

Electronic Supplementary Material

Oral Administration of [¹⁸F]MC225 for Quantification of P-glycoprotein Function: A

Feasibility Study

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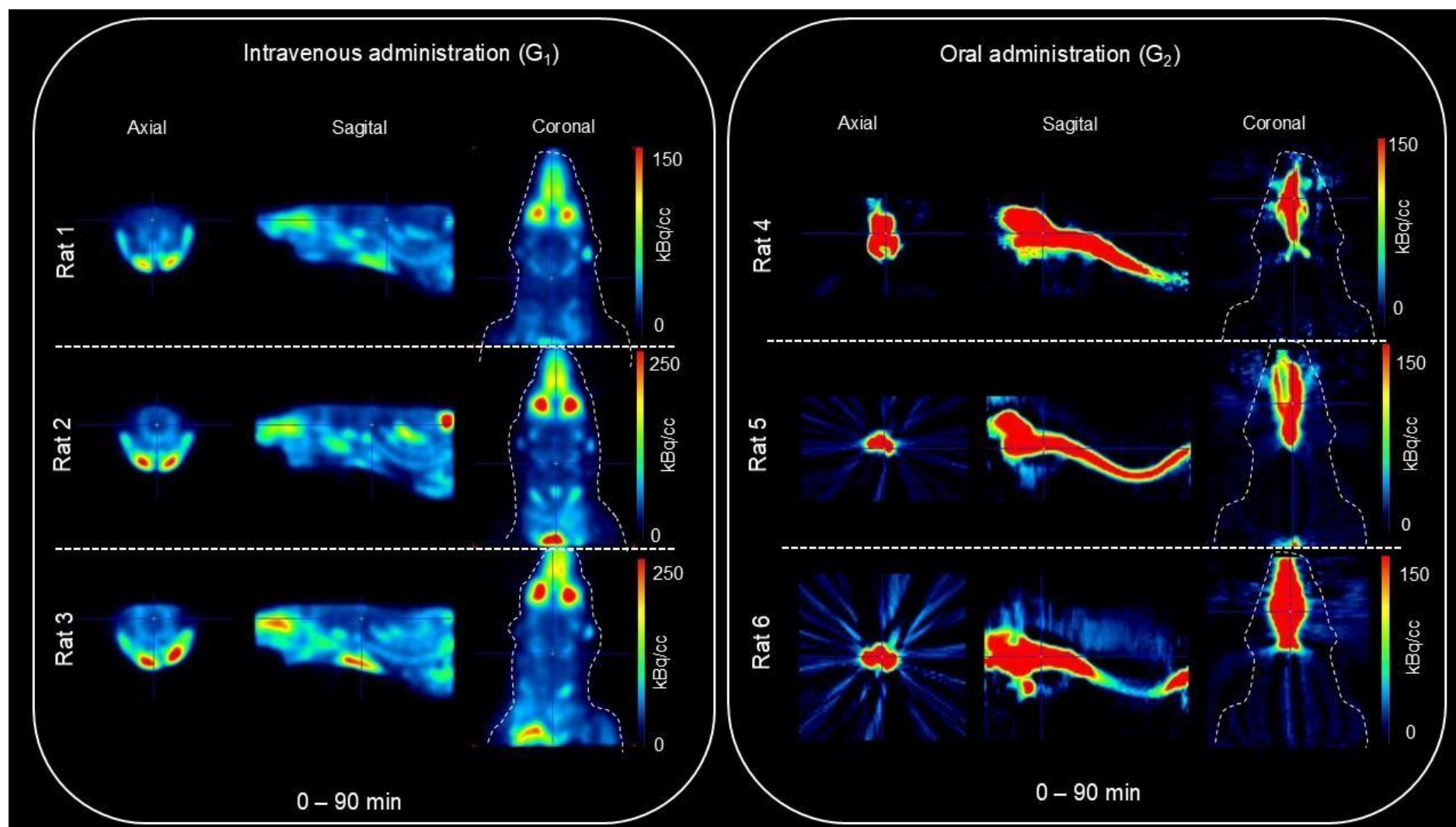
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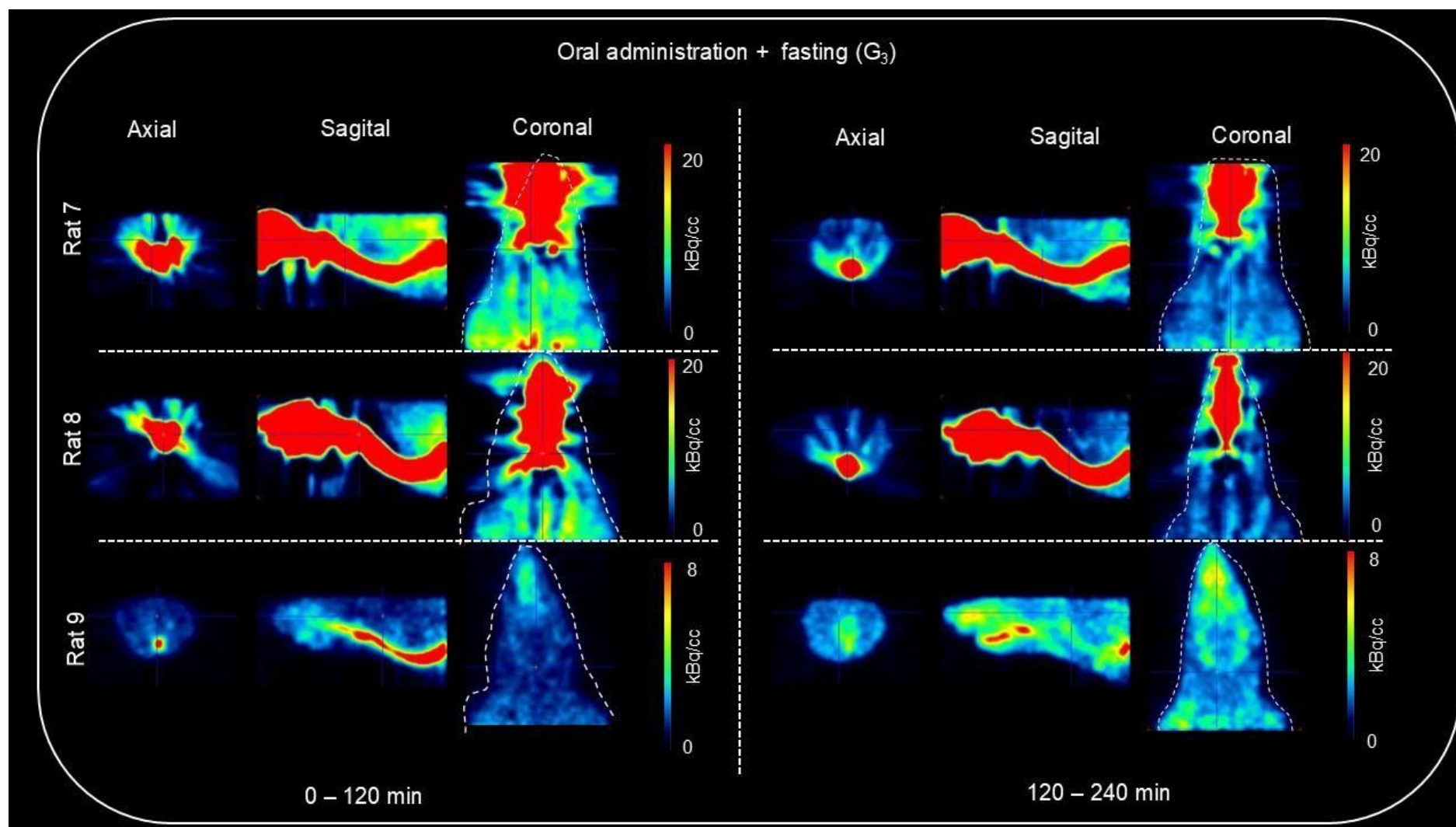
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Running title: Oral Administration of [¹⁸F]MC225

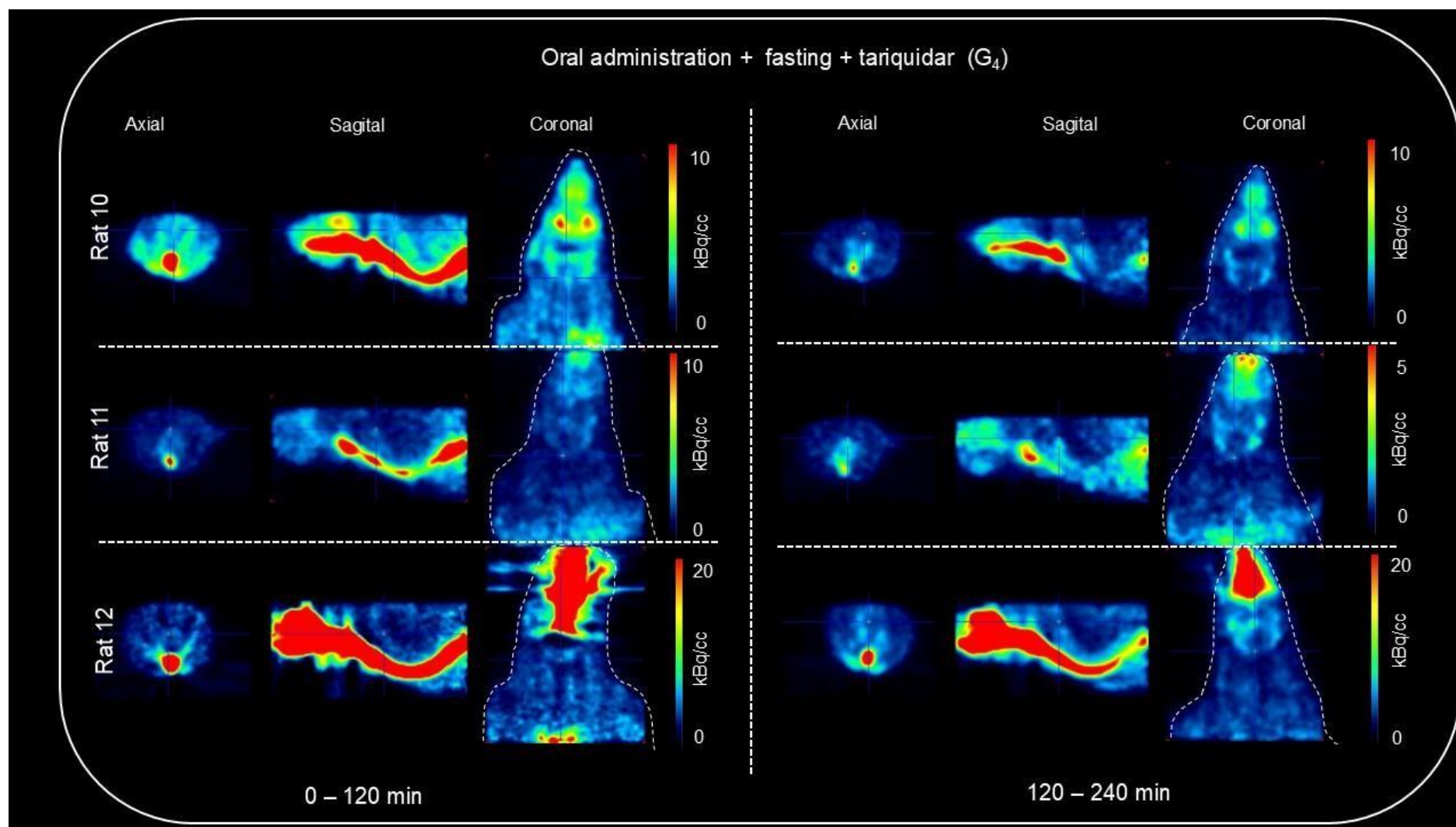
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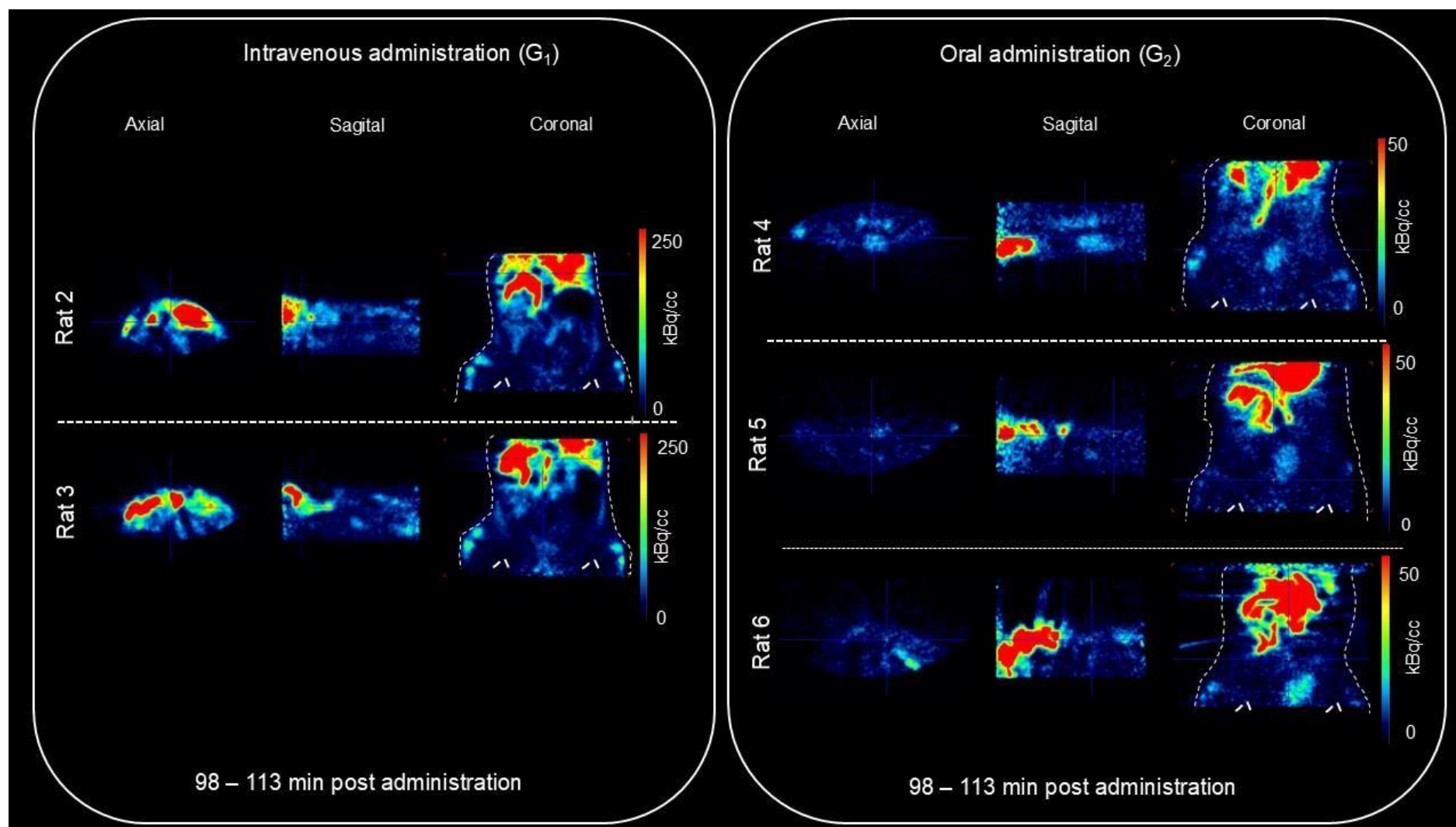
Supplementary Figure 1. Brain PET scan for group G₁ and G₂. G₁: intravenous injection; G₂: oral administration.



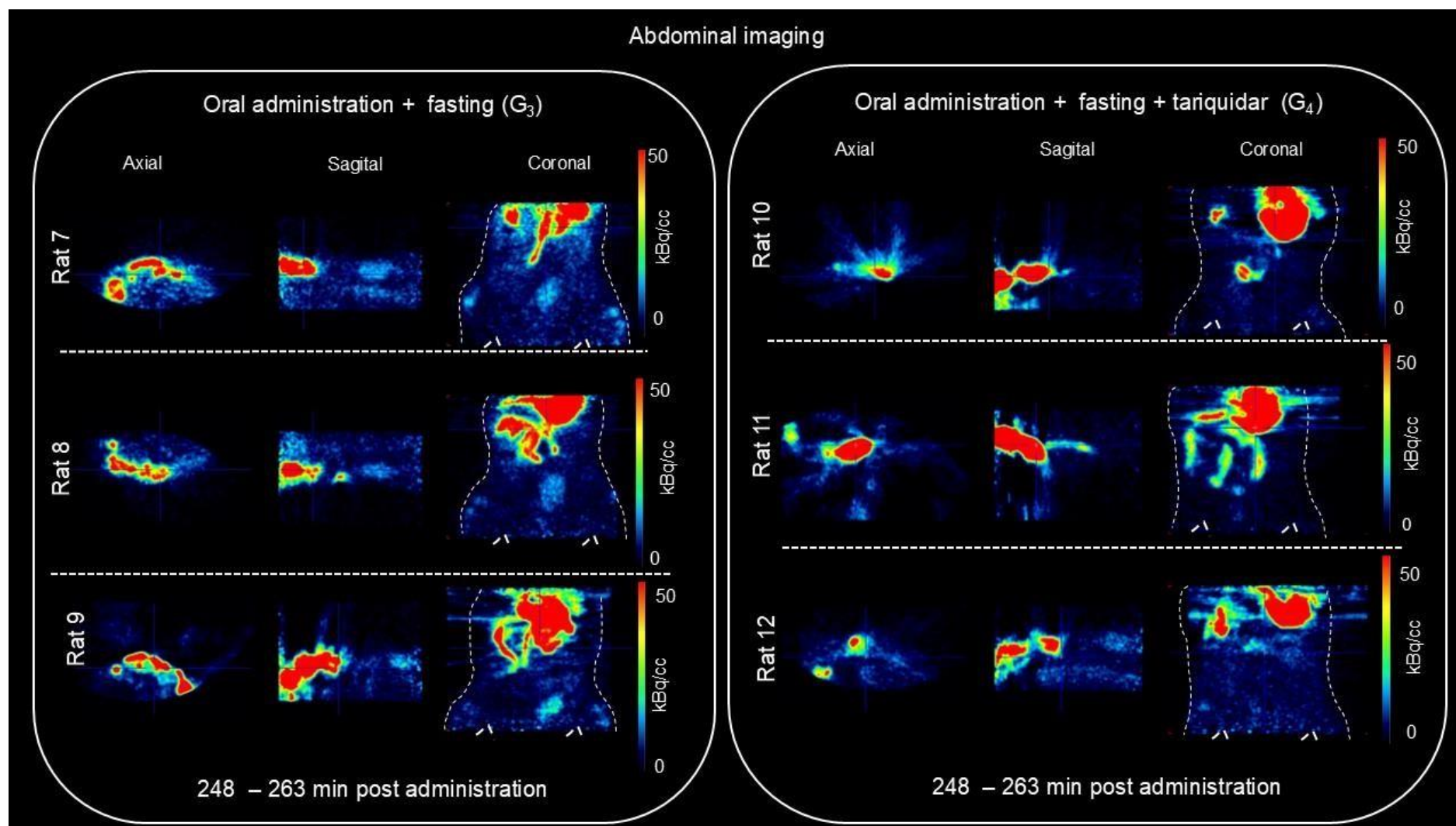
Supplementary Figure 2. Brain PET scan for group G_3 . G_3 : oral administration after 12–14 h fasting.



Supplementary Figure 3. Brain PET scan for group G_4 . G_4 : oral administration after 12–14 h fasting and tariquidar intraperitoneal injection.



Supplementary Figure 4. Abdominal PET scan for group G_1 and G_2 . G_1 : intravenous injection; G_2 : oral administration.



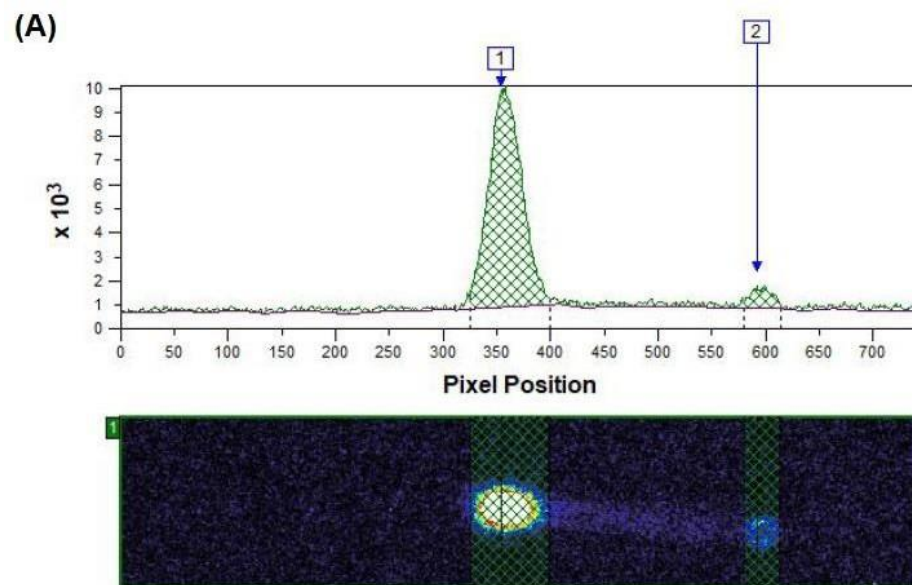
Supplementary Figure 5. Abdominal PET scan for group G_3 and G_4 . G_3 : oral administration after 12–14 h fasting; G_4 : oral administration after 12–14 h fasting and intraperitoneal tariquidar injection before 1 hour of tracer administration.

Supplementary Table 1. Biodistribution, in terms of kBq/g to each animal evaluated in this study

GROUP	G ₁			G ₂			G ₃			G ₄		
REGION / ANIMAL	Rat 1	Rat 2	Rat 3	Rat 4	Rat 5	Rat 6	Rat 7	Rat 8	Rat 9	Rat 10	Rat 11	Rat 12
Whole blood	7.2	15.1	19.2	2.3	0.3	2.5	13.1	6.4	15.1	4.9	4.4	9.9
Plasma	8.4	19.8	23.1	2.8	0.5	3.6	15.1	9.0	18.3	6.8	6.3	12.4
Heart	27.0	42.5	42.3	3.6	0.8	28.7	16.8	13.2	15.1	5.8	4.9	15.1
Lungs	80.1	130.3	155.8	92.9	34.1	50.5	75.4	78.5	24.0	9.6	8.3	51.6
Pancreas	165.7	1420.1	235.9	5.0	1.1	19.6	46.6	20.7	30.7	16.0	5.7	27.8
Spleen	130.0	204.9	210.8	9.9	1.4	10.4	32.2	34.7	20.6	6.7	5.7	15.4
Kidneys	61.7	149.7	146.2	6.9	1.4	8.9	32.1	30.7	23.4	8.9	6.9	19.8
Adrenals	189.5	287.9	321.2	15.2	3.0	13.4	49.5	50.4	22.4	15.1	5.9	30.4
Liver	206.8	337.7	372.1	11.7	1.6	61.1	67.4	19.4	125.7	12.1	19.7	42.1
Stomach	144.5	477.3	494.8	110.8	924.0	468.9	1941.8	2052.4	2150.3	1816.1	1423.7	1865.0
Duodenum	362.0	577.3	529.2	44.0	118.0	282.8	424.0	160.2	818.7	148.3	175.9	420.7
Jejunum	91.4	243.3	1834.5	7.8	3.2	121.8	46.0	63.0	618.0	158.8	138.2	701.7
Ileum	72.6	188.4	174.7	5.2	1.5	6.8	425.5	29.4	24.4	11.5	5.1	33.4
Cecum	57.6	71.5	52.6	6.1	5.5	6.8	28.6	27.7	24.8	9.7	7.1	29.5
Colon	52.6	140.0	132.3	3.3	1.6	6.2	37.3	25.7	25.6	8.9	5.4	18.9
Muscle	23.5	42.9	53.9	2.0	0.2	2.6	9.8	7.2	8.5	4.0	2.2	7.0
Femur (bone)	58.3	89.8	116.4	6.1	0.6	4.4	51.7	19.2	23.9	9.9	5.2	23.6
Midbrain	16.0	27.3	29.7	2.4	0.4	3.1	10.7	9.9	14.5	6.1	5.2	15.5
Cerebellum	16.3	24.5	27.8	2.3	0.4	2.8	12.6	9.8	13.4	6.1	4.6	14.4
Cortex	18.6	28.7	33.6	2.6	0.4	3.0	12.7	11.8	13.2	6.1	5.3	15.1

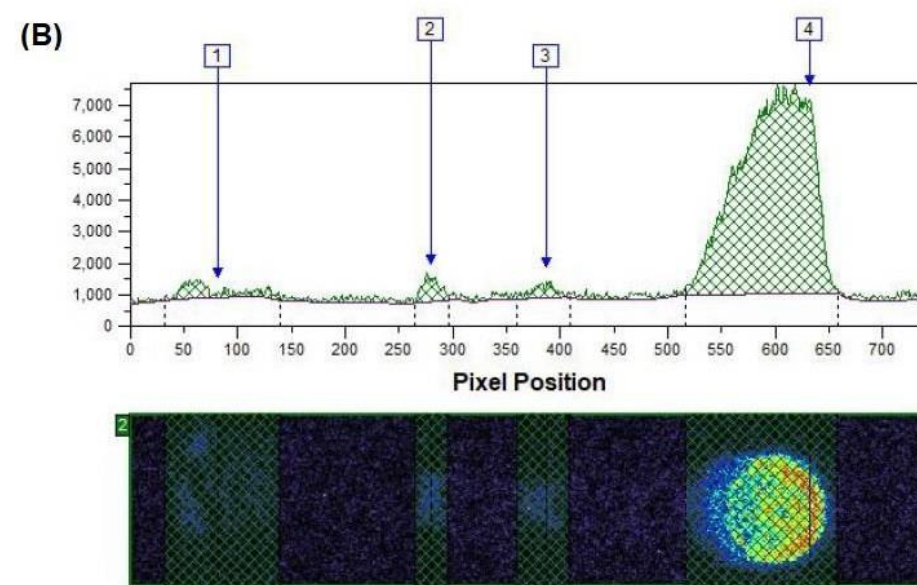
Supplementary Table 2. Tissue-to-plasma ratio to each animal evaluated in this study.

GROUP	G₁			G₂			G₃			G₄		
REGION / ANIMAL	Rat 1	Rat 2	Rat 3	Rat 4	Rat 5	Rat 6	Rat 7	Rat 8	Rat 9	Rat 10	Rat 11	Rat 12
Whole Blood	0.9	0.8	0.8	0.8	0.7	0.7	0.9	0.7	0.8	0.7	0.7	0.8
Heart	3.2	2.2	1.8	1.3	1.8	8.0	1.1	1.5	0.8	0.9	0.8	1.2
Lungs	9.6	6.6	6.7	32.9	74.1	14.1	5.0	8.7	1.3	1.4	1.3	4.2
Pancreas	19.9	71.8	10.2	1.8	2.3	5.5	3.1	2.3	1.7	2.4	0.9	2.2
Spleen	15.6	10.4	9.1	3.5	3.0	2.9	2.1	3.8	1.1	1.0	0.9	1.2
Kidneys	7.4	7.6	6.3	2.4	3.0	2.5	2.1	3.4	1.3	1.3	1.1	1.6
Adrenals	22.7	14.6	13.9	5.4	6.4	3.7	3.3	5.6	1.2	2.2	0.9	2.5
Liver	24.8	17.1	16.1	4.1	3.5	17.0	4.5	2.1	6.9	1.8	3.2	3.4
Stomach	17.3	24.1	21.4	39.2	2006.1	130.7	128.9	227.1	117.4	269.2	227.3	0.2
Duodenum	43.4	29.2	22.9	15.6	256.2	78.8	28.1	17.7	44.7	22.0	28.1	33.9
Jejunum	11.0	12.3	79.4	2.8	6.8	33.9	3.1	7.0	33.7	23.5	22.1	.5
Ileum	8.7	9.5	7.6	1.8	3.2	1.9	28.2	3.3	1.3	1.7	0.8	2.7
Cecum	6.9	3.6	2.3	2.2	12.0	1.9	1.9	3.1	1.4	1.4	1.1	2.4
Colon	6.3	7.1	5.7	1.2	3.5	1.7	2.5	2.8	1.4	1.3	0.9	1.5
Muscle	2.8	2.2	2.3	0.7	0.5	0.7	0.7	0.8	0.5	0.6	0.4	0.6
Femur (Bone)	7.0	4.5	5.0	2.2	1.4	1.2	3.4	2.1	1.3	1.5	0.8	1.9
Midbrain	1.9	1.4	1.3	0.9	0.8	0.9	0.7	1.1	0.8	0.9	0.8	1.2
Cerebellum	2.0	1.2	1.2	0.8	0.8	0.8	0.8	1.1	0.7	0.9	0.7	1.2
Cortex	2.2	1.5	1.5	0.9	0.8	0.8	0.8	1.3	0.7	0.9	0.9	1.2



Band Table

Band No	Volume	Vol+BkGnd	Band %	Lane %	Rf
1	52663410.50	63918173.00	94.06	77.59	0.477
2	3323223.00	8242948.00	5.94	4.90	0.798



Band Table

Band No	Volume	Vol+BkGnd	Band %	Lane %	Rf
1	3821037.76	19311984.00	4.10	3.82	0.111
2	2429742.75	6363201.00	2.60	2.43	0.377
3	1941402.51	9052548.00	2.08	1.94	0.523
4	85091553.60	108754841.00	91.22	85.13	0.852

Supplementary Figure 6. TLC image for radiometabolites analysis. (A) standard of [^{18}F]MC225; (B) radiometabolites from plasma.