THERANOSTICS INSIGHTS

^{188}Re-RHN001

Radioisotope

Re-188, Rhenium-188
T½ : 17h

Production

^{188}W/^{188}Re generator

Radiation

Beta particles (β-)
Gamma photons (γ)

Use

In clinical trial for metastatic castration-resistant prostate cancer (mCRPC)

Target/Mechanism

Prostate-specific membrane antigen (PSMA) is overexpressed in 90% of prostatic adenocarcinomas. The small molecule RHN001 when labelled with Re-188 binds to the receptor, is internalized in the tumour cell, and induces DNA breakage causing cell death.

Insight

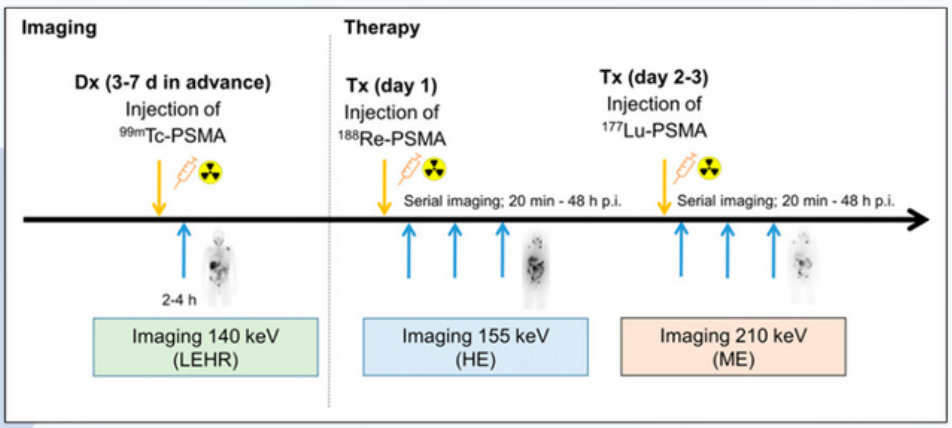
The ^{99m}Tc/^{188}Re-RHN001 theranostic pair is commencing a novel Phase I/IIa theranostic clinical study (the 'RHINO Trial') at NuMeRi, University of Pretoria, South Africa, exploring the safety profile and efficacy of both ^{99m}Tc-RHN001 and ^{188}Re-RHN001 in patients with advanced prostate cancer.

N patients: 27 participants

Design: 3 Cohorts. Cohort A = ^{99m}Tc-RHN001 safety and dosimetry (n=10), Cohort B = ^{188}Re-RHN001 safety and dosimetry (n=5), Cohort C = ^{188} Re-RHN001 dose escalation (n=3x4)

Preliminary results: RHN001 labels stably with ^{99m}Tc and ^{188}Re. Preclinical evaluation of the compounds revealed favorable characteristics of the PSMA-targeted theranostic tandem. This result was confirmed by successful translation into first-in-humans application.

Figure: Dual-photopeak imaging for intraindividual comparison of ^{188}Re-RHN01 vs. ^{177}Lu-PSMA-617 pharmacokinetics. Dx= diagnosis; HE= high-energy; LEHR= low-energy high resolution; ME= medium-energy; p.i.= after injection; Tx= treatment.



Source: Cardinale et al J Nucl Med 2023; 64:1069–1075.