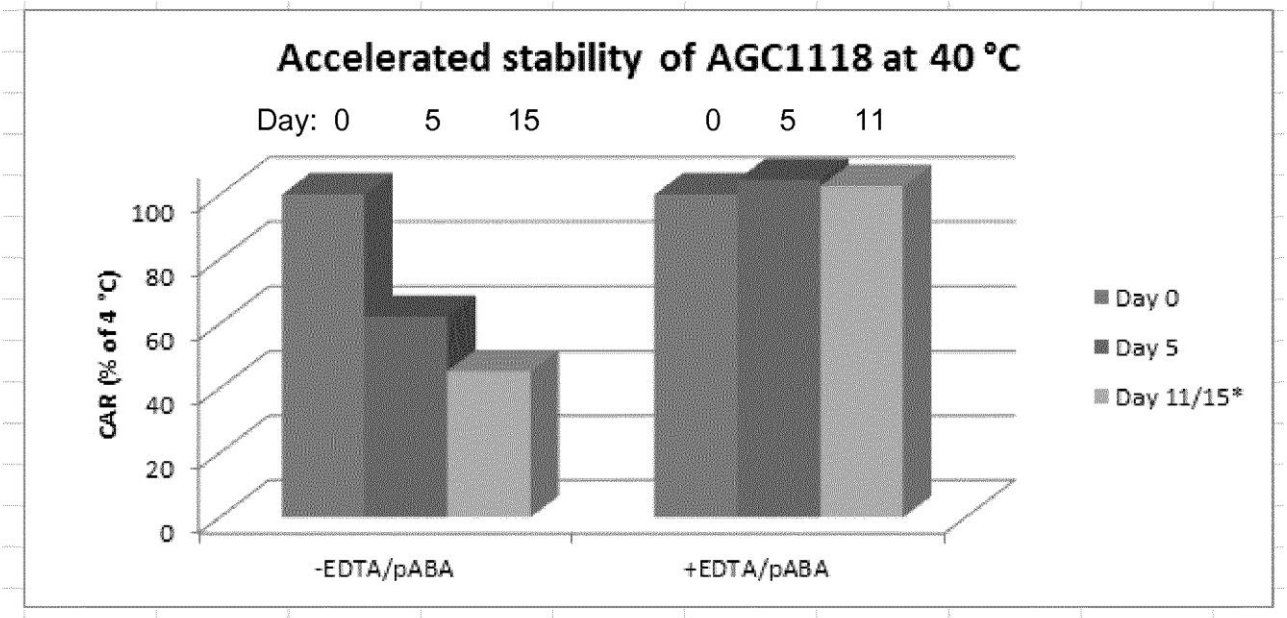
Figures



* Day 11 for sample with EDTA/pABA

Stability protocol: 5 µl conjugate AGC1118 (approx. 1 mg/ml) was injected on a TSKgel SUPER SW 3000 column, 4 µm, 4.6 x 300 mm (Tosoh Bioscience) to separate the monomer from aggregates, fragments and formulation buffer components. Mobile phase was 0.2M ammoniumacetate added 0.3 M NaCl run at a flow of 0.35 ml/min at ambient temperature. The detection wavelengths were set to 335 nm and 280 nm and the chelate to antibody ratio (CAR) determined using the area ratio of 335 to 280 using the extinction coefficients of the chelator and mAb respectively.

Figure 1: Data demonstrating the stabilising effect of EDTA/ PABA on the antibody conjugate AGC1118 in solution.

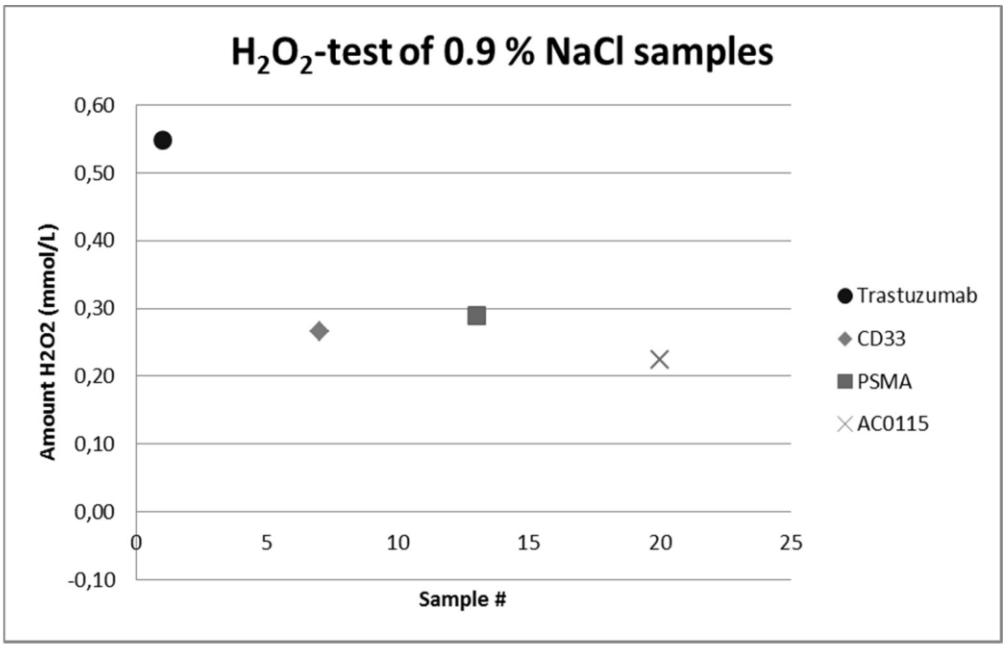

H_2O_2-test of 0.9 % NaCl samples
Amount H2O2 (mmol/L)
0,60
0,50
0,40
0,30
0,20
0,10
0,00
-0,10
0
5
10
15
20
25
Sample #
Trastuzumab
CD33
PSMA
AC0115

Fig. 2a)

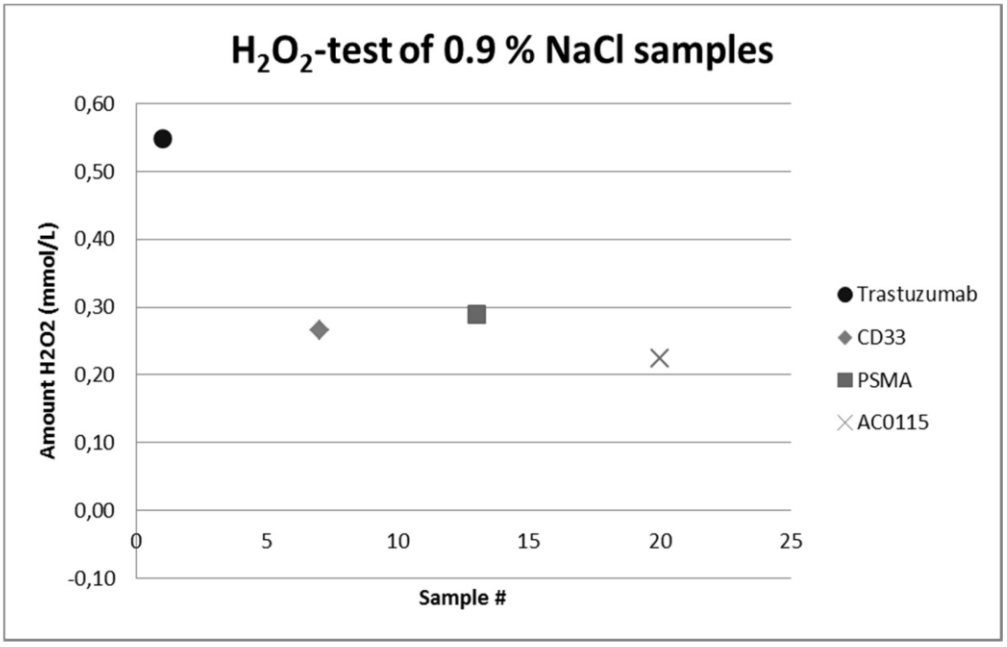

H_2O_2-test of 0.9 % NaCl samples
Amount H2O2 (mmol/L)
0,60
0,50
0,40
0,30
0,20
0,10
0,00
-0,10
0
5
10
15
20
25
Sample #
Trastuzumab
CD33
PSMA
AC0115

Fig. 2b)

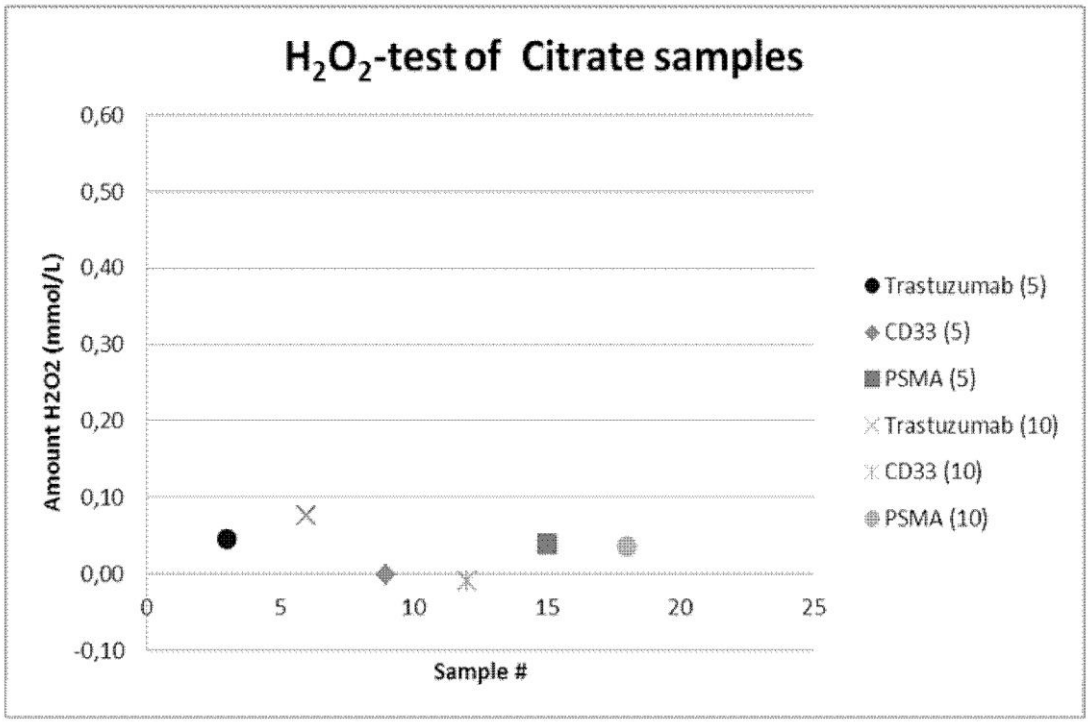


Fig. 2c)

Figure 2: Effect on hydrogen peroxide levels of different buffers containing antibody HOPO conjugates irradiated with 10 kGy of radiation: a) – NaCl, b) – Acetate, c) – citrate.

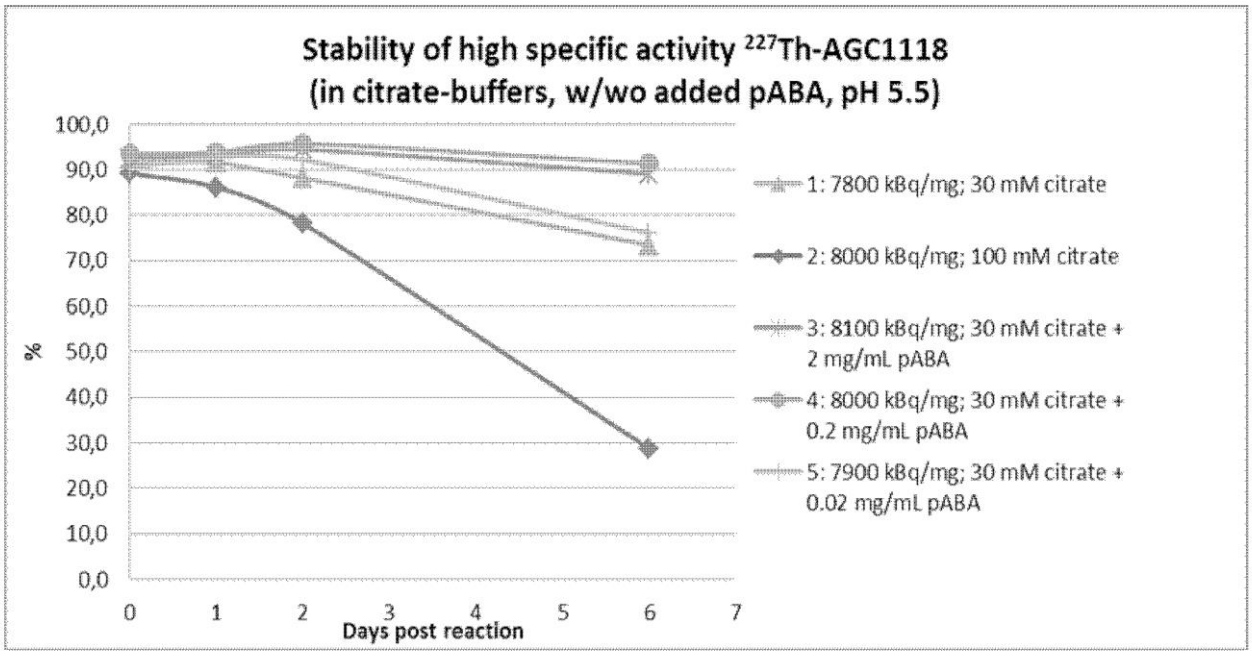


Figure 3: Radiostabilizing effect of ^{227}Th-AGC1118 (IRF assay) with a specific activity up to ca 8000 Bq/µg.

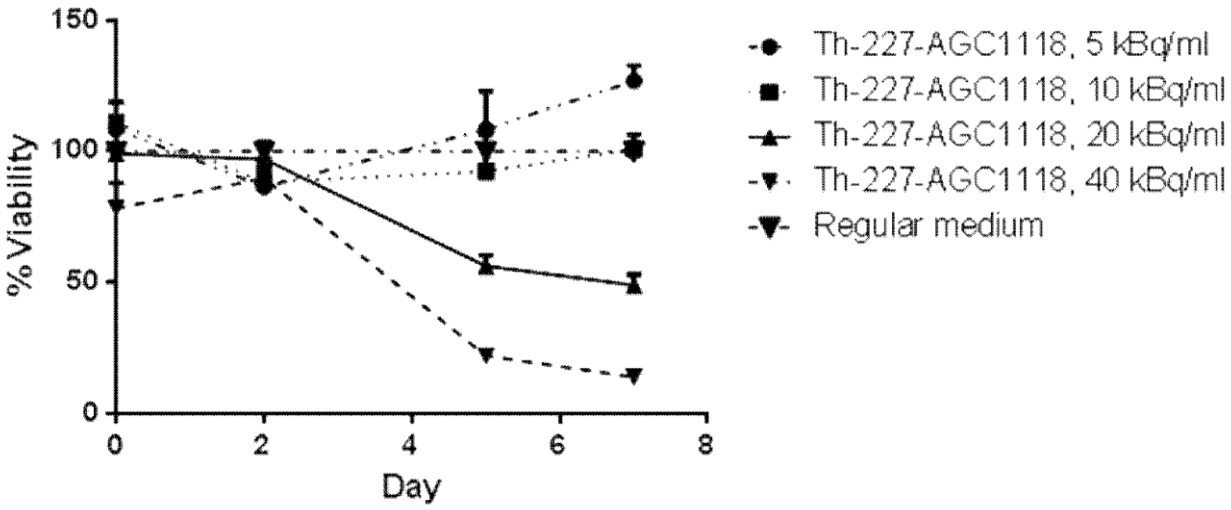


Figure 4: Cytotoxicity of ^{227}Th-AGC1118 against Ramos with different total activity (4 hours incubation time) (See Example 3)

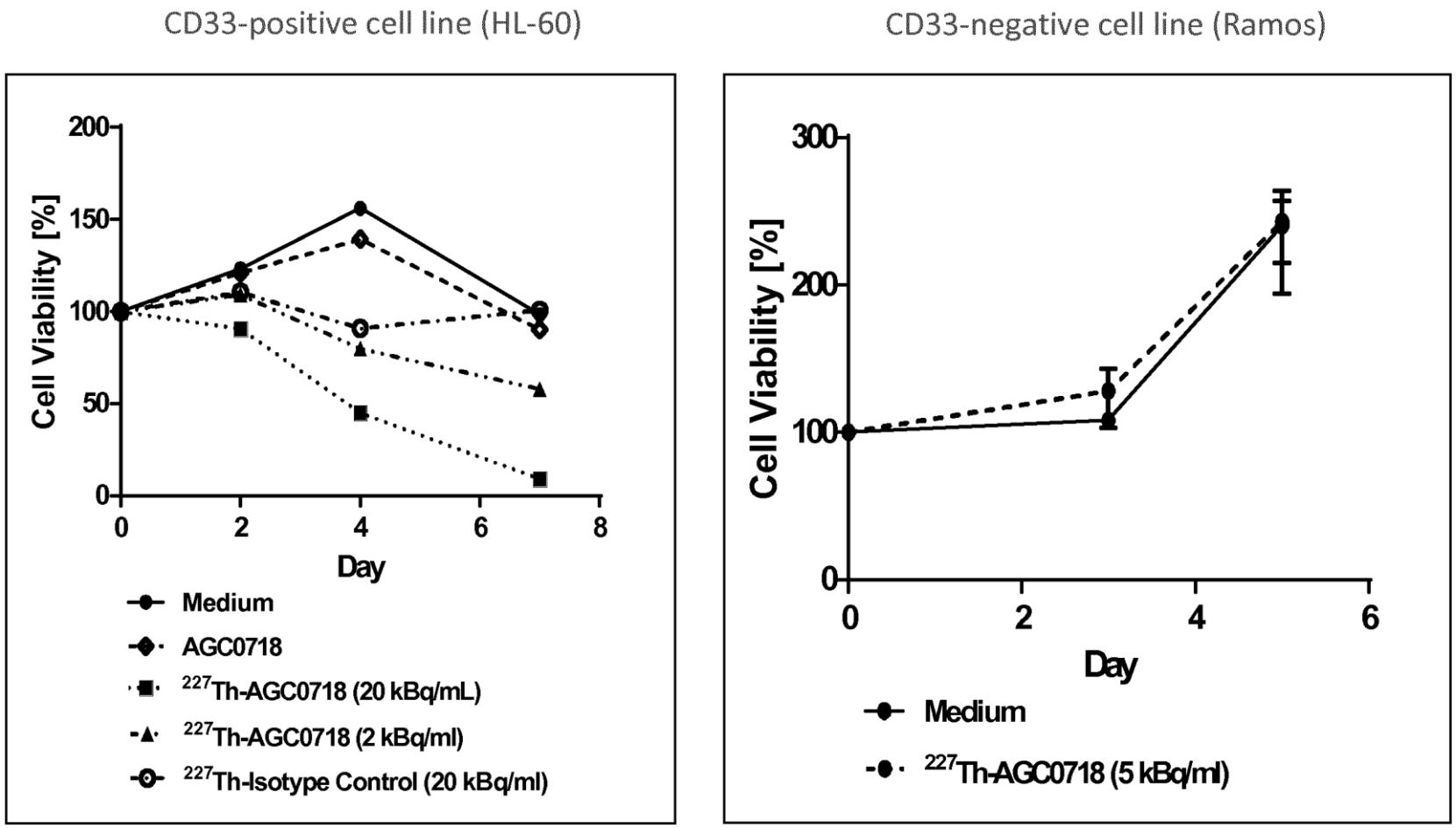


Figure 5: ^{227}Th-AGC0718 induces target-specific cell killing of CD33-positive cells *in vitro* (Example 4)

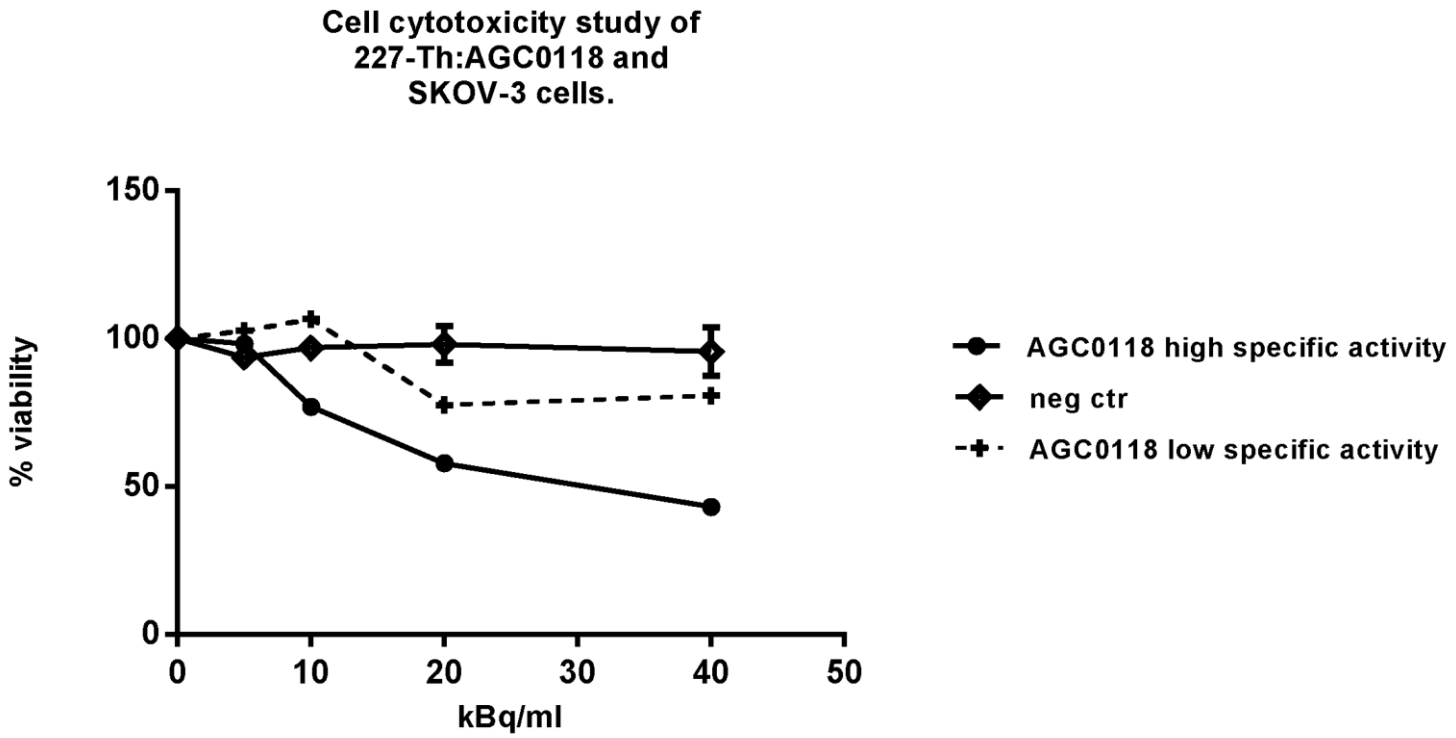


Figure 6 - Cell cytotoxicity of ^{227}Th-AGC0118 at high (20 kBq/µg) and low (7.4 kBq/µg) specific activity. Negative control was a low-binding peptide-albumin complex with same dose range, same incubation time and days before readout. See Example 5.

FGFR2-positive cell line NCI-H716

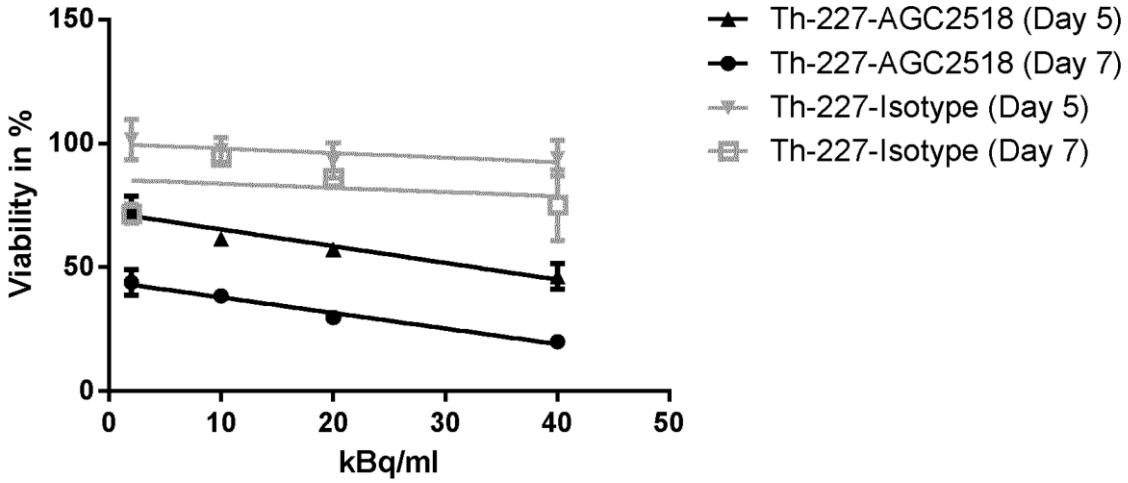


Figure 7: ^{227}Th-AGC2518 induces target-specific cell killing of FGFR2-positive cells in vitro. See Example 6

Transfected Mesothelin-positive cell line HT29

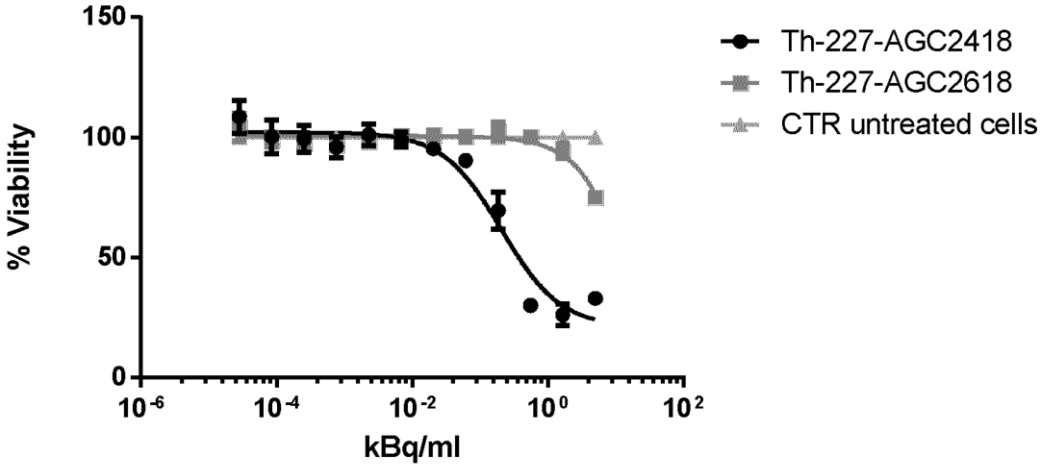


Figure 8: ^{227}Th-AGC2418 induces target-specific cell killing of Mesothelin-positive cells *in vitro*. See Example 7.

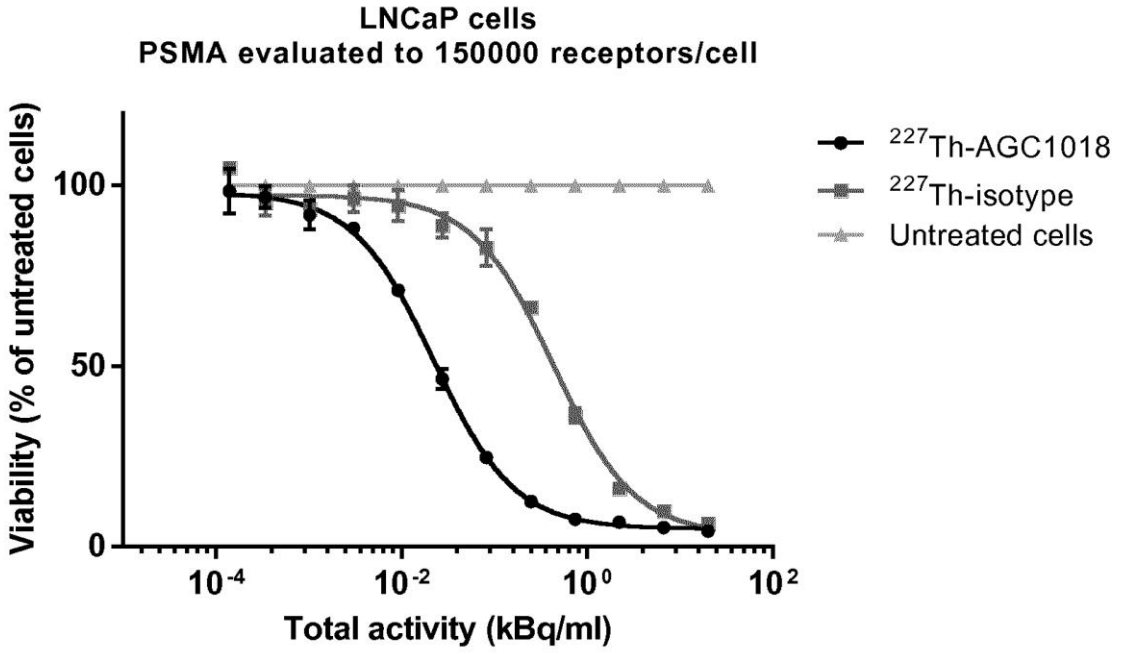


Figure 9: ^{227}Th-AGC1018 induces target-specific and dose dependent cell killing of PSMA-positive LNCaP cells *in vitro*. See Example 9.