Theranostics
2011; 1:220-229

Supplementary Information for

^{18}F-Labeled GRPR Agonists and Antagonists: A Comparative Study in Prostate Cancer Imaging

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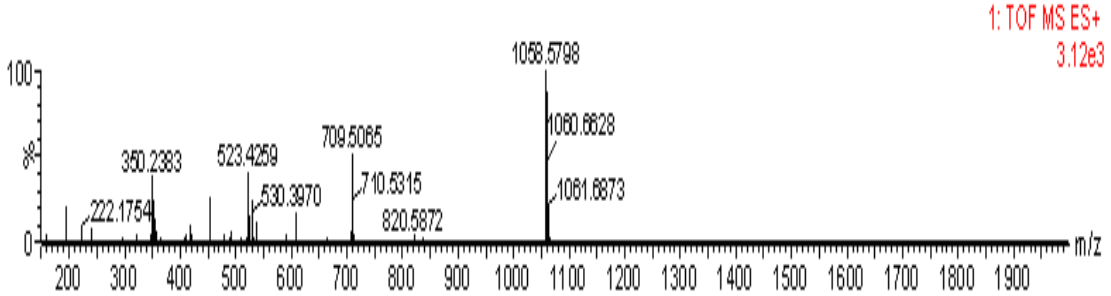
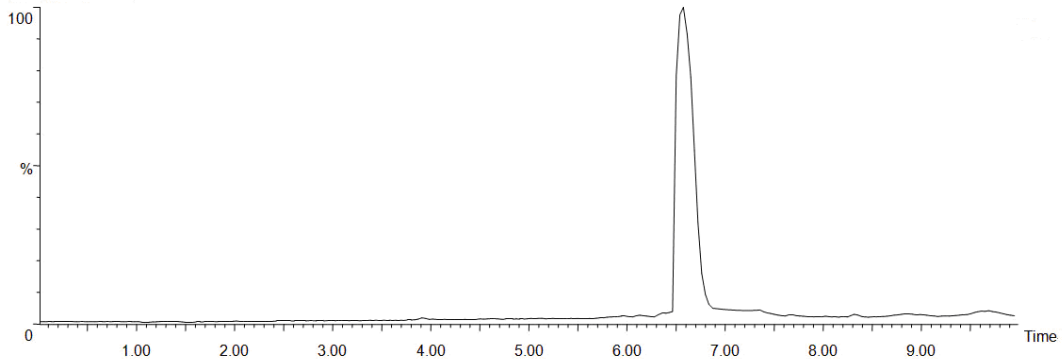
LC-MS of BBN analogs

FP-ATBBN





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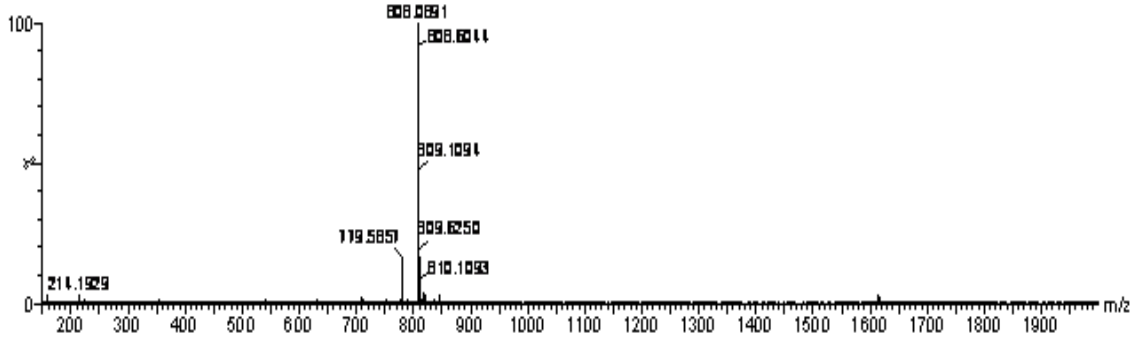
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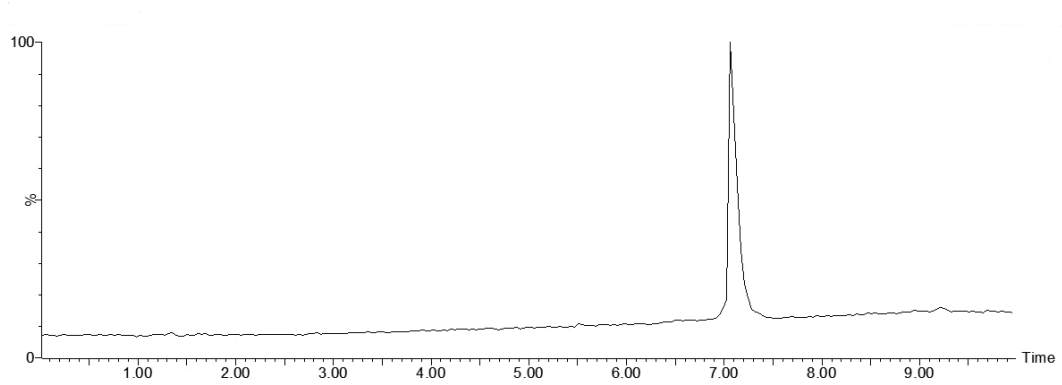
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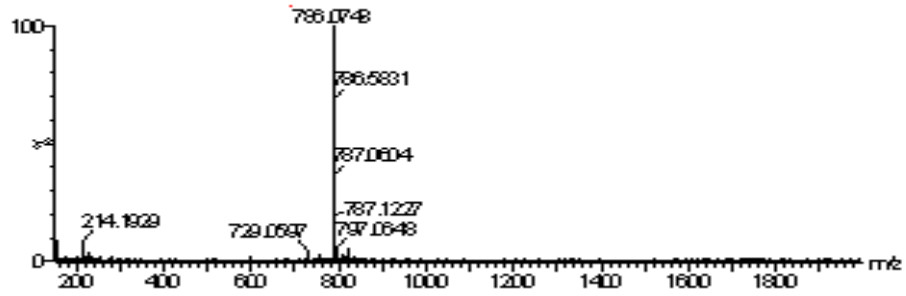
Time

FP-MAGBBN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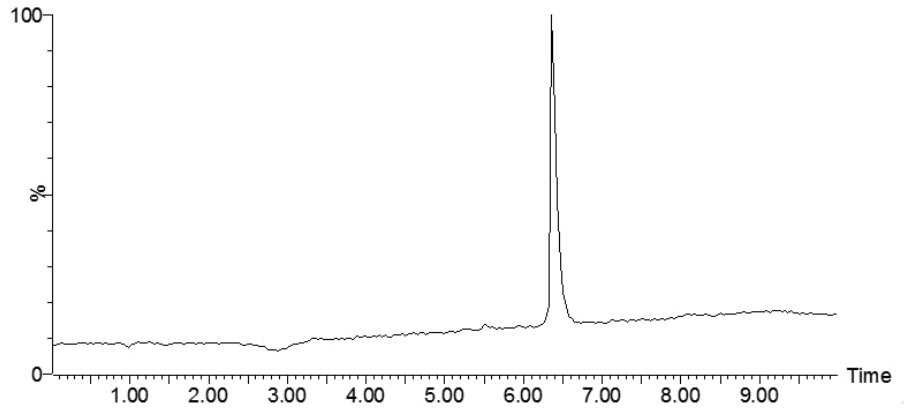
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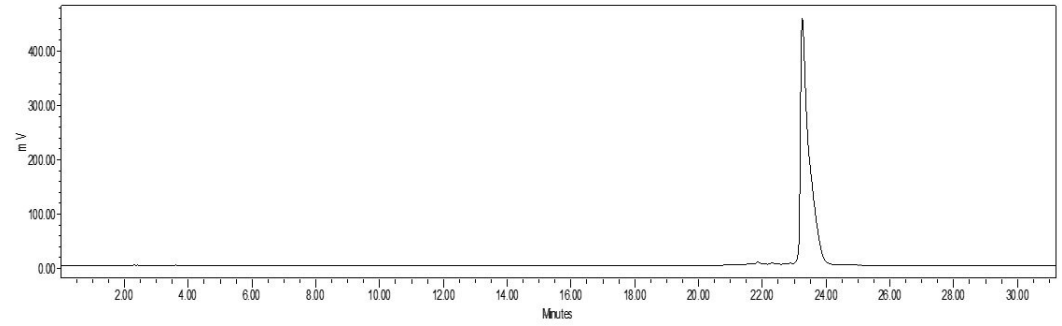
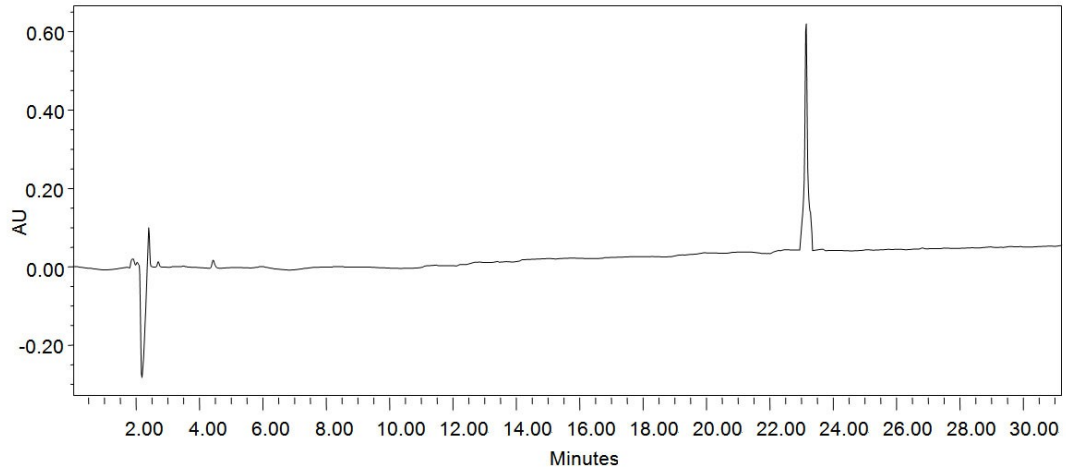
HPLC of FP conjugated BBN analogs



18F-FP-ATBBN



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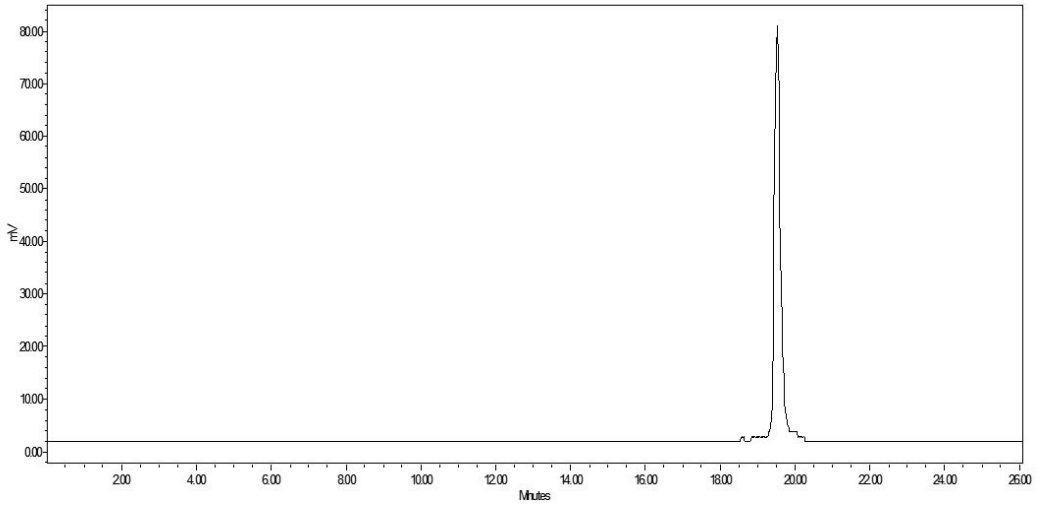

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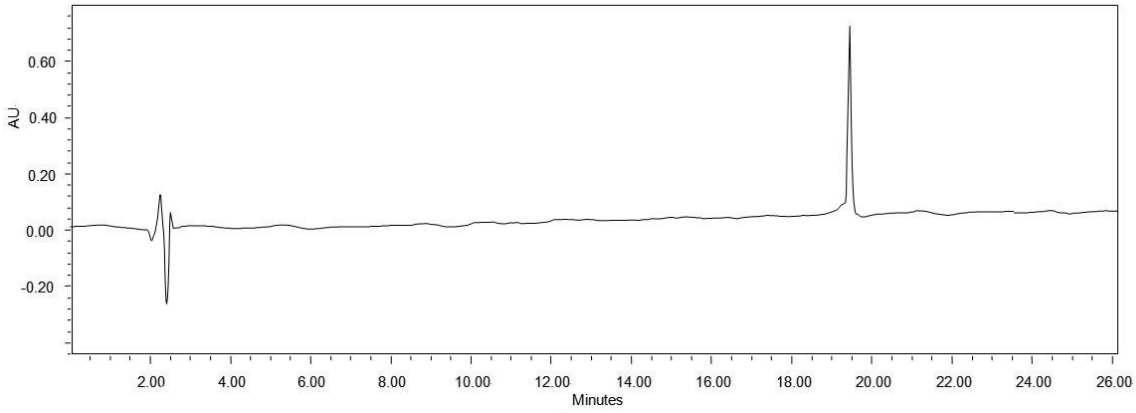

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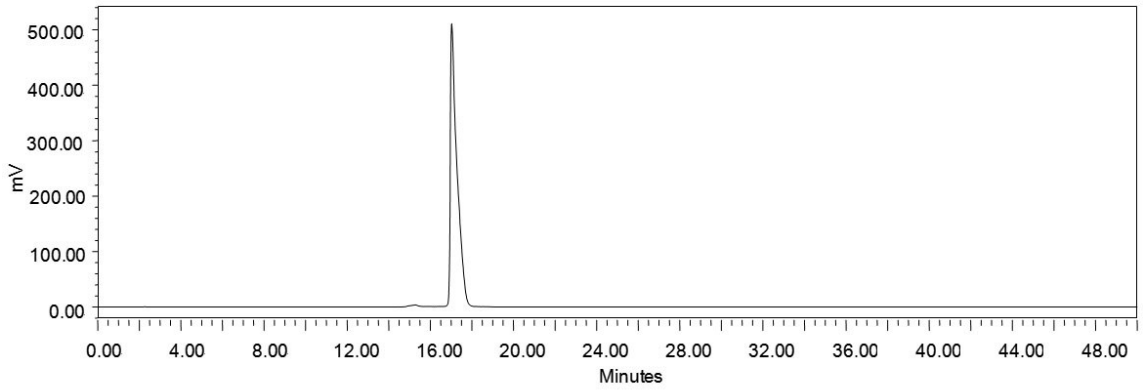

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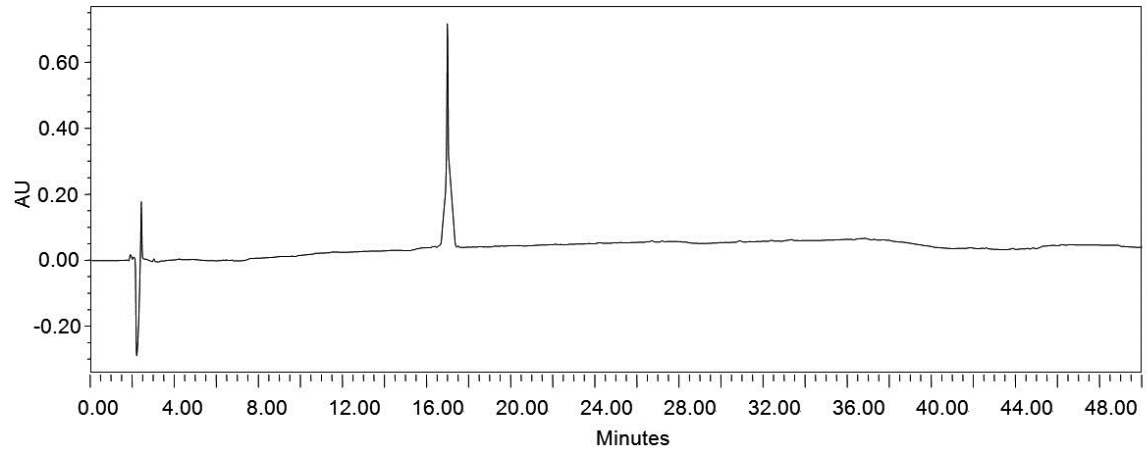


FP-MAGBBN

Method to determine Specific activity

1) HPLC analysis of cold standards (4 different concentrations per standard) to draw standard curves

Y, peak area of cold standard; X: amount of cold Standard (nmol)

FP-ATBBN

$y = 2E+06x - 85587$

$R^2 = 0.9992$

FP-MATBBN

$y = 2E+06x - 83495$

$R^2 = 0.9976$

FP-MAGBBN

$y = 2E+06x - 134308$

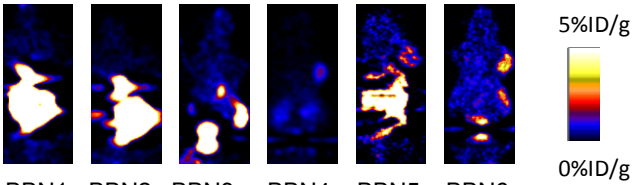
$R^2 = 0.9941$

2) Inject 100ul of pure ^{18}F radiotracer, record time and radioactivity injected

3) Measure UV area of ^{18}F radiotracer, calculate the amount of ^{18}F radiotracer injected according to the standard curve

4) Calculate the specific activity:

Specific activity = activity/amount of radiotracer (TBq/mmol, time decay corrected to the end of synthesis time)



BBN1 BBN2 BBN3 BBN4 BBN5 BBN6

•Decay-corrected whole-body coronal microPET images of athymic male nude mice bearing PC-3 tumor at 1h after injection of 3.7 MBq (100 μCi) of different ^{18}F labeled BBN analogs.

Tracer	Structure	Comment
BBN1	^{18}F-FP-FQWAVGHL-NHEt	antagonist
BBN2	^{18}F-FP-PEG4-FQWAVGHL-NHEt	PEG4 modifed antagonist
BBN3	^{18}F-FP-GGGRE-FQWAVGHL-NHEt	Arg-Glu modified antagonist without spacer
BBN4	^{18}F-FP- GGGRDN-FQWAVGHLM-NHEt	Arg-Asp modified antagonist with Asn spacer
BBN5	^{18}F-FP-Aca-QWAVGHLM-NH_2	Agonist
BBN6	^{18}F-FP- GGGRDN-QWAVGHLM-NH_2	Arg-Asp modified agonist with Asn spacer