

Radioisotope

Lu-177, Lutetium-177
Transition metals
 $T_{1/2}$: 6.71 days

Production

In nuclear reactor:
Direct: ^{177}Lu (n, γ) ^{177}Lu nca
Indirect: ^{176}Yb (n, γ) ^{177}Yb (β^-)
 ^{177}Lu ca.

Radiation

Beta particles (β^-)
Gamma photons (γ)

Use

Potential treatment of cancers expressing bombesin receptors such as: breast cancer, lung prostate, glioblastoma.

Target/Mechanism

NeoB is an antagonist of the gastrin-releasing peptide receptor (GRPR), bombesin receptor subtype 2, overexpressed in some types of cancer. ^{177}Lu -NeoB is internalized in the tumor cell and β^- -radiation induces DNA breakage causing cell death.

Insight

In 2019, the Phase I/II multicenter clinical trial “NeoRay - [^{177}Lu]-NeoB in Patients With Advanced Solid Tumors and With [^{68}Ga]-NeoB Lesion Uptake” (NCT03872778) was started this first-in-human (FIH) study.

AIM: characterize the safety, tolerability, PK, distribution and radiation dosimetry, and anti-tumor activity in patients with advanced solid tumors known to overexpress GRPR and with [^{68}Ga]-NeoB lesion uptake.

PATIENTS: 86 adults with advanced or metastatic solid tumors: lung, breast, prostate, glioblastoma, gastrointestinal stromal tumor (GIST)



Phase I: Dose escalation - Incidence of dose limiting toxicities (DLTs), Determination of Maximum Tolerated Dose (MTD)

Phase IIa: Expansion part - Disease Control Rate (DCR), Absorbed radiation doses.

Cohort A: Breast cancer (HR-positive, HER-2 negative) | Cohort B: Prostate cancer
Cohort C: GIST | Cohort D: patients affected by any metastatic solid tumor type suspected to overexpress GRPR, and with moderate impaired renal function.