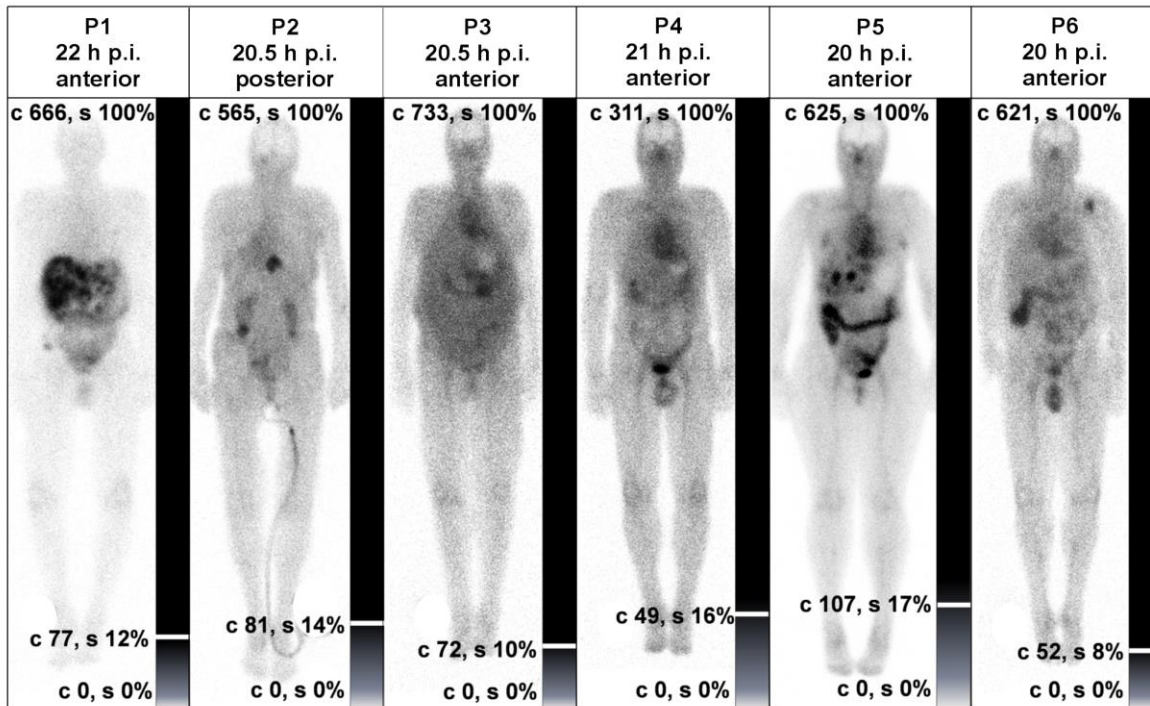
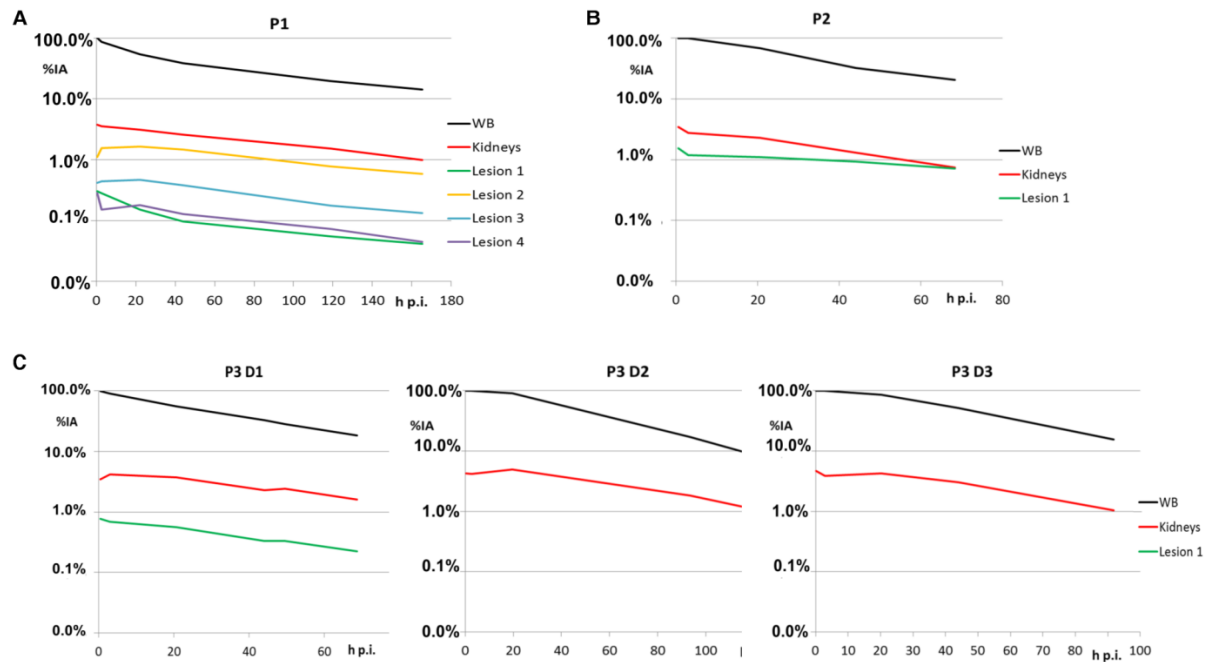


**SUPPLEMENTAL FIGURE 1.** <sup>18</sup>F-FDG PET maximum intensity projection (MIP) image of patient 1 performed one day prior to the administration of <sup>177</sup>Lu-3BP-227.



**SUPPLEMENTAL FIGURE 2.** Whole body planar scintigraphies of all patients 20-22 h after administration of  $^{177}\text{Lu}$ -3BP-227.



**SUPPLEMENTAL FIGURE 3.** Pharmacokinetics of  $^{177}\text{Lu}$ -3BP-227 for whole-body (WB), kidneys, and lesions 1 to 4 in patient 1 (A) and lesion 1 in patient 2 (B). (C) Pharmacokinetics of patient 3 for first dosimetry study (D1) with primary lesion, and second (D2) and third (D3) without lesions.

## SUPPLEMENTAL TABLE 1

Follow-up of hematological parameters

Patient Number	Applications				Date of death	Parameter	Hemoglobin (mmol/l) <sup>§</sup>		Leukocytes (Gpt/l) <sup>§</sup>		Thrombocytes (Gpt/l) <sup>§</sup>	
	Number	Type	RoA	Date		Timepoint	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT
						Test date	10/03/2014	14/03/2014	10/03/2014	14/03/2014	10/03/2014	14/03/2014
Patient 1	1	iDos	IV	12/03/2014	21/03/2014	<b>Value</b>	7.3	7.4	10.2	11.3	324	244
						<b>Toxicity grade</b>	G1	G1	G0	G0	G0	G0
						<b>Date</b>	27/09/2014	01/10/2014	27/09/2014	01/10/2014	27/09/2014	01/10/2014
Patient 2	1	iDos	IV	29/09/2014	02/11/2014	<b>Value</b>	7.2	6.6	20.2	15.1	304	205
						<b>Toxicity grade</b>	G1	G1	G0	G0	G0	G0
						<b>Date</b>	28/09/2014	10/10/2014	28/09/2014	10/10/2014	28/09/2014	10/10/2014
Patient 3	1	iDos	IV	29/09/2014		<b>Value</b>	6.6	11.4 (g/dl)	4.8	5.0 (nl)	141	152 (nl)
						<b>Toxicity grade</b>	G1	G1	G0	G0	G1	G0
						<b>Date</b>	18/11/2014	02/12/2014	18/11/2014	02/12/2014	18/11/2014	02/12/2014
	2	TRLT	IP	20/11/2014		<b>Value</b>	7.6	11.6 (g/dl)	5	6.7 (nl)	196	169 (nl)
						<b>Toxicity grade</b>	G1	G1	G0	G0	G0	G0
						<b>Date</b>	26/01/2015	10/02/2015	26/01/2015	10/02/2015	26/01/2015	10/02/2015
	3	TRLT	IP	28/01/2015		<b>Value</b>	6.7	10.8 (g/dl)	4.7	4.5 (nl)	147	130 (nl)
						<b>Toxicity grade</b>	G1	G1	G0	G0	G1	G1
						<b>Date</b>	23/03/2015	31/03/2015	23/03/2015	31/03/2015	23/03/2015	31/03/2015
	4	TRLT	IP	26/03/2015	25/08/2015	<b>Value</b>	5.9 <sup>^</sup>	6.9	6.8	7	175	210
						<b>Toxicity grade</b>	G2	G1	G0	G0	G0	G0
						<b>Date</b>	28/01/2015	22/04/2015	28/01/2015	22/04/2015	28/01/2015	22/04/2015
Patient 4	1	iDos	IV	29/01/2015	01/01/2016	<b>Value</b>	6.5	6.9	2.4	6	77	122
						<b>Toxicity grade</b>	G1	G1	G2	G0	G1	G1
						<b>Date</b>	28/01/2015	02/02/2015	28/01/2015	02/02/2015	28/01/2015	02/02/2015
Patient 5	1	iDos	IV	29/01/2015		<b>Value</b>	5.5 <sup>^</sup>	6.8	3.6	3.5	181	68
						<b>Toxicity grade</b>	G2	G1	G1	G1	G0	G2
						<b>Date</b>	22/02/2015	27/02/2015	22/02/2015	27/02/2015	22/02/2015	27/02/2015

	2	TRLT	IV	25/02/2015	20/05/2015	<b>Value</b>	6.4	7.1	6.2	10.4	238	244
						<b>Toxicity grade</b>	G1	G1	G0	G0	G0	G0
						<b>Date</b>	24/02/2015	01/06/2015	24/02/2015	01/06/2015	24/02/2015	01/06/2015
Patient 6	1	iDos	IV	25/02/2015		<b>Value</b>	8.0	7.4	10.8	12	196	293
						<b>Toxicity grade</b>	G1	G1	G0	G0	G0	G0
						<b>Date</b>	14/06/2015	02/07/2015	14/06/2015	02/07/2015	14/06/2015	02/07/2015
	2	TRLT	IV	15/06/2015	24/07/2015	<b>Value</b>	7.1	6.8	13.6	10.4	271	291
						<b>Toxicity grade</b>	G1	G1	G0	G0	G0	G0

TRLT, targeted radio-ligand therapy; iDos, initial activity administered for dosimetry and/or *in vivo* assessment; RoA, route of administration; § units for external laboratory values indicated in brackets along with their respective values; IV, intravenous; IP, intraperitoneal; ^ patient required 2 packed red blood cell transfusion before iDos/TRLT; Toxicity grade reported according to CTCAE v4.03

## SUPPLEMENTAL TABLE 2

Follow-up of renal function parameters

Patient Number	Applications				Date of death	Parameter	Urea* (mmol/l) <sup>§</sup>		Creatinine (µmol/l) <sup>§</sup>		eGFR (ml/min/1.73m <sup>2</sup> ) <sup>§</sup>	
	Number	Type	RoA	Date		Timepoint	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT
						Test date	10/03/2014	14/03/2014	10/03/2014	14/03/2014	10/03/2014	14/03/2014
Patient 1	1	iDos	IV	12/03/2014	21/03/2014	<b>Value</b>	9.6	14.2	84	79	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0
						<b>Date</b>	27/09/2014	NA	27/09/2014	09/10/2014	27/09/2014	09/10/2014
Patient 2	1	iDos	IV	29/09/2014	02/11/2014	<b>Value</b>	8.1	-	56	51	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0
						<b>Date</b>	28/09/2014	10/10/2014	28/09/2014	10/10/2014	28/09/2014	NA
Patient 3	1	iDos	IV	29/09/2014		<b>Value</b>	3.9	28 (mg/dl)	65	0.65 (mg/dl)	>60	-
						<b>Toxicity grade</b>	-	-	G0	G0	G0	-
						<b>Date</b>	18/11/2014	02/12/2014	18/11/2014	02/12/2014	18/11/2014	NA
	2	TRLT	IP	20/11/2014		<b>Value</b>	8.9	64 (mg/dl)	69	0.62 (mg/dl)	>60	-
						<b>Toxicity grade</b>	-	-	G0	G0	G0	-
						<b>Date</b>	26/01/2015	10/02/2015	26/01/2015	10/02/2015	26/01/2015	NA
	3	TRLT	IP	28/01/2015		<b>Value</b>	9.4	47 (mg/dl)	70	0.72 (mg/dl)	>60	-
						<b>Toxicity grade</b>	-	-	G0	G0	G0	-
						<b>Date</b>	23/03/2015	31/03/2015	23/03/2015	31/03/2015	23/03/2015	31/03/2015
	4	TRLT	IP	26/03/2015	25/08/2015	<b>Value</b>	10.9	4.2	71.5	71.9	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0
						<b>Date</b>	28/01/2015	22/04/2015	28/01/2015	22/04/2015	28/01/2015	22/04/2015
Patient 4	1	iDos	IV	29/01/2015	01/01/2016	<b>Value</b>	4.8	5.3	64	65.1	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0
						<b>Date</b>	28/01/2015	02/02/2015	28/01/2015	02/02/2015	28/01/2015	02/02/2015
Patient 5	1	iDos	IV	29/01/2015		<b>Value</b>	7.2	5.0	0.74	0.74	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0
						<b>Date</b>	22/02/2015	27/02/2015	22/02/2015	27/02/2015	22/02/2015	27/02/2015
	2	TRLT	IV	25/02/2015	20/05/2015	<b>Value</b>	3.8	8.3	65.8	71.1	>60	>60

						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0
						<b>Date</b>	24/02/2015	01/06/2015	24/02/2015	01/06/2015	24/02/2015	01/06/2015
Patient 6	1	iDos	IV	25/02/2015		<b>Value</b>	5.9	4.8	74.5	107.3	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G1	G0	G0
						<b>Date</b>	14/06/2015	18/06/2015	14/06/2015	18/06/2015	14/06/2015	18/06/2015
	2	TRLT	IV	15/06/2015	24/07/2015	<b>Value</b>	3.5	7.5	82	81	>60	>60
						<b>Toxicity grade</b>	-	-	G0	G0	G0	G0

TRLT, targeted radio-ligand therapy; iDos, initial activity administered for dosimetry and/or in-vivo assessment; RoA, route of administration; \* not graded according to CTCAE; § units for external laboratory values indicated in brackets along with their respective values; IV, intravenous; IP, intraperitoneal; NA, not available; Toxicity grade reported according to CTCAE v4.03

### SUPPLEMENTAL TABLE 3

Follow up of hepatic function parameters

Patient Number	Applications				Date of death	Parameter	Bilirubin (µmol/l) <sup>§</sup>		ALT (µmol/s/l) <sup>§</sup>		AST (µmol/s/l) <sup>§</sup>		GGT (µmol/s/l) <sup>§</sup>	
	Number	Type	RoA	Date		Timepoint	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT	pre-iDos/TRLT	post-iDos/TRLT
						Test date	10/03/2014	14/03/2014	10/03/2014	14/03/2014	10/03/2014	14/03/2014	10/03/2014	14/03/2014
Patient 1	1	iDos	IV	12/03/2014	21/03/2014	<b>Value</b>	156	229	1.78	2.36	1.56	2.06	57.67	62.07
						<b>Toxicity grade</b>	G3	G4	G2	G2	G1	G1	G4	G4
						<b>Date</b>	27/09/2014	NA	27/09/2014	NA	27/09/2014	NA	27/09/2014	NA
Patient 2	1	iDos	IV	29/09/2014	02/11/2014	<b>Value</b>	8	-	0.26	-	0.64	-	3.28	-
						<b>Toxicity grade</b>	G0	-	G0	-	G0	-	G2	-
						<b>Date</b>	28/09/2014	10/10/2014	28/09/2014	10/10/2014	28/09/2014	10/10/2014	28/09/2014	10/10/2014
Patient 3	1	iDos	IV	29/09/2014		<b>Value</b>	7	0.45 (mg/dl)	0.33	31 (U/l)	0.44	39 (U/l)	4.02	288 (U/l)
						<b>Toxicity grade</b>	G0	G0	G0	G0	G0	G1	G0	G3
						<b>Date</b>	18/11/2014	02/12/2014	18/11/2014	02/12/2014	18/11/2014	02/12/2014	18/11/2014	02/12/2014
	2	TRLT	IP	20/11/2014		<b>Value</b>	6	0.13 (mg/dl)	1.55	115 (U/l)	1.68	98 (U/l)	4.69	297 (U/l)
						<b>Toxicity grade</b>	G0	G0	G1	G2	G1	G1	G2	G3
						<b>Date</b>	26/01/2015	10/02/2015	26/01/2015	10/02/2015	26/01/2015	10/02/2015	26/01/2015	10/02/2015
	3	TRLT	IP	28/01/2015		<b>Value</b>	4	0.26 (mg/dl)	1.7	83 (U/l)	1.39	55 (U/l)	4.76	251 (U/l)
						<b>Toxicity grade</b>	G0	G0	G1	G1	G1	G1	G2	G3
						<b>Date</b>	23/03/2015	02/04/2015	23/03/2015	02/04/2015	23/03/2015	02/04/2015	23/03/2015	02/04/2015
	4	TRLT	IP	26/03/2015	25/08/2015	<b>Value</b>	4	2	0.73	0.51	0.31	0.26	2.86	2.42
						<b>Toxicity grade</b>	G0	G0	G1	G0	G0	G0	G1	G1
						<b>Date</b>	28/01/2015	22/04/2015	28/01/2015	22/04/2015	28/01/2015	22/04/2015	28/01/2015	22/04/2015
Patient 4	1	iDos	IV	29/01/2015	01/01/2016	<b>Value</b>	8	5	1.01	0.19	0.66	0.43	1.51	3.4
						<b>Toxicity grade</b>	G0	G0	G1	G0	G0	G0	G1	G2
						<b>Date</b>	28/01/2015	03/02/2015	28/01/2015	03/02/2015	28/01/2015	03/02/2015	28/01/2015	03/02/2015
Patient 5	1	iDos	IV	29/01/2015		<b>Value</b>	17	0.5 (mg/dl)	0.8	48 (U/l)	0.87	39 (U/l)	4.92	402 (U/l)
						<b>Toxicity grade</b>	G0	G0	G0	G1	G0	G1	G3	G4
						<b>Date</b>	22/02/2015	16/03/2015	22/02/2015	16/03/2015	22/02/2015	16/03/2015	22/02/2015	16/03/2015



	2	TRLT	IV	25/02/2015	20/05/2015	<b>Value</b>	4	0.3 (mg/dl)	0.64	32 (U/l)	0.74	39 (U/l)	7.65	594 (UI)
						<b>Toxicity grade</b>	G0	G0	G0	G0	G0	G1	G3	G4
						<b>Date</b>	24/02/2015	01/06/2015	24/02/2015	01/06/2015	24/02/2015	01/06/2015	24/02/2015	01/06/2015
Patient 6	1	iDos	IV	25/02/2015		<b>Value</b>	7	5	0.28	0.16	0.48	0.29	3.05	3.65
						<b>Toxicity grade</b>	G0	G0	G0	G0	G0	G0	G2	G2
						<b>Date</b>	14/06/2015	05/07/2015	14/06/2015	05/07/2015	14/06/2015	05/07/2015	14/06/2015	05/07/2015
	2	TRLT	IV	15/06/2015	24/07/2015	<b>Value</b>	9	8	0.15	0.26	0.29	0.36	3.5	3.96
						<b>Toxicity grade</b>	G0	G0	G0	G0	G0	G0	G2	G2

TRLT, targeted radio-ligand therapy; iDos, initial activity administered for dosimetry and/or in-vivo assessment; RoA, route of administration; § units for external laboratory values indicated in brackets along with their respective values; IV, intravenous; IP, intraperitoneal; ALT, alanine aminotransferase; AST, aspartate aminotransferase; GGT, gamma-glutamyl transferase; NA, not available; Toxicity grade reported according to CTCAE v4.03