[図1]

配列番号1：ヒト化抗ＨＥＲ２モノクローナル抗体重鎖のアミノ酸配列

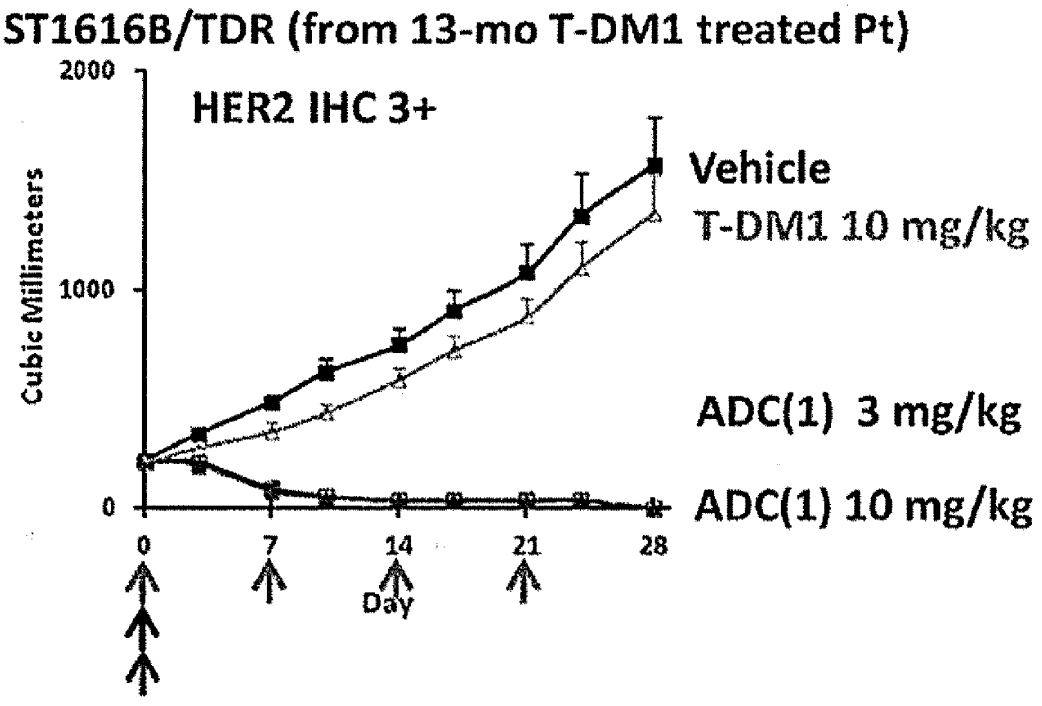
EVQLVESGGGLVQPGGSLRLSCAASGFNIKDTYIHWVRQAPGKGLEWVARIYPTNGYTRYADSV
KGRFTISADTSKNTAYLQMNSLRAEDTAVYYCSRWGGDGFYAMDYWGQGTLVTVSSASTKGPSV
FPLAPSSKSTSGGTAALGCLVKDYFPEPVTVSWNSGALTSGVHTFPAVLQSSGLYSLSSVVTVP
SSSLGTQTYICNVNHKPSNTKVDKKVEPKSCDKTHTCPPCPAPELLGGPSVFLFPPKPKDTLMI
SRTPEVTCVVVDVSHEDPEVKFNWYVDGVEVHNAKTKPREEQYNSTYRVVSVLTVLHQDWLNGK
EYKCKVSNKALPAPIEKTISKAKGQPREPQVYTLPPSREEMTKNQVSLTCLVKGFYPSDIAVEW
ESNGQPENNYKTTPPVLDSDGSFFLYSKLTVDKSRWQQGNVFSCSVMHEALHNHYTQKSLSLSP
GK

[図2]

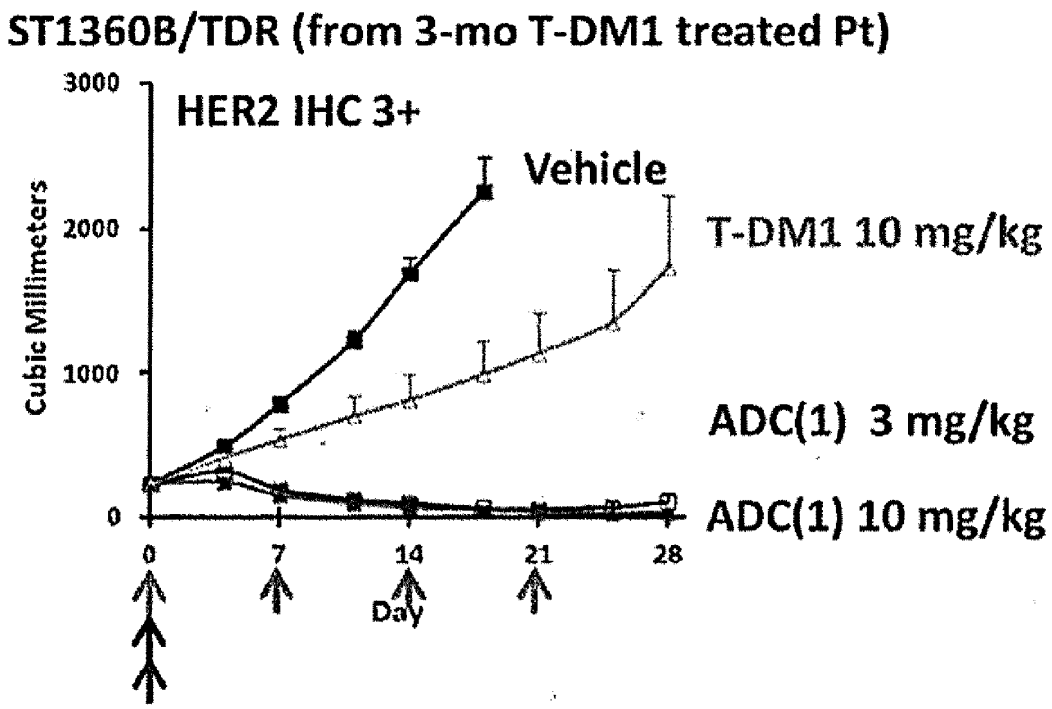
配列番号2：ヒト化抗ＨＥＲ２モノクローナル抗体軽鎖のアミノ酸配列

DIQMTQSPSSLSASVGDRVTITCRASQDVNTAVAWYQQKPGKAPKLLIYSASFLYSGVPSRFSG
SRSGTDFTLTISSLQPEDFATYYCQQHYTTPPTFGQGTKVEIKRTVAAPSVFIFPPSDEQLKSG
TASVVCLLNNFYPREAKVQWKVDNALQSGNSQESVTEQDSKDSTYSLSSTLTLSKADYEKHKVY
ACEVTHQGLSSPVTKSFNRGEC

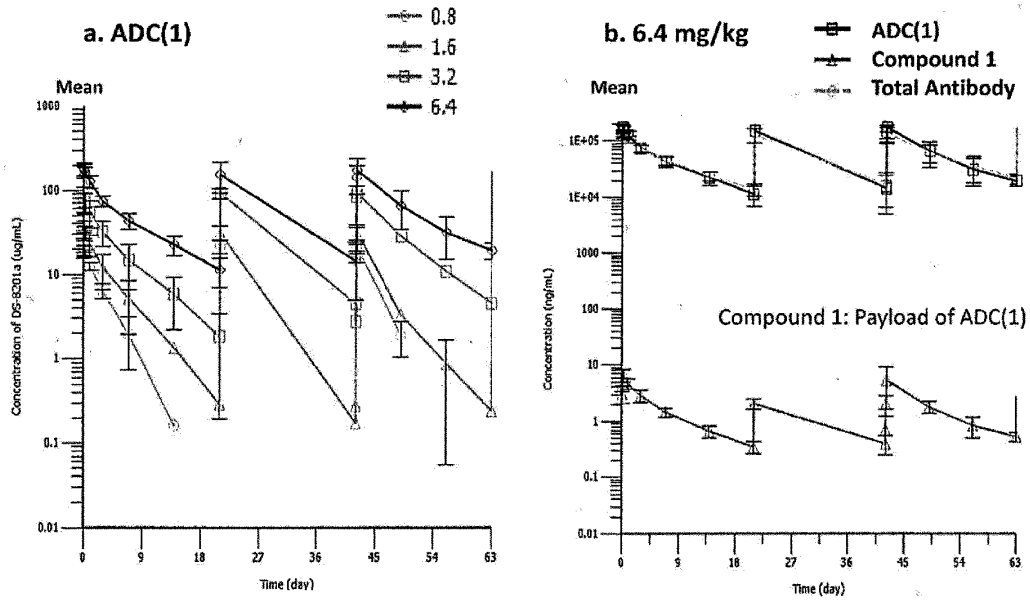
[図3]



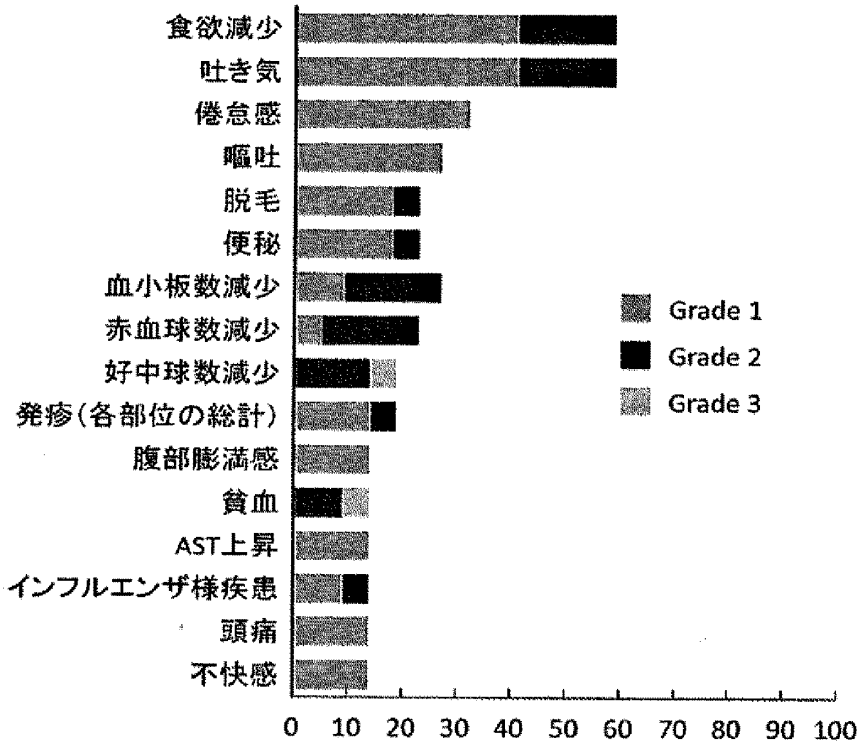
[図4]


ST1360B/TDR (from 3-mo T-DM1 treated Pt)
HER2 IHC 3+
Cubic Millimeters
3000
2000
1000
0
Vehicle
T-DM1 10 mg/kg
ADC(1) 3 mg/kg
ADC(1) 10 mg/kg
0
7
14
21
28
Day

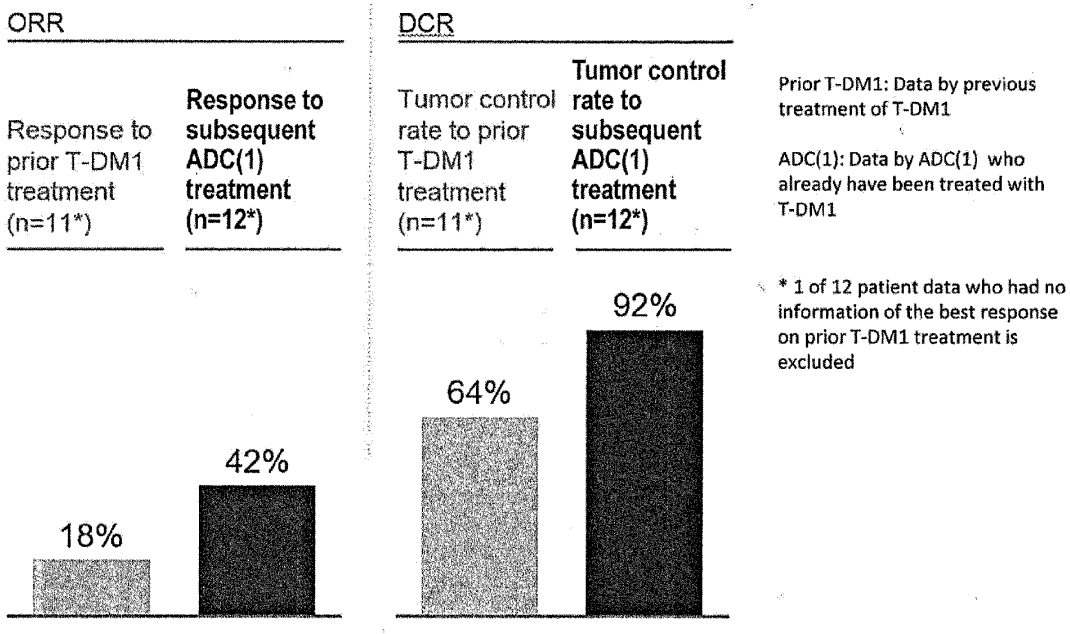
[図5]


a. ADC(1)
0.8
1.6
3.2
6.4
Mean
Concentration of DS-8201a (ug/mL)
Time (day)
b. 6.4 mg/kg
ADC(1)
Compound 1
Total Antibody
Mean
Concentration (ng/mL)
Compound 1: Payload of ADC(1)
Time (day)

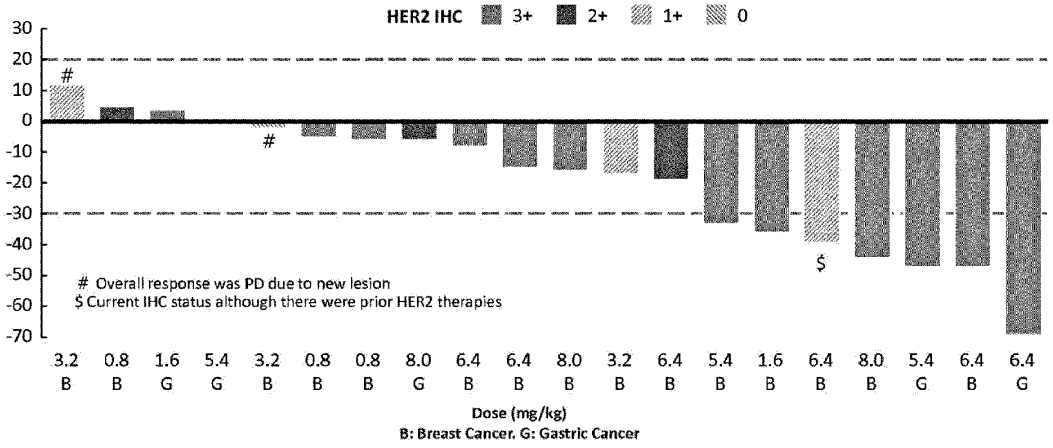
[図6]


食欲減少
吐き気
倦怠感
嘔吐
脱毛
便秘
血小板数減少
赤血球数減少
好中球数減少
発疹(各部位の総計)
腹部膨満感
貧血
AST上昇
インフルエンザ様疾患
頭痛
不快感
Grade 1
Grade 2
Grade 3
0 10 20 30 40 50 60 70 80 90 100

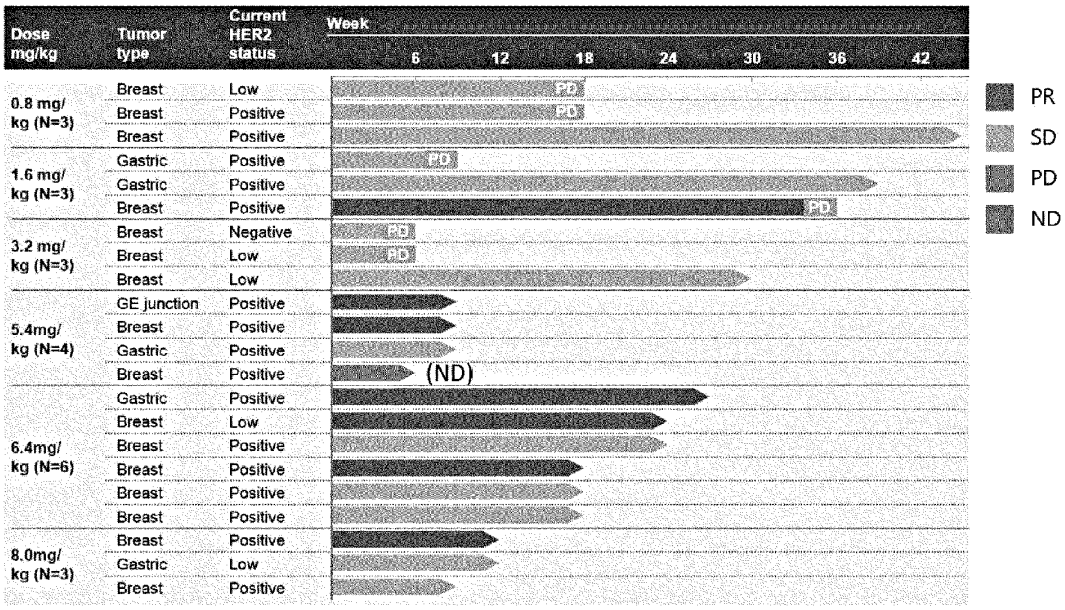
[図7]


ORR
Response to prior T-DM1 treatment (n=11*)
Response to subsequent ADC(1) treatment (n=12*)
18%
42%
DCR
Tumor control rate to prior T-DM1 treatment (n=11*)
Tumor control rate to subsequent ADC(1) treatment (n=12*)
64%
92%
Prior T-DM1: Data by previous treatment of T-DM1
ADC(1): Data by ADC(1) who already have been treated with T-DM1
* 1 of 12 patient data who had no information of the best response on prior T-DM1 treatment is excluded

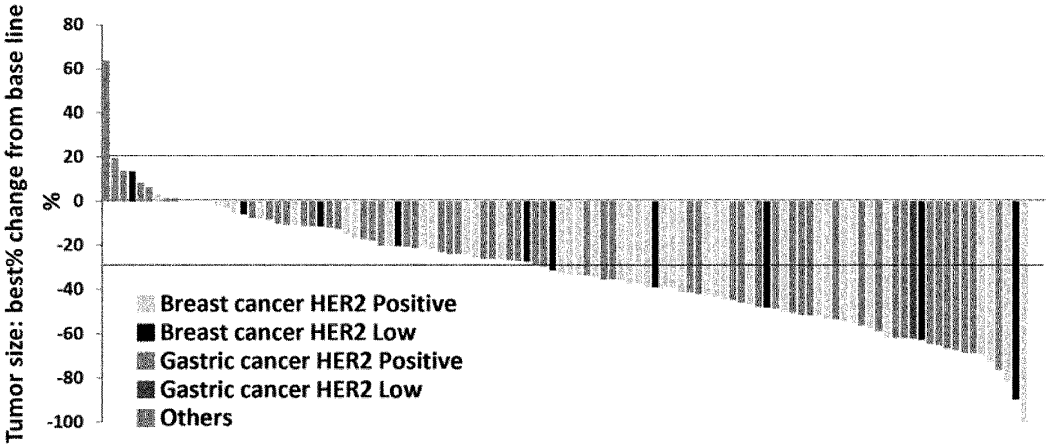
[図8]


HER2 IHC 3+ 2+ 1+ 0
30
20
10
0
-10
-20
-30
-40
-50
-60
-70
#
#
$
Overall response was PD due to new lesion
$ Current IHC status although there were prior HER2 therapies
3.2 B
0.8 B
1.6 G
5.4 G
3.2 B
0.8 B
0.8 B
8.0 G
6.4 B
6.4 B
8.0 B
3.2 B
6.4 B
5.4 B
1.6 B
6.4 B
8.0 B
5.4 G
6.4 B
6.4 G
Dose (mg/kg)
B: Breast Cancer, G: Gastric Cancer

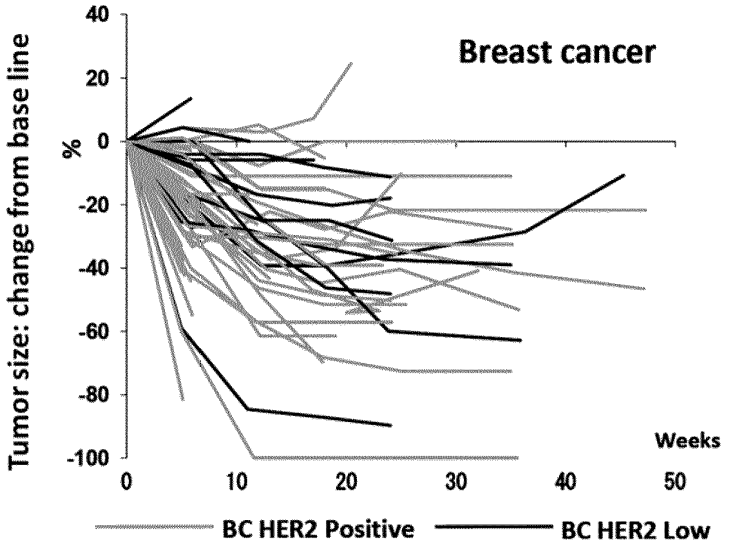
[図9]


Dose mg/kg
Tumor type
Current HER2 status
Week
6
12
18
24
30
36
42
0.8 mg/kg (N=3)
Breast Low PD
Breast Positive PD
Breast Positive
1.6 mg/kg (N=3)
Gastric Positive PD
Gastric Positive
Breast Positive PD
3.2 mg/kg (N=3)
Breast Negative PD
Breast Low PD
Breast Low
5.4mg/kg (N=4)
GE junction Positive
Breast Positive
Gastric Positive
Breast Positive (ND)
6.4mg/kg (N=6)
Gastric Positive
Breast Low
Breast Positive
Breast Positive
Breast Positive
Breast Positive
8.0mg/kg (N=3)
Breast Positive
Gastric Low
Breast Positive
PR
SD
PD
ND

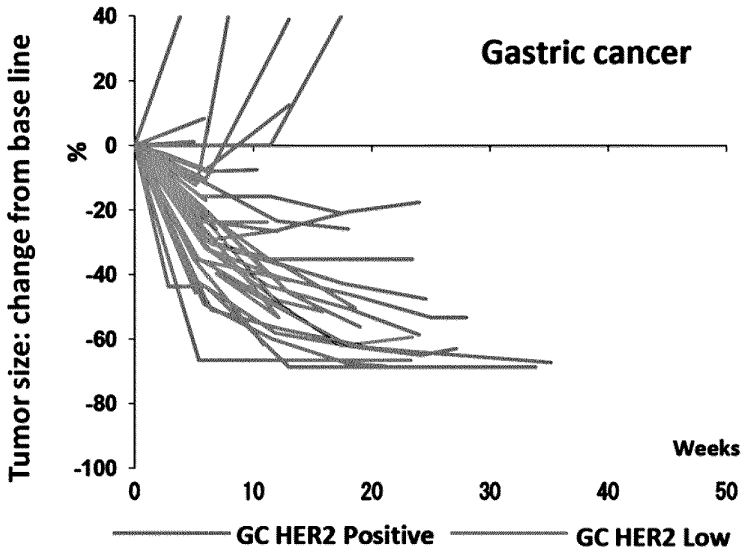
[図10]


Tumor size: best% change from base line
%
80
60
40
20
0
-20
-40
-60
-80
-100
Breast cancer HER2 Positive
Breast cancer HER2 Low
Gastric cancer HER2 Positive
Gastric cancer HER2 Low
Others

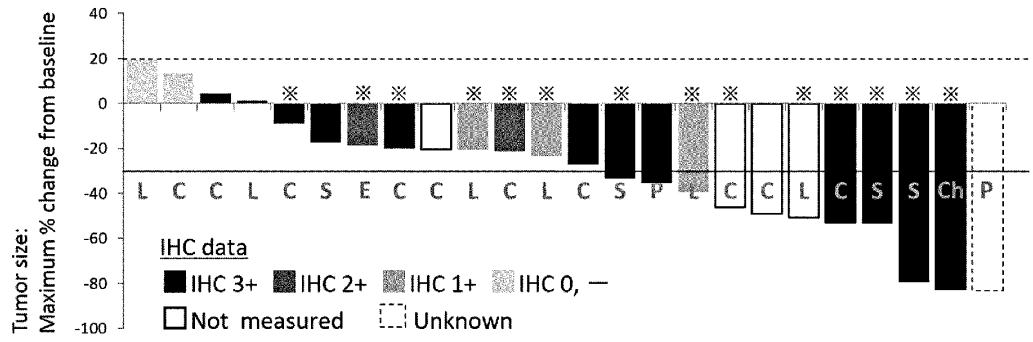
[図11]


Breast cancer
Tumor size: change from base line
%
40
20
0
-20
-40
-60
-80
-100
0
10
20
30
40
50
Weeks
BC HER2 Positive
BC HER2 Low

[図12]


Gastric cancer
Tumor size: change from base line
%
40
20
0
-20
-40
-60
-80
-100
0
10
20
30
40
50
Weeks
GC HER2 Positive
GC HER2 Low

[図13]


Tumor size:
Maximum % change from baseline
40
20
0
-20
-40
-60
-80
-100
L C C L C S E C C L C L C S P L C C L C S S Ch P
IHC data
IHC 3+
IHC 2+
IHC 1+
IHC 0, —
Not measured
Unknown

[図14]


Tumor size:
% Change from baseline
60
40
20
0
-20
-40
-60
-80
-100
Colorectal
NSCLC
Salivary
Other
0
10
20
30
40
Weeks