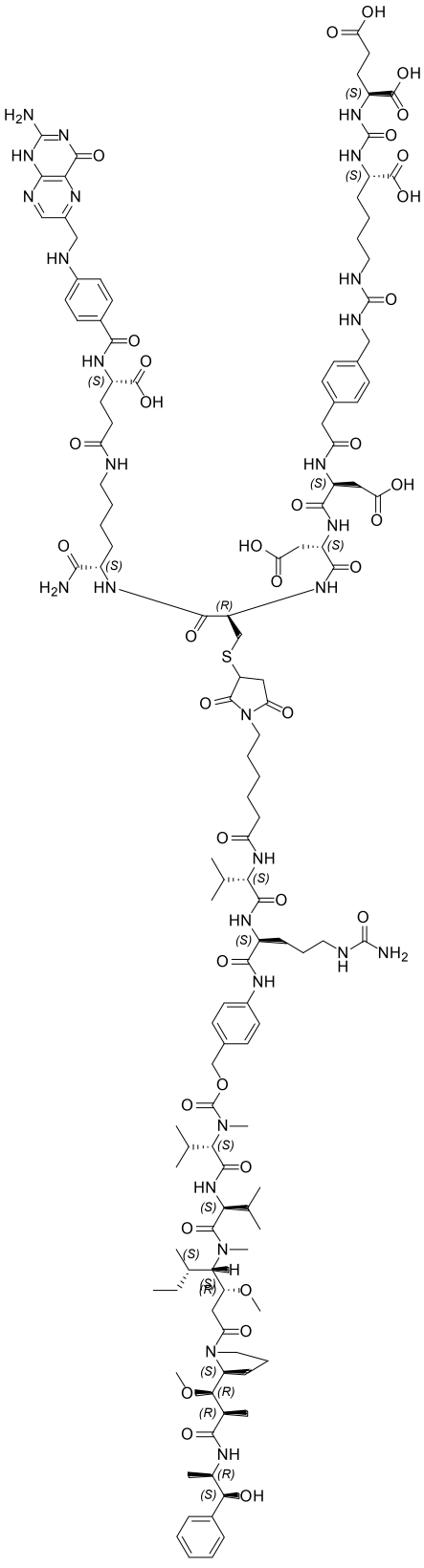
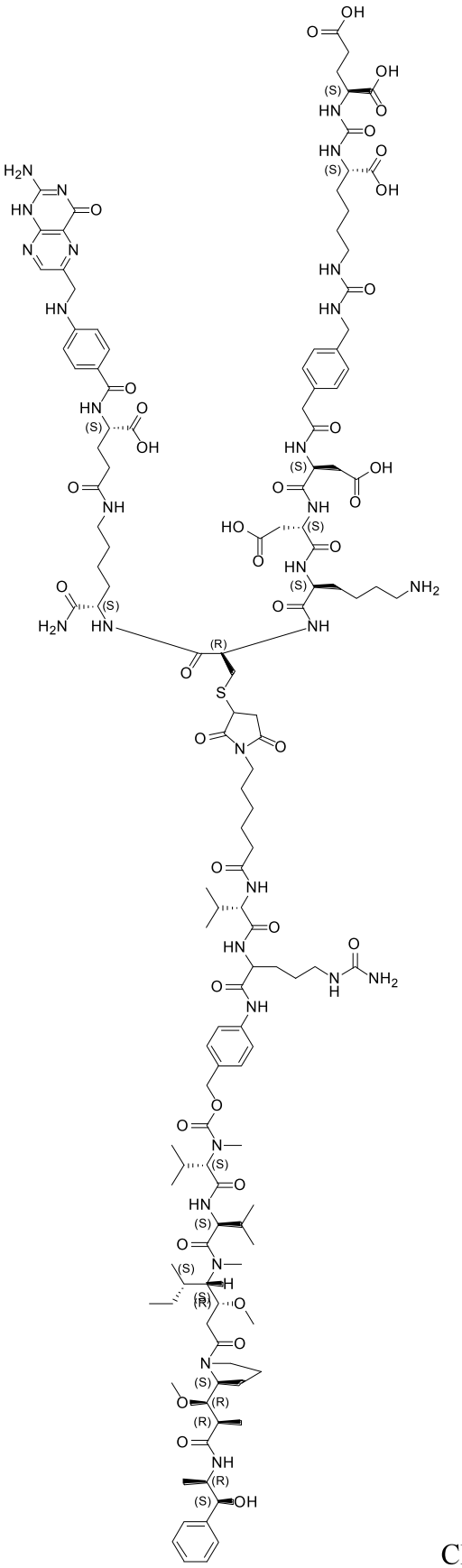


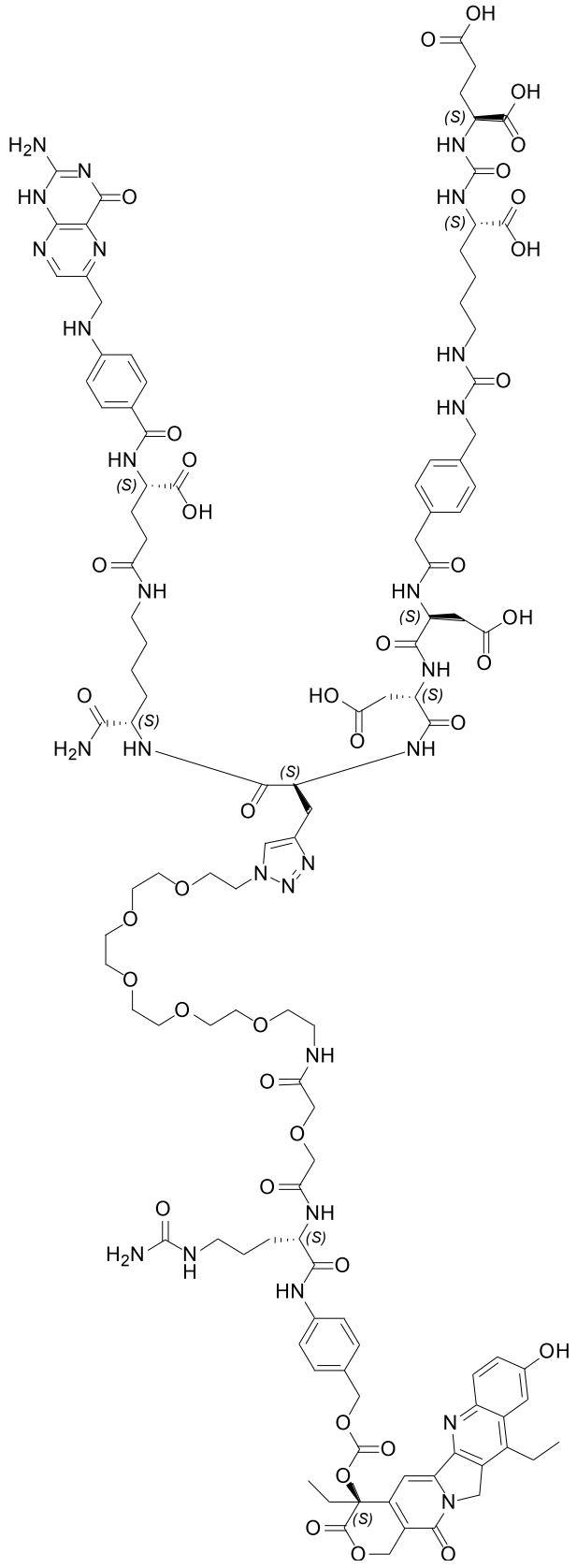
CB-20B

*Fig. 1*



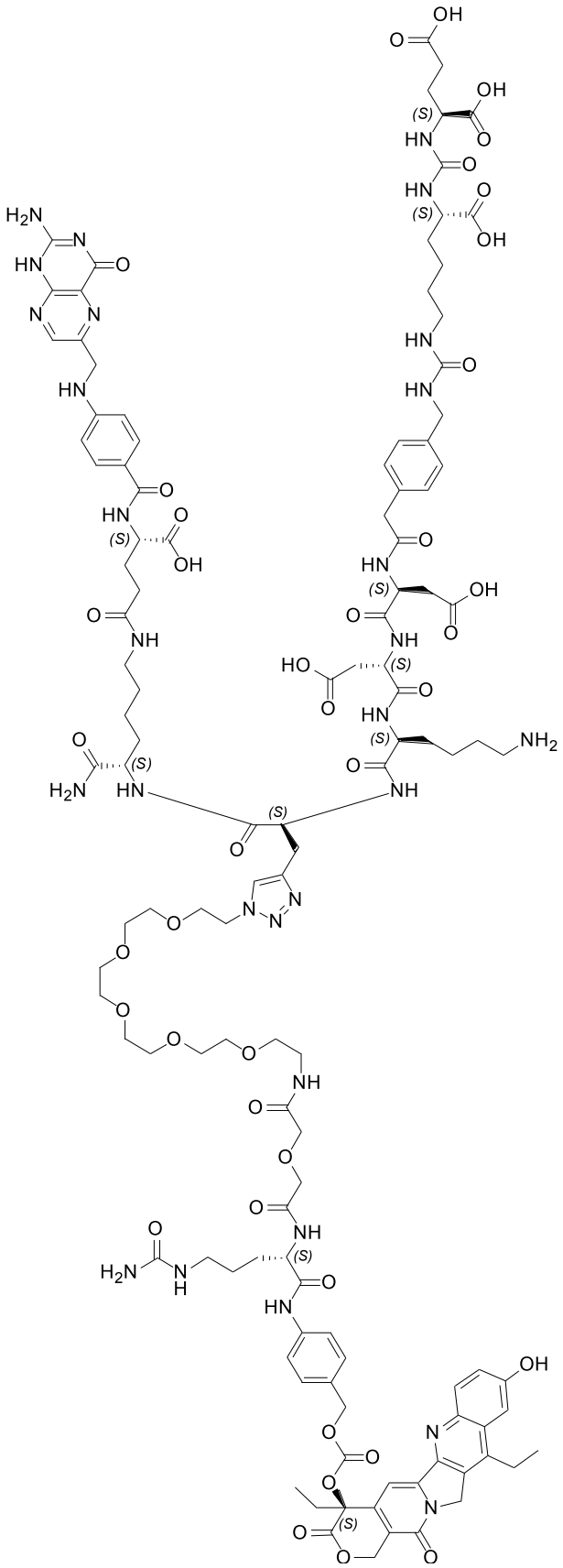
CB-20BK

*Fig. 1 (continued)*



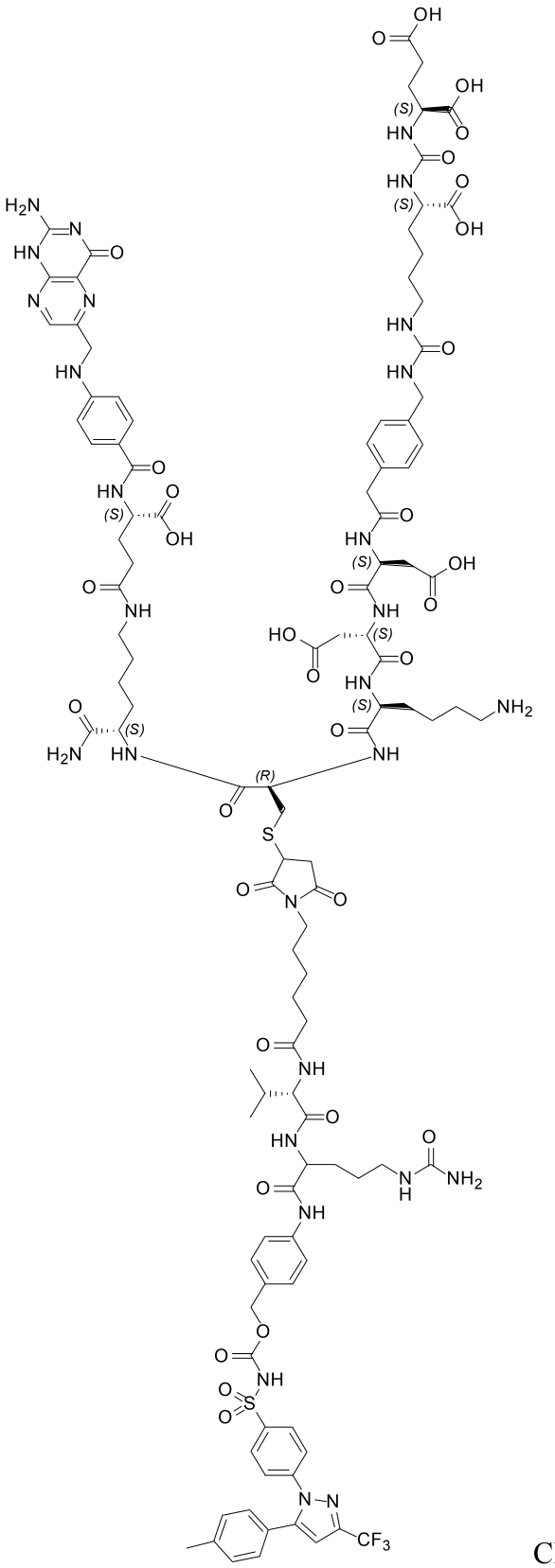
CB-60S

*Fig. 1 (continued)*



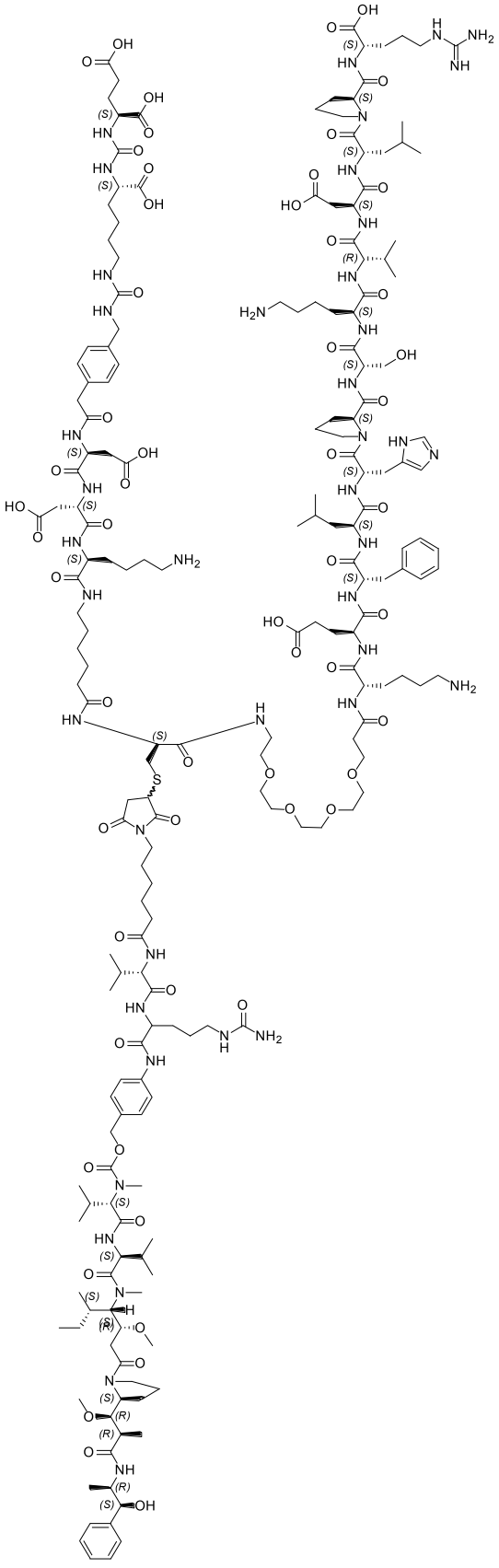
CB-60SK

*Fig. 1 (continued)*



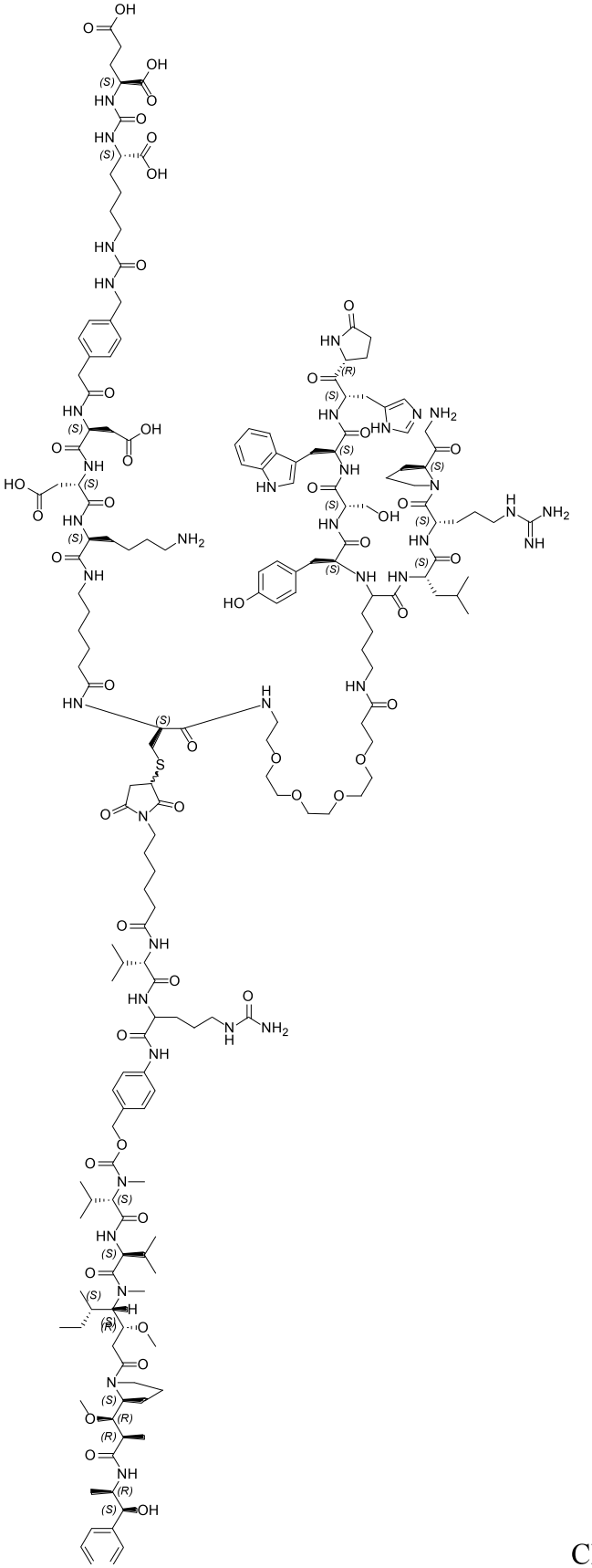
CB-20C

*Fig. 1 (continued)*



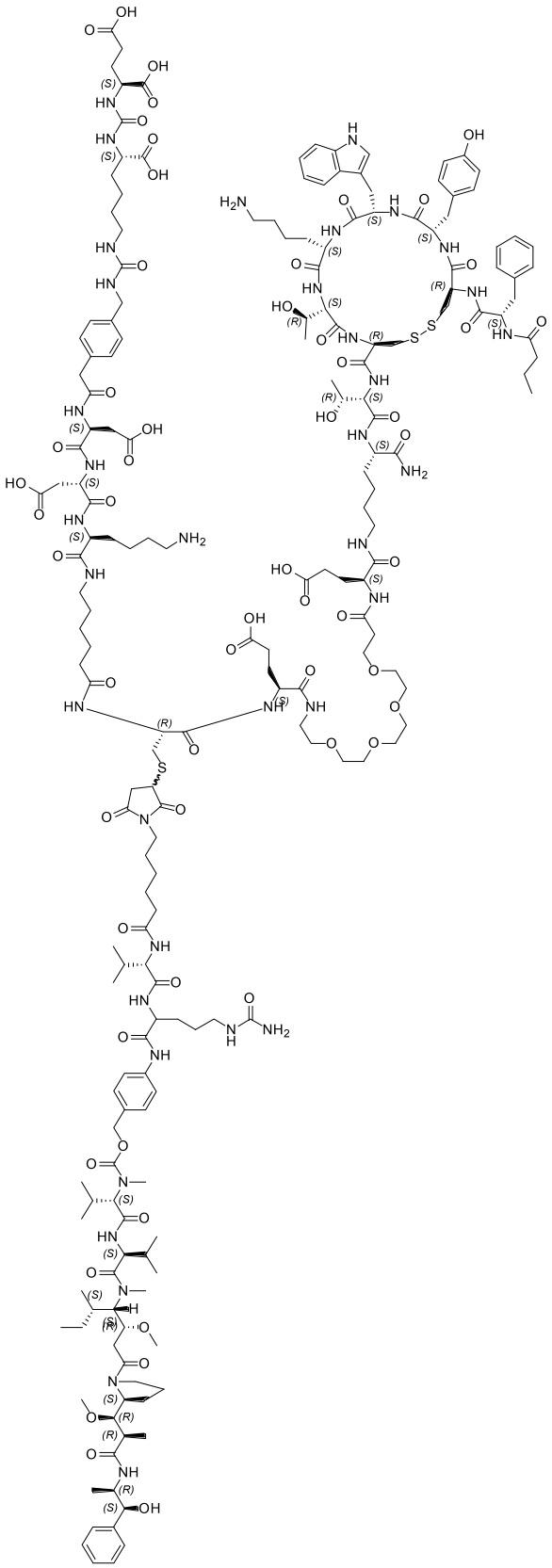
CB-1020

*Fig. 1 (continued)*



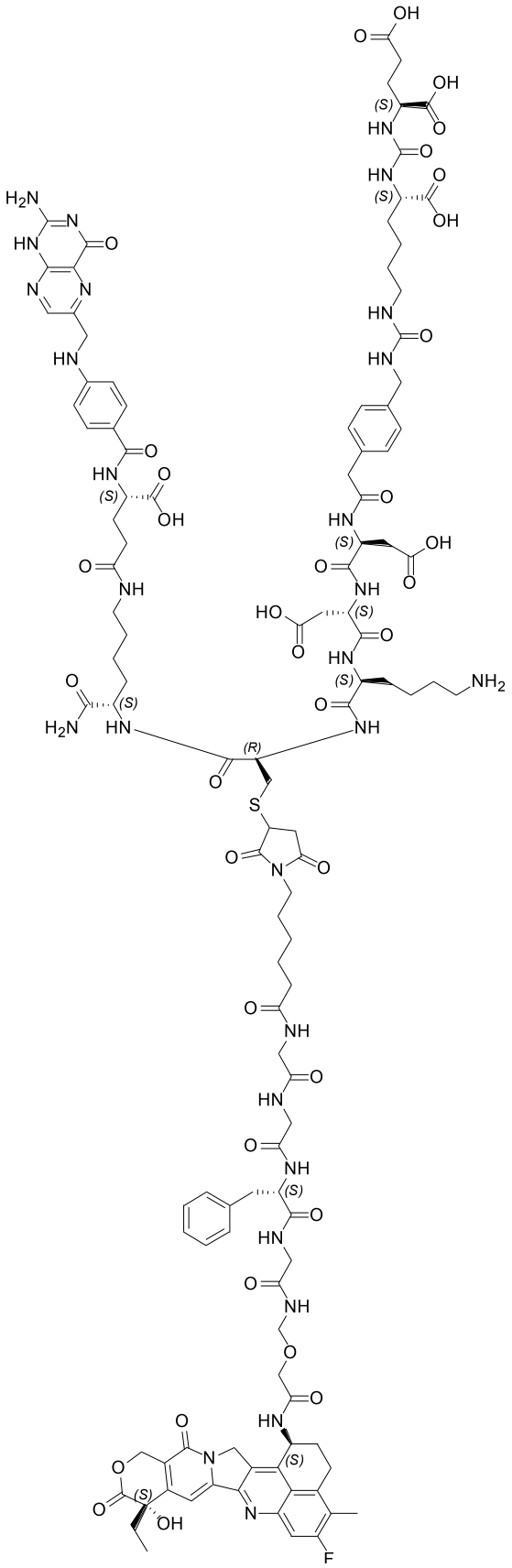
CB-1320

*Fig. 1 (continued)*



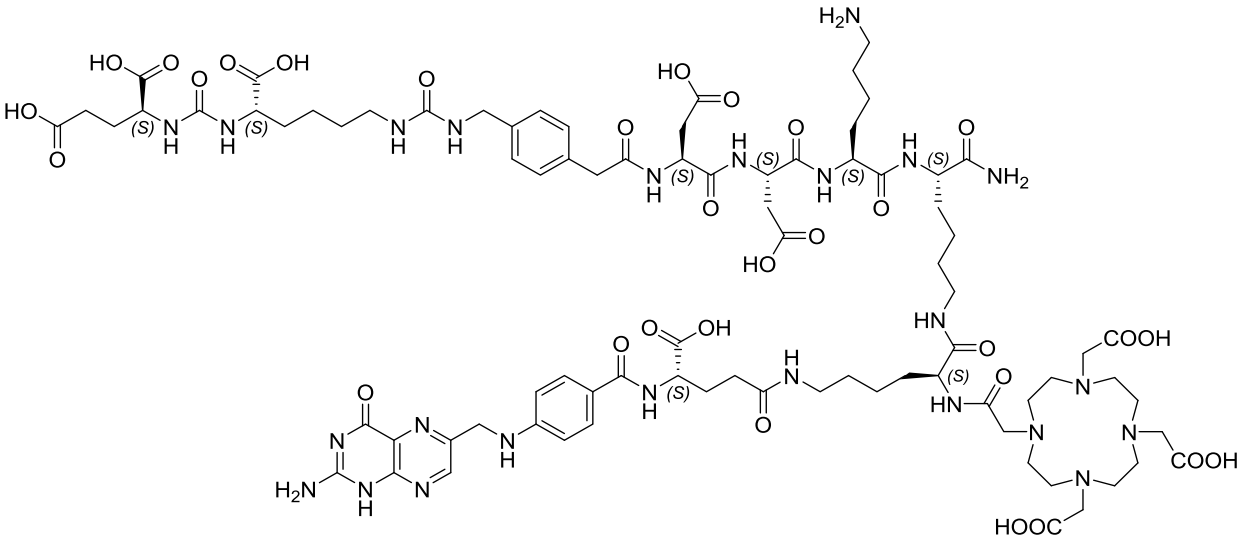
CB-1820

*Fig. 1 (continued)*

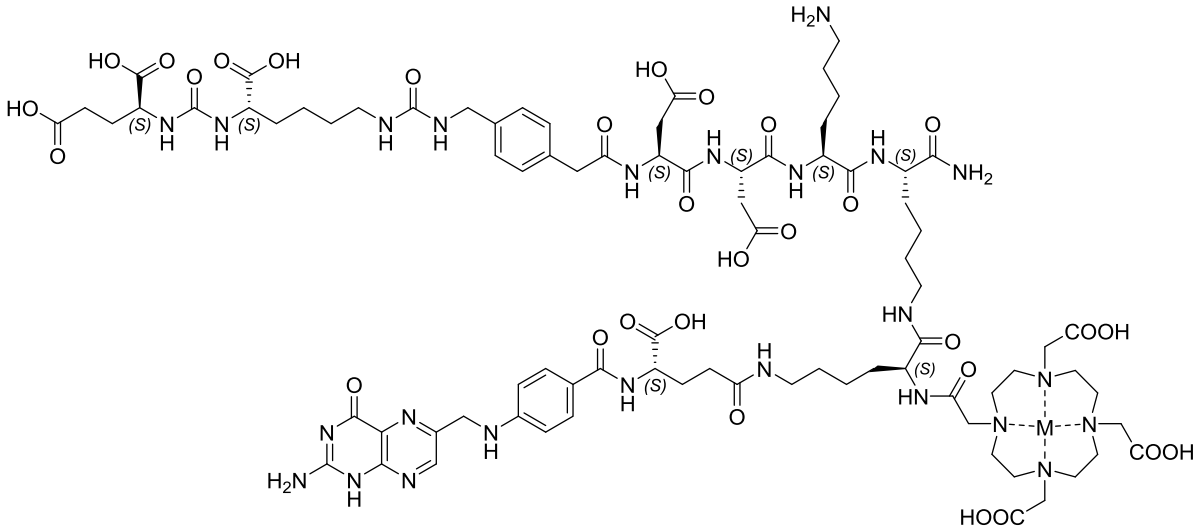


CR19428

*Fig. 1 (continued)*

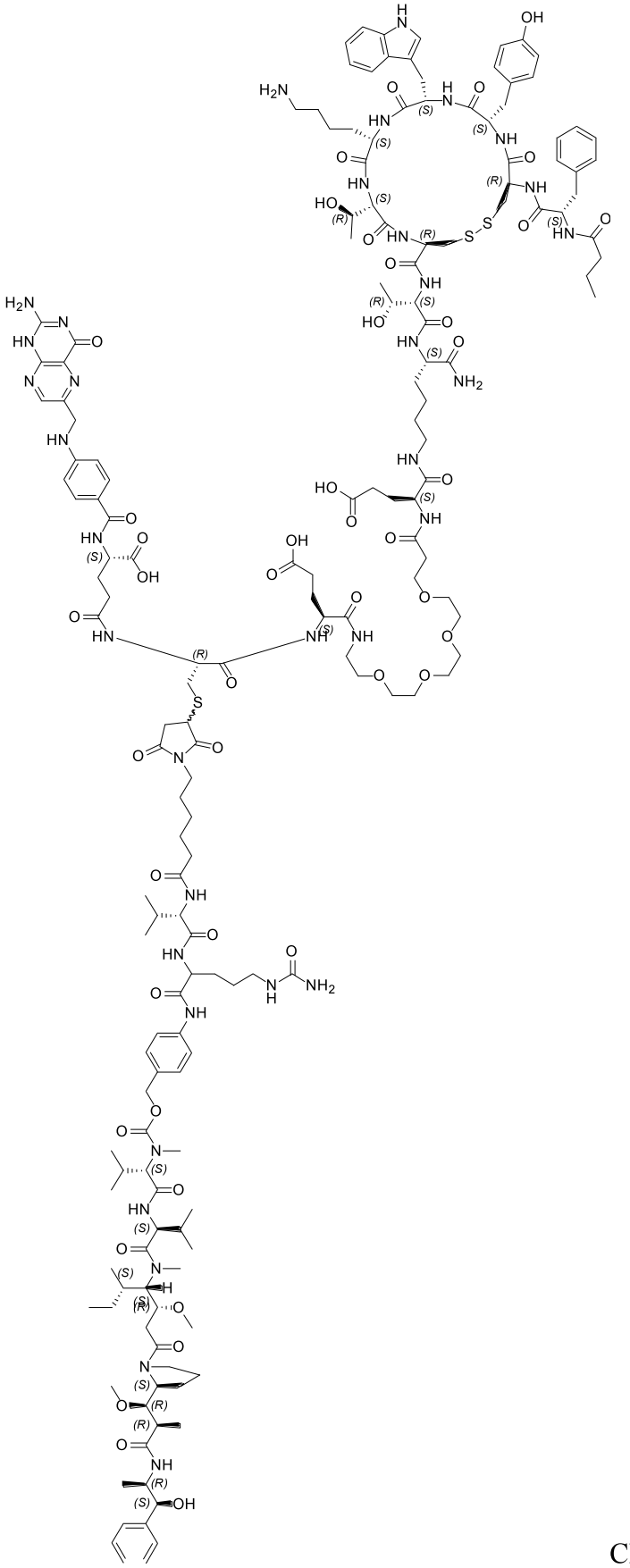


20R-SM09



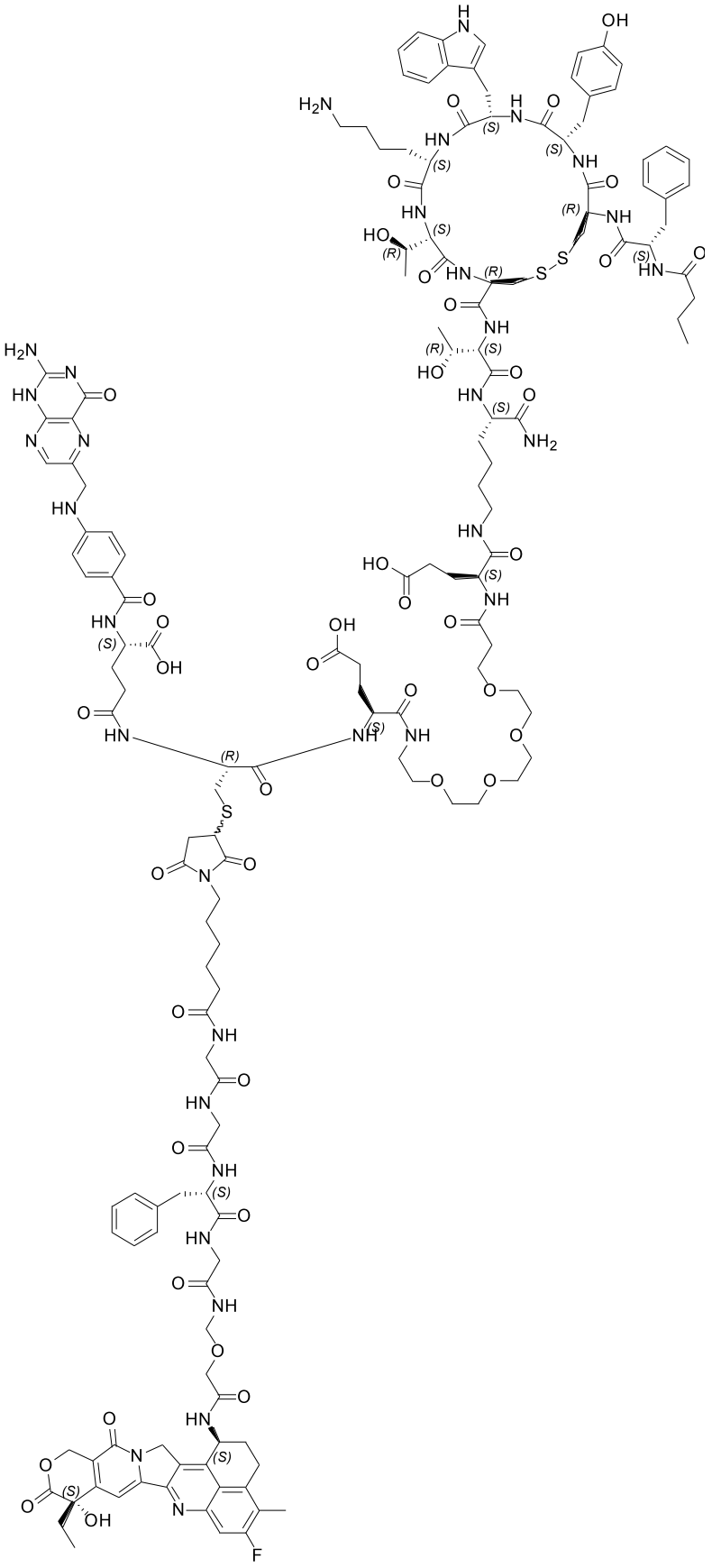
CB-20R

*Fig. 1 (continued)*



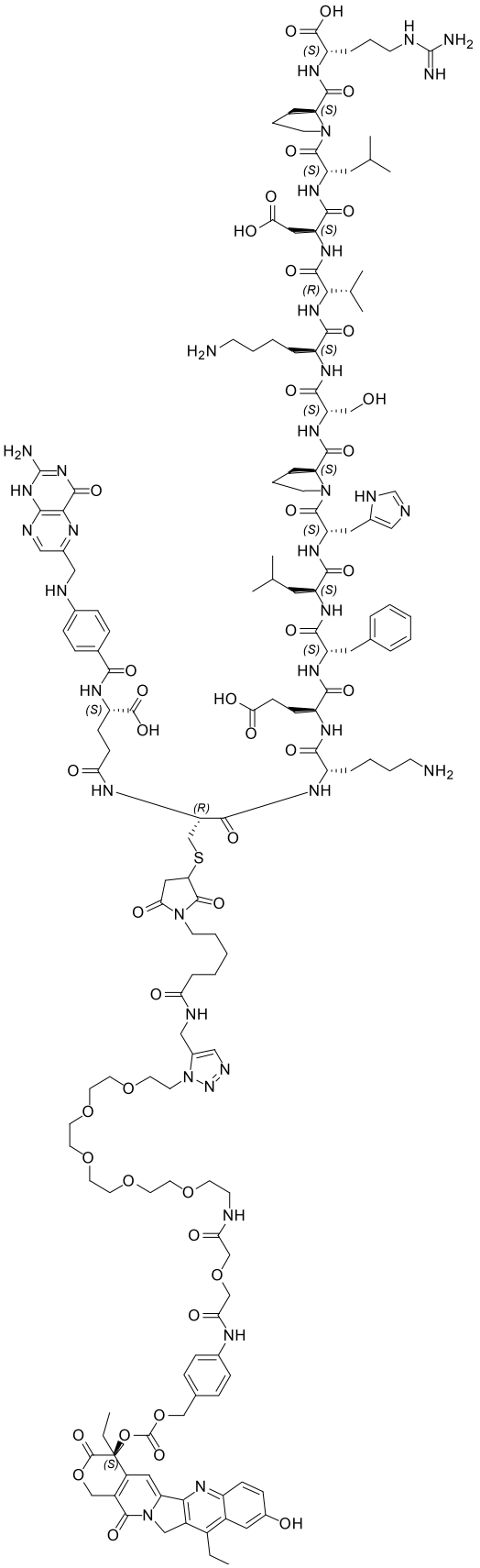
CB-18G

*Fig. 1 (continued)*



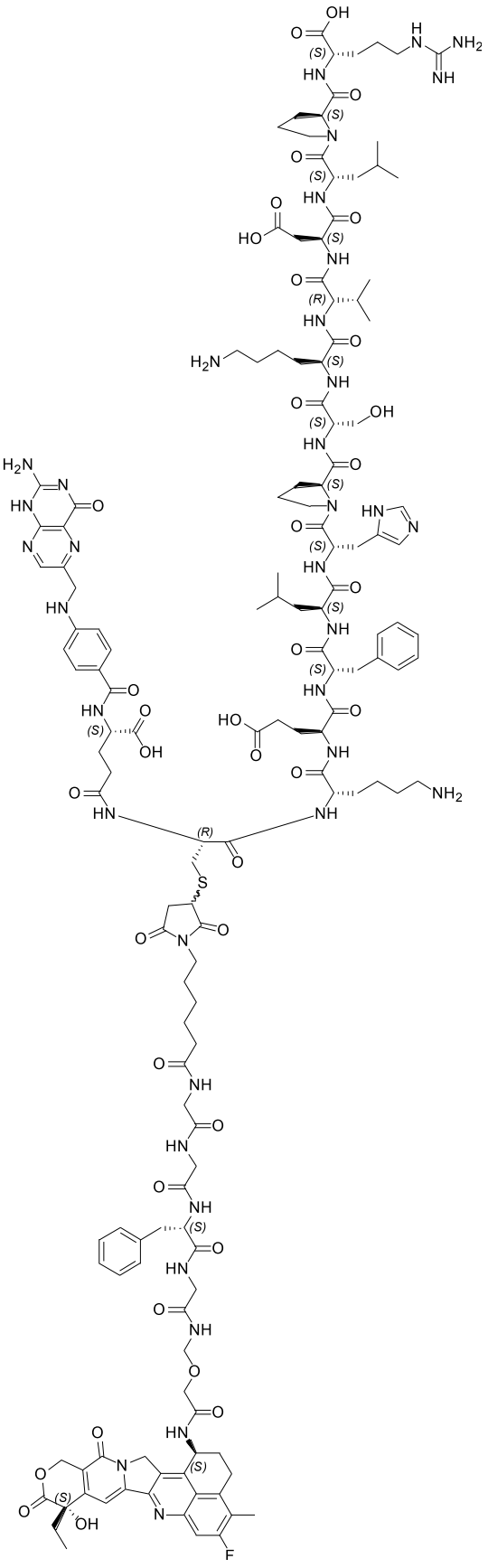
CR19426

*Fig. 1 (continued)*



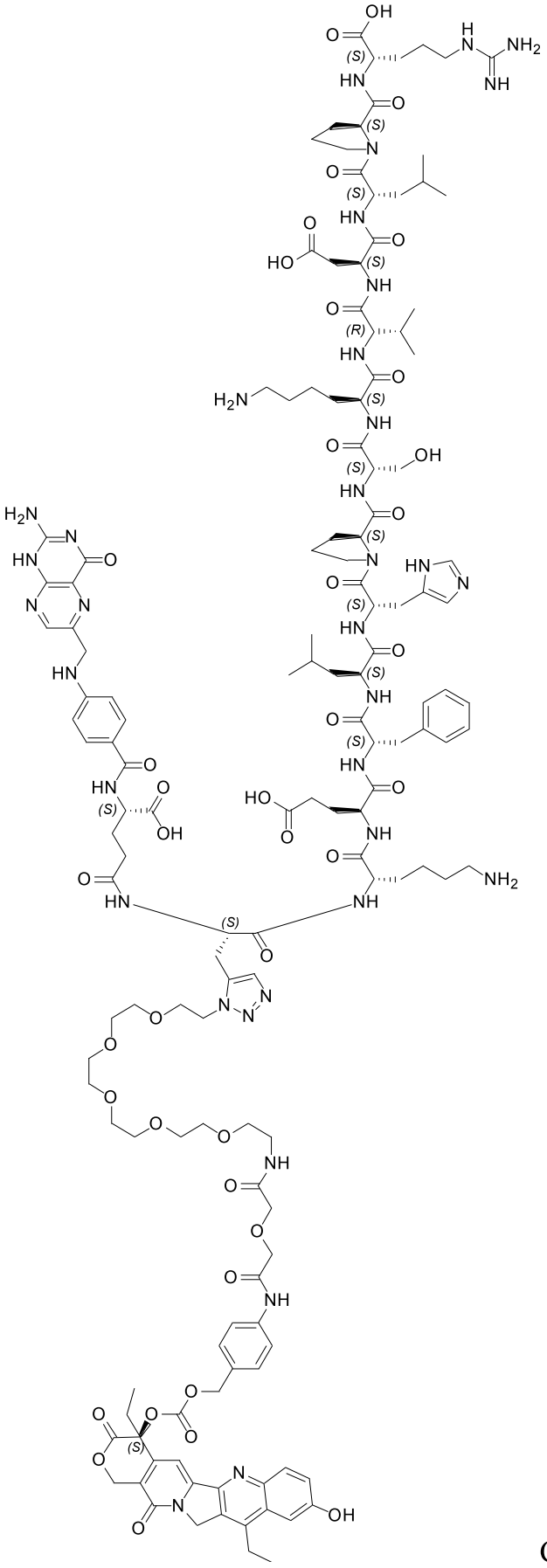
CB-10S

*Fig. 1 (continued)*



CR19425

*Fig. 1 (continued)*



CB-50S

*Fig. 1 (continued)*

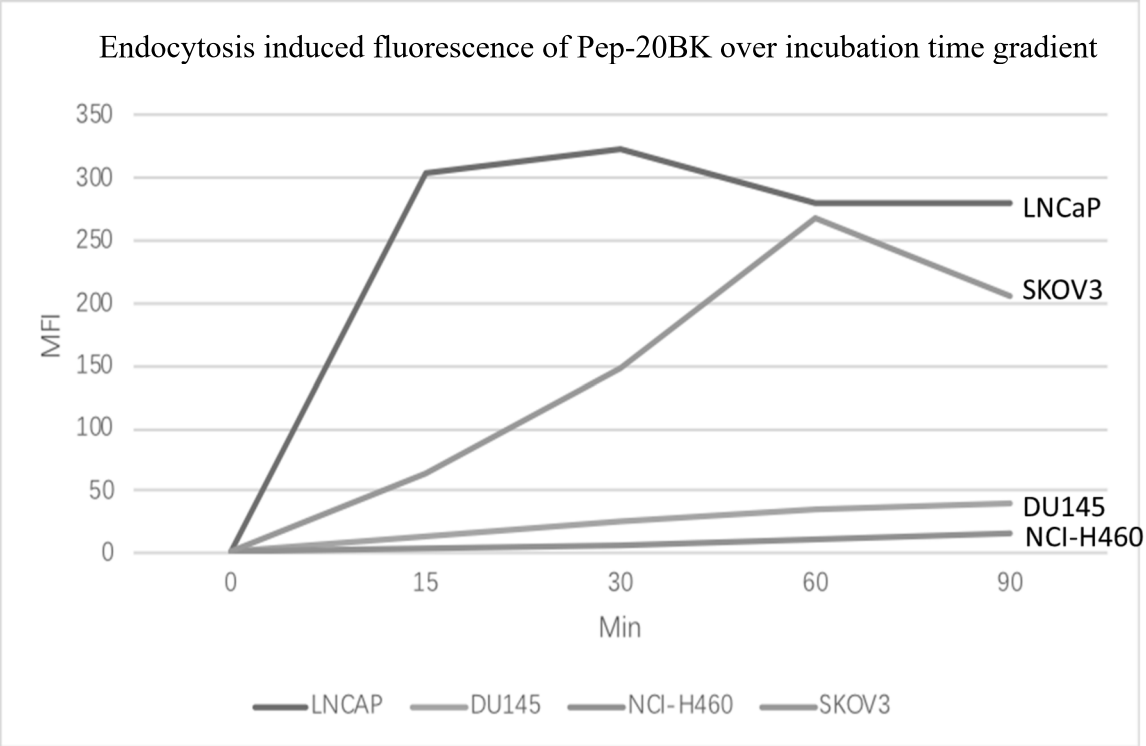

Endocytosis induced fluorescence of Pep-20BK over incubation time gradient
350
300
250
200
150
100
50
0
MFI
0
15
30
60
90
Min
LNCaP
SKOV3
DU145
NCI-H460
LNCAP
DU145
NCI-H460
SKOV3

*Fig. 2A*

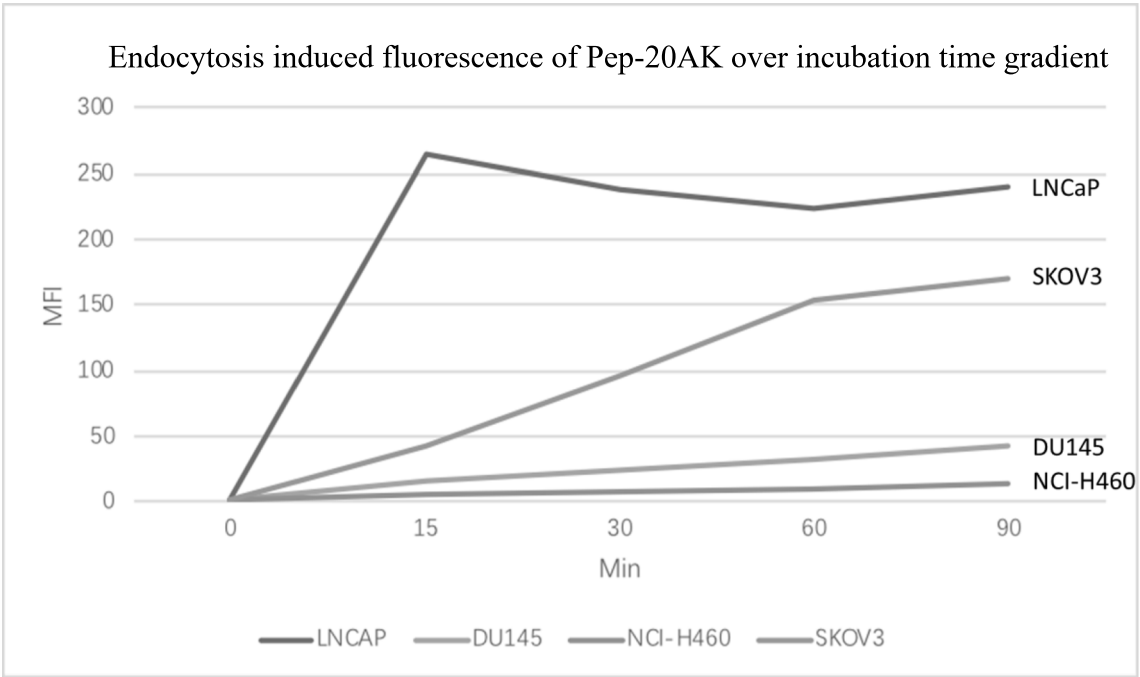
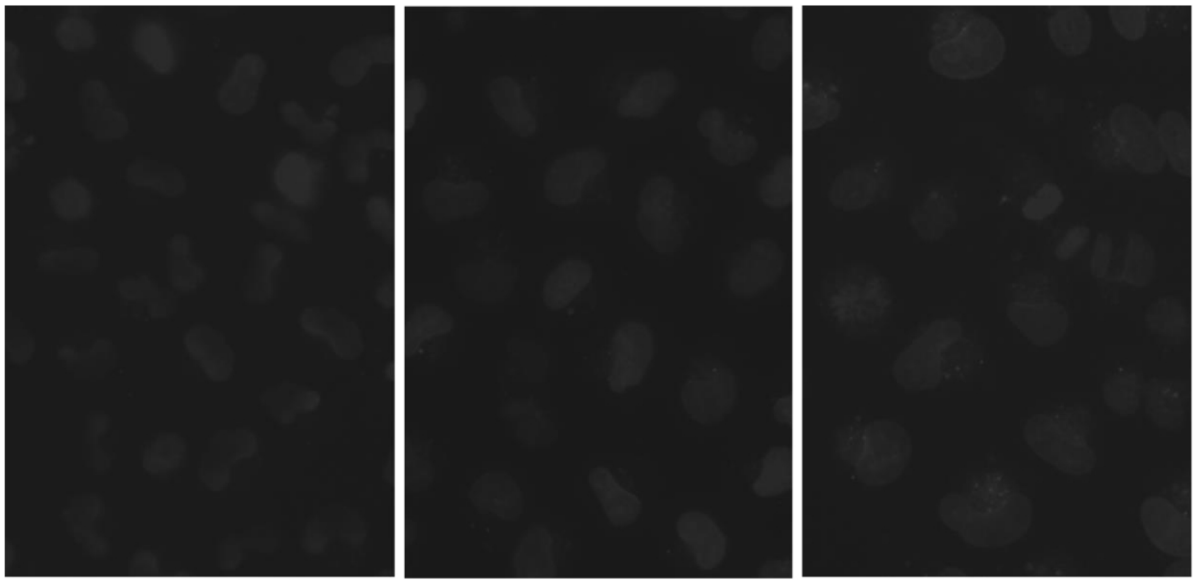
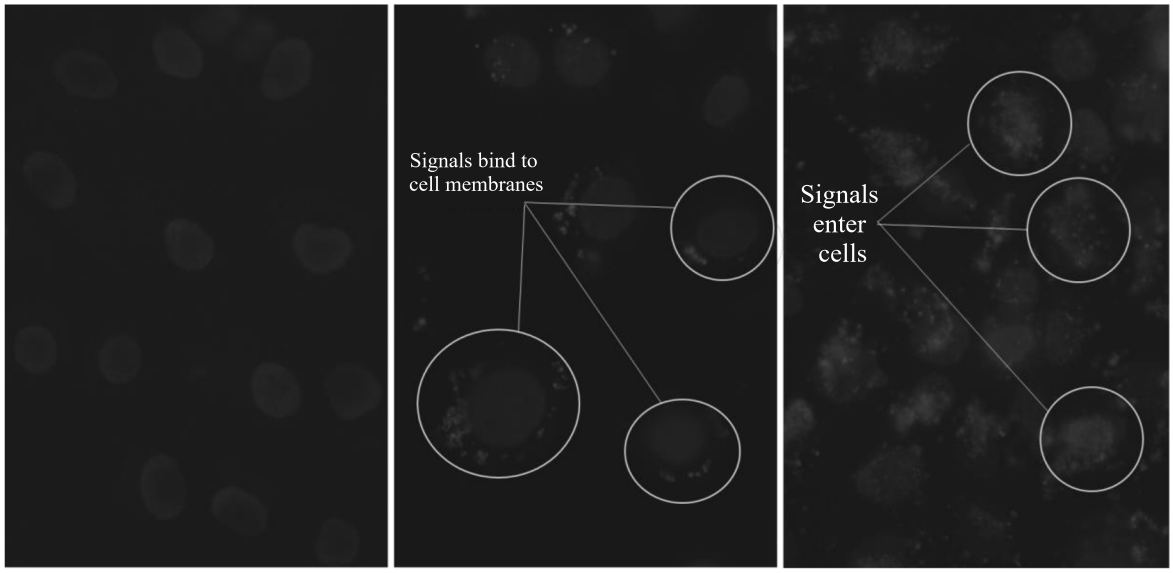

Endocytosis induced fluorescence of Pep-20AK over incubation time gradient
300
250
200
150
100
50
0
MFI
0
15
30
60
90
Min
LNCaP
SKOV3
DU145
NCI-H460
LNCAP
DU145
NCI-H460
SKOV3

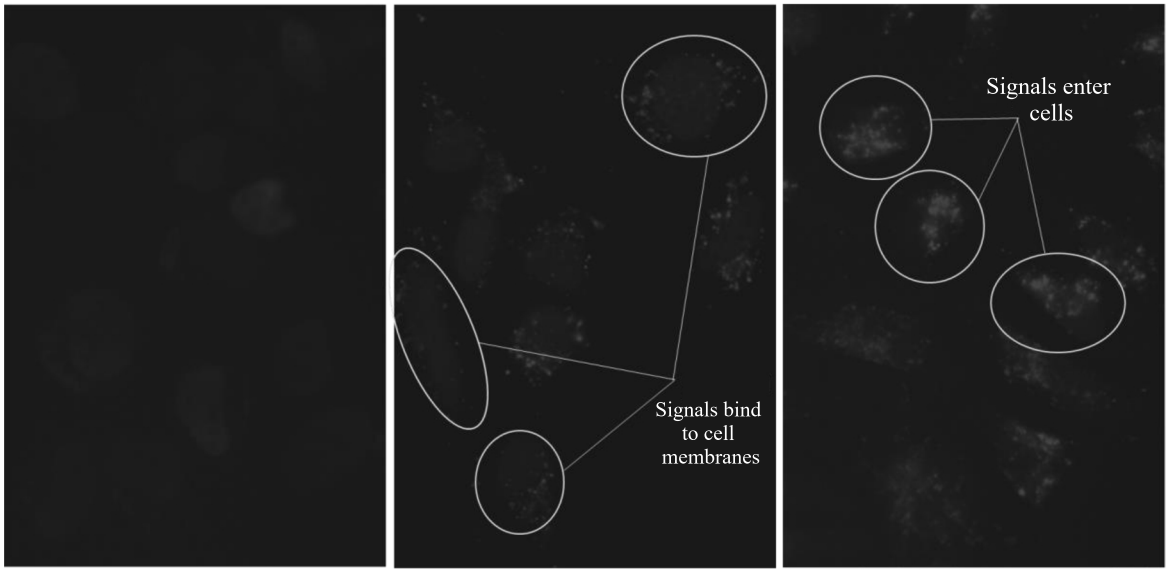
*Fig. 2B*



A549 cells: sample without incubation | A549 cells: incubated with Cy5-FA for 15 min | A549 cells: incubated with Cy5-FA for 30 min

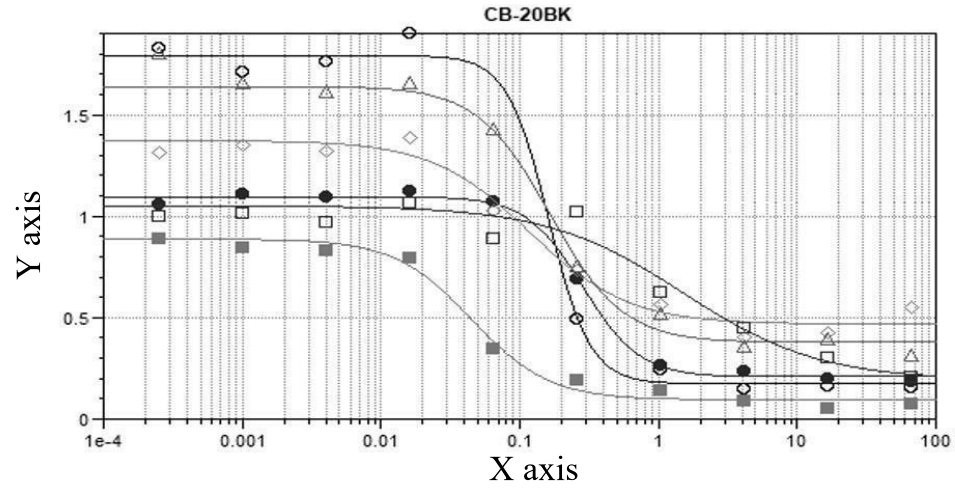



SKOV3 cells: sample without incubation | SKOV3 cells: incubated with Cy5-FA for 15 min | SKOV3 cells: incubated with Cy5-FA for 30 min



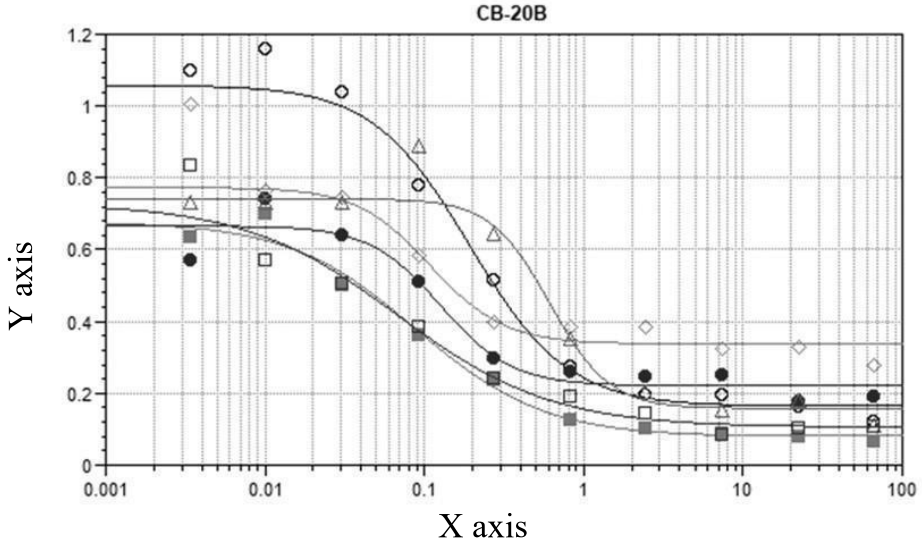

Hela cells: sample without incubation | Hela cells: incubated with Cy5-FA for 15 min | Hela cells: incubated with Cy5-FA for 30 min

*Fig. 3*



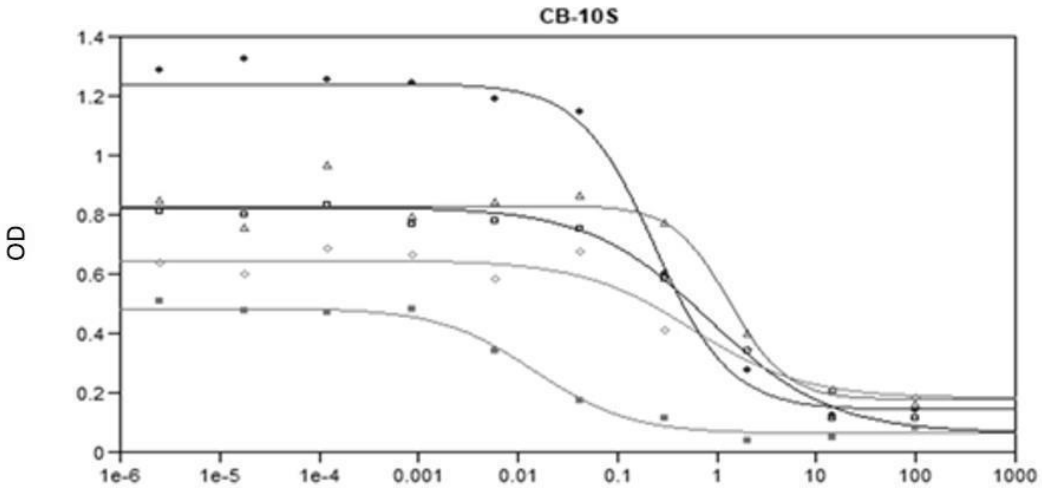

| 4-parameter fitting : y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| KB (20BK KB: concentration vs mean) | 1.79 | 2.9 | 0.162 | 0.173 | 0.996 |
| LNcap (20BK LNcap: concentration vs mean) | 0.889 | 1.55 | 0.0448 | 0.0938 | 0.989 |
| T-47D (20BK T-47D: concentration vs mean) | 1.1 | 2.12 | 0.285 | 0.206 | 0.998 |
| HuH-7 (20BK HuH-7: concentration vs mean) | 1.37 | 1.22 | 0.118 | 0.467 | 0.979 |
| CALU-3 (20BK CALU-3: concentration vs mean) | 1.64 | 1.65 | 0.166 | 0.377 | 0.977 |
| NCI-H460 (20BK NCI-H460: concentration vs mean) | 1.05 | 0.88 | 1.5 | 0.191 | 0.936 |

*Fig. 4A*



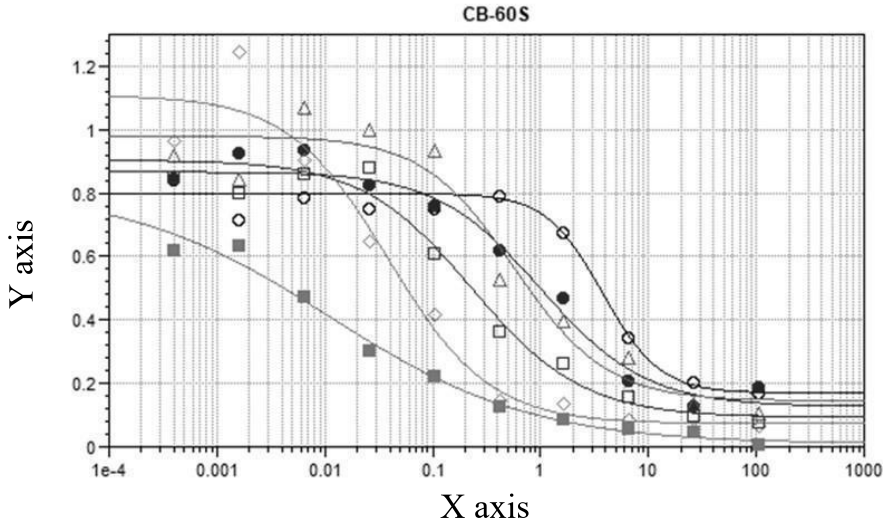

| 4-parameter fitting : y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| ○ DU145 (20B DU145: concentration vs mean ) | 1.06 | 1.42 | 0.193 | 0.164 | 0.972 |
| ■ LNcap (20B LNcap: concentration vs mean ) | 0.678 | 1.08 | 0.0885 | 0.0785 | 0.987 |
| ● T47D (20B T47D: concentration vs mean ) | 0.668 | 1.93 | 0.126 | 0.221 | 0.955 |
| ◇ KB (20B KB: concentration vs mean ) | 0.775 | 1.87 | 0.109 | 0.337 | 0.787 |
| △ A549 (20B A549: concentration vs mean ) | 0.743 | 2.33 | 0.613 | 0.157 | 0.954 |
| □ HuH-7 (20B HuH-7: concentration vs mean ) | 0.73 | 0.9 | 0.0676 | 0.105 | 0.952 |

*Fig. 4B*



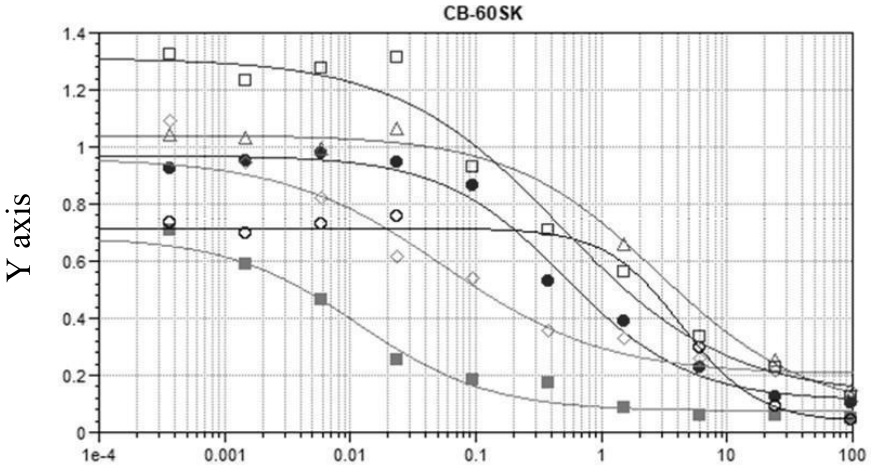

| 4-parameter fitting : y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| KB (10S KB: concentration vs mean ) | 0.827 | 0.716 | 0.834 | 0.0638 | 0.979 |
| LNcap (10S LNcap: concentration vs mean) | 0.486 | 0.898 | 0.0144 | 0.0614 | 0.99 |
| RT4 (10S RT4: concentration vs mean ) | 0.647 | 0.776 | 0.556 | 0.181 | 0.944 |
| T-47D (10S T-47D: concentration vs mean ) | 1.24 | 1.15 | 0.237 | 0.142 | 0.987 |
| NCI-H460 (10S NCI-H460: concentration vs mean ) | 0.832 | 1.51 | 1.35 | 0.176 | 0.955 |

*Fig. 4C*



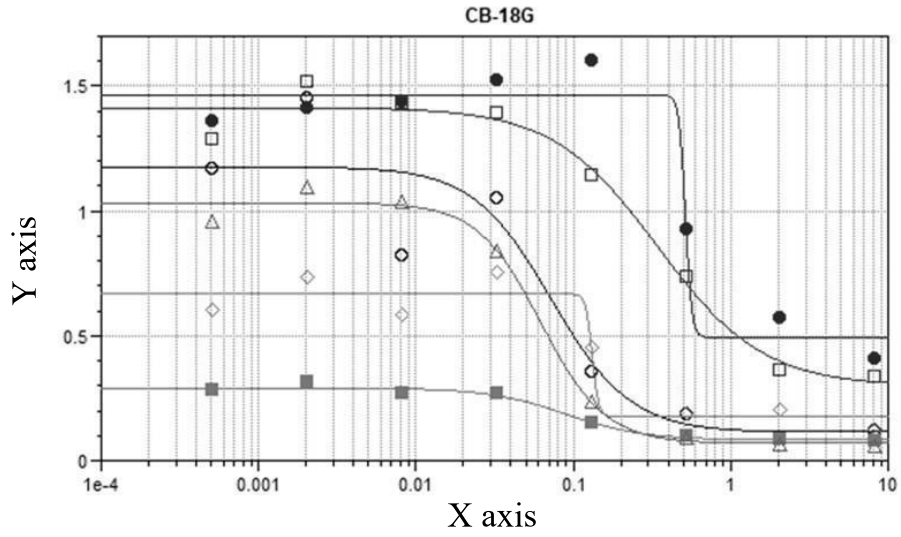

| 4-parameter fitting： y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| ○ 60S T-47D (60S-T-47D: concentration vs mean) | 0.8 | 1.64 | 3.77 | 0.169 | 0.945 |
| ■ 60S LNcap (60S-LNcap: concentration vs mean) | 0.813 | 0.463 | 0.0109 | 0.00871 | 0.991 |
| ● 60S CALU-3 (60S-CALU-3: concentration vs mean) | 0.869 | 0.891 | 1.01 | 0.126 | 0.976 |
| ◇ 60S HuH-7 (60S-HuH-7: concentration vs mean) | 1.11 | 0.929 | 0.039 | 0.0724 | 0.972 |
| △ 60S KB (60S-KB: concentration vs mean) | 0.981 | 1.01 | 0.574 | 0.144 | 0.955 |
| □ 60S NCI-H460 (60S-NCI-H460: concentration vs mean) | 0.905 | 0.846 | 0.232 | 0.0926 | 0.974 |

*Fig. 4D*



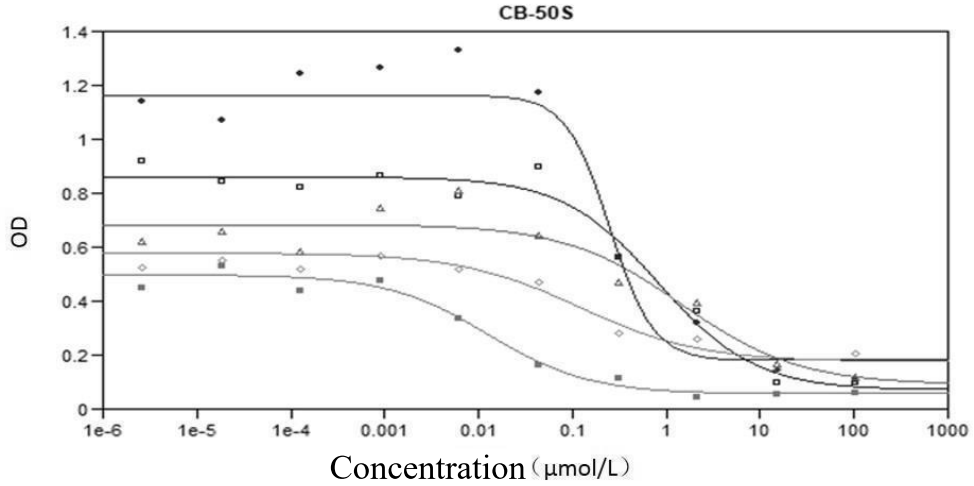

| 4-parameter fitting ： y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| ■ LNcap (CB-60SK LNcap: concentration vs mean) | 0.686 | 0.831 | 0.0114 | 0.074 | 0.98 |
| ● T-47D (CB-60SK T-47D: concentration vs mean) | 0.971 | 0.885 | 0.517 | 0.111 | 0.99 |
| ◇ HuH-7 (CB-60SK HuH-7: concentration vs mean) | 0.962 | 0.681 | 0.0556 | 0.203 | 0.94 |
| ○ KB (CB-60SK KB1: concentration vs mean) | 0.716 | 1.42 | 4.32 | 0.0356 | 0.991 |
| △ CALU-3 (CB-60SK CALU-3: concentration vs mean) | 1.04 | 0.732 | 2.84 | 0.0722 | 0.995 |
| □ NCI-H460 (CB-60SK NCI-H460: concentration vs mean) | 1.31 | 0.662 | 0.476 | 0.128 | 0.981 |

*Fig. 4E*




| 4-parameter fitting : y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| ○ A549 (A549: concentration vs mean ) | 1.18 | 1.73 | 0.0726 | 0.112 | 0.901 |
| ■ T47D (T47D: concentration vs mean ) | 0.292 | 1.71 | 0.089 | 0.0812 | 0.987 |
| ● NCI-H460 (NCI-H460: concentration vs mean ) | 1.47 | 21.2 | 0.515 | 0.491 | 0.966 |
| ◇ U-2 OS (U-2 OS: concentration vs mean ) | 0.67 | 20.8 | 0.132 | 0.173 | 0.94 |
| △ Hela (Hela: concentration vs mean ) | 1.03 | 2.14 | 0.0623 | 0.0681 | 0.994 |
| □ SCLC-21H (SCLC-21H: concentration vs mean ) | 1.42 | 1.3 | 0.344 | 0.297 | 0.981 |

*Fig. 4F*




| 4-parameter fitting ：y = (A - D)/( 1 + (x/C)^B ) + D: | A | B | C | D | R^2 |
|---|---|---|---|---|---|
| KB (50S KB: concentration vs mean ) | 0.861 | 0.845 | 0.83 | 0.0697 | 0.975 |
| LNcap (50S LNcap: concentration vs mean ) | 0.499 | 0.801 | 0.0124 | 0.0552 | 0.975 |
| T-47D (50S T-47D: concentration vs mean ) | 1.16 | 1.86 | 0.25 | 0.179 | 0.933 |
| RT4 (50S RT4: concentration vs mean ) | 0.58 | 0.676 | 0.117 | 0.175 | 0.901 |
| NCI-H460 (50S NCI-H460: concentration vs mean) | 0.686 | 0.695 | 1.44 | 0.0902 | 0.914 |

*Fig. 4G*

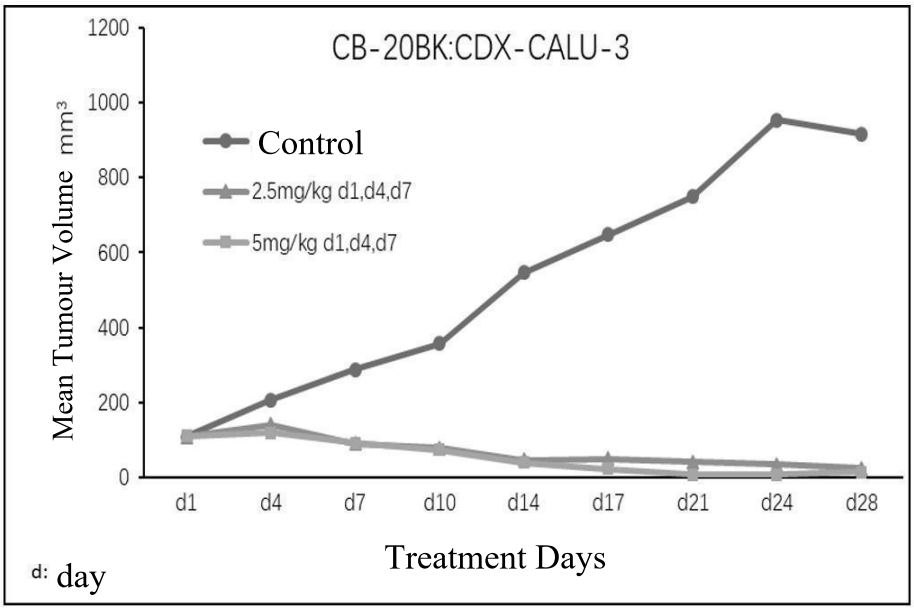

CB-20BK:CDX-CALU-3
Mean Tumour Volume mm³
1200
1000
800
600
400
200
0
Control
2.5mg/kg d1,d4,d7
5mg/kg d1,d4,d7
d1
d4
d7
d10
d14
d17
d21
d24
d28
Treatment Days
d: day

*Fig. 5A*

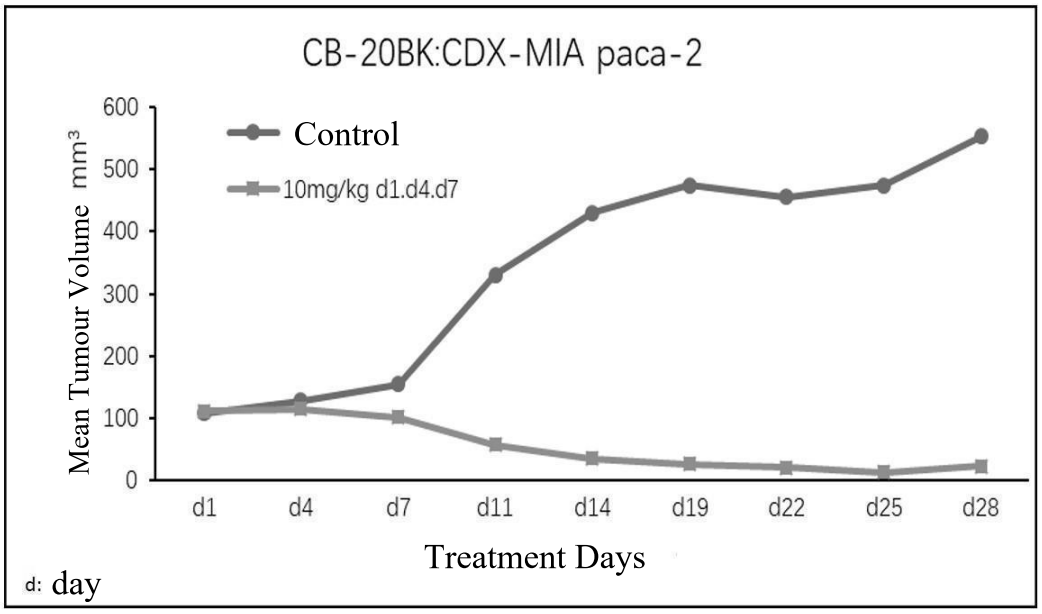

CB-20BK:CDX-MIA paca-2
Mean Tumour Volume mm³
600
500
400
300
200
100
0
Control
10mg/kg d1.d4.d7
d1
d4
d7
d11
d14
d19
d22
d25
d28
Treatment Days
d: day

*Fig. 5B*

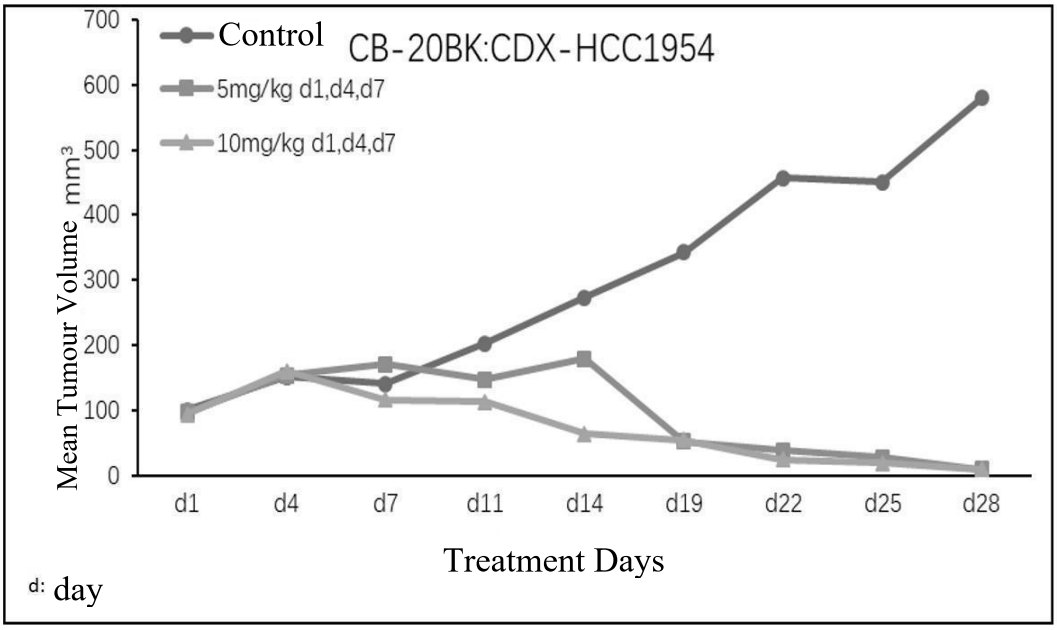

CB-20BK:CDX-HCC1954
Control
5mg/kg d1,d4,d7
10mg/kg d1,d4,d7
Mean Tumour Volume mm³
700
600
500
400
300
200
100
0
d1
d4
d7
d11
d14
d19
d22
d25
d28
Treatment Days
d: day

*Fig. 5C*

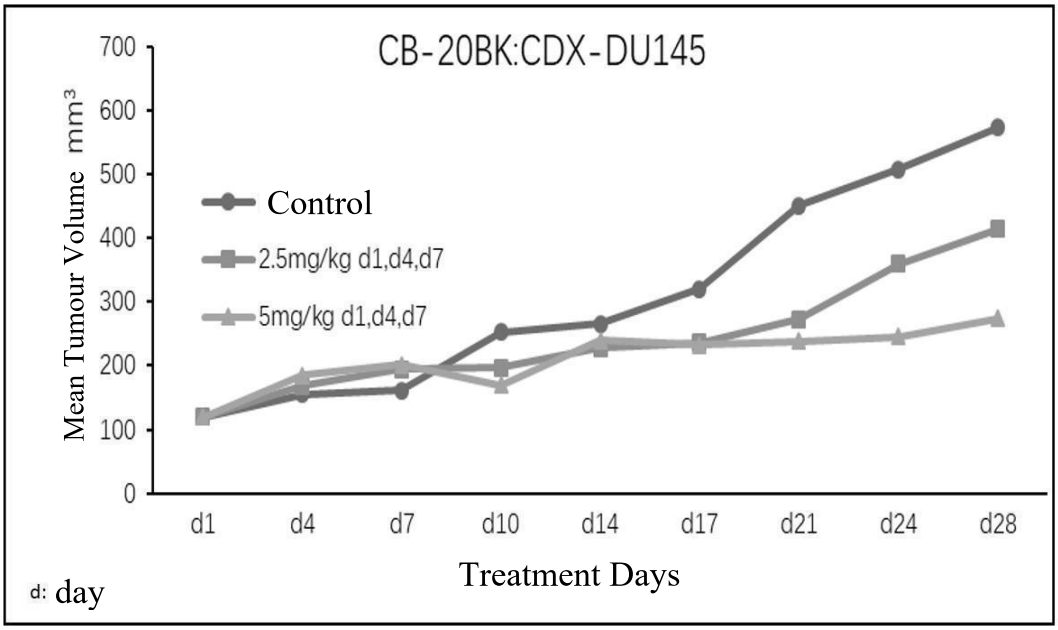

CB-20BK:CDX-DU145
Control
2.5mg/kg d1,d4,d7
5mg/kg d1,d4,d7
Mean Tumour Volume mm³
700
600
500
400
300
200
100
0
d1
d4
d7
d10
d14
d17
d21
d24
d28
Treatment Days
d: day

*Fig. 5D*

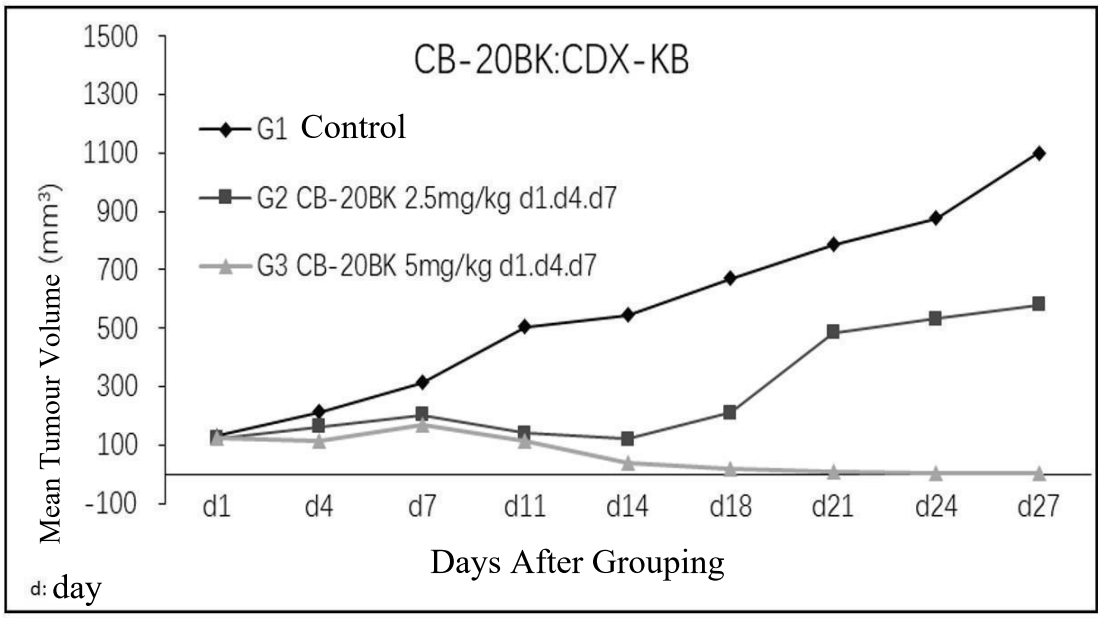

CB-20BK:CDX-KB
G1 Control
G2 CB-20BK 2.5mg/kg d1.d4.d7
G3 CB-20BK 5mg/kg d1.d4.d7
Mean Tumour Volume (mm³)
1500
1300
1100
900
700
500
300
100
-100
d1
d4
d7
d11
d14
d18
d21
d24
d27
Days After Grouping
d: day

*Fig. 5E*

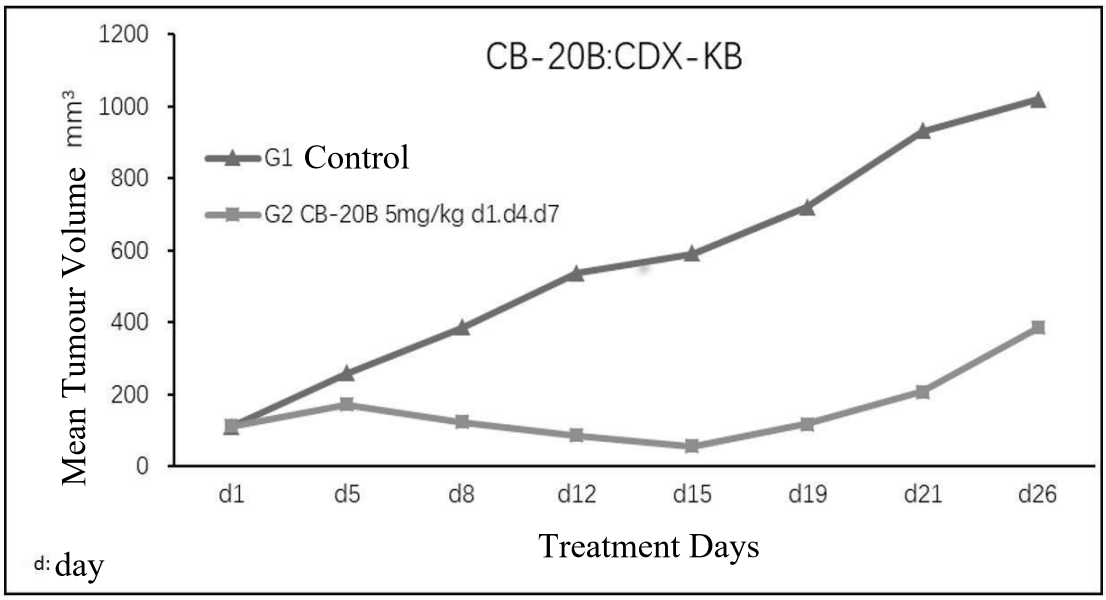

CB-20B:CDX-KB
Mean Tumour Volume mm³
1200
1000
800
600
400
200
0
G1 Control
G2 CB-20B 5mg/kg d1.d4.d7
d1
d5
d8
d12
d15
d19
d21
d26
Treatment Days
d: day

*Fig. 6A*

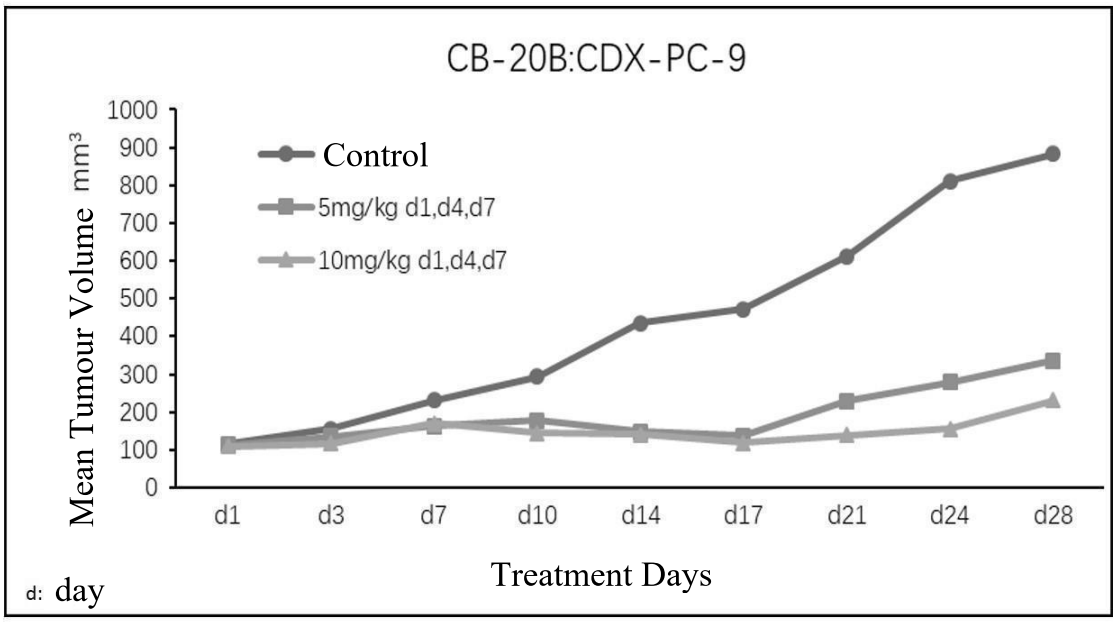

CB-20B:CDX-PC-9
Mean Tumour Volume mm³
1000
900
800
700
600
500
400
300
200
100
0
Control
5mg/kg d1,d4,d7
10mg/kg d1,d4,d7
d1
d3
d7
d10
d14
d17
d21
d24
d28
Treatment Days
d: day

*Fig. 6B*

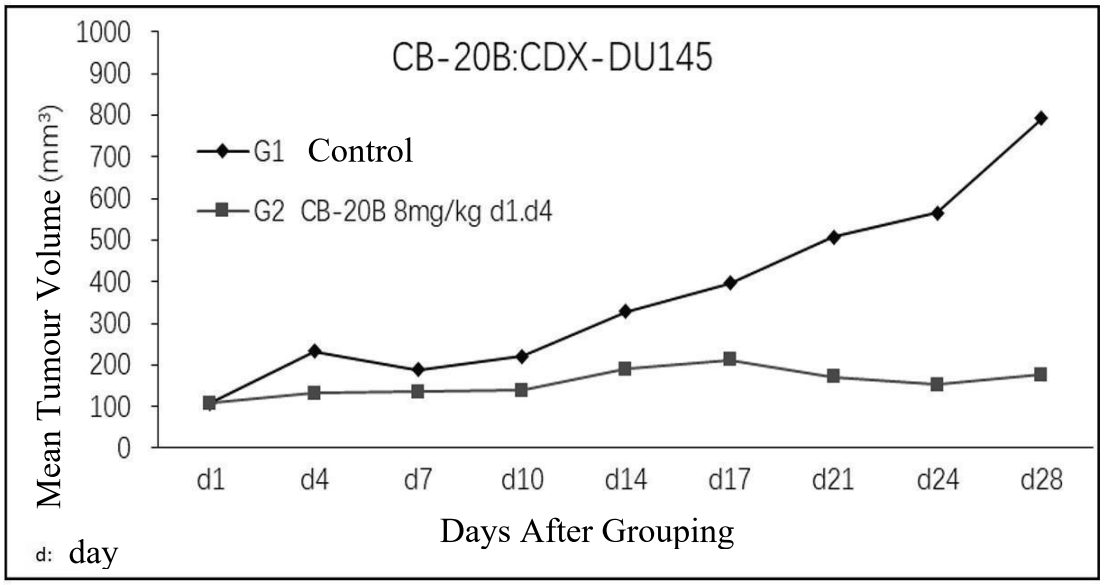

CB-20B:CDX-DU145
G1 Control
G2 CB-20B 8mg/kg d1.d4
Mean Tumour Volume (mm³)
1000
900
800
700
600
500
400
300
200
100
0
d1
d4
d7
d10
d14
d17
d21
d24
d28
Days After Grouping
d: day

*Fig. 6C*

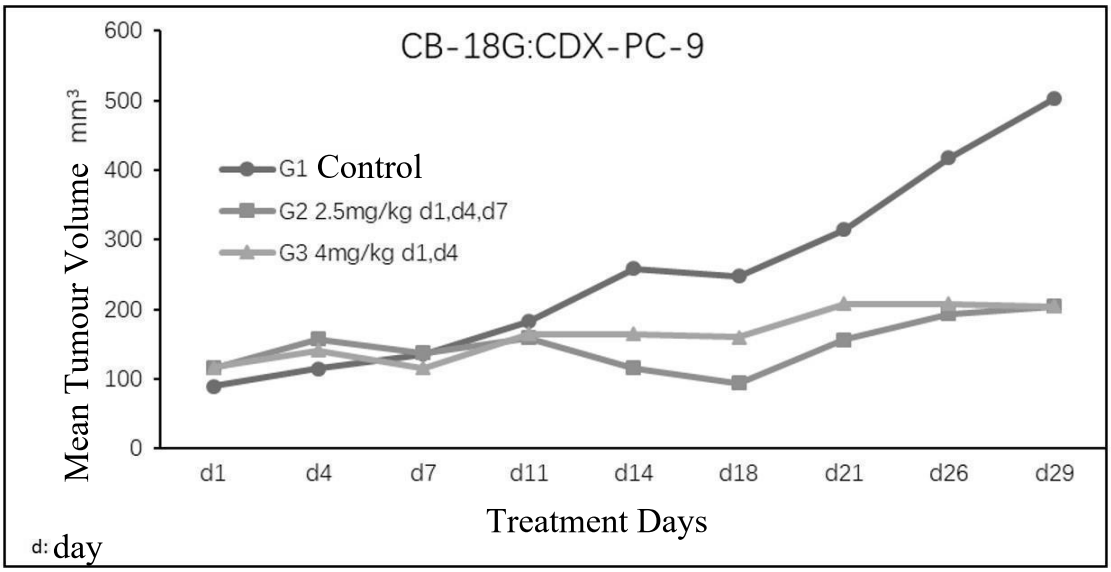

CB-18G:CDX-PC-9
Mean Tumour Volume mm³
600
500
400
300
200
100
0
G1 Control
G2 2.5mg/kg d1,d4,d7
G3 4mg/kg d1,d4
d1
d4
d7
d11
d14
d18
d21
d26
d29
Treatment Days
d: day

*Fig. 7A*

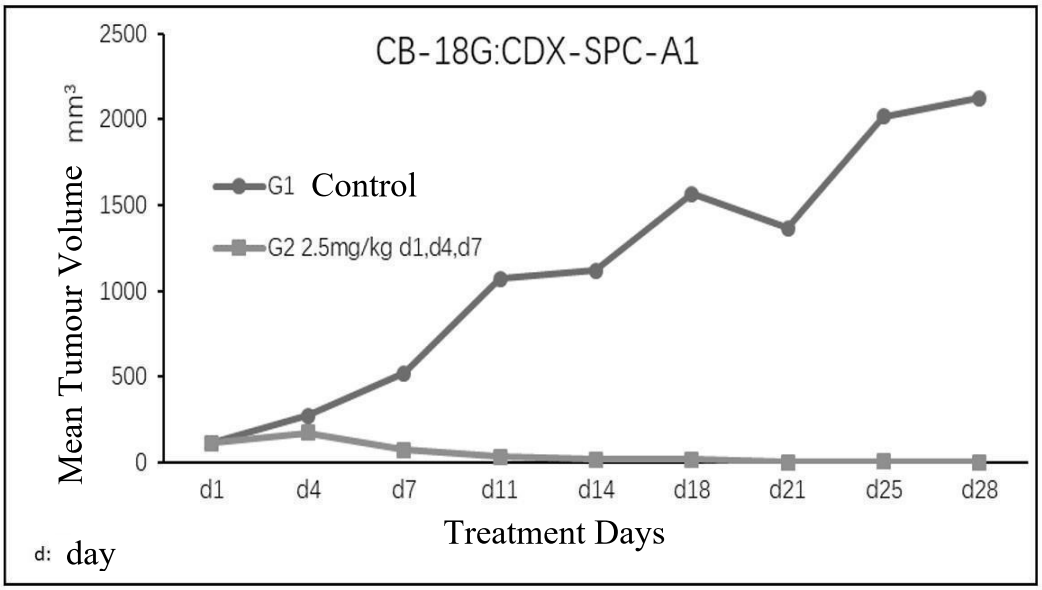

CB-18G:CDX-SPC-A1
Mean Tumour Volume mm³
2500
2000
1500
1000
500
0
G1 Control
G2 2.5mg/kg d1,d4,d7
d1
d4
d7
d11
d14
d18
d21
d25
d28
Treatment Days
d: day

*Fig. 7B*

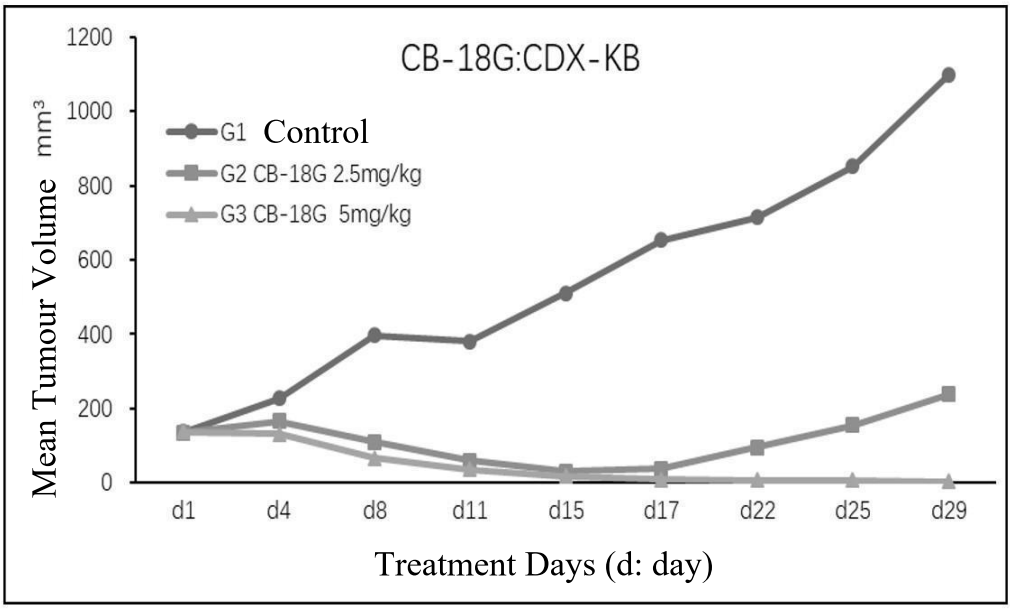

CB-18G:CDX-KB
G1 Control
G2 CB-18G 2.5mg/kg
G3 CB-18G 5mg/kg
Mean Tumour Volume mm³
1200
1000
800
600
400
200
0
d1
d4
d8
d11
d15
d17
d22
d25
d29
Treatment Days (d: day)

*Fig. 7C*

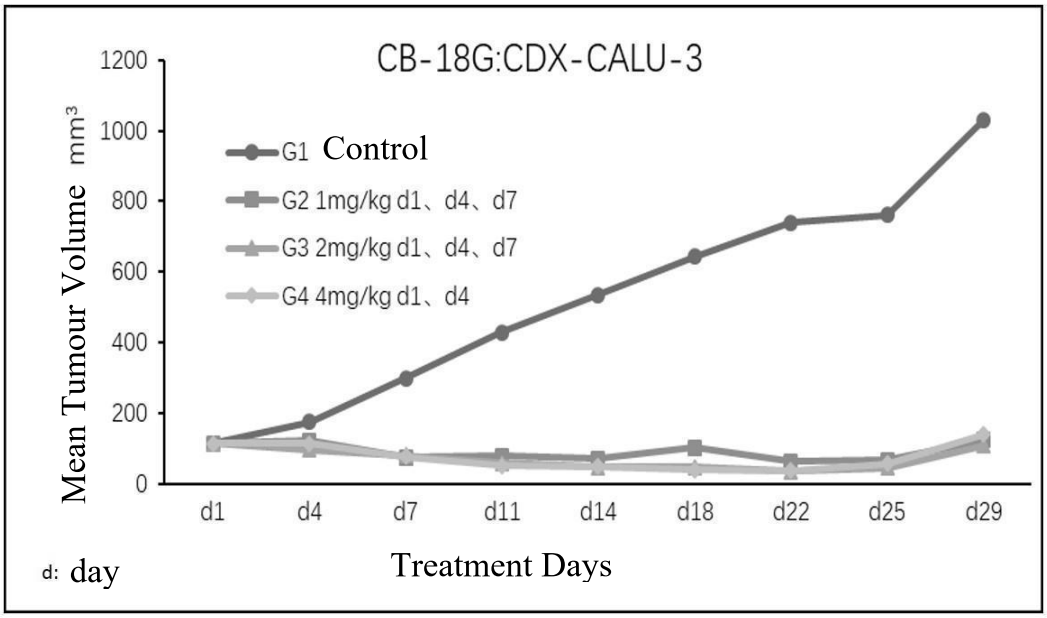

CB-18G:CDX-CALU-3
G1 Control
G2 1mg/kg d1、d4、d7
G3 2mg/kg d1、d4、d7
G4 4mg/kg d1、d4
Mean Tumour Volume mm³
1200
1000
800
600
400
200
0
d1
d4
d7
d11
d14
d18
d22
d25
d29
Treatment Days
d: day

*Fig. 7D*

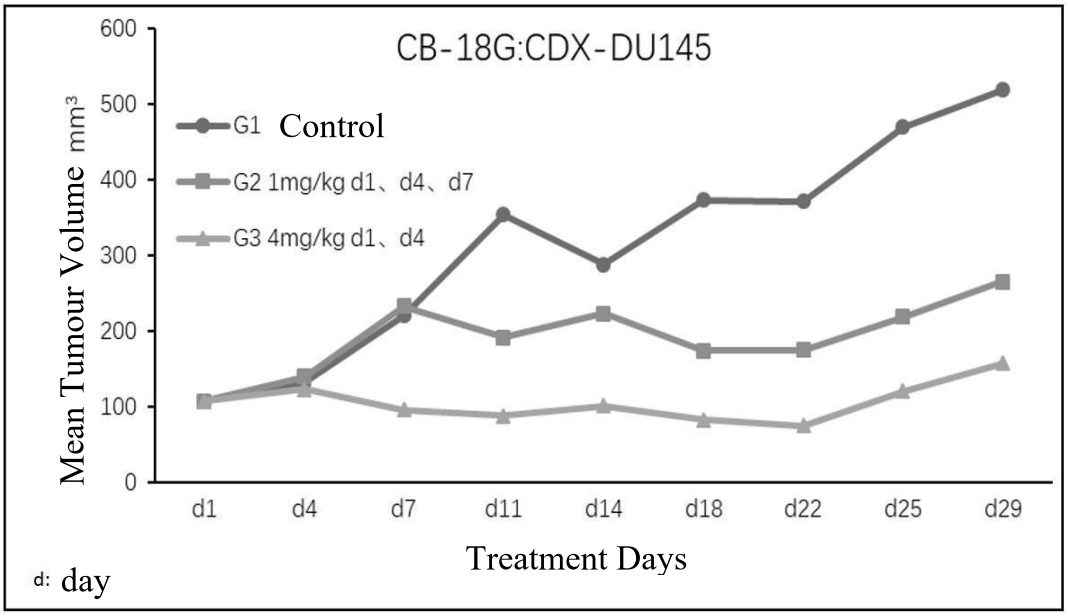

CB-18G:CDX-DU145
Mean Tumour Volume mm³
600
500
400
300
200
100
0
G1 Control
G2 1mg/kg d1、d4、d7
G3 4mg/kg d1、d4
d1
d4
d7
d11
d14
d18
d22
d25
d29
Treatment Days
d: day

*Fig. 7E*

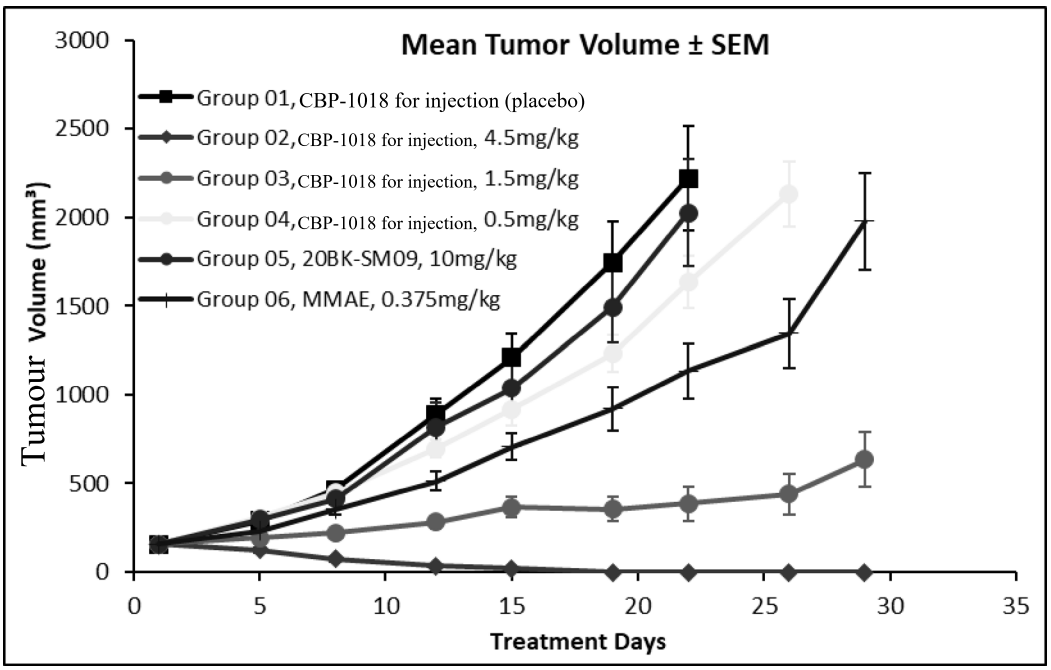

Mean Tumor Volume ± SEM
Group 01, CBP-1018 for injection (placebo)
Group 02, CBP-1018 for injection, 4.5mg/kg
Group 03, CBP-1018 for injection, 1.5mg/kg
Group 04, CBP-1018 for injection, 0.5mg/kg
Group 05, 20BK-SM09, 10mg/kg
Group 06, MMAE, 0.375mg/kg
Tumour Volume (mm³)
Treatment Days
0
500
1000
1500
2000
2500
3000
0
5
10
15
20
25
30
35

*Fig. 8A*

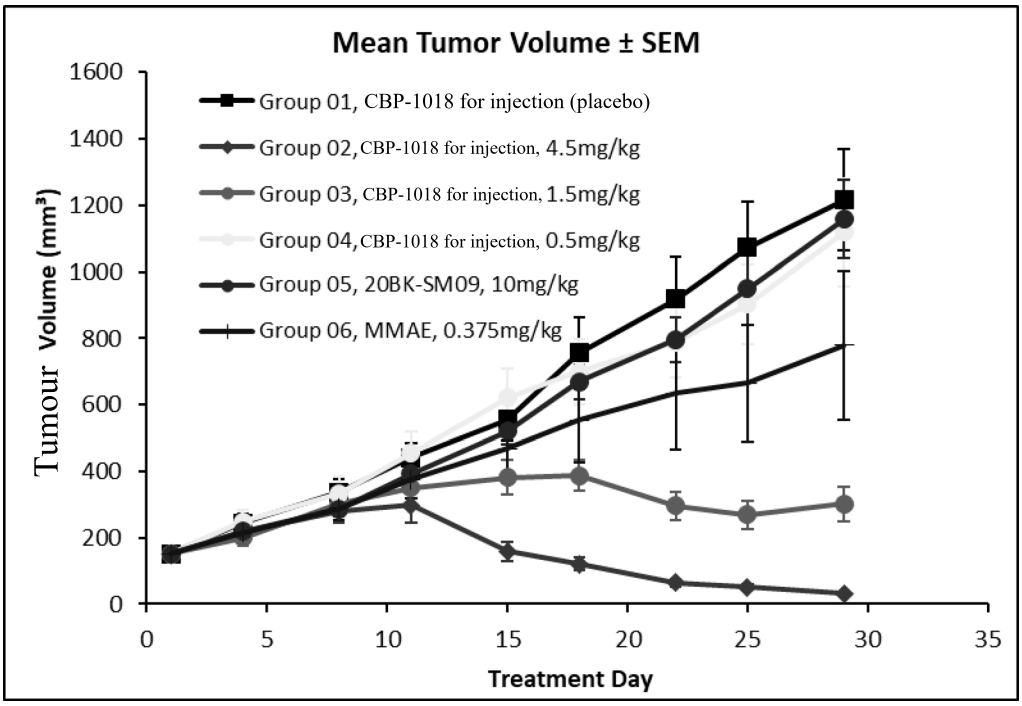

Mean Tumor Volume ± SEM
Group 01, CBP-1018 for injection (placebo)
Group 02, CBP-1018 for injection, 4.5mg/kg
Group 03, CBP-1018 for injection, 1.5mg/kg
Group 04, CBP-1018 for injection, 0.5mg/kg
Group 05, 20BK-SM09, 10mg/kg
Group 06, MMAE, 0.375mg/kg
Tumour Volume (mm³)
Treatment Day
0
200
400
600
800
1000
1200
1400
1600
0
5
10
15
20
25
30
35

*Fig. 8B*