**Molecular Cancer Therapeutics**

**Supplemental Information**

**MMAE delivery using the *Bicycle* toxin conjugate BT5528**

Gavin Bennett, Amy Brown, Gemma Mudd, Philip Huxley, Katerine van Rietschoten, Silvia Pavan, Liuhong Chen, Sophie M. Watcham, Johanna Lahdenranta, Nicholas Keen.

**Inventory of supplemental chemical structures**

BT5528: EphA2 BTC described in this publication.

BCY10188: BT5528 analogue with MMAF replacing MMAE. Related to Figure 4B.

BCY6063: EphA2 binder with a non-cleavable glutaryl linker. Related to Figure 4C.

BCY6099: Bicycle EphA2 binder used to construct BT5528. Related to BT5528

BCY8245: Non binder control BTC. Related to Figure 4A

BCY6079: EphA2 non-binder control BTC. Related to Figure 1D.

BCY6164: DOTA analogue for PET imaging. Related to Figure 1E.

*Bicycle*and BTC chemical structures

Purple: Bicycle binder, Orange: Spacer (10 x Sarcosine), Cyan: Cleavable linker, Glutaryl-Val-Cit-PAB (Glutaryl for BCY6063), Red = Toxin, MMAE (MMAF for BCY10188)

|  |
| --- |
| BT5528: EphA2 BTC |
|  |
| BCY10188: BT5528 analogue with MMAF replacing MMAE |
|  |
| BCY6063: EphA2 binder with a non-cleavable glutaryl linker |
|  |
| BCY6099: Bicycle EphA2 binder used to construct BT5528 |
|  |

|  |
| --- |
| BCY8245: Non binder control BTC (Nectin binder) |
|  |
| BCY6079: EphA2 non-binder control BTC |
|  |
| BCY6164: DOTA analogue for PET imaging |
| (Black = DOTA, 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid) |