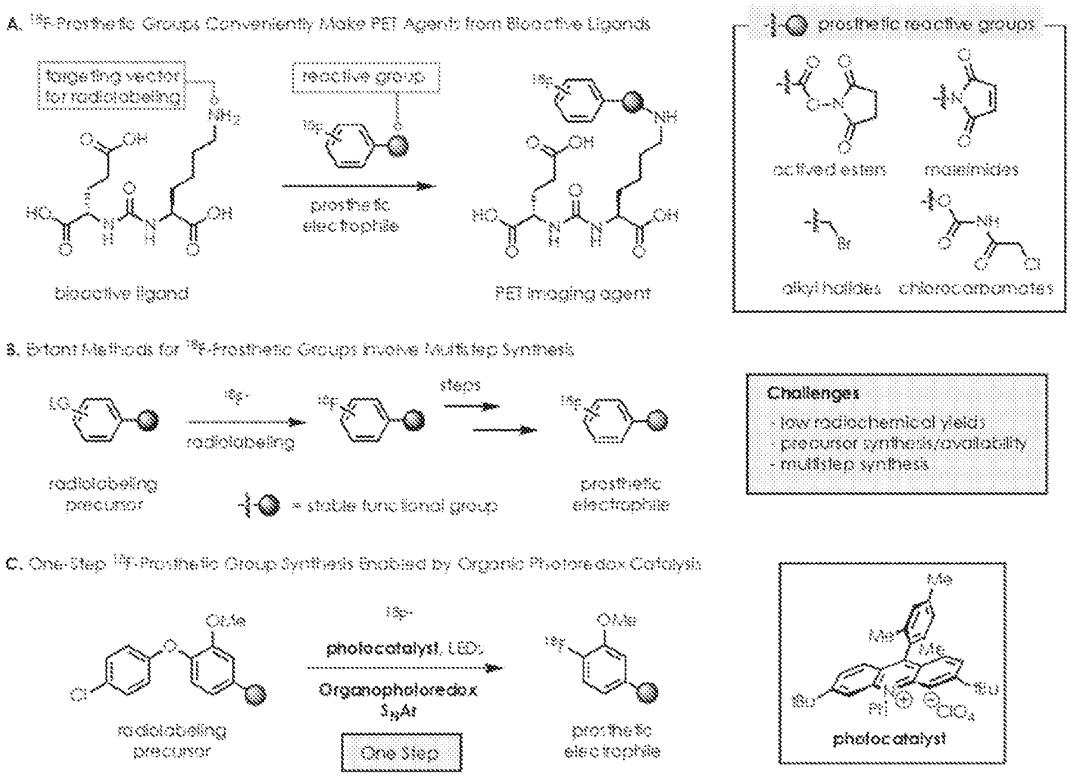

A. ^{18}F-Prosthetic Groups Conveniently Make PET Agents from Bioactive Ligands
targeting vector for radiolabeling
reactive group
prosthetic electrophile
bioactive ligand
PET imaging agent
prosthetic reactive groups
actived esters
maleimides
alkyl halides
chloroacetamides
B. Extant Methods for ^{18}F-Prosthetic Groups Involve Multistep Synthesis
radiolabeling
steps
radiolabeling precursor
= stable functional group
prosthetic electrophile
Challenges
- low radiochemical yields
- precursor synthesis/availability
- multistep synthesis
C. One-Step ^{18}F-Prosthetic Group Synthesis Enabled by Organic Photoredox Catalysis
photocatalyst, LEDs
Organophotoredox
S_NAr
One Step
radiolabeling precursor
prosthetic electrophile
photocatalyst

FIG. 1

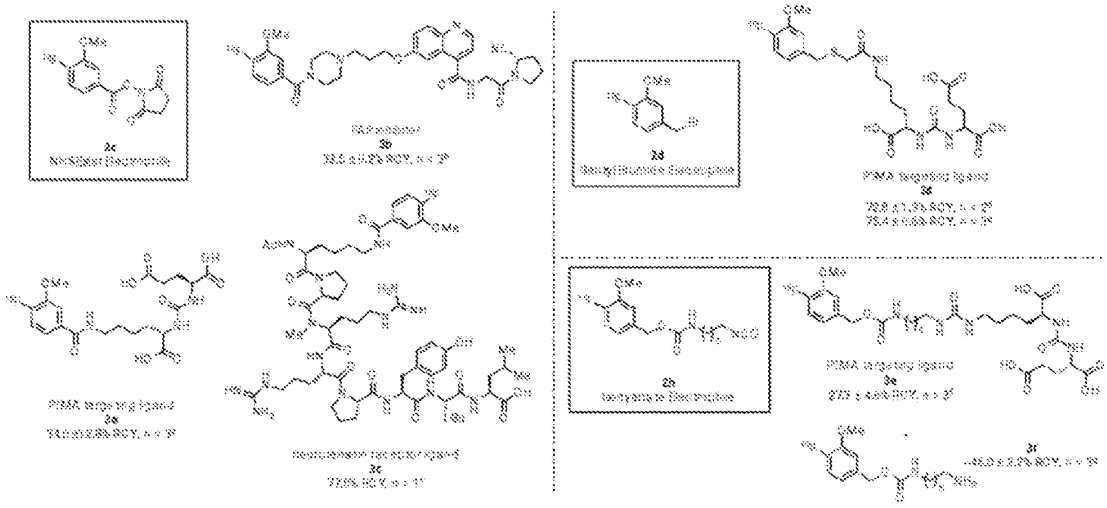


FIG. 2

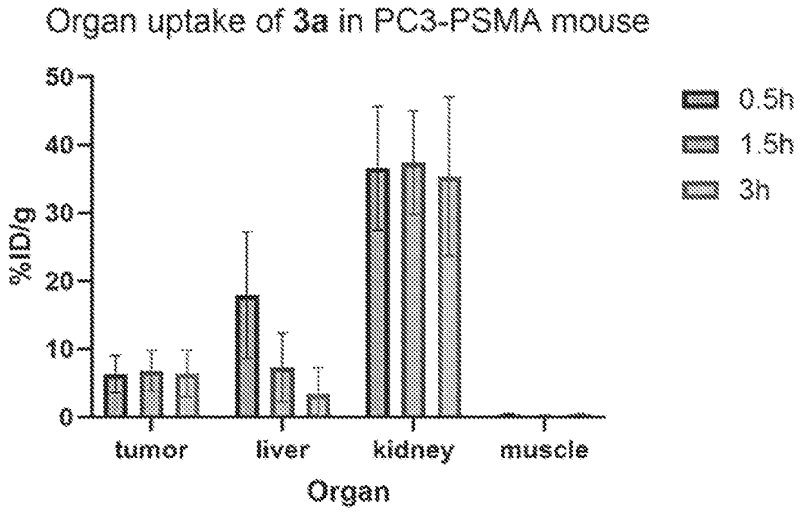

Organ uptake of 3a in PC3-PSMA mouse
%ID/g
50
40
30
20
10
0
tumor
liver
kidney
muscle
Organ
0.5h
1.5h
3h

FIG. 3

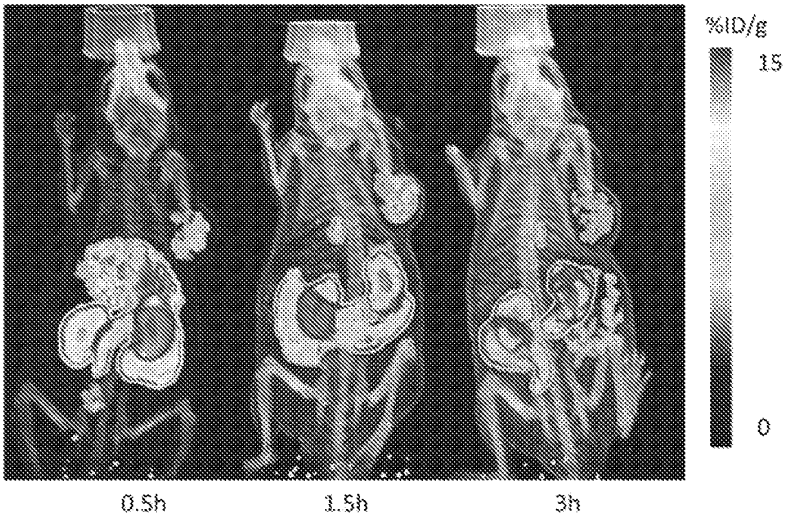


FIG. 4

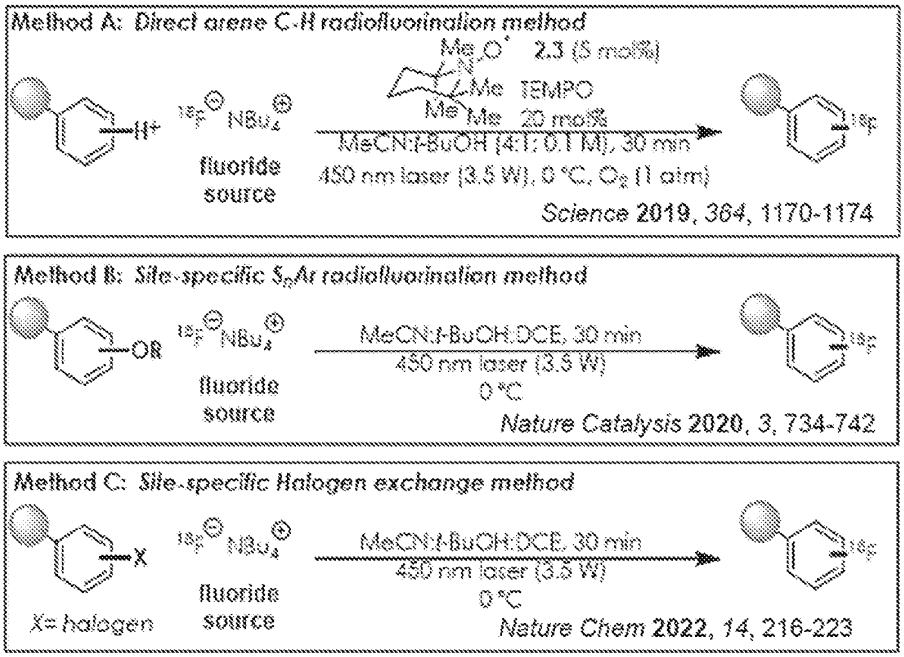

Method A: Direct arene C-H radiofluorination method
Me2O+ 2,3 (5 mol%)
Me TEMPO
Me Me 20 mol%
MeCN:t-BuOH (4:1; 0.1 M), 30 min
450 nm laser (3.5 W), 0 °C, O2 (1 atm)
fluoride source
Science 2019, 364, 1170-1174
Method B: Site-specific SNAr radiofluorination method
OR
MeCN:t-BuOH:DCE, 30 min
450 nm laser (3.5 W)
0 °C
fluoride source
Nature Catalysis 2020, 3, 734-742
Method C: Site-specific Halogen exchange method
X
MeCN:t-BuOH:DCE, 30 min
450 nm laser (3.5 W)
0 °C
X= halogen
fluoride source
Nature Chem 2022, 14, 216-223

FIG. 5

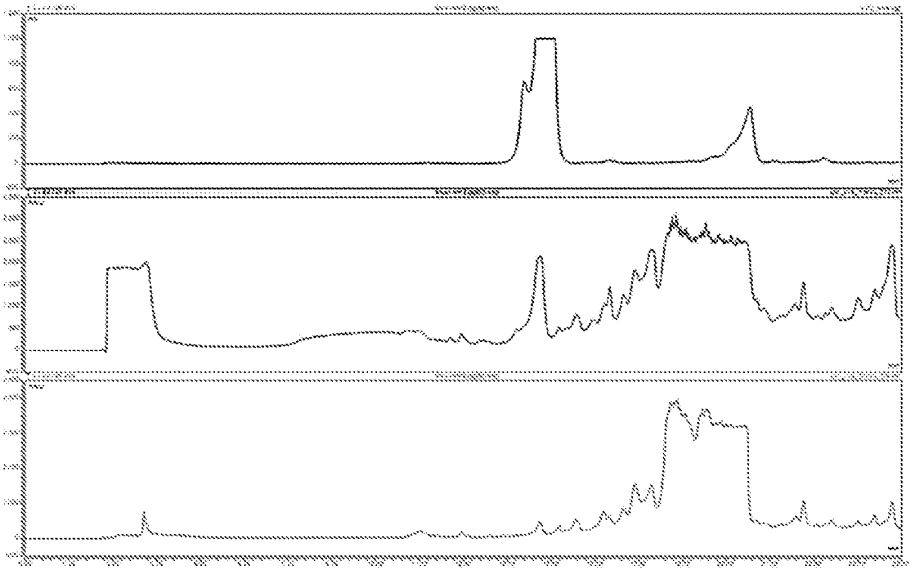


FIG. 6

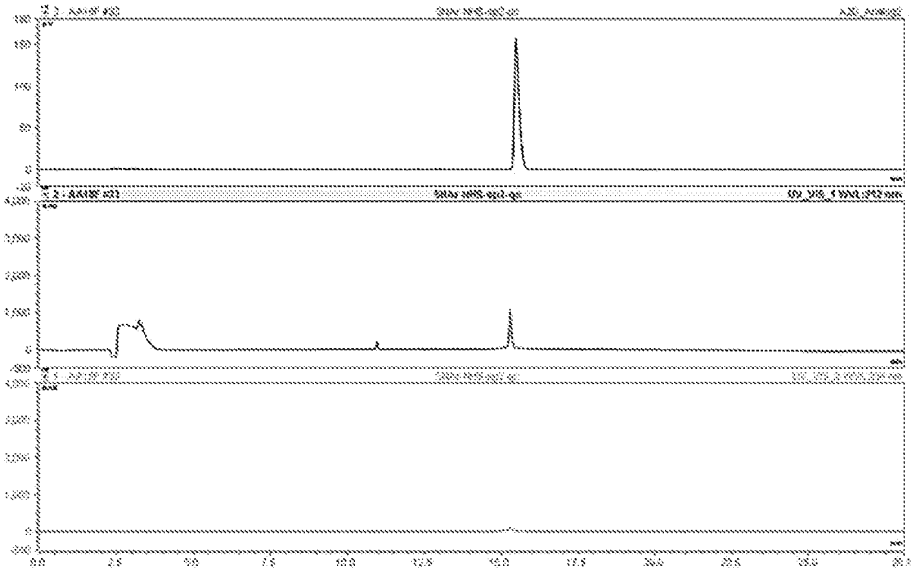


FIG. 7

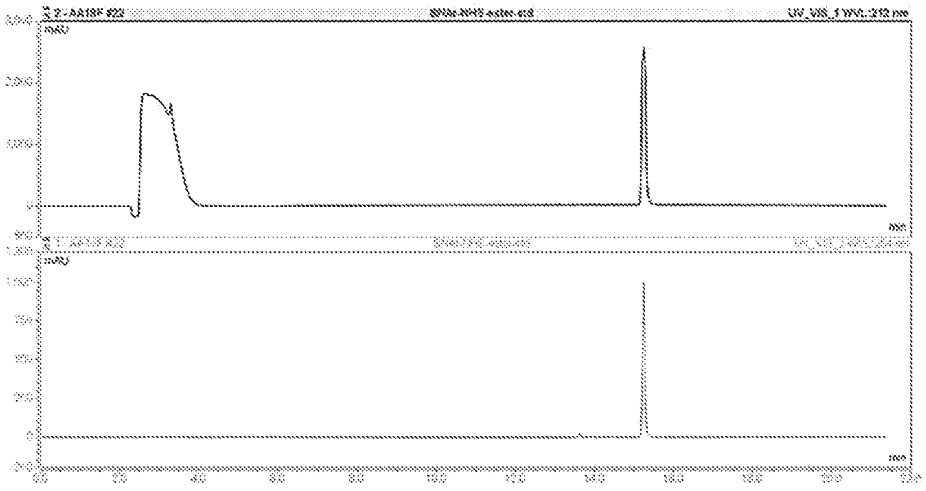


FIG. 8

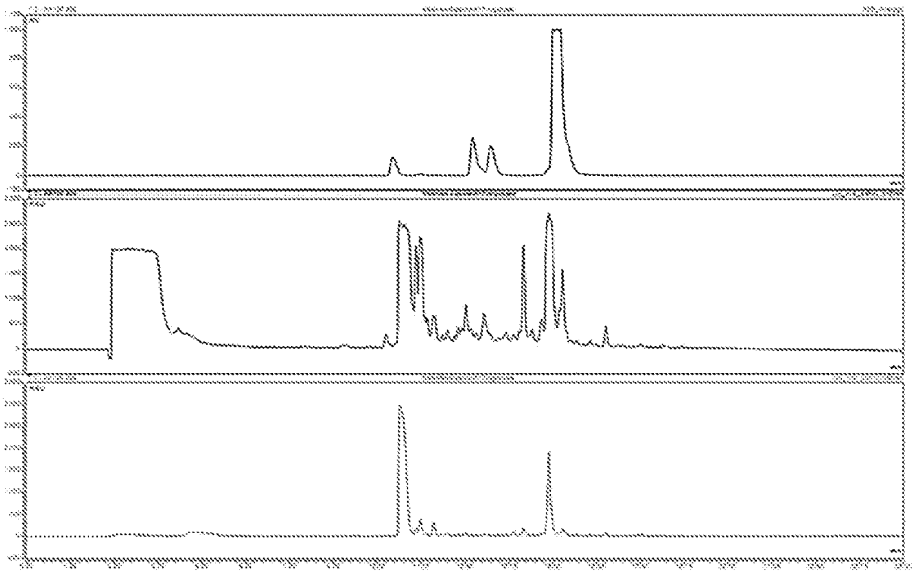


FIG. 9

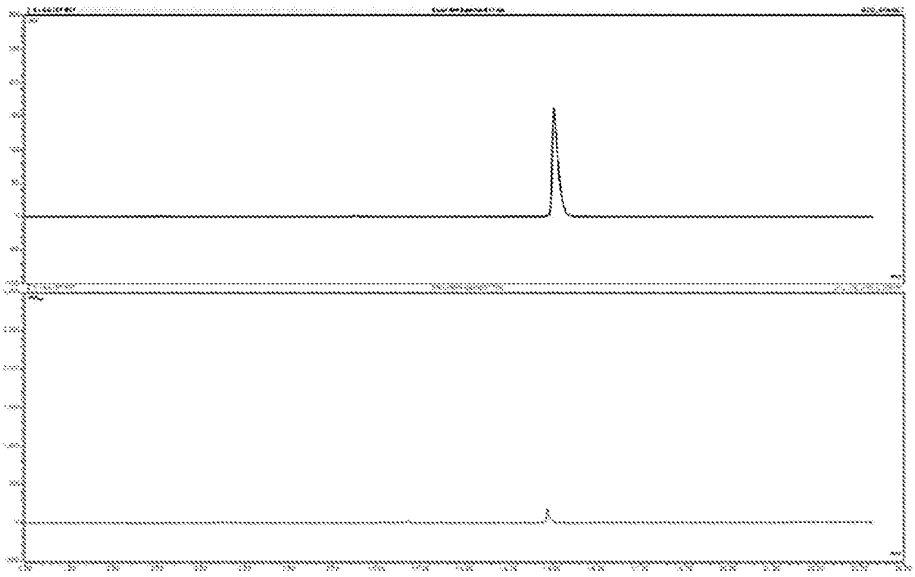


FIG. 10

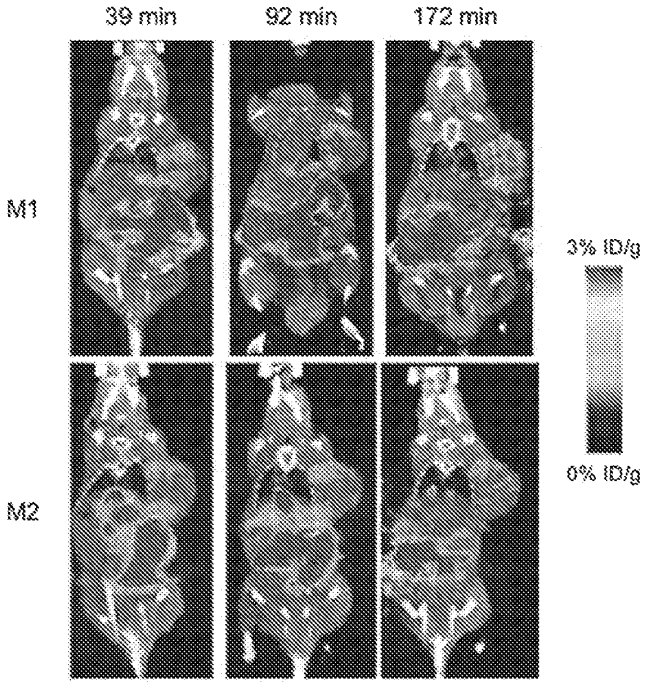


FIG. 11

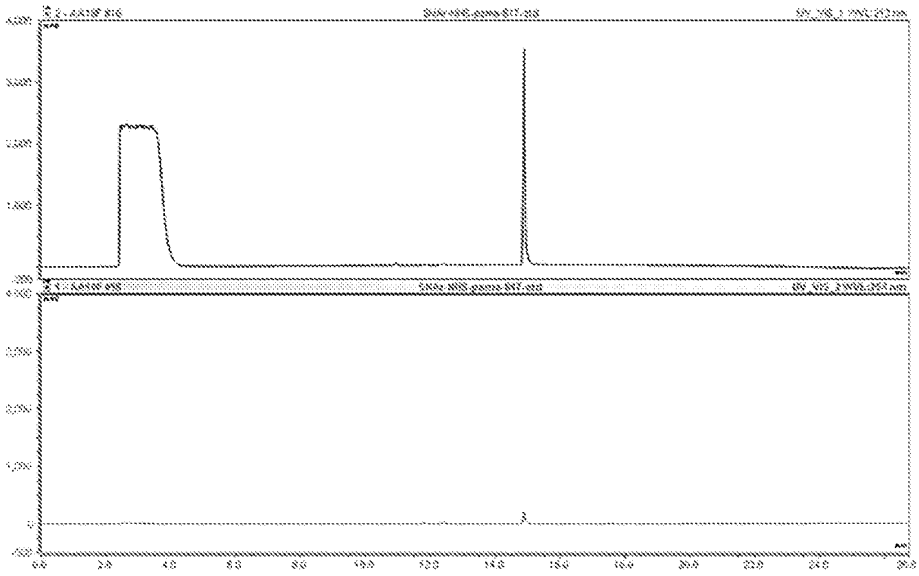


FIG. 12

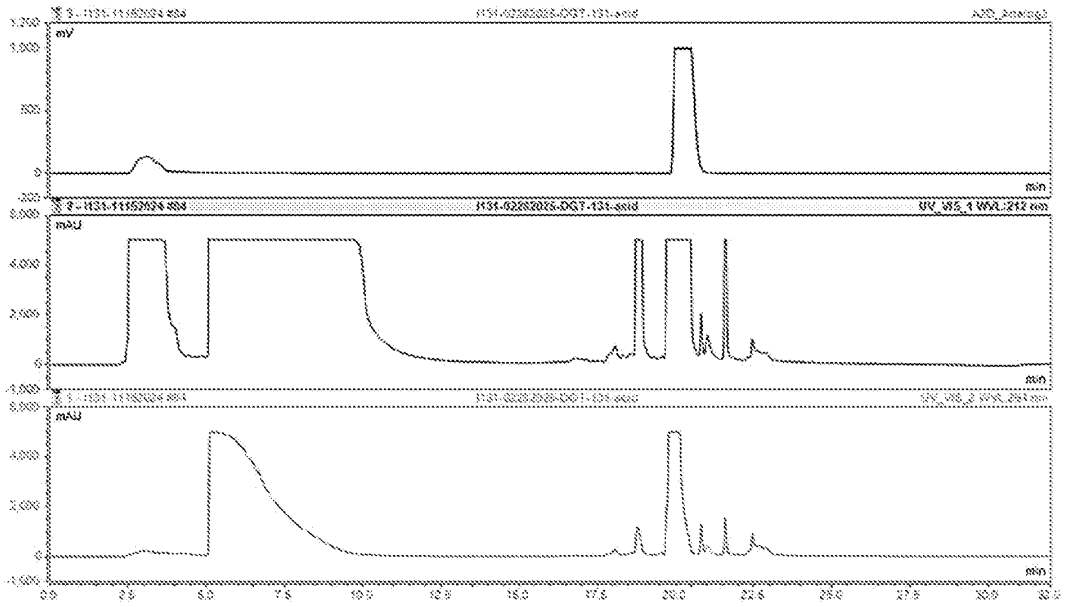


FIG. 13

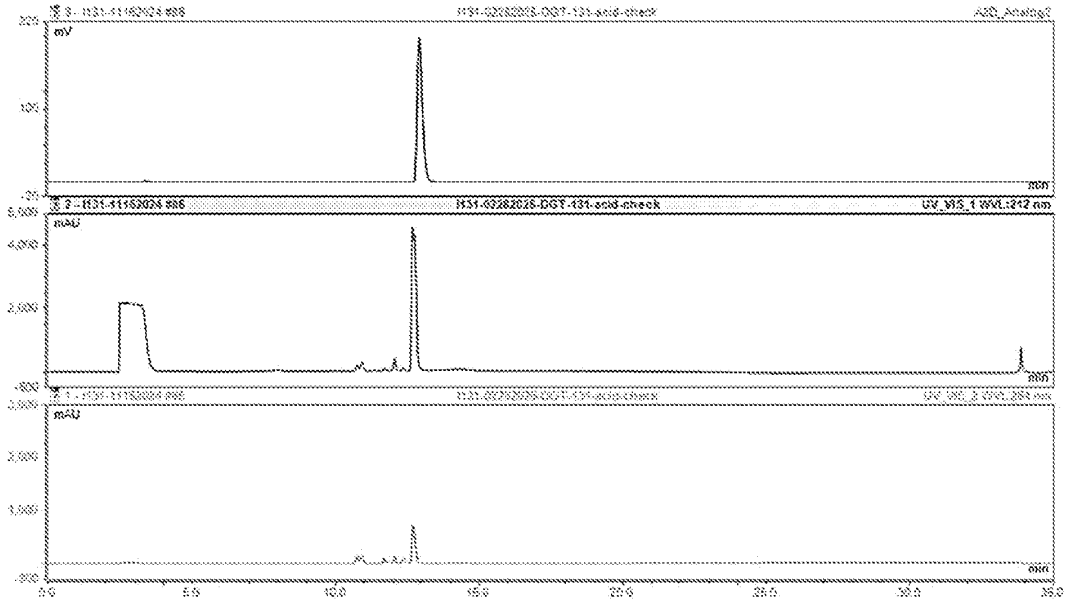


FIG. 14

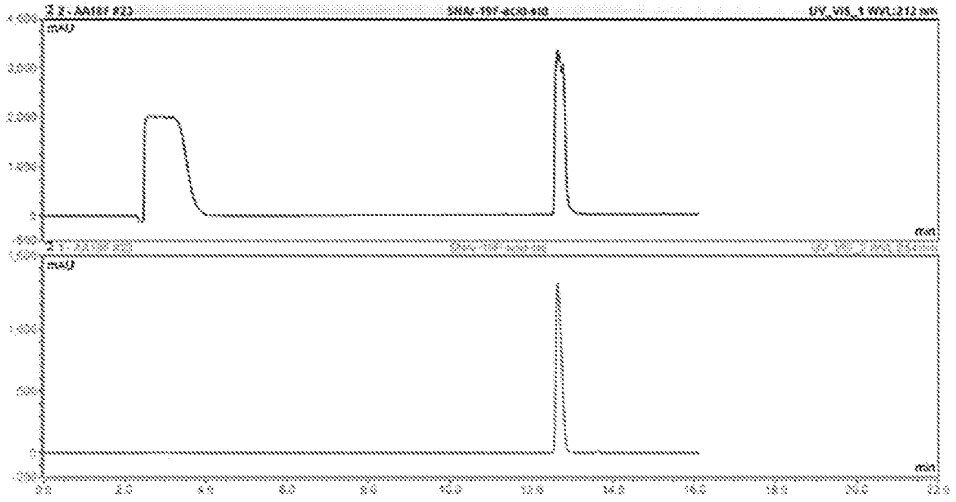


FIG. 15

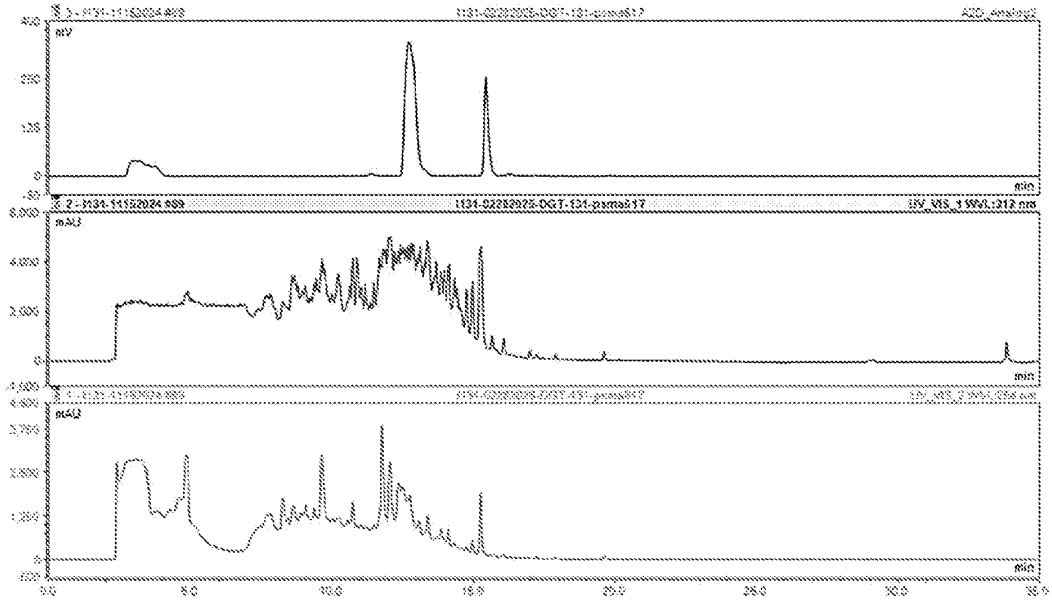


FIG. 16

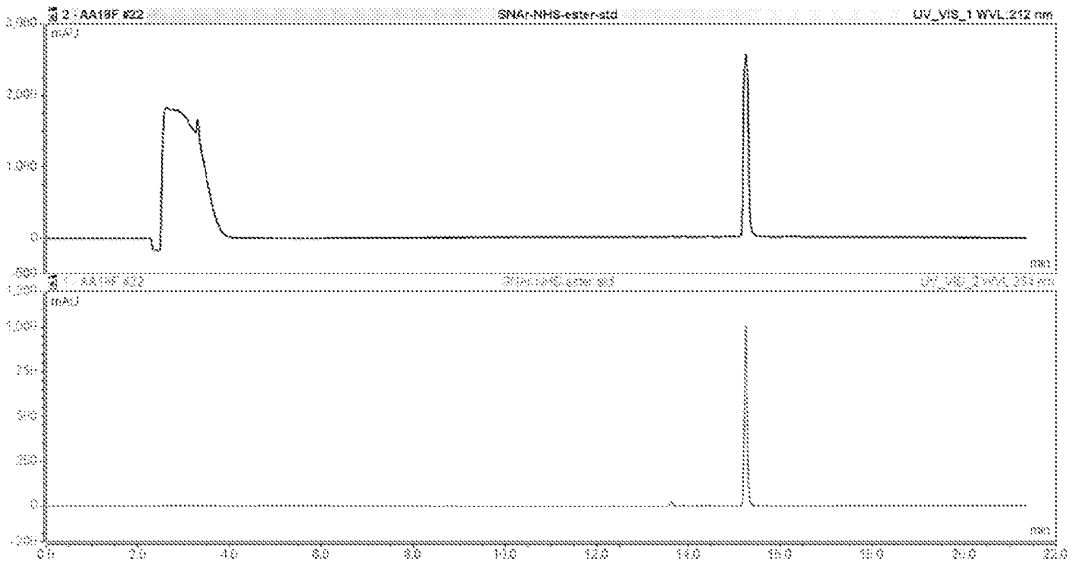

2 : AA19F #22
SNAr-NHS-ester-std
UV_VIS_1 WVL:212 nm
mAU
min
1 : AA19F #22
SNAr-NHS-ester-std
UV_VIS_1 WVL:231 nm
mAU
min

FIG. 17

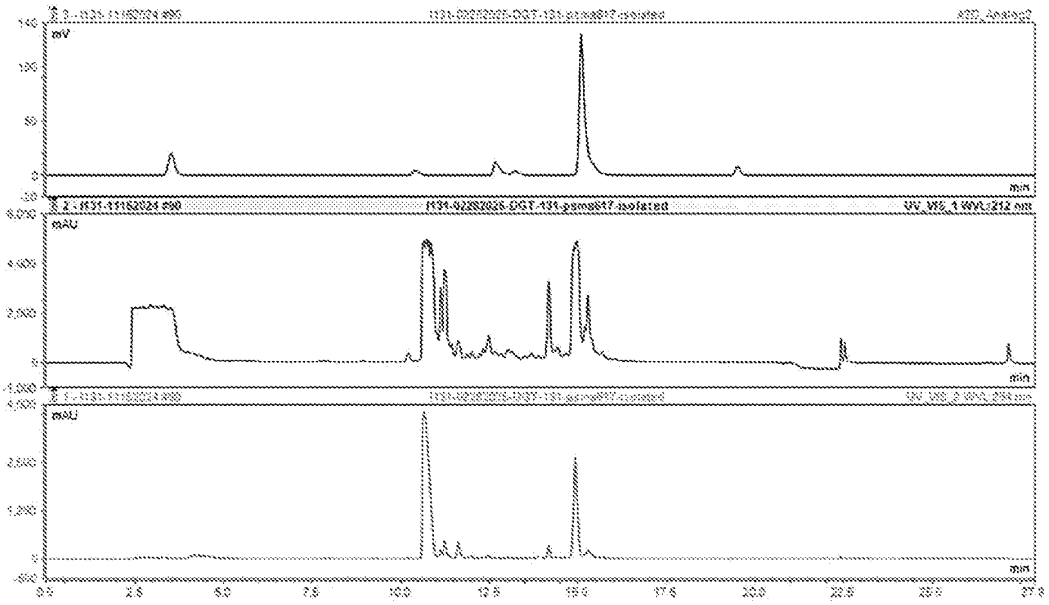


FIG. 18

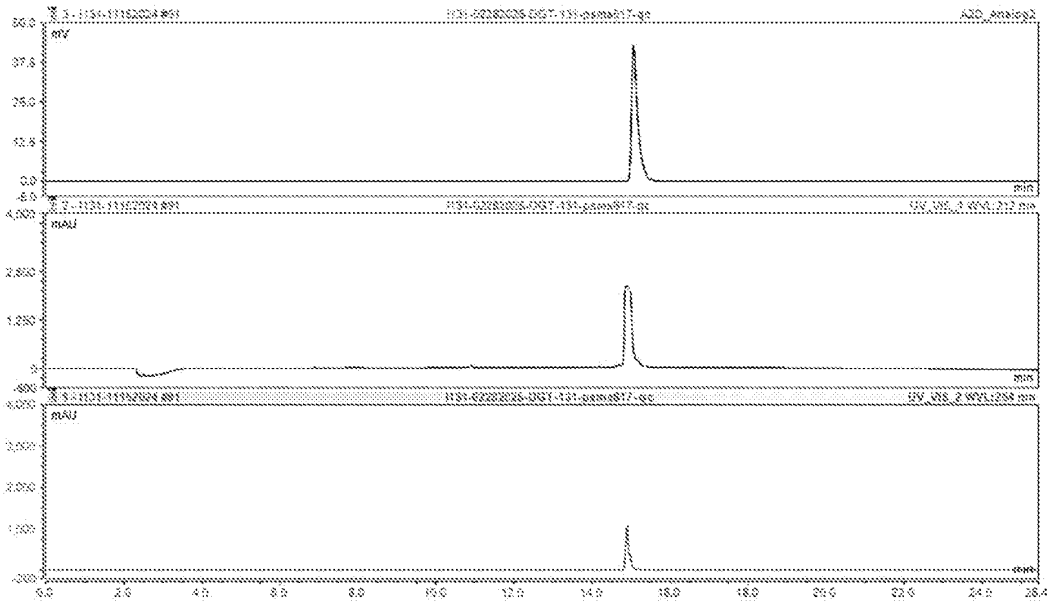


FIG. 19

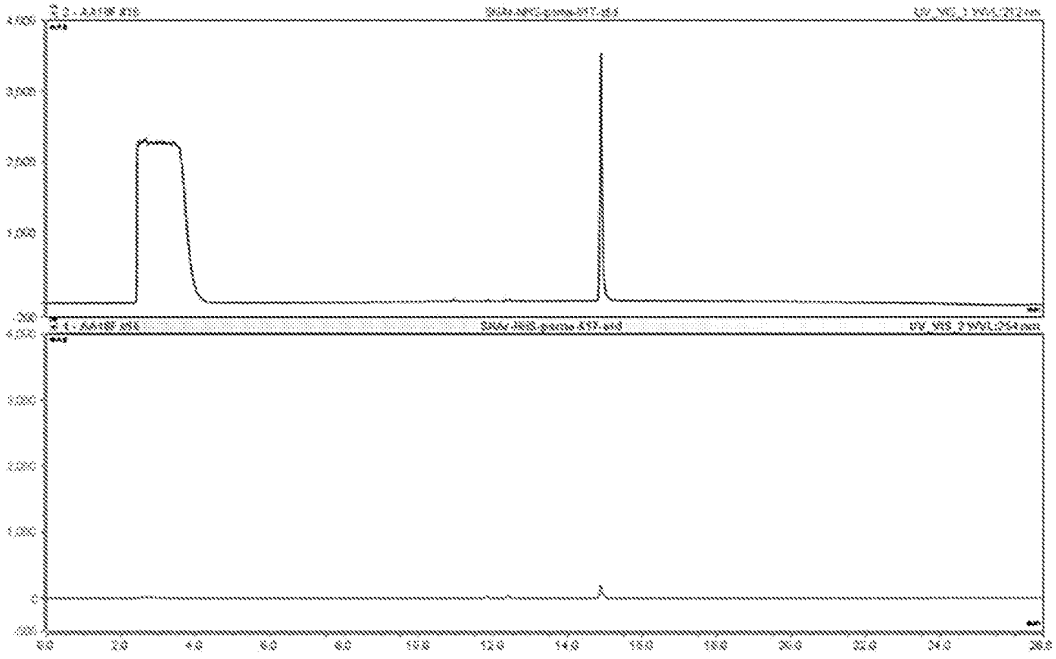


FIG. 20