

Online Resource1

Detailed Inclusion and Exclusion Criteria

General Exclusion Criteria for All Participants

Participants were excluded if they had any of the following:

- A history of hypersensitivity to any drugs or alcohol.
- Contraindications to PET/CT scanning (e.g., claustrophobia).
- Any disease or trauma that could affect pharmacokinetics.
- Requirement for prostate cancer treatment (other than hormone therapy) within 7 days post-injection.
- Active infections (Hepatitis B, Hepatitis C, HIV (Human Immunodeficiency Virus), or others requiring systemic treatment).
- Unwillingness to use effective contraception for 3 months post-injection.
- Participation in another clinical trial within 3 months prior to consent.
- Any other condition deemed inappropriate for study participation by the investigator.
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Inclusion Criteria for Step 1: Healthy Volunteers

Eligible healthy volunteers were Japanese men who met the following criteria:

- Age: 18–65 years.
- BMI (Body Mass Index): 18–28 kg/m².
- Non-smoker for at least 6 months.
- Normal vital signs and clinical laboratory values within institutional reference ranges.
- QTcF (Fridericia's corrected QT interval) <450 ms on a 12-lead ECG.

Inclusion Criteria for Step 2 & 3: Patients with Prostate Cancer

Eligible patients were Japanese men with histologically confirmed prostate cancer who met the following general criteria:

- Age: 18–80 years.

- **ECOG (Eastern Cooperative Oncology Group) Performance Status:** 0–2.
- **Adequate organ function:**
 - **Hematologic:** WBC (White Blood Cell count) $\geq 3,000/\mu\text{L}$, Hemoglobin $\geq 9.0\text{ g/dL}$, Platelets $\geq 100,000/\text{mm}^3$.
 - **Renal:** eGFR (estimated Glomerular Filtration Rate) $\geq 60\text{ mL/min/1.73m}^2$.
 - **Hepatic:** AST (Aspartate Aminotransferase) $\leq 90\text{ U/L}$, ALT (Alanine Aminotransferase) $\leq 126\text{ U/L}$.

Specific Criteria for Step 2 (Treatment-Naïve, High-Risk):

- No prior treatment for prostate cancer (active surveillance was permitted).
- At least one high-risk feature: PSA (Prostate-Specific Antigen) $>20\text{ ng/mL}$, Gleason score ≥ 8 , or clinical stage T2c/T3.
- No evidence of distant metastasis at enrollment.

Specific Criteria for Step 3 (Biochemical Recurrence):

- History of definitive treatment for prostate cancer.
- PSA $\geq 0.2\text{ ng/mL}$ at screening.
- Evidence of suspected recurrent or metastatic lesions on recent imaging amenable to biopsy.