 days	10 days	7.2 hrs
Therapeutic effect	△～○	◎	◎
Exposure to surroundings	Relatively high	very low	Very low
Isolation	Required	Not required	Not required
Outpatient treatment	×	○	○
Domestic production	× (Reactor)	△	◎
Cyclotron manufacturing	×	△	◎
Imaging	○	×	○
Approval status	FDA approved	No	No

Target disease: prostate cancer
Patent information: A substance patent has been applied for (application number: JP 2021-125774)
Technology features: An anticancer drug that emits alpha rays for advanced cancer with multiple metastases
Future plans: Accepted for AMED translational research (seeds F) in 2022-2026, and Phase I clinical trials are scheduled to start in 2024.