Supplemental Data

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Table S1: Mouse Strains Used for Xenograft Studies

|  |  |  |
| --- | --- | --- |
| **Cell line** | **Host strain** | **Cell inoculation** |
| A431 | CD-1 nude, female | 3 x 107 |
| A549 | SCID, female | 5 x 107 |
| FaDu | SCID, female | 2 x 107 |
| HCC827.ER.LMC | SCID, male | 5 x 107 |
| HCT-15 | SCID, male | 2 x 107 |
| LoVo | SCID, male | 5 x 107 |
| NCI-H1703 | SCID, female | 5 x 107 |
| NCI-H441 | CD-1 nude, female | 5 x 107 |
| SCC-15 | SCID/Bg, female | 1 x 107 |
| SW-48 | SCID, female | 5 x 107 |
| U87MG EGFRde2-7 | CD-1 nude, female | 3 x 107 |

Table S2: ABT-414 Growth Inhibition of Xenograft Tumors

| **Xenograft** | **Dose (mkd)a** | **TGImax (%)b,d** | **TGD[1] (%)c,d,e** | **EGFR Expression Score (IHC)f** |
| --- | --- | --- | --- | --- |
| A431 | 1, 4, 10 | 70, 97, 98 | 142, >792, >792 | 3+ |
| FaDu | 10 | 79 | 241 | 3+ |
| HCC827.ER.LMC | 1, 3, 10 | 76, 98, 99 | 178, 694, >694 | 3+ |
| SCC-15 | 1 | 79 | 133 | 3+ |
| LoVo | 10 | 95 | 196 | 3+ |
| NCI-H1703 | 1, 3, 10 | 87, 99, 99 | 338, >546, >546 | 2+ |
| NCI-H441 | 10 | 75 | > 30 | 2+ |
| NCI-H441 | 1, 3, 10 | 50, 74, 91 | 57, 111, 213 | 2+ |
| SW48 | 10 | 97 | 494 | 3+ |
| U87MGde2-7 | 4, 10, 20 | 99, 99, 99 | >792, >792, >792 | 3+ |
| U87MGde2-7 | 0.5, 1, 2, 4 | 62, 72, 93, 95 | 158\*, 354\*, >431, >431 | 3+ |
| A549 | 4, 10 | 18\*, 19\* | 0\*, 0\* | 1+ |
| HCT-15 | 10 | 24\* | 23\* | Variable |

a mkd = mg/kg/day. A549, SCC-15 and HCT-15 were dosed every 4 days for a total of three  
 doses (Q4D × 3). All other models were dosed Q4D × 6.

b TGImax, expressed as a percentage, indicates the maximal divergence between the mean tumor volume of the ABT-414‑treated group and the control group treated with drug vehicle or isotype-matched nonbinding antibody.

c TGD, expressed as a percentage, is the difference of the median time of the ABT-414- treated group tumors to reach 1 cm3 as compared to the control group.

d Two-way analysis of variance (ANOVA) with Bonferroni post-hoc test was used to determine significance of difference in TGImax values. Difference in TGD values was determined by Log-Rank test. All values are *P* < 0.05 unless indicated by \* (*P* >0.05).

e Significantly increased TGD values were also observed with rituximab-mcMMAF in LoVo (86% at 10 mg/kg, *P* <0.01), SW48 (17% at 10 mg/kg, *P* < 0.05), NCI-H1703 (>546% at 10 mg/kg, *P* < 0.001) and U87MGde2-7 (246% at 10 mg/kg, *P* 0.0001) xenograft models.

f EGFR expression in xenografts was determined by IHC using the DAKO EGFR PharmDx kit. EGFR expression was scored on a scale from 0 to 3+. Variable indicates inter-tumoral variation of >1 grade.

**Supplemental Figure Legend**

**Figure S1: Efficacy of ABT-414, ABT-806-vcMMAE, and unconjugated MMAE co-dosed with ABT-806 in U87MGde2-7 Tumor-Bearing Mice.**(A). The anti-tumor activity of ABT-414 was compared to ABT-806-vcMMAE in the U87MGde2-7 model. (B). Efficacy of ABT-806 mixed with free MMAE was compared to that of ABT-806-vcMMAE in the same model. Numbers in parentheses represent dose administered in mg/kg and arrows indicate days of administration.

**Figure S1: Efficacy of ABT-414, ABT-806-vcMMAE, and unconjugated MMAE co-dosed with ABT-806 in U87MGde2-7 Tumor-Bearing Mice**

