

Radioisotope

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

Production

In nuclear reactor:
 $^{176}\text{Yb} (n, \gamma) ^{177}\text{Yb} (\beta^-) ^{177}\text{Lu}$

Radiation

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

Use

In clinical trial for Clear Cell Renal Cell Cancer (ccRCC), Pancreatic Ductal Adenocarcinoma (PDAC), Colorectal Cancer (CRC)

Target/Mechanism

Carbonic Anhydrase IX (CAIX) is a metalloprotease expressed in many tumor types and with very limited expression in healthy organs. DPI-4452 is a peptide targeting CAIX, its DOTA cage can be labelled with several different radionuclides. ¹⁷⁷Lu-DPI-4452 binds to the receptor, is internalized in the tumor cell, and induces DNA breakage causing cell death.

Insight

¹⁷⁷Lu-DPI-4452 and its radiotheranostic pair, ⁶⁸Ga-DPI-4452, are in clinical trial Phase 1/2.

N patients: 170 participants

Design:

Part A: evaluate safety, tolerability and tracer uptake after a single intravenous (IV) administration of ⁶⁸Ga-DPI-4452 for each tumor type.

Part B: determine the recommended phase 2 dose (RP2D) [maximum tolerated dose (MTD) or lower dose] for ¹⁷⁷Lu-DPI-4452 for each tumor type.

Part C: evaluate the preliminary antitumor activity of ¹⁷⁷Lu-DPI-4452 as monotherapy.

