FIGURE 1

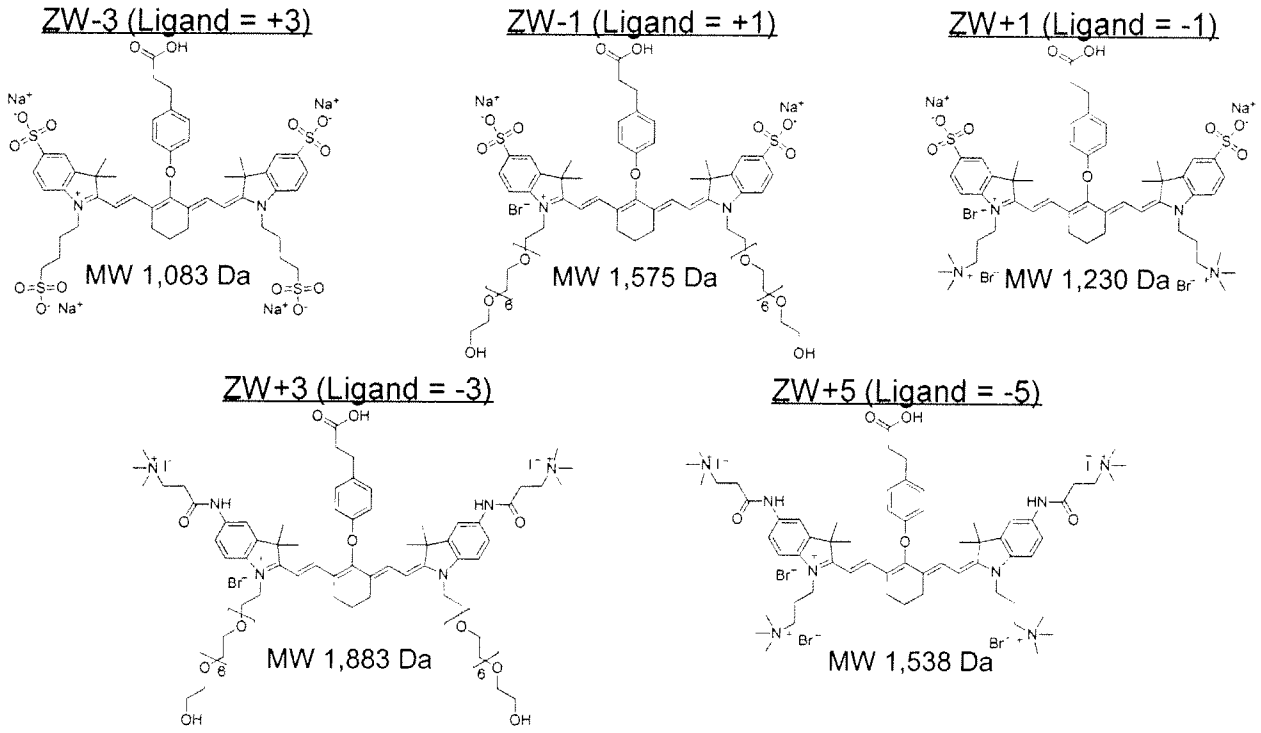

ZW-3 (Ligand = +3)
MW 1,083 Da
ZW-1 (Ligand = +1)
MW 1,575 Da
ZW+1 (Ligand = -1)
MW 1,230 Da
ZW+3 (Ligand = -3)
MW 1,883 Da
ZW+5 (Ligand = -5)
MW 1,538 Da

FIGURE 2

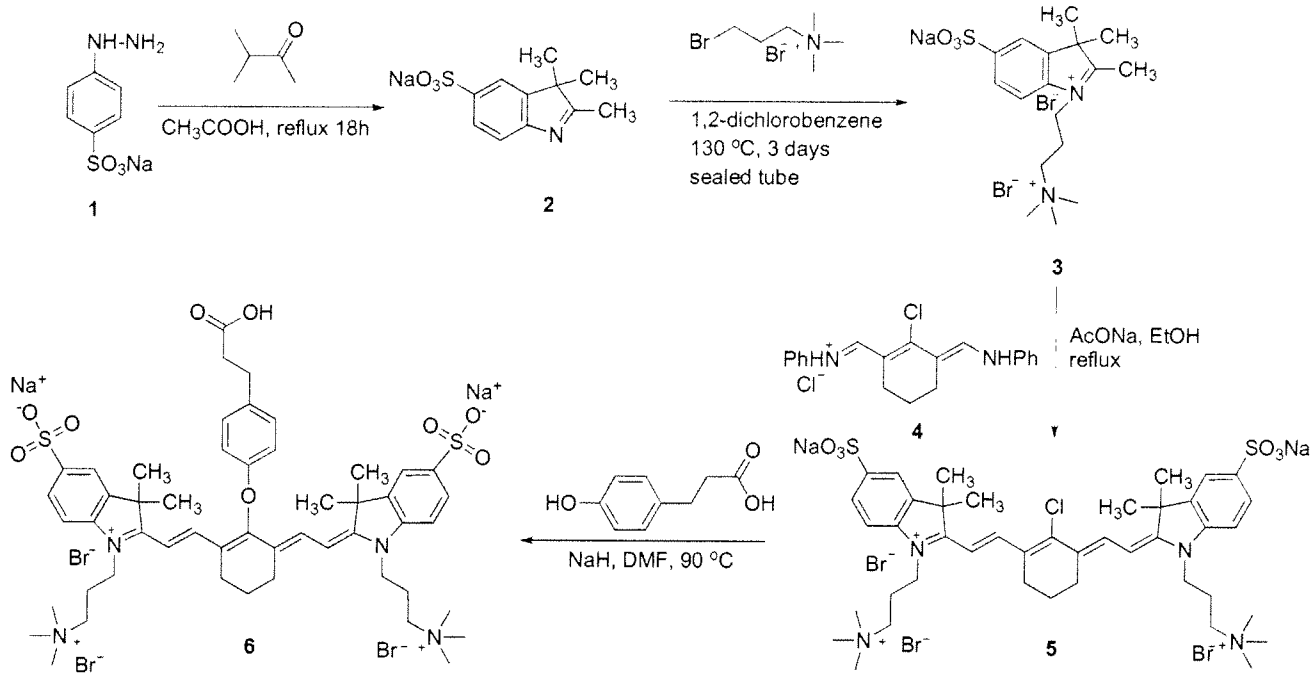

NH-NH2
SO3Na
1
CH3COOH, reflux 18h
NaO3S
H3C
CH3
CH3
N
2
Br
Br-
N
1,2-dichlorobenzene
130 °C, 3 days
sealed tube
NaO3S
H3C
CH3
CH3
Br-
N
Br-
N
3
Cl
PhHN
Cl-
NHPh
4
AcONa, EtOH
reflux
NaO3S
SO3Na
CH3
CH3
Cl
H3C
CH3
Br-
N
N
Br-
N
Br-
5
HO
O
OH
NaH, DMF, 90 °C
O
OH
Na+
-O
O=S=O
CH3
CH3
O
H3C
CH3
Na+
O-
O=S=O
Br-
N
N
Br-
N
Br-
N
6

FIGURE 3

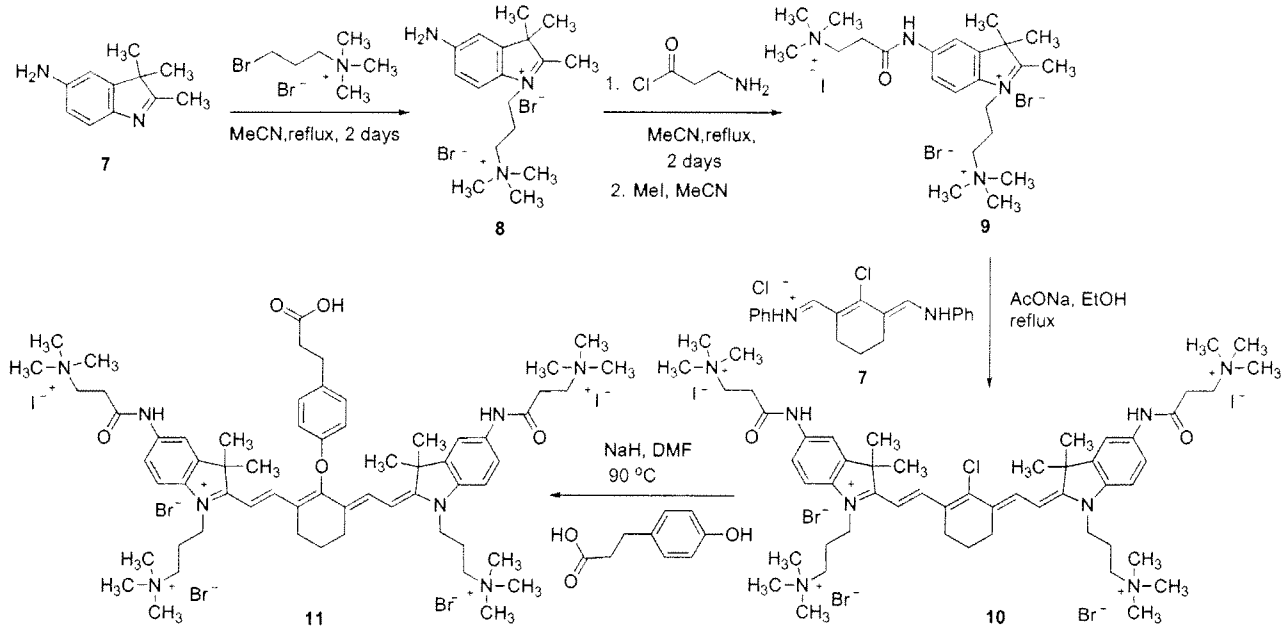

MeCN,reflux, 2 days
MeCN,reflux,
2 days
2. MeI, MeCN
AcONa, EtOH
reflux
NaH, DMF
90 °C
7
8
9
10
11

FIGURE 4

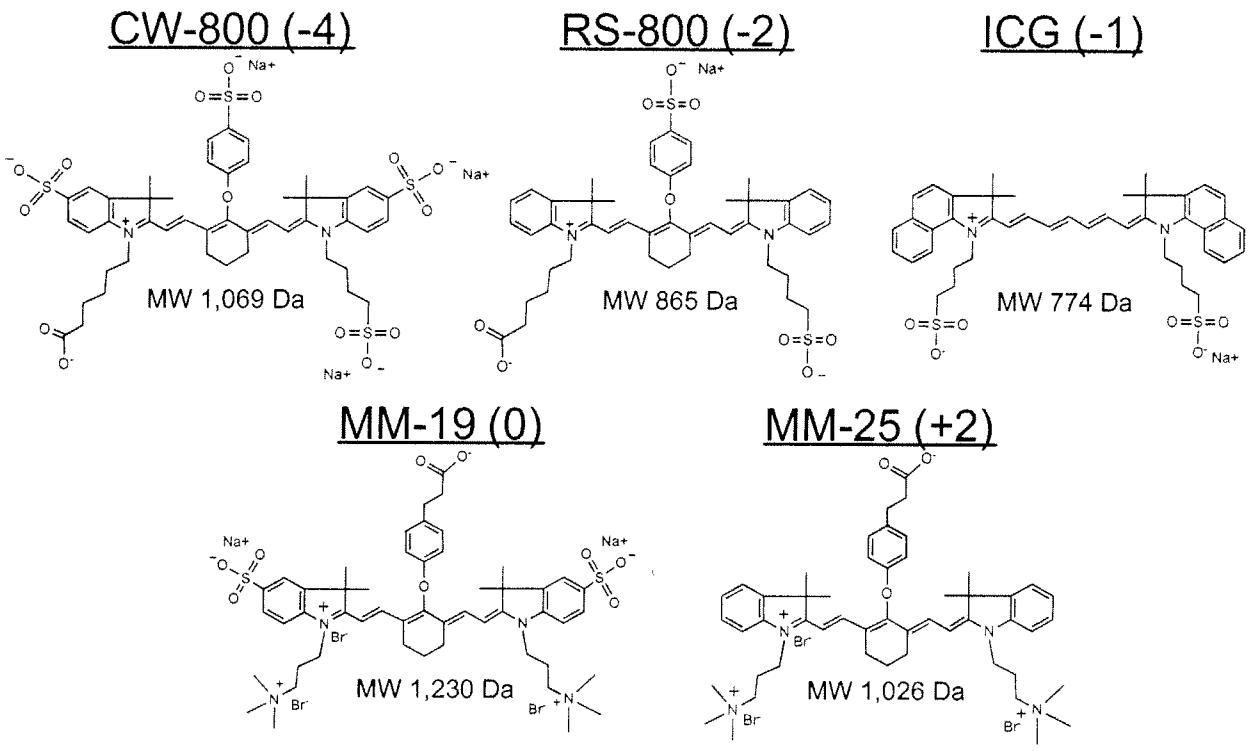

CW-800 (-4)
MW 1,069 Da
RS-800 (-2)
MW 865 Da
ICG (-1)
MW 774 Da
MM-19 (0)
MW 1,230 Da
MM-25 (+2)
MW 1,026 Da

FIGURE 5

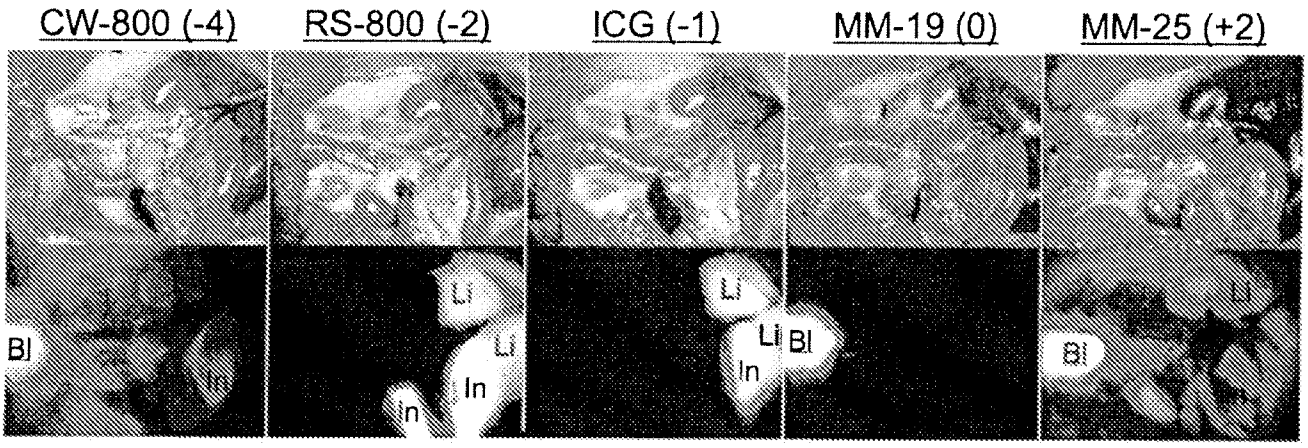


FIGURE 6

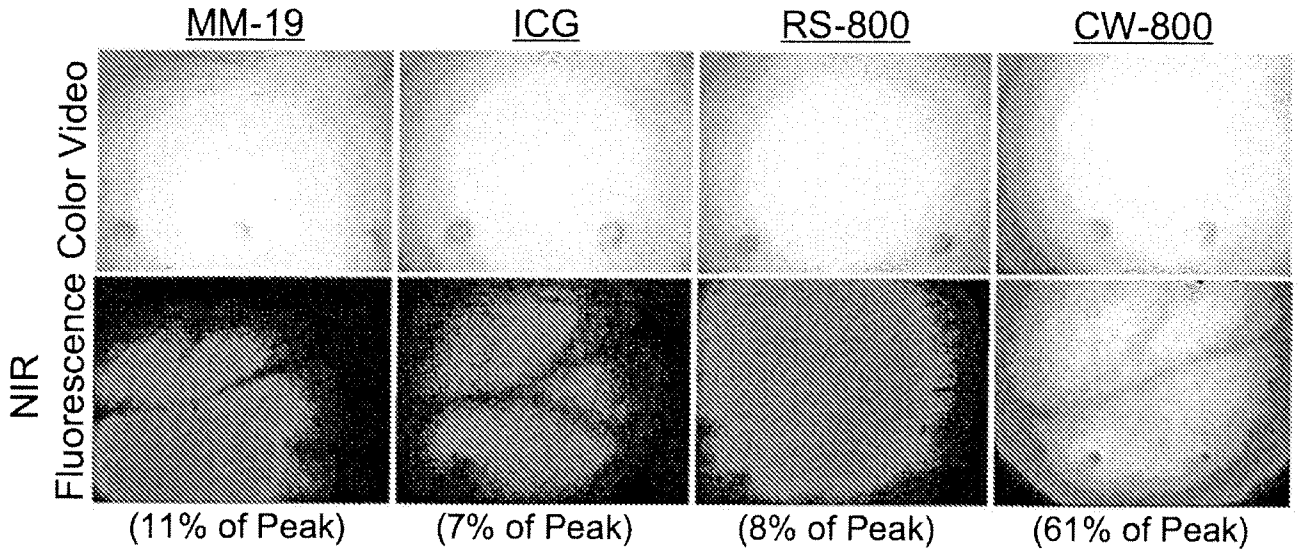

MM-19
ICG
RS-800
CW-800
Color Video
NIR Fluorescence
(11% of Peak)
(7% of Peak)
(8% of Peak)
(61% of Peak)

FIGURE 7

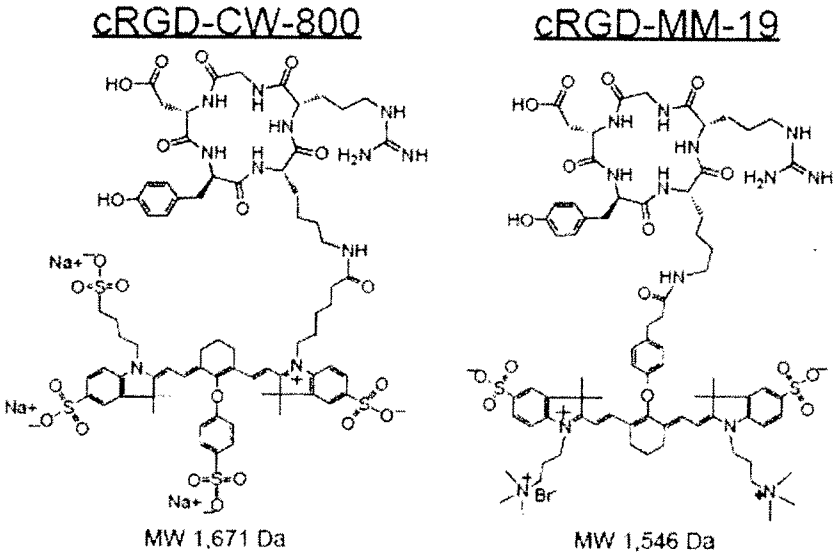


FIGURE 8

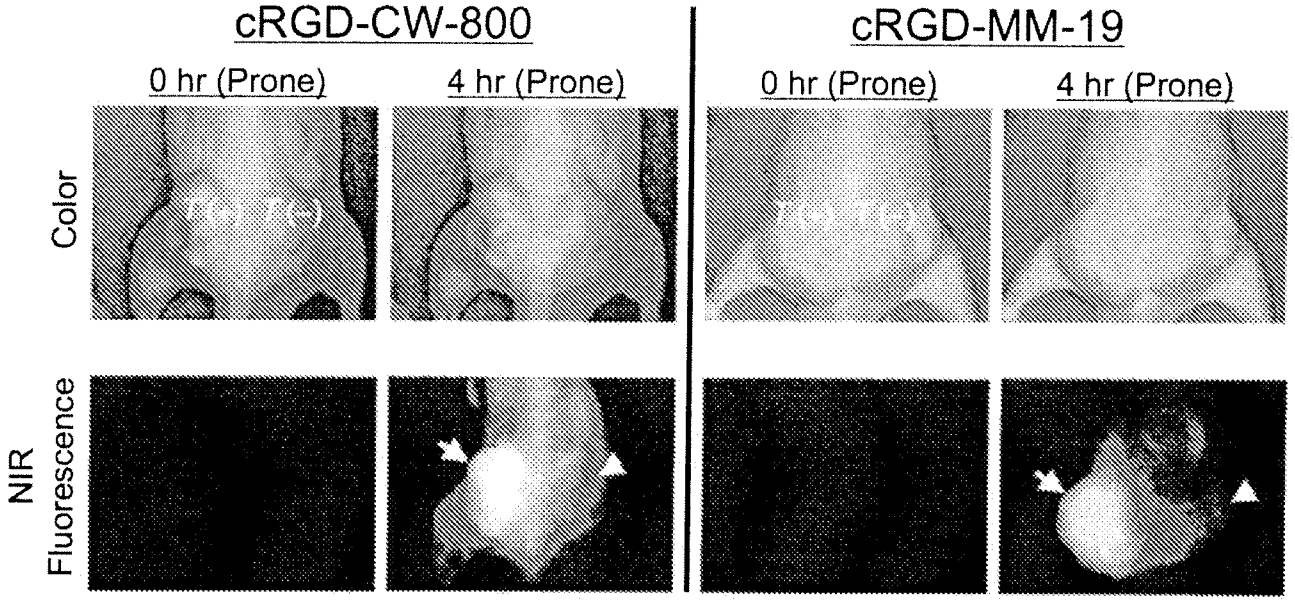
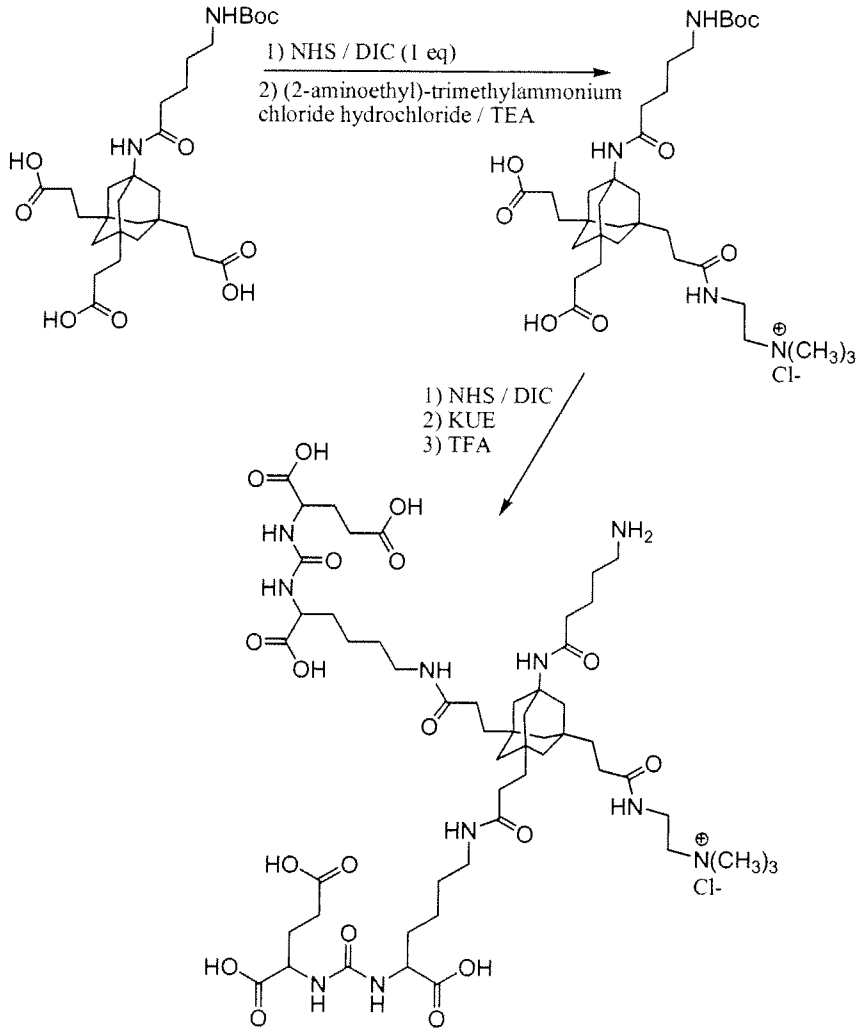

cRGD-CW-800
cRGD-MM-19
0 hr (Prone)
4 hr (Prone)
0 hr (Prone)
4 hr (Prone)
Color
NIR Fluorescence

FIGURE 9


NHBoc
1) NHS / DIC (1 eq)
2) (2-aminoethyl)-trimethylammonium chloride hydrochloride / TEA
NHBoc
1) NHS / DIC
2) KUE
3) TFA
NH2
N(CH3)3
Cl-