Fig. 1

Affinity

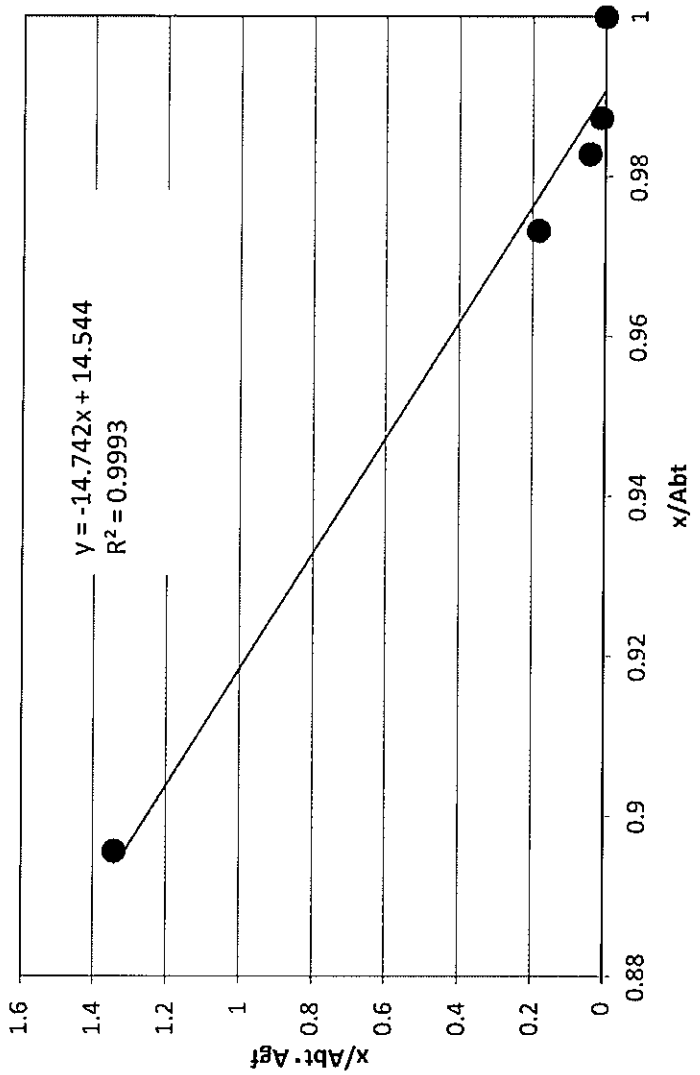


Fig. 2

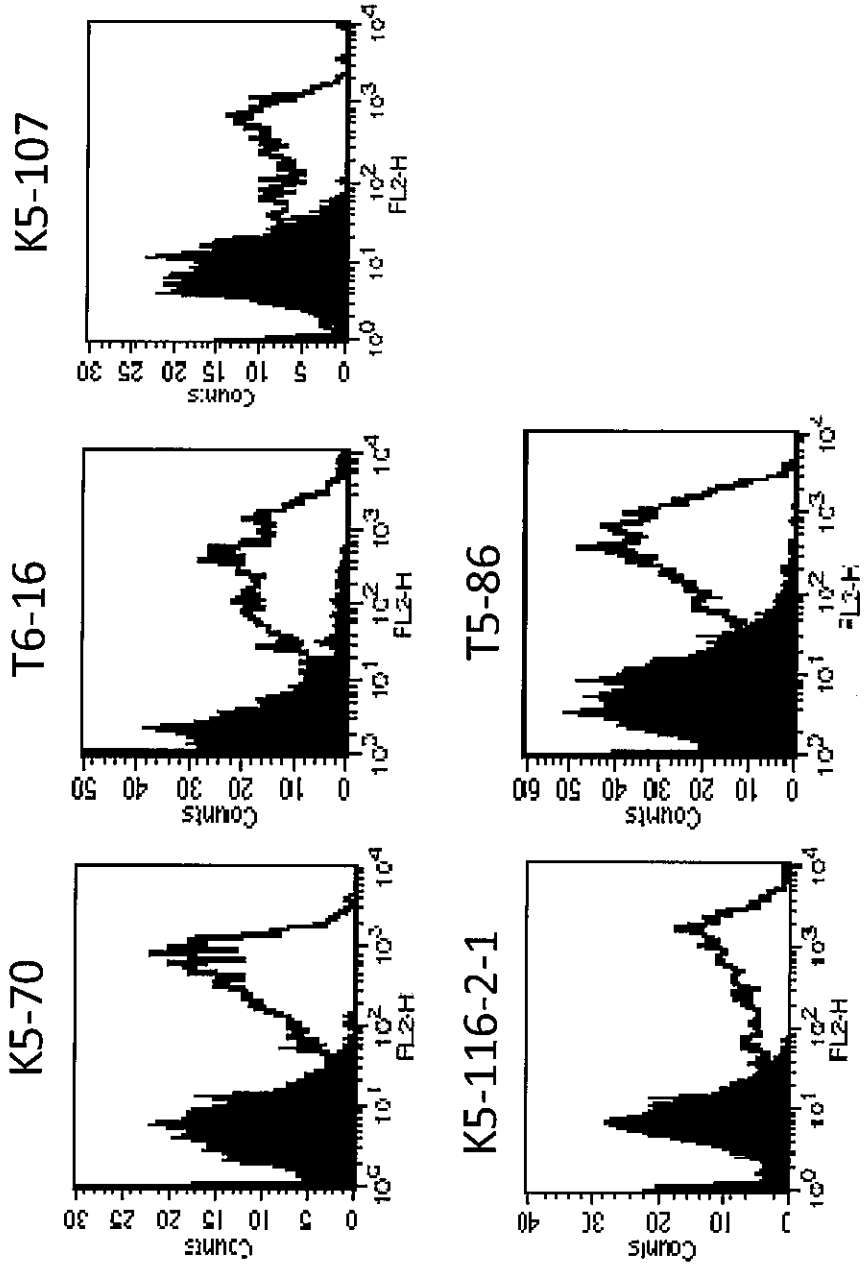


Fig. 3

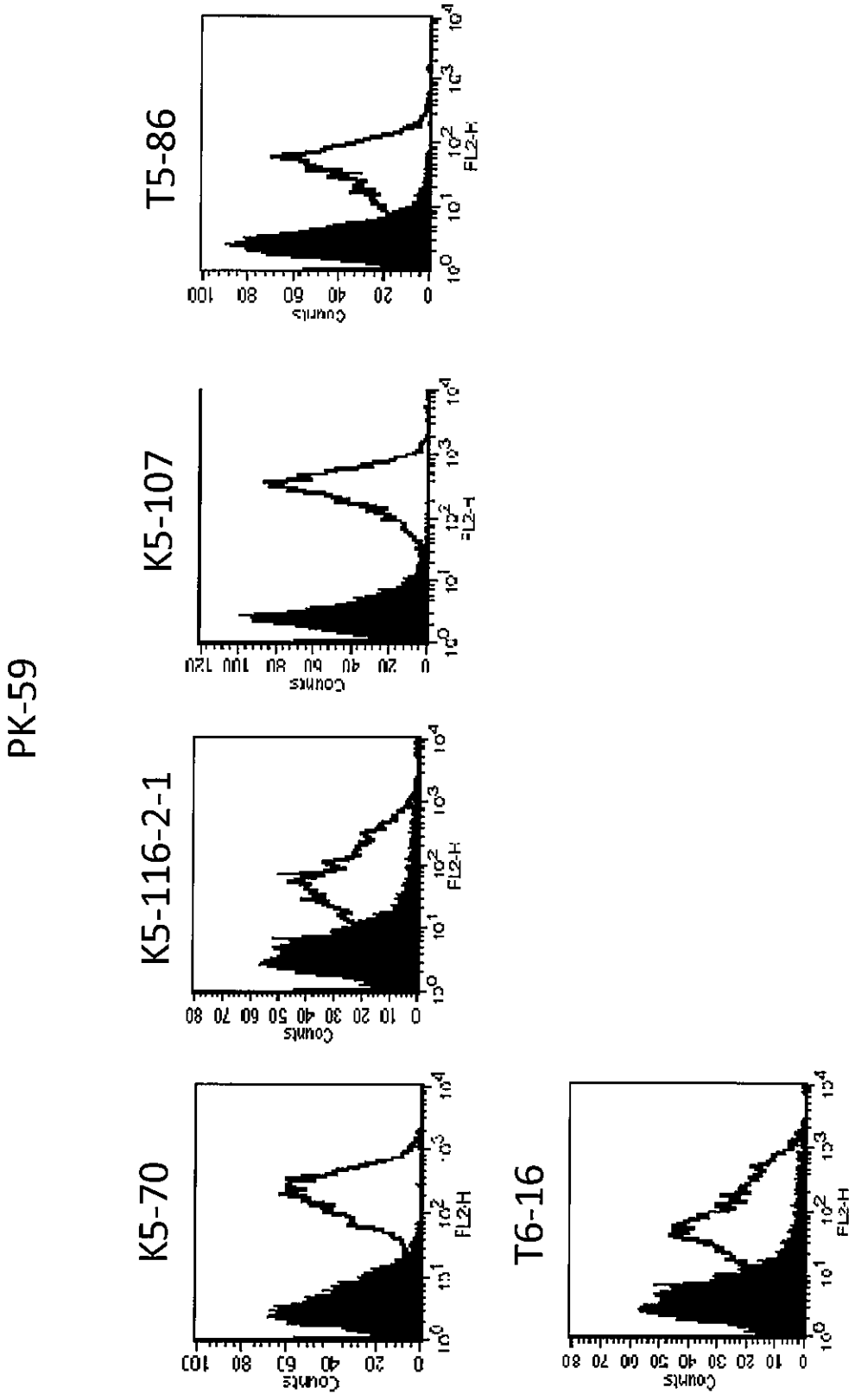


Fig.4

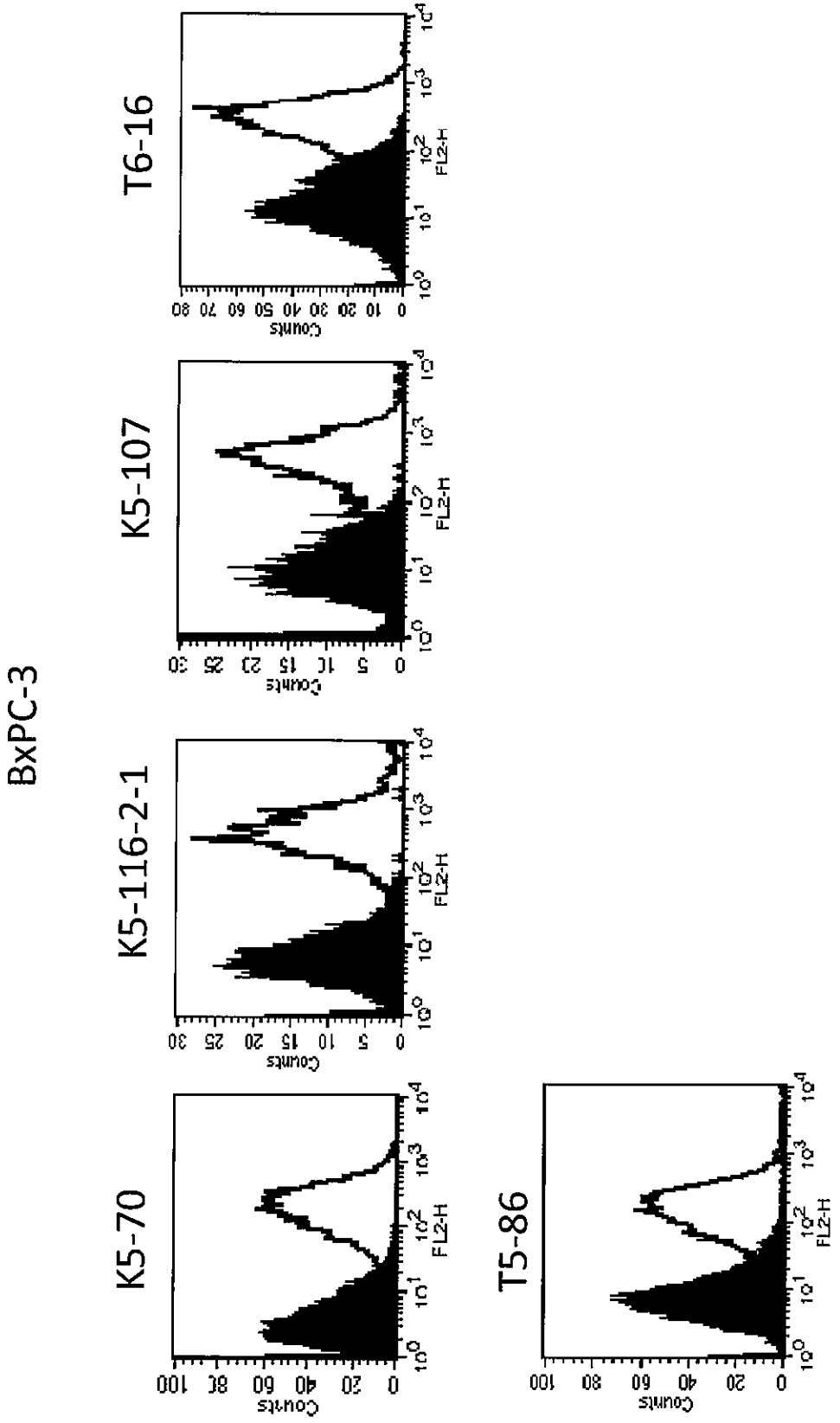


Fig.5

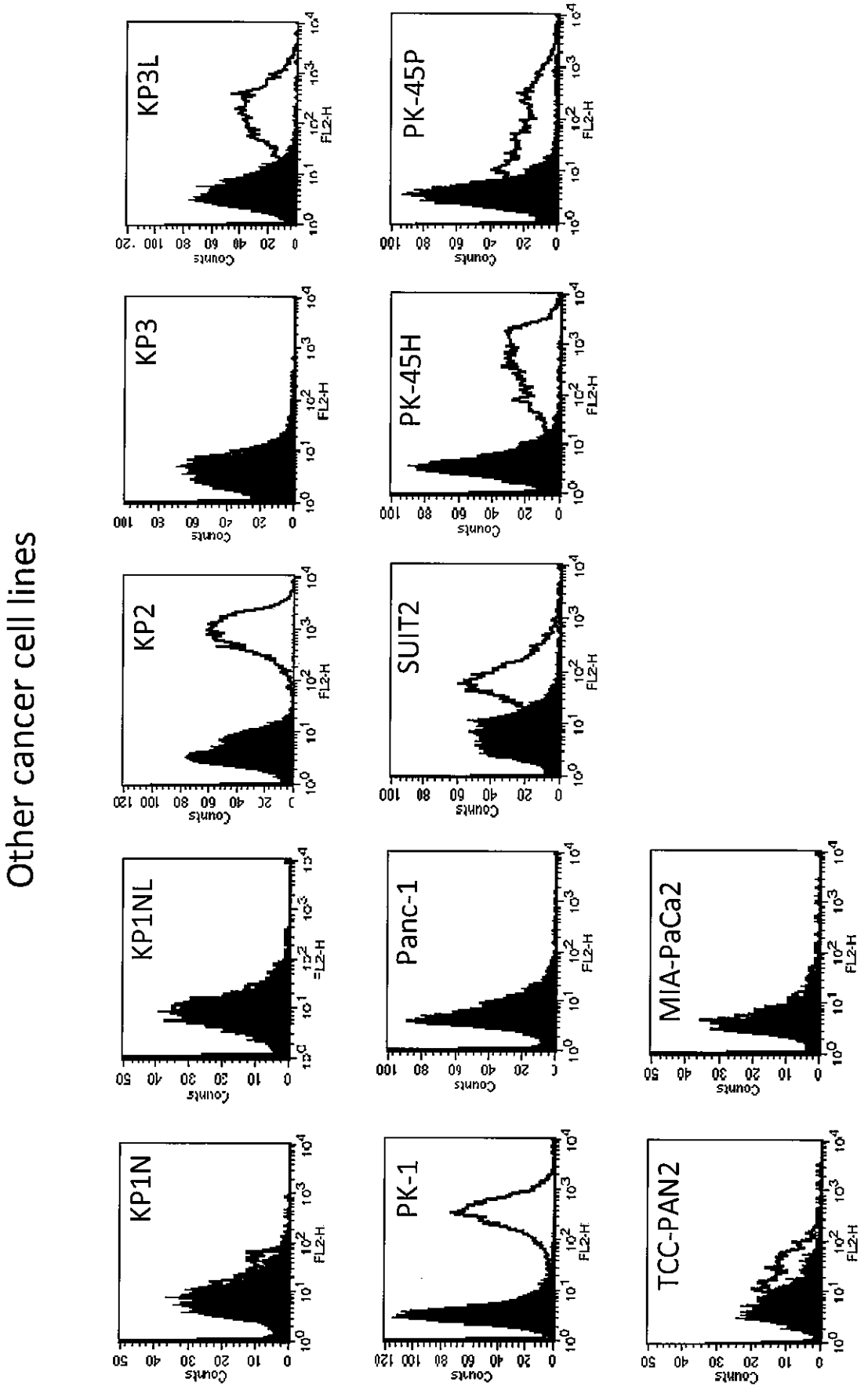

Other cancer cell lines
KP3L
PK-45P
KP3
PK-45H
KP2
SUIT2
KP1NL
Panc-1
MIA-PaCa2
KP1N
PK-1
TCC-PAN2
Counts
FL2-H

Fig.6

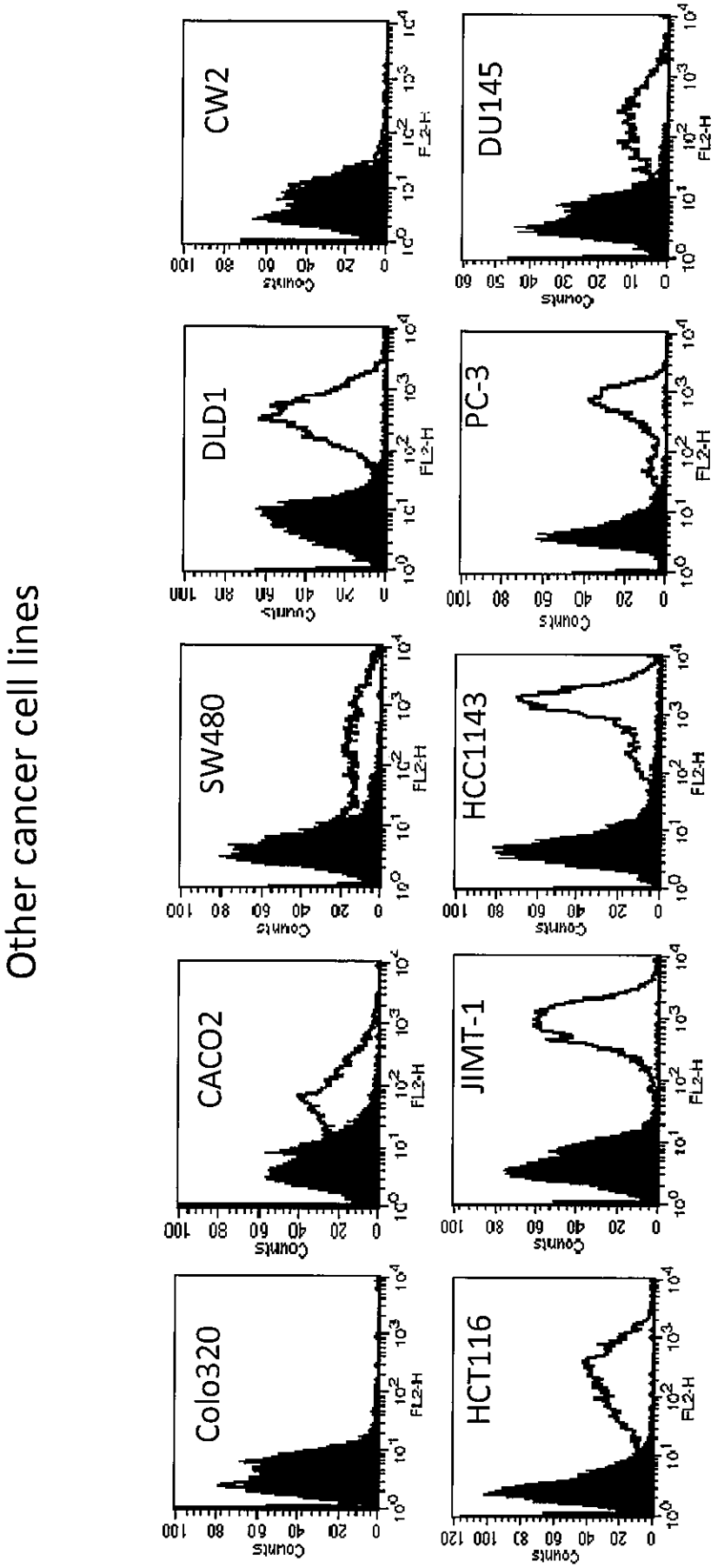

Other cancer cell lines
CW2
DU145
DLD1
PC-3
SW480
HCC1143
CACO2
JIMT-1
Colo320
HCT116
Counts
FL2-H

Fig.7

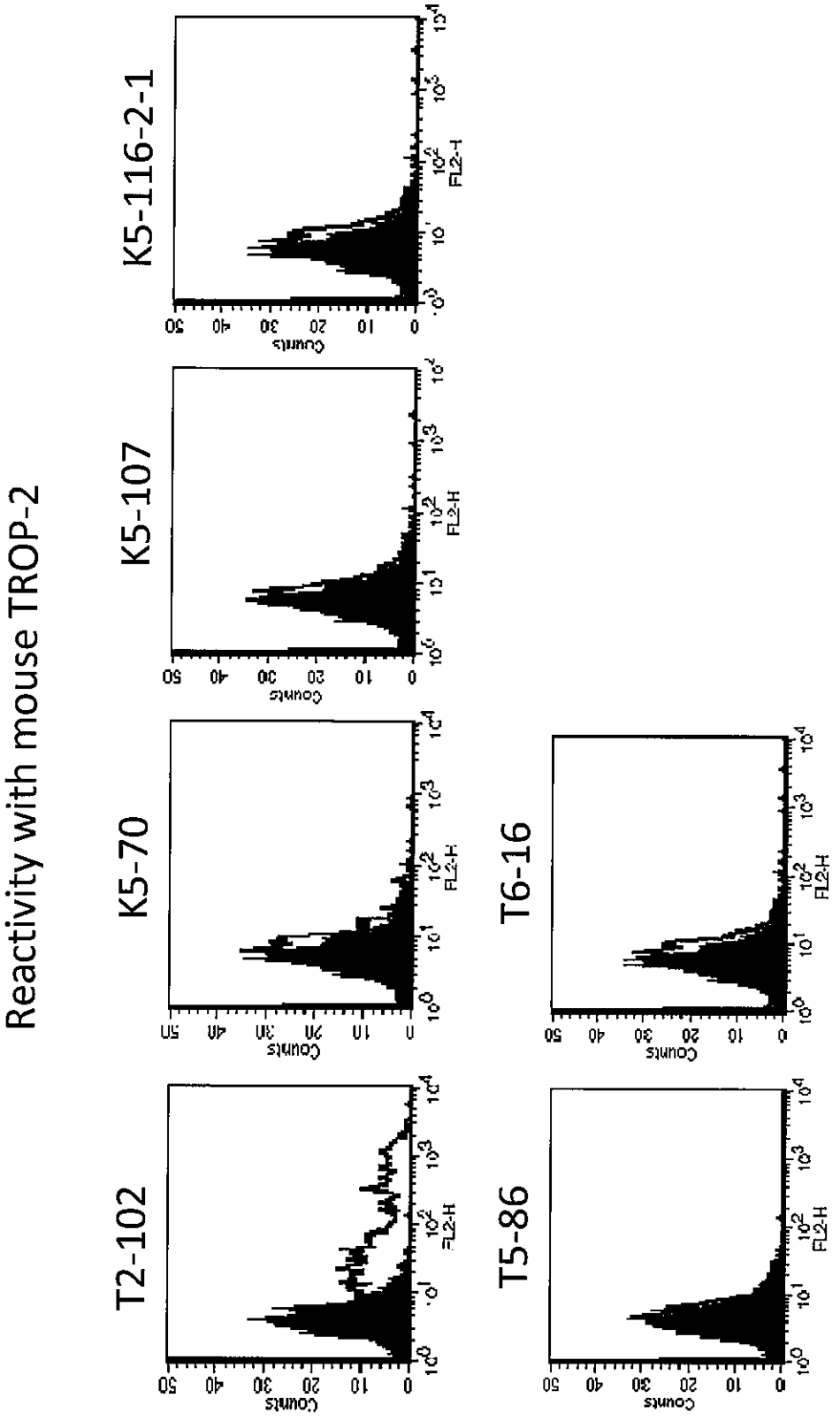

Reactivity with mouse TROP-2
K5-116-2-1
K5-107
K5-70
T6-16
T2-102
T5-86
Counts
FL2-H

Fig.8

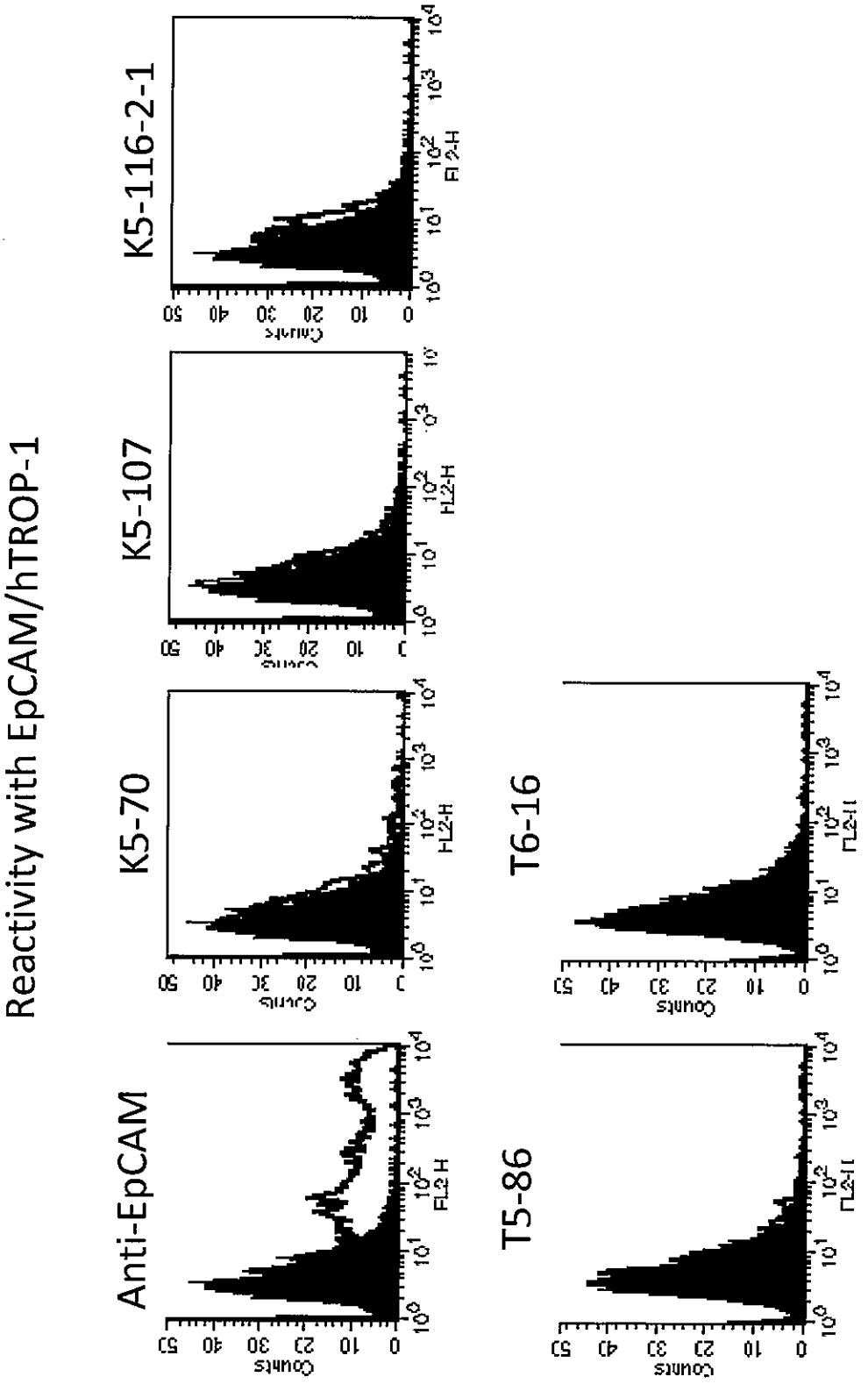

Reactivity with EpCAM/hTROP-1
Anti-EpCAM
K5-70
K5-107
K5-116-2-1
T5-86
T6-16
Counts
FL2-H

Fig.9

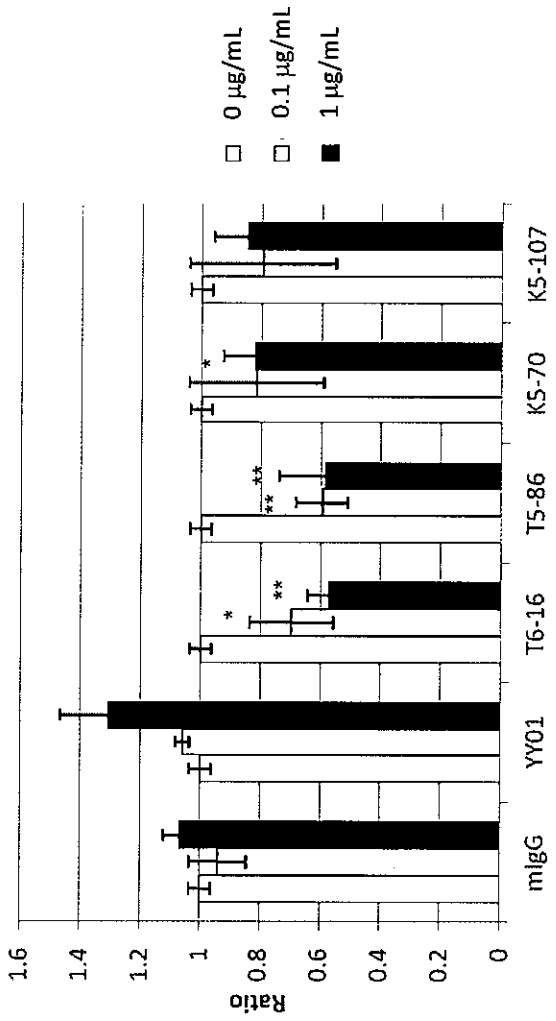

0 μg/mL
0.1 μg/mL
1 μg/mL
Ratio
1.6
1.4
1.2
1
0.8
0.6
0.4
0.2
0
mIgG
YY01
T6-16
T5-86
K5-70
K5-107
*
**
**
*
**

Fig.10

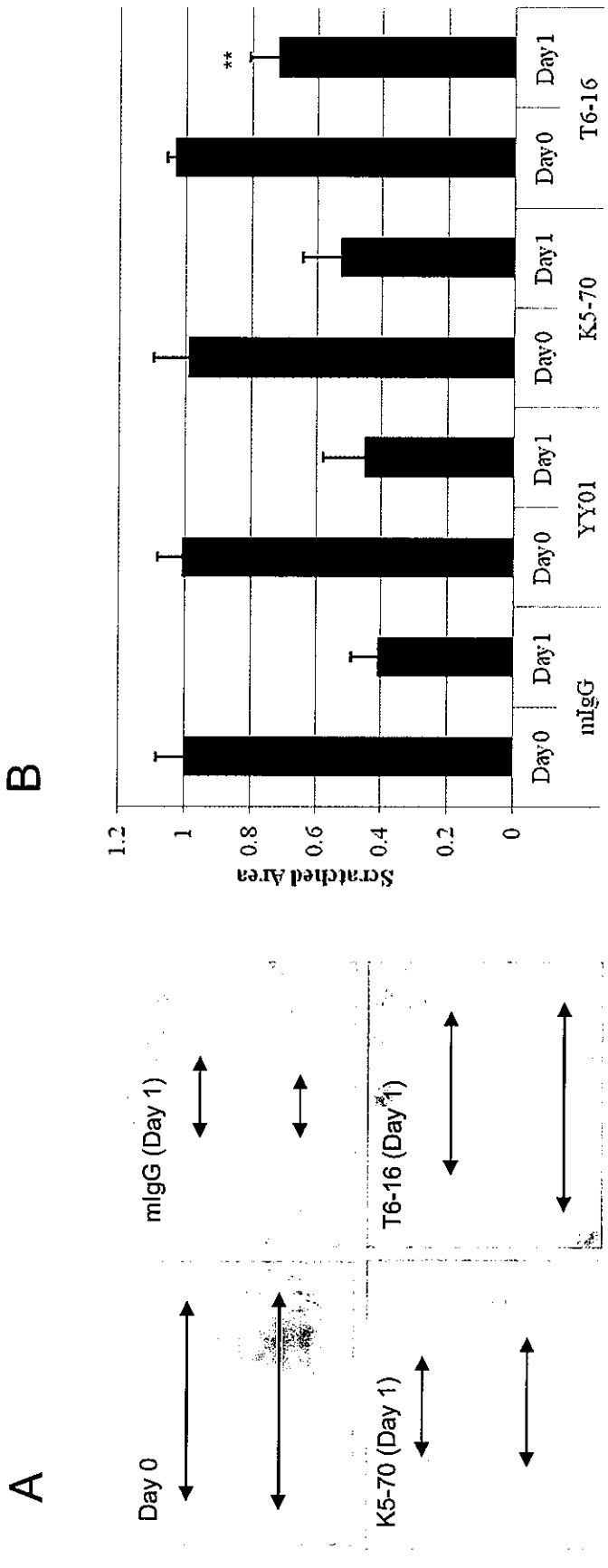

A
Day 0
mIgG (Day 1)
K5-70 (Day 1)
T6-16 (Day 1)
B
Scratched Area
1.2
1
0.8
0.6
0.4
0.2
0
**
mIgG
YY01
K5-70
T6-16
Day 0
Day 1

Fig.11

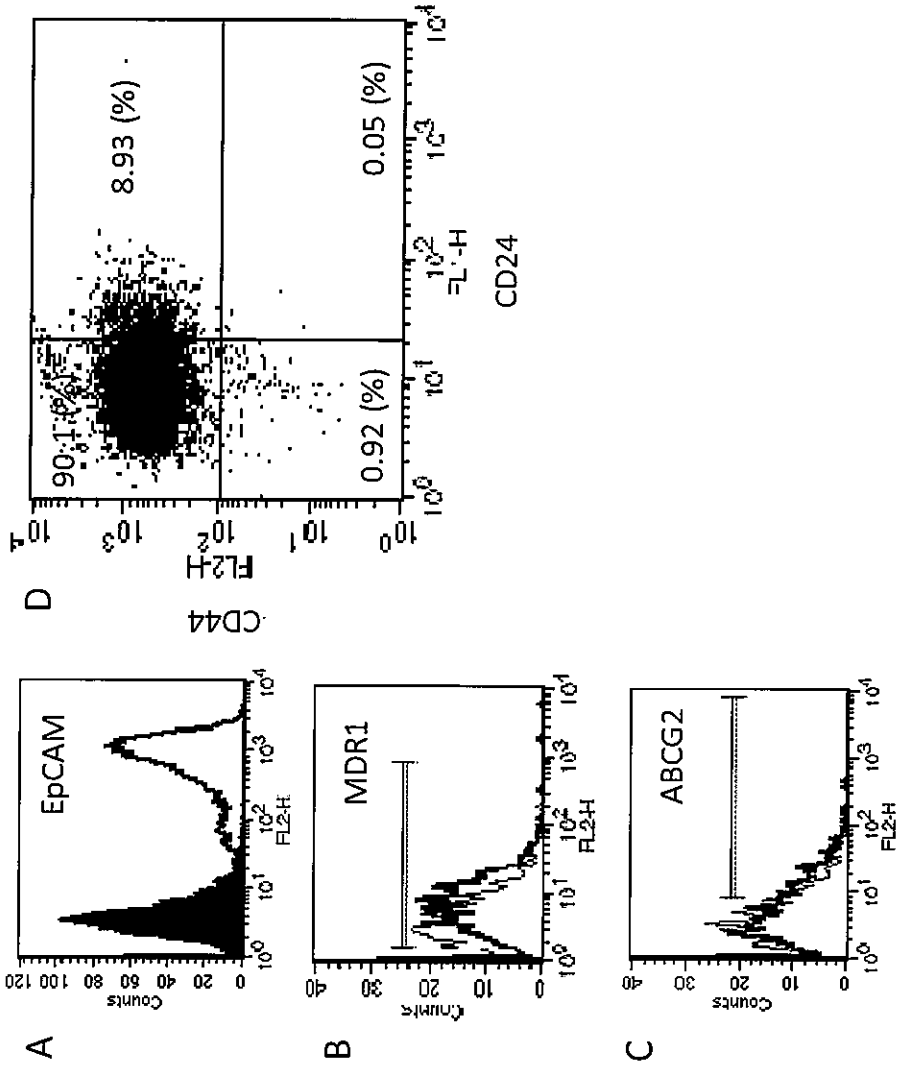

A
EpCAM
Counts
FL2-H
B
MDR1
Counts
FL2-H
C
ABCG2
Counts
FL2-H
D
CD44
FL2-H
CD24
FL1-H
8.93 (%)
0.05 (%)
0.92 (%)
90.1 (%)

Fig.12

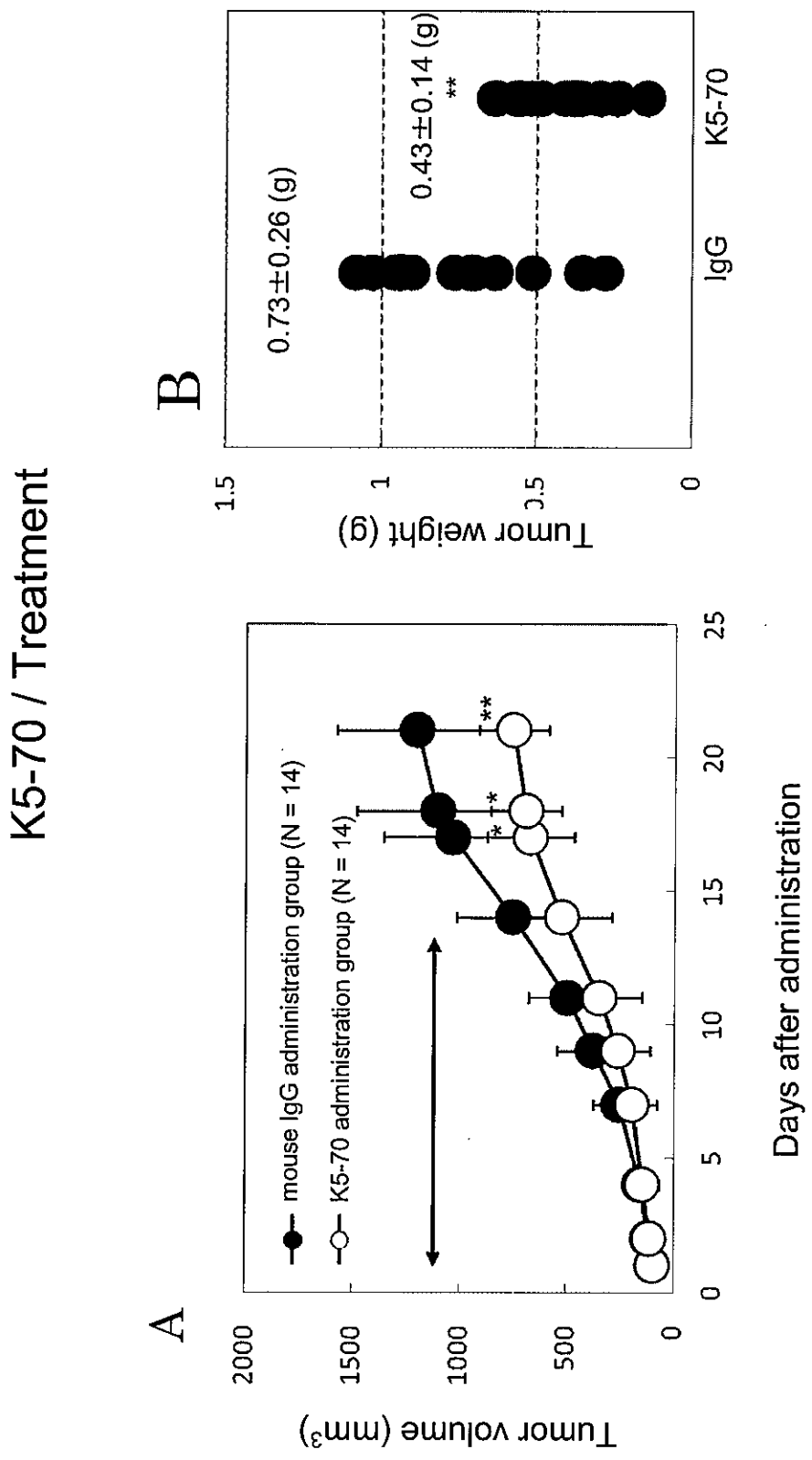

K5-70 / Treatment
A
Tumor volume (mm3)
2000
1500
1000
500
0
0
5
10
15
20
25
Days after administration
mouse IgG administration group (N = 14)
K5-70 administration group (N = 14)
**
**
**
B
Tumor weight (g)
1.5
1
0.5
0
0.73±0.26 (g)
0.43±0.14 (g)
**
IgG
K5-70

Fig.13

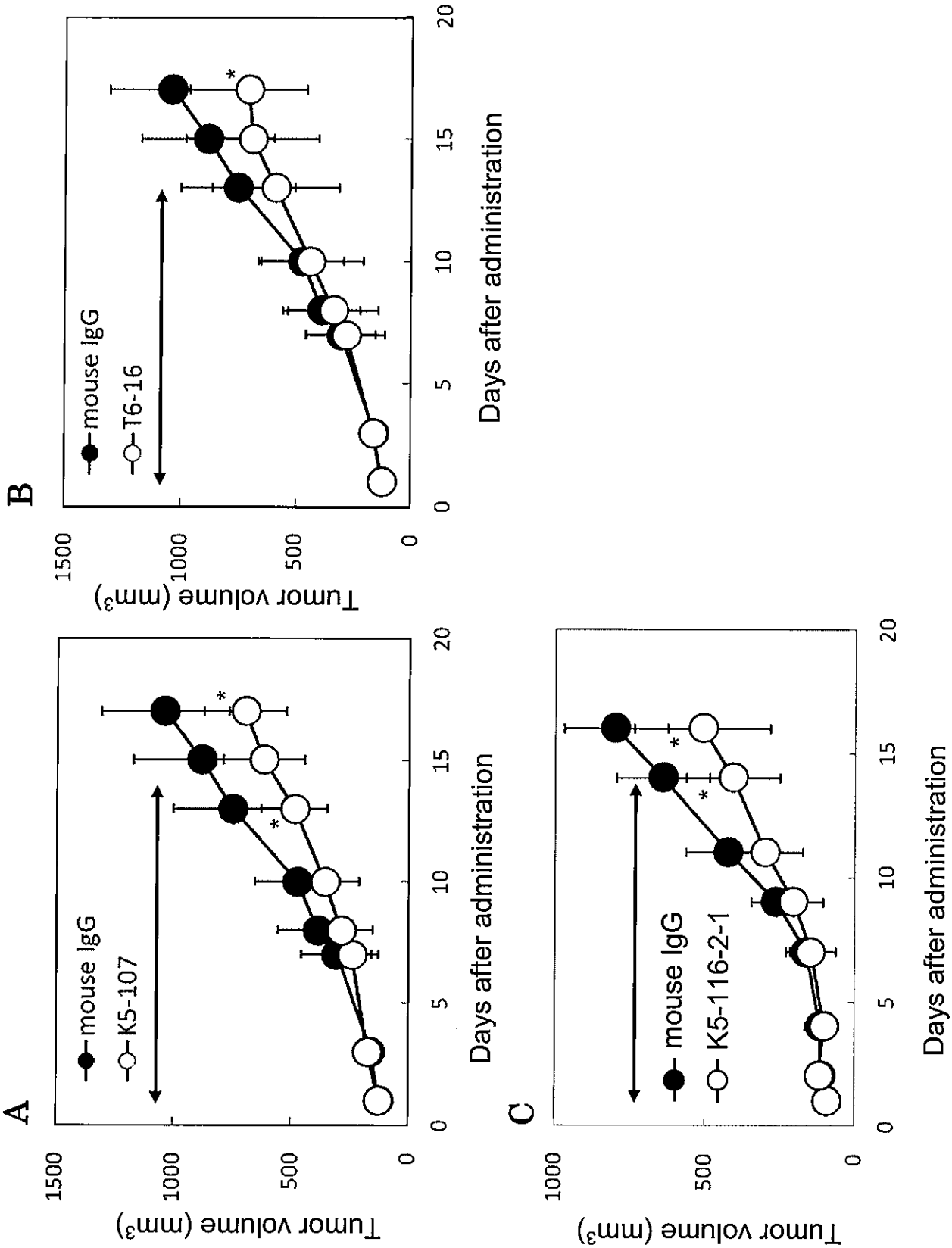

A
B
C
mouse IgG
K5-107
T6-16
K5-116-2-1
Tumor volume (mm3)
Days after administration
0
500
1000
1500
5
10
15
20
*

Fig.14

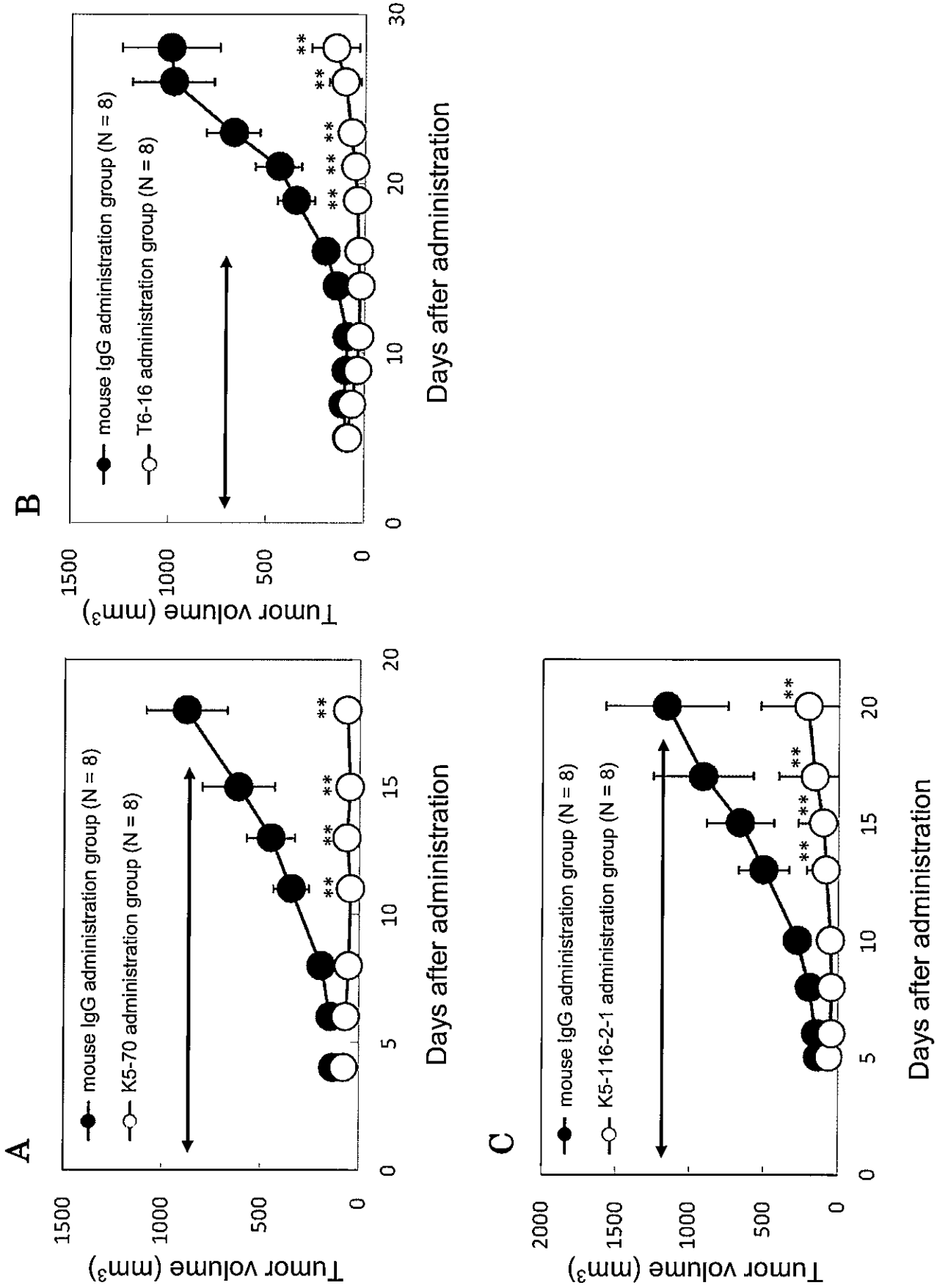

A
B
C
mouse IgG administration group (N = 8)
K5-70 administration group (N = 8)
T6-16 administration group (N = 8)
K5-116-2-1 administration group (N = 8)
Tumor volume (mm3)
Days after administration
**

Fig.15

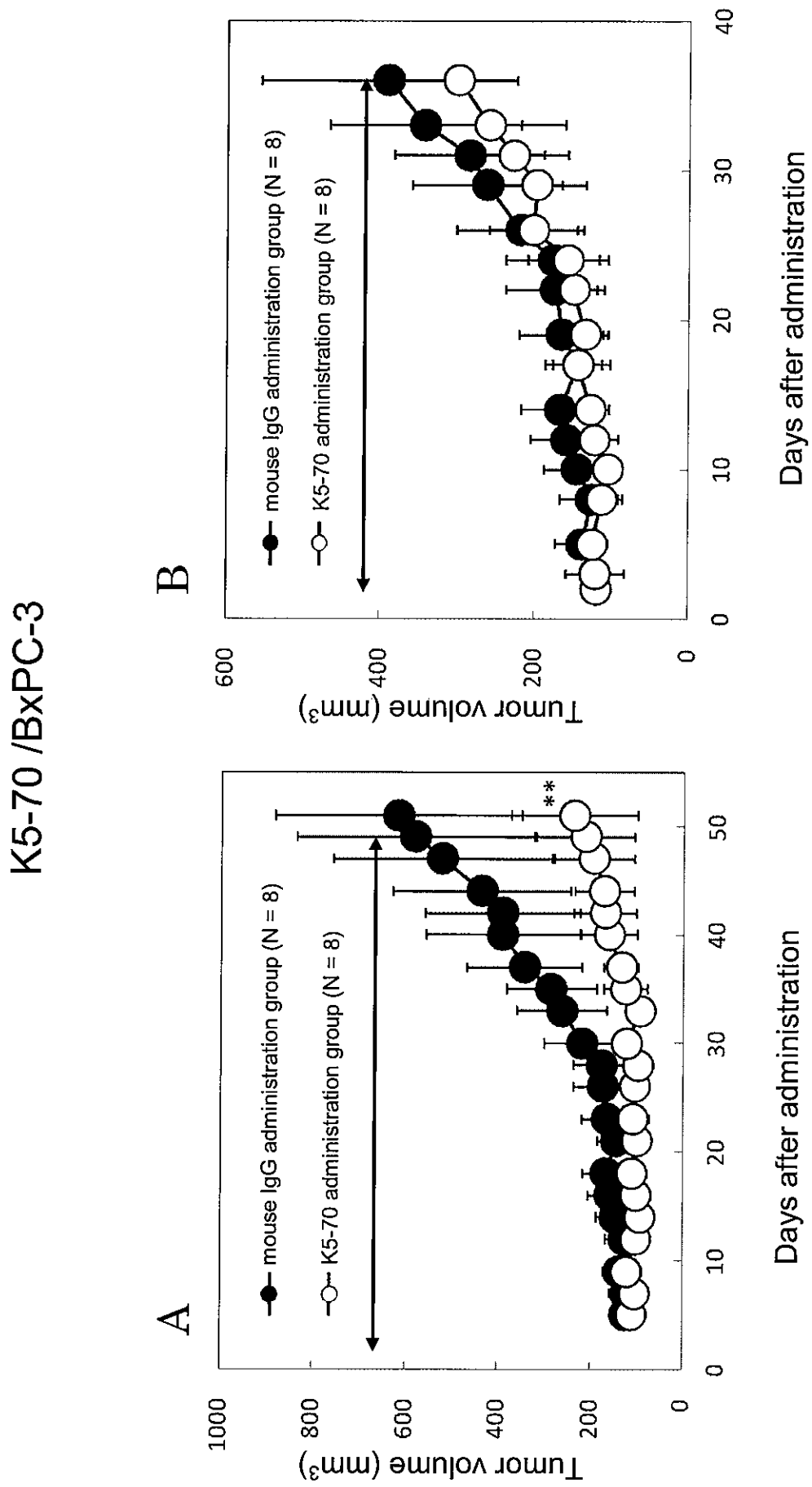

K5-70 /BxPC-3
A
B
mouse IgG administration group (N = 8)
K5-70 administration group (N = 8)
Tumor volume (mm3)
Days after administration
**
0
200
400
600
800
1000
10
20
30
40
50

Fig.16

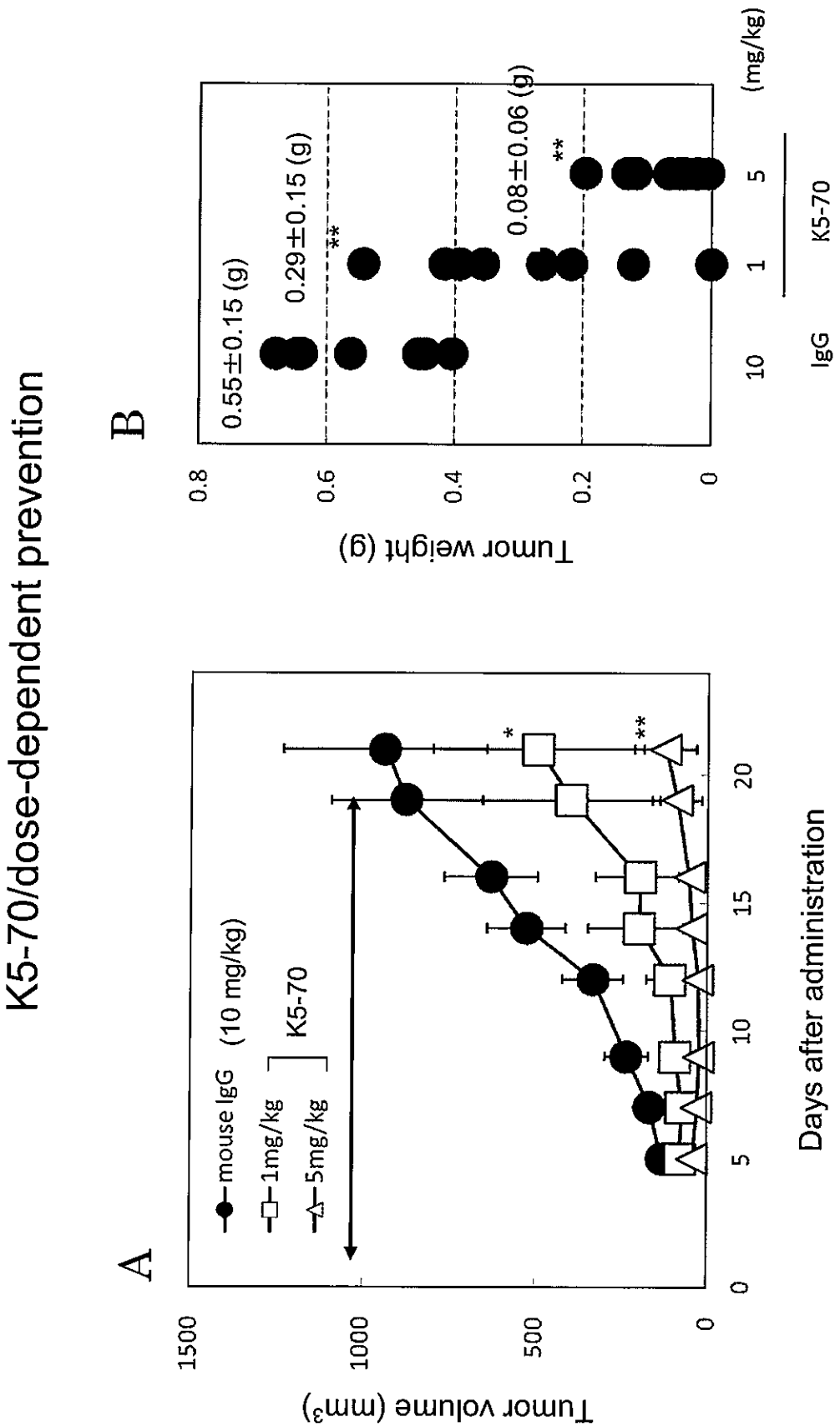

K5-70/dose-dependent prevention
A
mouse IgG (10 mg/kg)
1mg/kg
5mg/kg
K5-70
Tumor volume (mm3)
1500
1000
500
0
0
5
10
15
20
Days after administration
*
**
B
0.55±0.15 (g)
0.29±0.15 (g)
0.08±0.06 (g)
**
**
Tumor weight (g)
0.8
0.6
0.4
0.2
0
10
1
5
(mg/kg)
IgG
K5-70

Fig.17

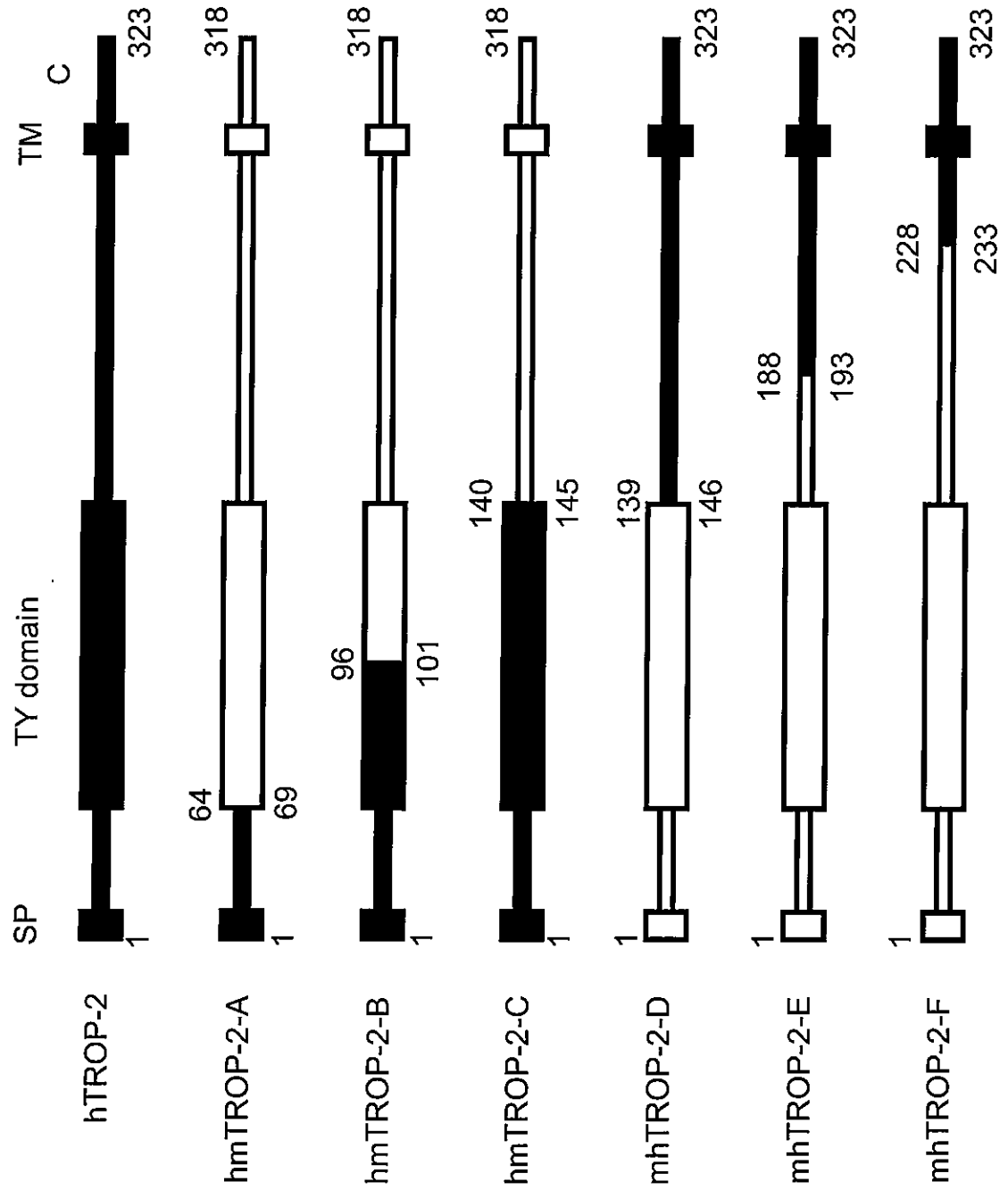

SP
TY domain
TM
C
hTROP-2
hmTROP-2-A
hmTROP-2-B
hmTROP-2-C
mhTROP-2-D
mhTROP-2-E
mhTROP-2-F
1
323
64
69
318
96
101
140
145
139
146
188
193
228
233

Fig.18

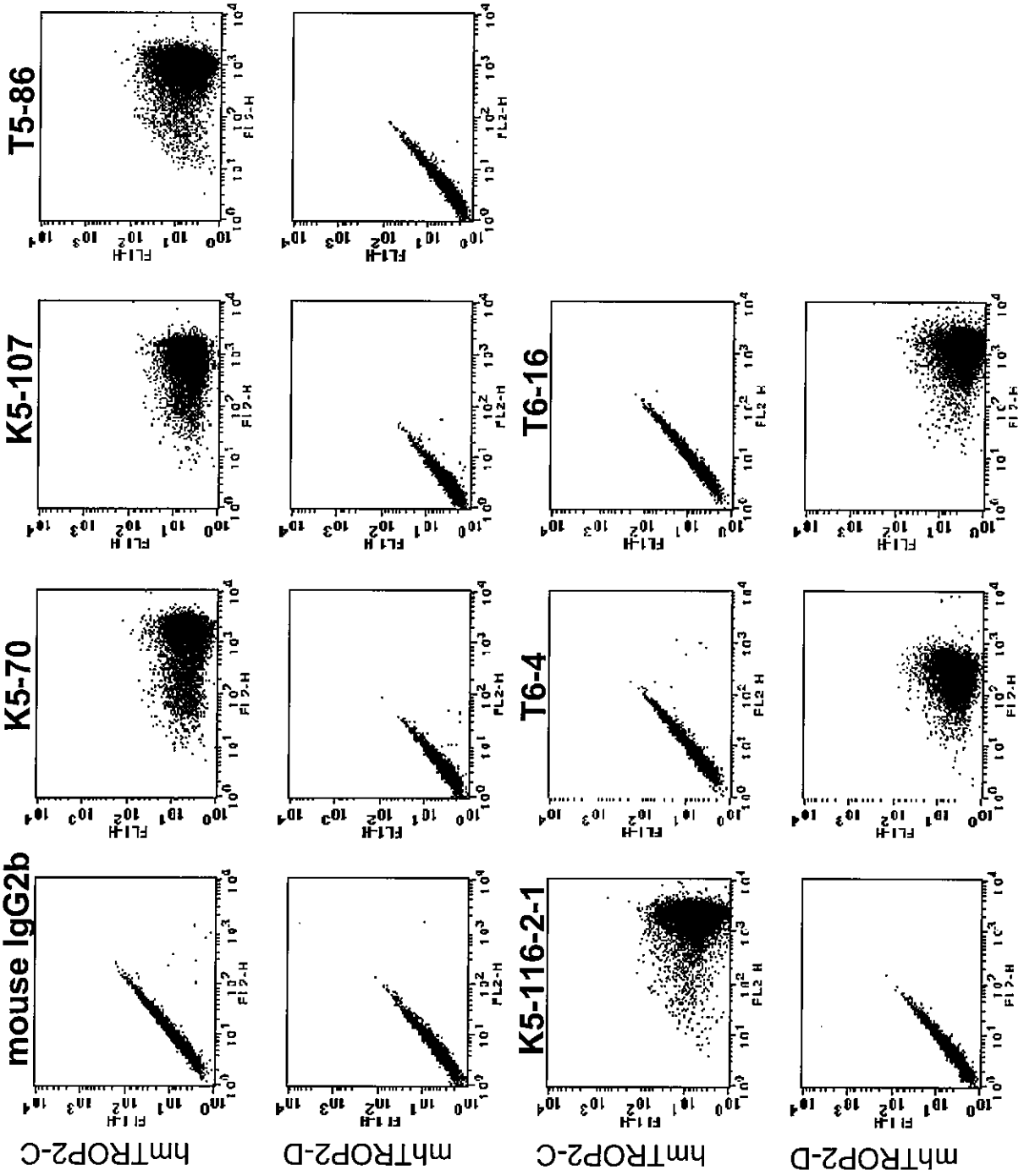

mouse IgG2b
K5-70
K5-107
T5-86
T6-4
T6-16
K5-116-2-1
hmTROP2-C
mhTROP2-D
hmTROP2-C
mhTROP2-D
FL1-H
FL2-H
FL3-H

Fig.19

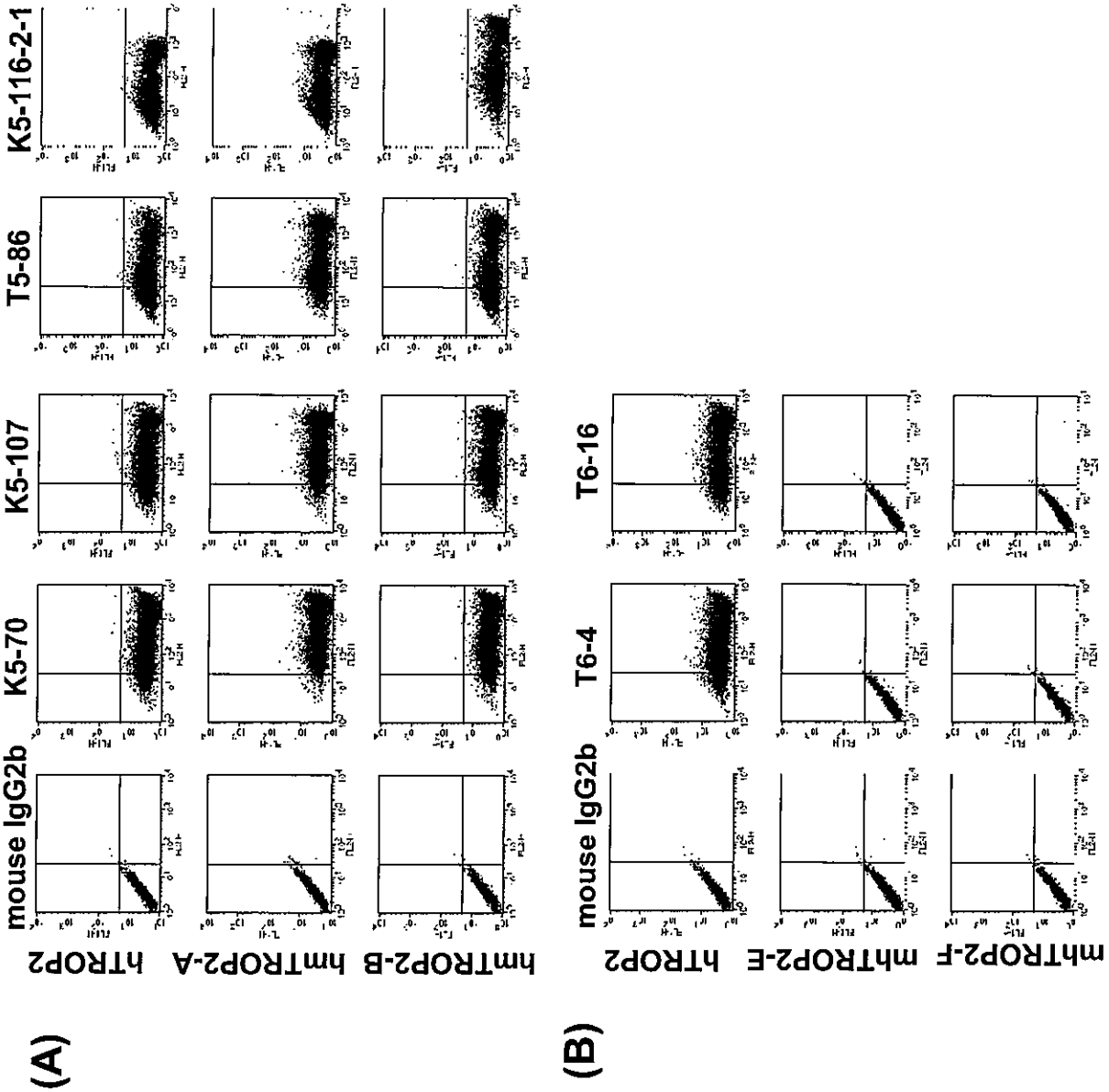

(A)
mouse IgG2b
K5-70
K5-107
T5-86
K5-116-2-1
hTROP2
hmTROP2-A
hmTROP2-B
(B)
mouse IgG2b
T6-4
T6-16
hTROP2
mhTROP2-E
mhTROP2-F

Fig.20

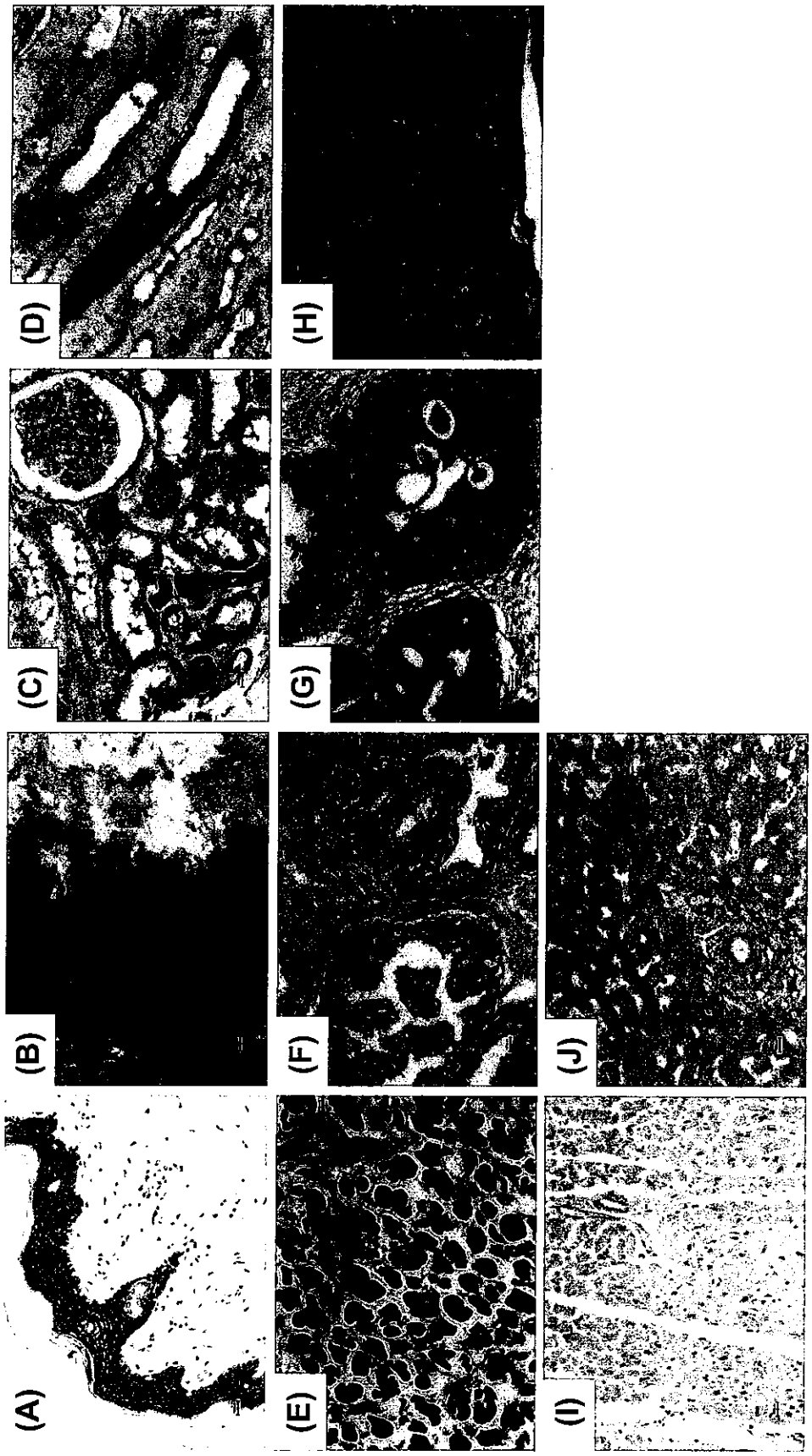


Fig.21

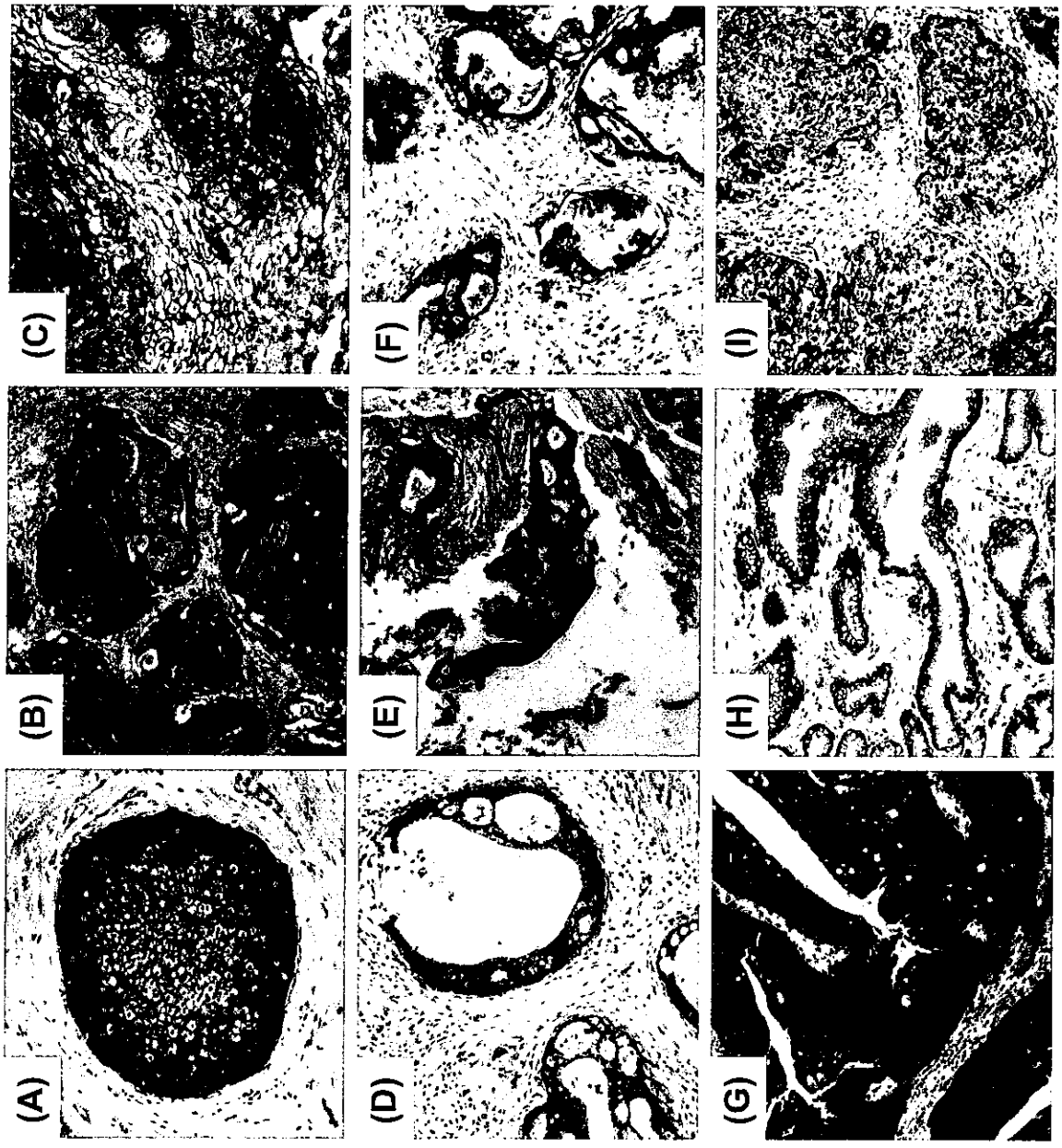


Fig.22

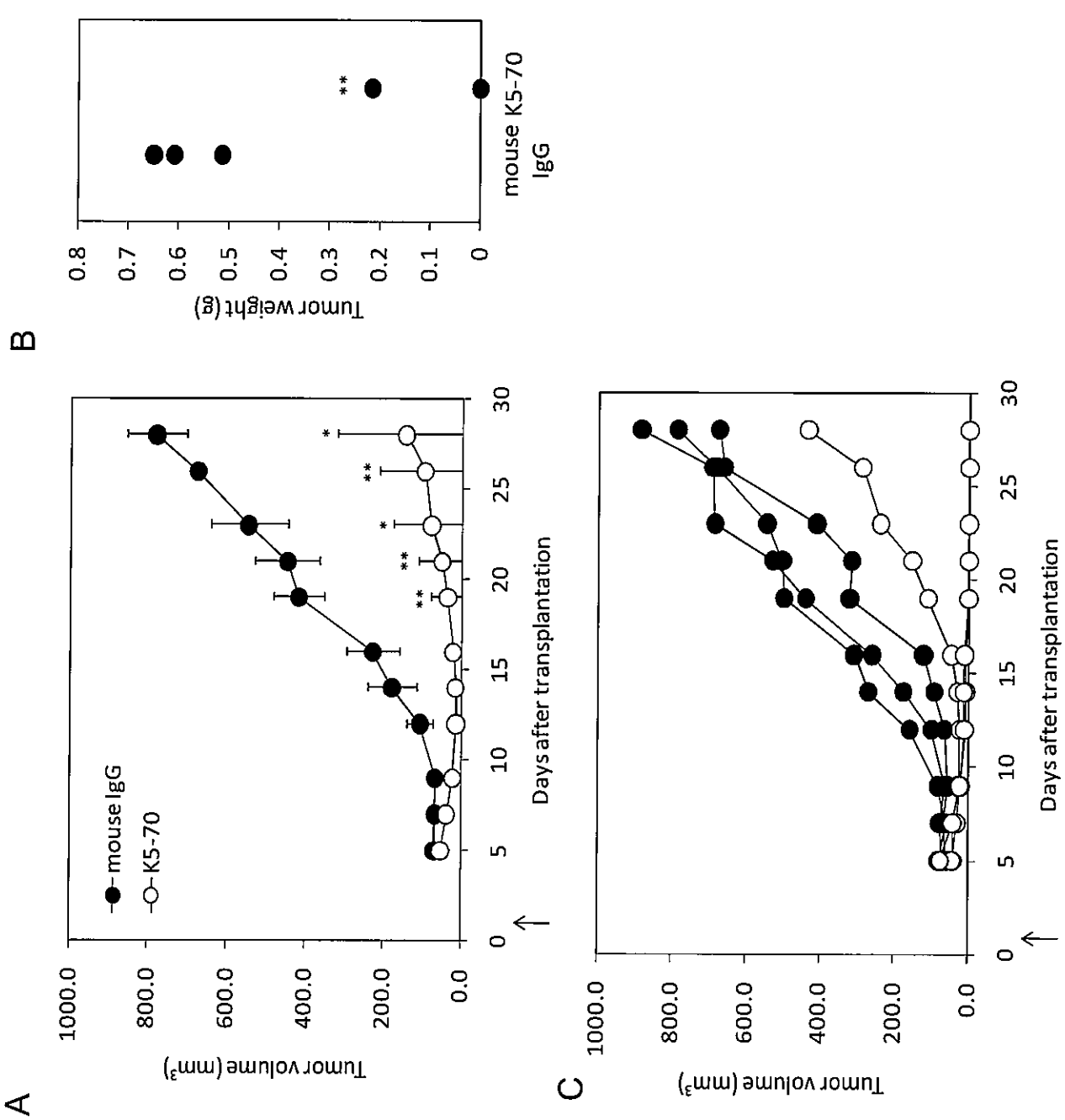

A
B
C
mouse IgG
K5-70
Tumor volume (mm³)
Days after transplantation
Tumor weight (g)
mouse K5-70 IgG
0.0
200.0
400.0
600.0
800.0
1000.0
0
5
10
15
20
25
30
0.1
0.2
0.3
0.4
0.5
0.6
0.7
0.8
*
**

Fig.23

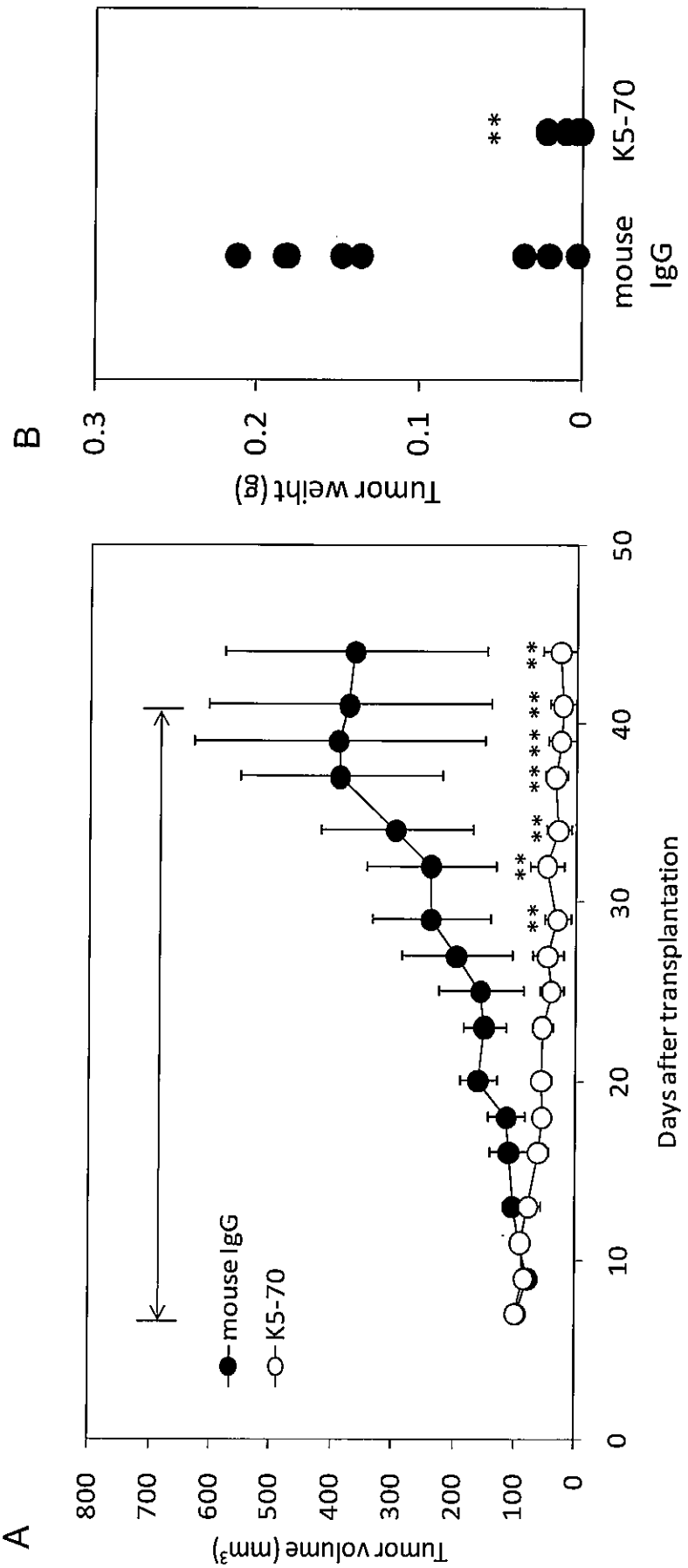

A
Tumor volume (mm3)
800
700
600
500
400
300
200
100
0
0
10
20
30
40
50
Days after transplantation
mouse IgG
K5-70
**
B
Tumor weight (g)
0.3
0.2
0.1
0
mouse IgG
K5-70
**

Fig.24

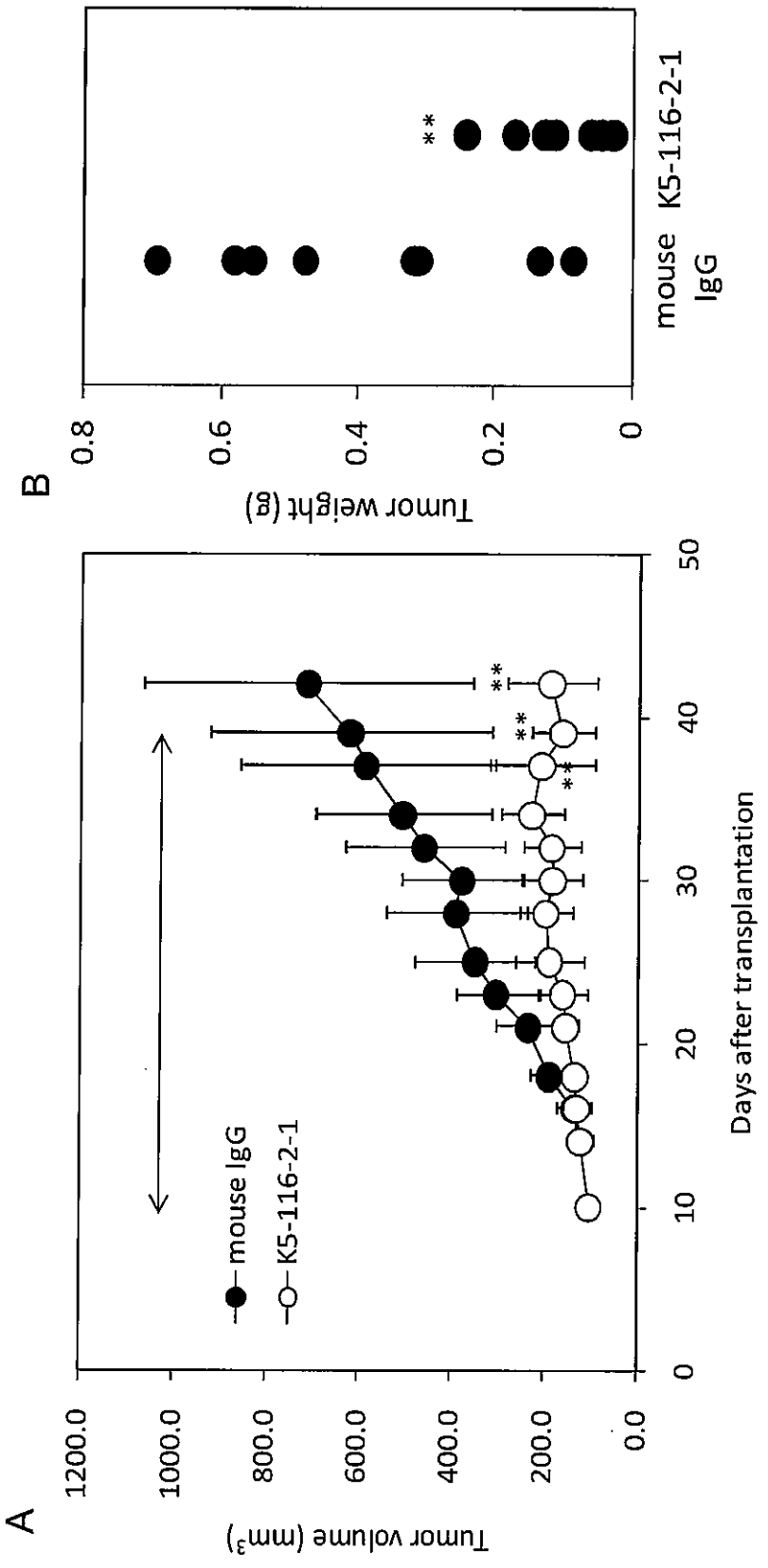

A
Tumor volume (mm3)
1200.0
1000.0
800.0
600.0
400.0
200.0
0.0
0
10
20
30
40
50
Days after transplantation
mouse IgG
K5-116-2-1
**
**
**
B
Tumor weight (g)
0.8
0.6
0.4
0.2
0
**
mouse IgG
K5-116-2-1

Fig.25

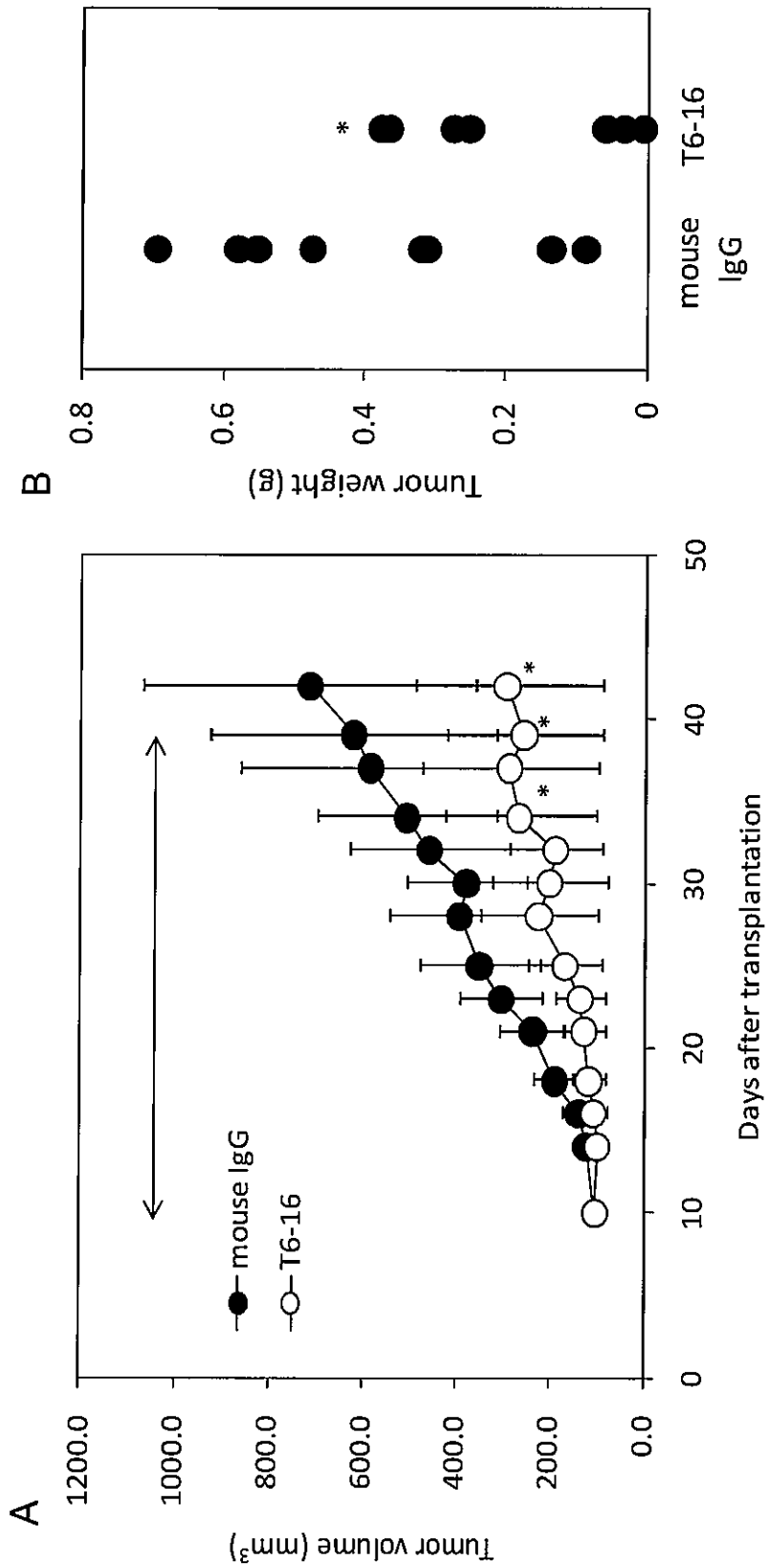

A
Tumor volume (mm3)
1200.0
1000.0
800.0
600.0
400.0
200.0
0.0
0
10
20
30
40
50
Days after transplantation
mouse IgG
T6-16
*
B
Tumor weight (g)
0.8
0.6
0.4
0.2
0
mouse IgG
T6-16
*

Fig.26

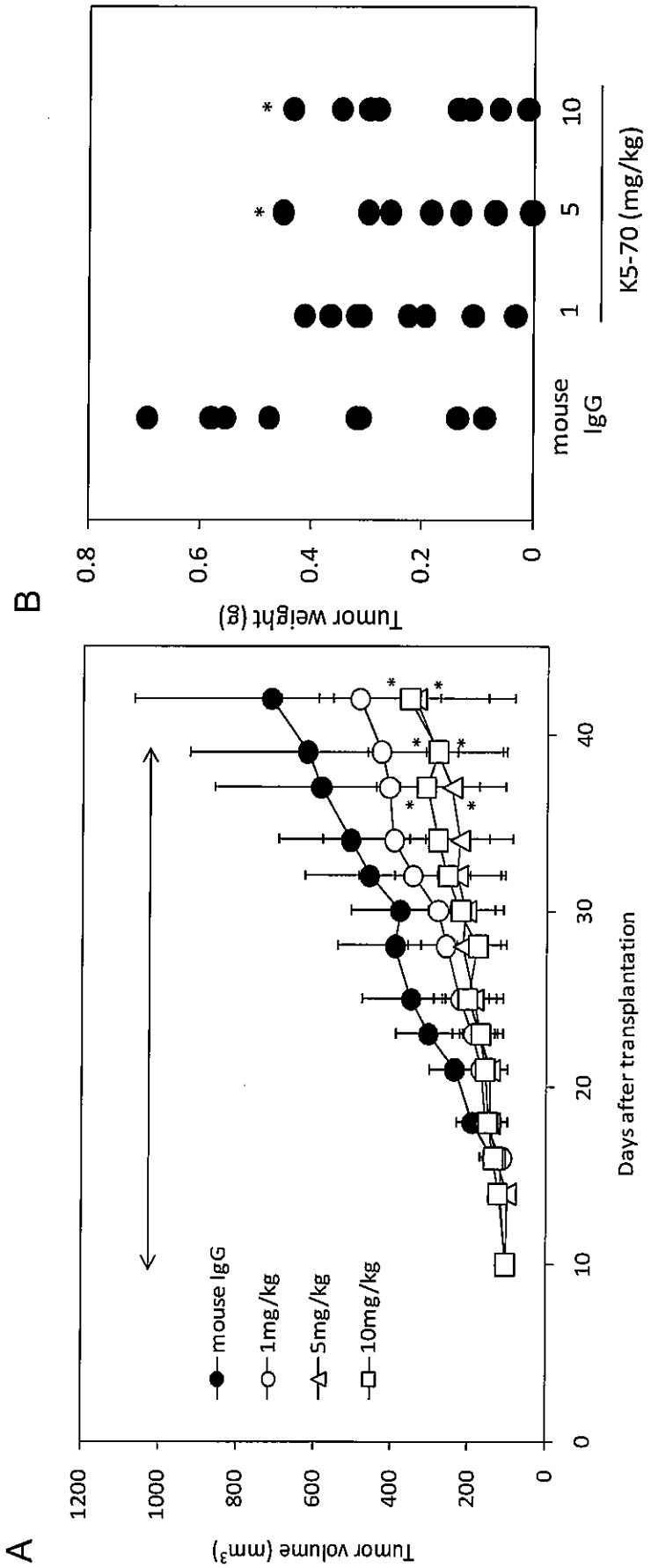

A
Tumor volume (mm3)
1200
1000
800
600
400
200
0
0
10
20
30
40
Days after transplantation
mouse IgG
1mg/kg
5mg/kg
10mg/kg
B
Tumor weight (g)
0.8
0.6
0.4
0.2
0
mouse IgG
1
5
10
K5-70 (mg/kg)

Fig.27

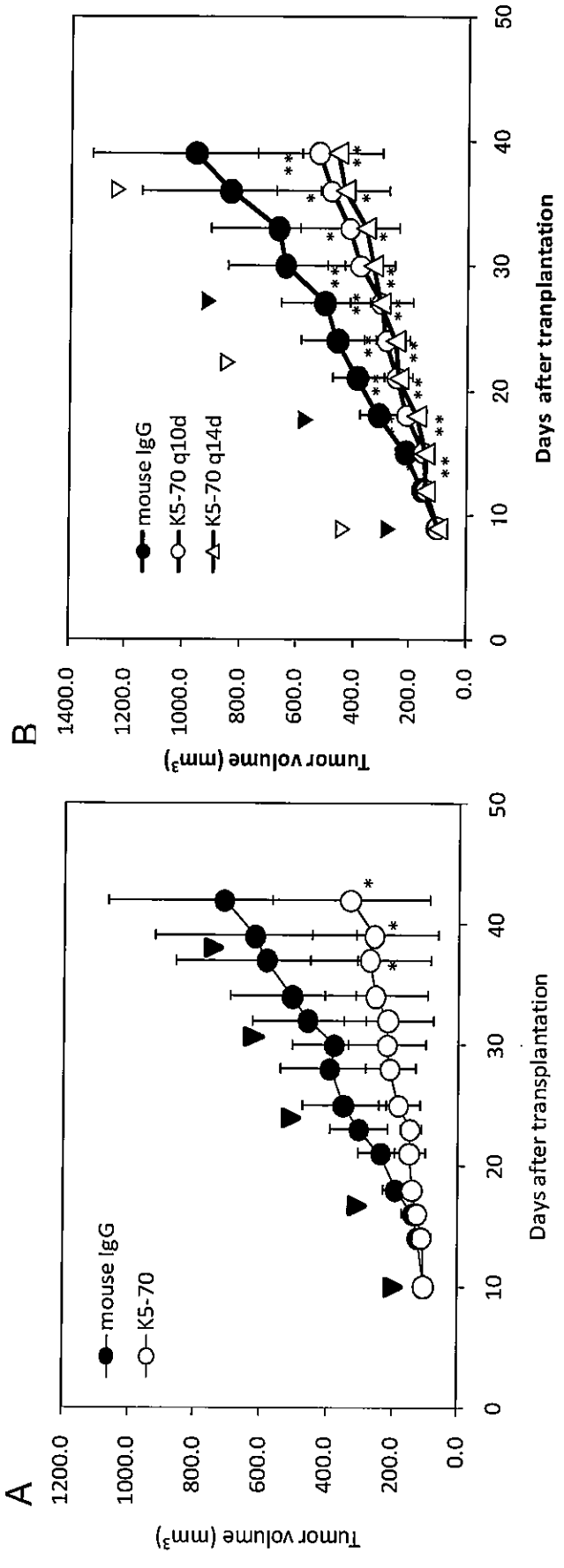

A
Tumor volume (mm3)
1200.0
1000.0
800.0
600.0
400.0
200.0
0.0
0
10
20
30
40
50
Days after transplantation
mouse IgG
K5-70
B
Tumor volume (mm3)
1400.0
1200.0
1000.0
800.0
600.0
400.0
200.0
0.0
0
10
20
30
40
50
Days after tranplantation
mouse IgG
K5-70 q10d
K5-70 q14d

Fig.28

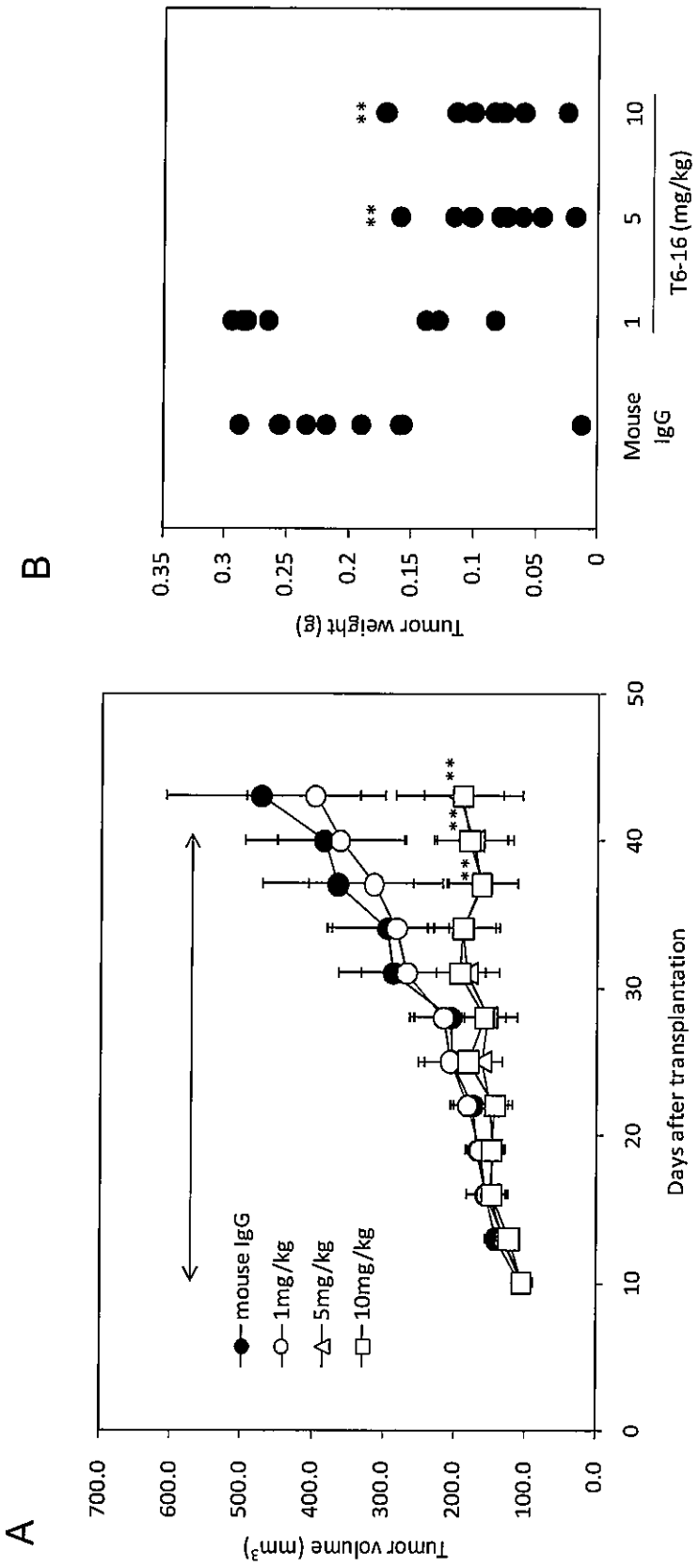

A
Tumor volume (mm3)
700.0
600.0
500.0
400.0
300.0
200.0
100.0
0.0
0
10
20
30
40
50
Days after transplantation
mouse IgG
1mg/kg
5mg/kg
10mg/kg
**
B
Tumor weight (g)
0.35
0.3
0.25
0.2
0.15
0.1
0.05
0
Mouse IgG
1
5
10
T6-16 (mg/kg)

Fig.29

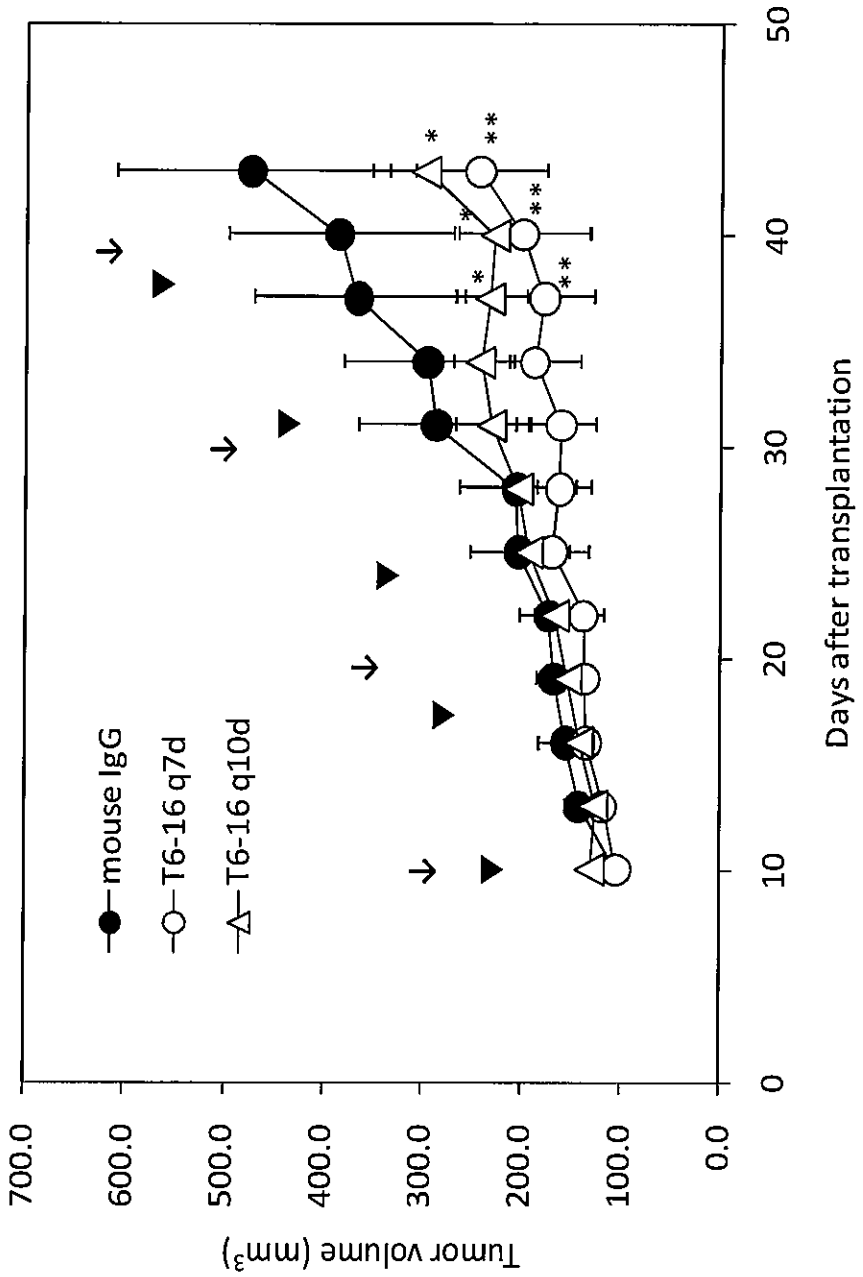

Tumor volume (mm3)
700.0
600.0
500.0
400.0
300.0
200.0
100.0
0.0
0
10
20
30
40
50
Days after transplantation
mouse IgG
T6-16 q7d
T6-16 q10d
*
**

Fig.30

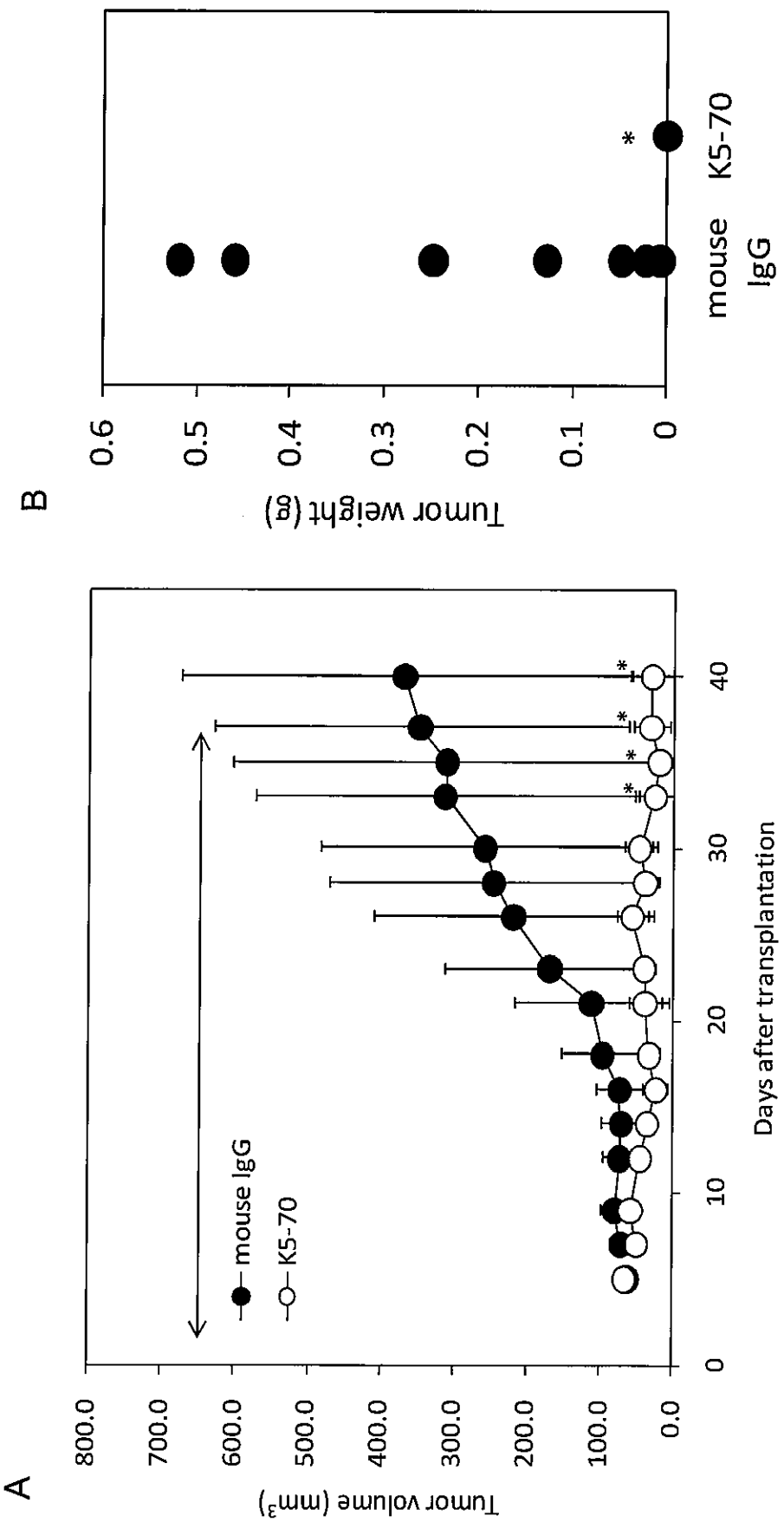

A
Tumor volume (mm3)
800.0
700.0
600.0
500.0
400.0
300.0
200.0
100.0
0.0
0
10
20
30
40
Days after transplantation
mouse IgG
K5-70
*
B
Tumor weight (g)
0.6
0.5
0.4
0.3
0.2
0.1
0
mouse IgG
K5-70
*

Fig.31

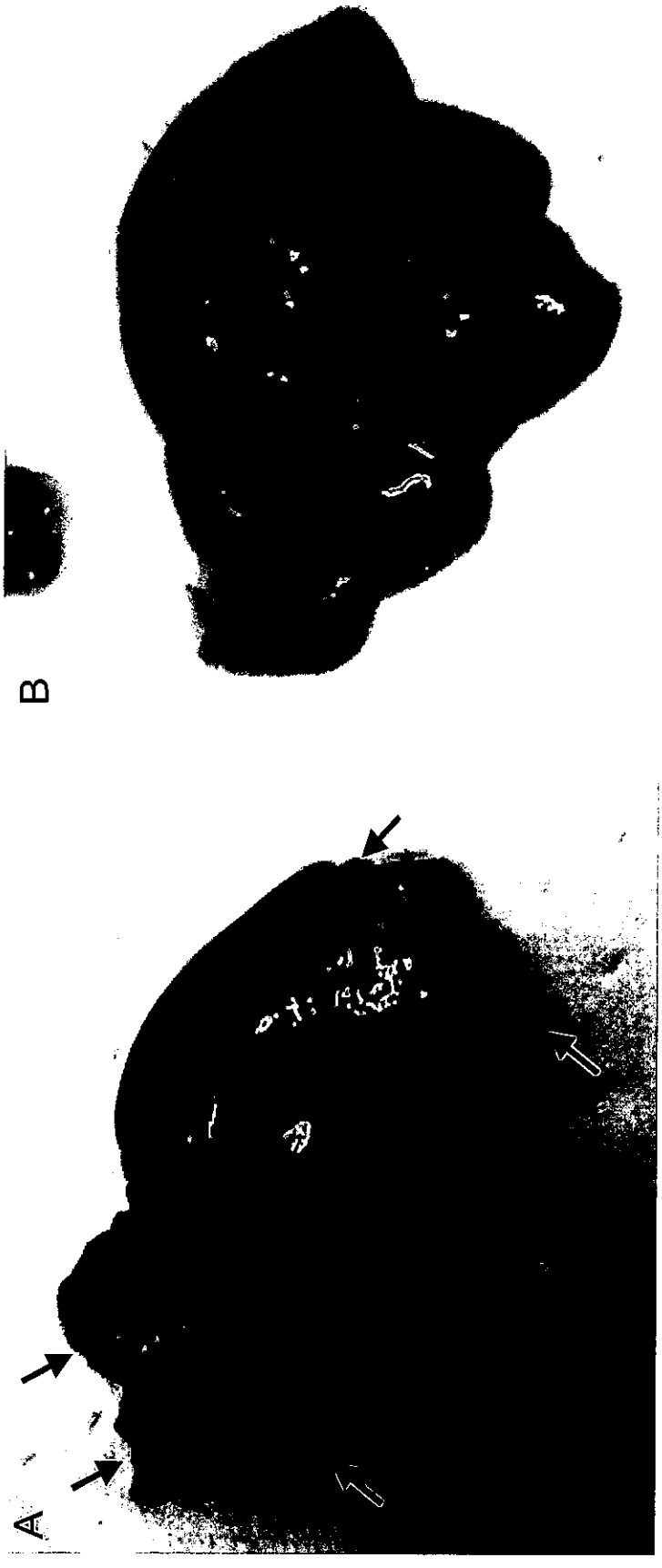
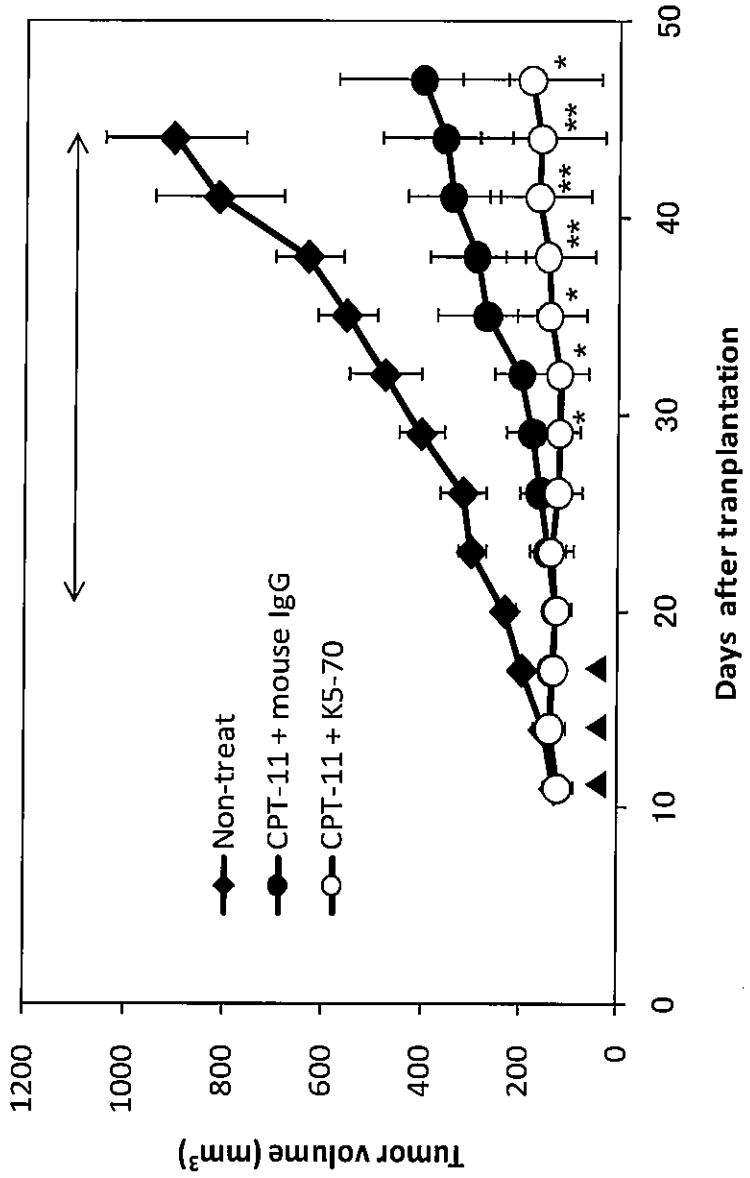


Fig.32


Tumor volume (mm³)
1200
1000
800
600
400
200
0
0
10
20
30
40
50
Days after tranplantation
Non-treat
CPT-11 + mouse IgG
CPT-11 + K5-70
*
**
**
**
*
*
*

K5-70
VH

ATGGGATGGAGCTGTATCATCCTCTTCTTGGTAGCAACAGCTACAGGTGTCCACTCCCAG
M G W S C I I L F L V A T A T G V H S Q
GTCCAACTGCAGCAGCCTGGGGCTGAGCTGGTGAGGCCTGGGGCTTCAGTGAAGCTGTCC
V Q L Q Q P G A E L V R P G A S V K L S
TGCAAGGCTTCTGGCTACACCTTCACCATCTACTGGATAAACTGGGTGAAACAGAGGCCT
C K A S G Y T F T I Y W I N W V K Q R P
GGACAAGGCCTTGAGTGGATCGGAAATATTTATCCTTCTGATAGTTATACTAACTACAAT
G Q G L E W I G N I Y P S D S Y T N Y N
CAAAAGTTCAAGGACAAGGCCACATTGACTGTAGACAAATCCTCCAGCACAGCCTACATG
Q K F K D K A T L T V D K S S S T A Y M
CAGCTCAGCAGCCCGACATCTGAGGACTCTGCGGTCTATTACTGTACAAGAACGTCTATG
Q L S S P T S E D S A V Y Y C T R T S M
GCGGACTACTGGGGCCAAGGCACCACTCTCACAGTCTCCTCA
A D Y W G Q G T T L T V S S

Fig.34

K5-70
VL

ATGGTATCCACACCTCAGTTCCTTGTATTTTTGCTTTTCTGGATTCCAGCCTCCAGAGGT
M V S T P Q F L L V F L L F W I P A S R G
GACATCTTGCTGACTCAGTCTCCAGCCATCCTGTCTGTGAGTCCAGGAGAAAGAGTCAGT
D I L L T Q S P A I L S V S P G E R V S
TTCTCCTGCAGGGCCAGTCAGAGCATTGGCACAAGCATACACTGGTATCAGCAAAGAACA
F S C R A S Q S I G T S I H W Y Q Q R T
AATGGTTCTCCAAGGCTTCTCATAAAGTATGCTTCTGAGTCTATCTCTGGGATCCCTTCC
N G S P R L L I K Y A S E S I S G I P S
AGGTTTAGTGGCAGTGGATCAGGGACAGATTTTACTCTTAGCATCAACAGTGTGGAGTCT
R F S G S G S G T D F T L S I N S V E S
GAAGATATTGCAGATTATTACTGTCAACAAAGTAATAGCTGGCCATTCACGTTCGGCTCG
E D I A D Y Y C Q Q S N S W P F T F G S
GGGACAAAGTTGGAAATAAAA
G T K L E I K

K5-107
VH

ATGGGATGGAGCTGTATCATCCTCTTCTTGGTAGCAACAGCTACAGGTGTCCACTCCCAG
M G W S C I I L F L V A T A T G V H S Q
GTCCAACTGCAGCAACCTGGGTCTGAGCTGGTGAGGCCTGGAGCTTCAGTGAAGCTGTCC
V Q L Q Q P G S E L V R P G A S V K L S
TGCAAGGCTTCTGGCTACACATTCACCAGCTACTGGATGCACTGGGTGAAGCAGAGGCAT
C K A S G Y T F T S Y W M H W V K Q R H
GGACAAGGCCTTGAGTGGATTGGAAATATTTATCCTGGTGGTGGTTATACTAACTACGAT
G Q G L E W I G N I Y P G G G Y T N Y D
GAGAAGTTCAAGAGCAAGGGCACACTGACTGTAGACACATCCTCCAGCACAGCCTACATG
E K F K S K G T L T V D T S S S T A Y M
CACCTCAGCAGCCTGACATCTGAGGACTCTGCGGTCTATTACTGTACAAGATCATCCGTT
H L S S L T S E D S A V Y Y C T R S S V
TTTGACTACTGGGGCCAAGGCACCACTCTCACAGTCTCCTCA
F D Y W G Q G T T L T V S S

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VL

ATGGTATCCACACCTCAGTTCCTTGTATTTTTGCTTTTCTGGATTCCAGCCTCCAGAGGT
M V S T P Q F L V F L L F W I P A S R G
GACATCTTGCTGACTCAGTCTCCAGCCATCCTGTCTGTGAGTCCAGGAGAAAGAGTCAGT
D I L L T Q S P A I L S V S P G E R V S
TTCTCCTGCAGGGCCAGTCAGAACATTGGCACAAGCATACACTGGTTTCAGCAAAGAACA
F S C R A S Q N I G T S I H W F Q Q R T
AATGGTTCTCCAAGGCTTCTCATAAAGTATGCTTCTGAGTCTATCTCTGGGATCCCTTCC
N G S P R L L I K Y A S E S I S G I P S
AGGTTTAGTGGCAGTGGATCAGGGACAGATTTTACTCTTAGCATCAACAGTGTGGAGTCT
R F S G S G S G T D F T L S I N S V E S
GAAGATATTGCAGATTATTACTGTCAACAAAGTAATAGCTGGCCATTCACGTTCGGCTCG
E D I A D Y Y C Q Q S N S W P F T F G S
GGGACAAAGTTGGAAATAAAA
G T K L E I K

K5-116-2-1
VH

ATGGGATGGAGCTGTATCATCCTCTTCTTGGTAGCAACAGCTACAGGTGTCCACTCCCAG
M G W S C I I L F L V A T A T G V H S Q
GTCCAACTGCAGCAGCCTGGGGCTGAGCTGGTGAGGCCTGGGGCTTCAGTGAAGCTGTCC
V Q L Q Q P G A E L V R P G A S V K L S
TGCAAGGCTTCTGGCTACACCTTCACCAGCTACTGGATAACCTGGGTGAAGCAGAGGCCT
C K A S G Y T F T S Y W I T W V K Q R P
GGACAAGGCCTTGAGTGGATCGGAAATATTTATCCTTCTGATAGTTATACTAACTACAAT
G Q G L E W I G N I Y P S D S Y T N Y N
CAAAAGTTCAGGGACAAGGCCACATTGACTGTAGACAAATCCTCCAGTACAGCCTACATG
Q K F R D K A T L T V D K S S S T A Y M
CAGCTCAGCAGCCCGACATCTGAGGACTCTGCGGTCTATTACTGTTCAGCCCTCTTTGAC
Q L S S P T S E D S A V Y Y C S A L F D
TACTGGGGCCAAGGCACCACTCTCACAGTCTCCTCA
Y W G Q G T T L T V S S

Fig.37

K5-116-2-1
VL

ATGGTATCCACACCTCAGTTCCTTGTATTTTTGCTTTTCTGGATTCCAGCCTCCAGAGGT
M V S T P Q F L V F L L F W I P A S R G
GACATCTTGCTGACTCAGTCTCCAGCCATCCTGTCTGTGAGTCCAGGAGAAAGAGTCAGT
D I L L T Q S P A I L S V S P G E R V S
TTCTCCTGCAGGGCCAGTCAGAGCATTGGCACAAGCATACACTGGTATCAGCAAAGAACA
F S C R A S Q S I G T S I H W Y Q Q R T
AATGGTTCTCCAAGGCTTCTCATAAAGTATGCTTCTGAGTCTATCTCTGGGATCCCTTCC
N G S P R L L I K Y A S E S I S G I P S
AGGTTTAGTGGCAGTGGATCAGGGACAGATTTTATTCTTAGCATCAACAGTGTGGAGTCT
R F S G S G S G T D F I L S I N S V E S
GAAGATATTGCAGATTATTACTGTCAACAAAGTAATAGCTGGCCATTCACGTTCGGCTCG
E D I A D Y Y C Q Q S N S W P F T F G S
GGGACAAAGTTGGAAATAAAA
G T K L E I K

T6-16
VH

ATGGGATGGAGCTGGATCTTTCTCTTCCTCCTGTCAGGAACTGCAGGCGTCCACTCTGAG
M G W S W I F L F L L S G T A G V H S E
GTCCAGCTTCAGCAGTCAGGACCTGAGCTGGTGAAACCTGGGGCCTCAGTGAAGATTTCC
V Q L Q Q S G P E L V K P G A S V K I S
TGCAAGGCTTCTGGATACACATTCACTGACTACAATATGCACTGGGTGAAGCAGAGCCAT
C K A S G Y T F T D Y N M H W V K Q S H
GGAAAGAACCTTGAATGGATTGGATATATTTATCCTTACAATGGTGGTACTGGCTACAAC
G K N L E W I G Y I Y P Y N G G T G Y N
CAGAGGTTCAAGAGCAGGGCCACAATGACTGTAGACAAATCCTCCAGCACAGCCTACATG
Q R F K S R A T M T V D K S S S T A Y M
GAGCTCCGCAGCCTGACATCTGATGACTCTGCAGTCTATTACTGTGCAAGAGAAGACTAC
E L R S L T S D D S A V Y Y C A R E D Y
GGTAGTAGCCCCTCTTATGCTATGGACTATTGGGGTCAAGGAACCTCAGTCATCGTCTCC
G S S P S Y A M D Y W G Q G T S V I V S
TCA
S

T6-16
VL

ATGAAGTTGCCTGTTAGGCTGTTGGTGCTGATGTTCTGGATTCCTGCTTCCAGCAGTGAT
M K L P V R L L V L M F W I P A S S S D
GTTGTGATGACCCAAACTCCACTCTCCCTGCCTGTCAGTCTTGGAGATCAGGCCTCCATC
V V M T Q T P L S L P V S L G D Q A S I
TCTTGCAGATCTAGTCAGAGCCTTGTACACGGTAATGGAAACACCTATTTACATTGGTAC
S C R S S Q S L V H G N G N T Y L H W Y
CTGCAGAAGCCAGGCCAGTCTCCAAAGCTCCTGATCTACAAAGTTTCCAACCGATTTTCT
L Q K P G Q S P K L L I Y K V S N R F S
GGGGTCCCAGACAGGTTCAGTGGCAGTGGATCAGGGACGGATTTCACACTCAAGATCAGC
G V P D R F S G S G S G T D F T L K I S
AGAGTGGAGGCTGAGGATCTGGGAGTTTATTTCTGCTCTCAAACTACACATGTTCCCACG
R V E A E D L G V Y F C S Q T T H V P T
TTCGGCTCGGGGACAAAGTTGGAAATAAAA
F G S G T K L E I K