Validation data for TL7-975

https://www.invivogen.com/tlr7-conjugatable-ligands

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Version 23J12-NJ

TL7-975 is a "click-chemistry compatible" conjugatable TLR7 ligand featuring an azido group added to the N-terminus of the base molecule CL307, a well-known TLR7 agonist. TL7-975 efficiently triggers a cellular response upon recognition by either human or murine TLR7 **(Figure 1)**. TL7-975 can be used to generate immunostimulatory antibody-drug conjugates (ADCs) as conjugation to a Anti-HER2-hIgG1 and subsequent activation of TLR7 has been validated using cellular assays **(Figure 2).** The Anti-HER2/TL7-975 ADC is more potent at inducing a TLR7-mediated response than TL7-975 only in cells expressing HER2 **(Figure 2A)**. Of note, at high concentrations, TL7-975, Anti-HER2/TL7-975 ADC and Anti-β-Gal/TL7-975 ADC, induce a TLR7-mediated cellular response, independently of HER2 expression **(Figure 2A and B)**. This observation could be explained by cellular uptake through endocytosis/pinocytosis.

Biological activity of TL7-975

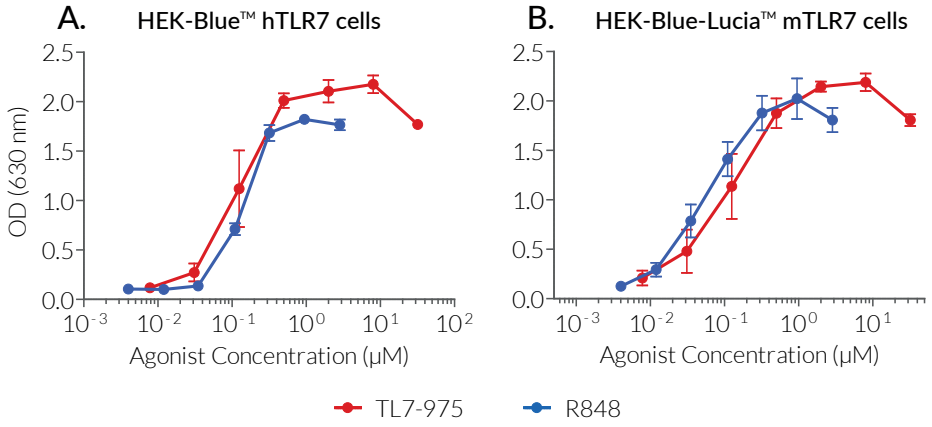

Figure 1: Dose-response of human and murine TLR7 reporter cells to TLR7 conjugatable ligand TL7-975.
~ 4x10^5 HEK-Blue™ hTLR7 **(A)** or HEK-Blue-Lucia™ mTLR7 **(B)** cells were stimulated with increasing concentrations of TL7-975 (TLR7 agonist), or R848 (TLR7/8 agonist) as a control. Cells were incubated overnight in HEK-Blue™ Detection, a cell culture medium that allows real-time detection of SEAP activity in the supernatant. The optical density (OD) at 630 nm is shown as mean ± SEM.

Biological activity of TL7-975 conjugated to Anti-HER2-hIgG1

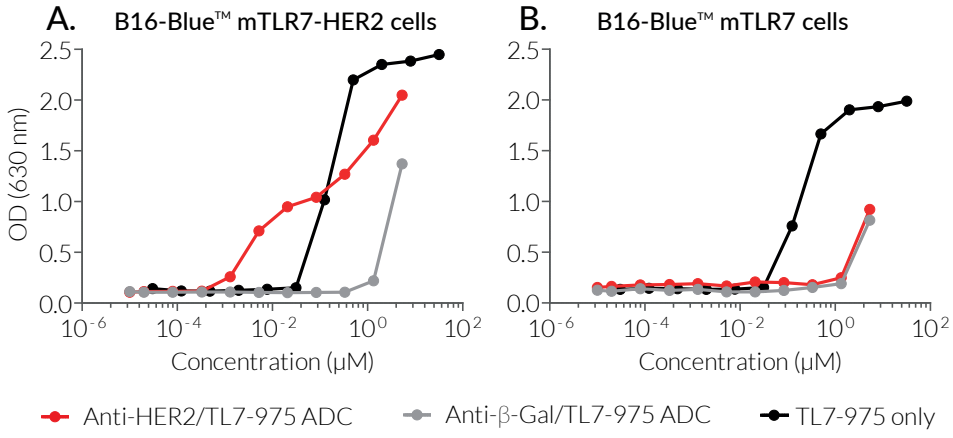

Figure 2: Dose-response of HER2-expressing and murine TLR7 reporter cells to Anti-HER2/TL7-887 ADC.
~ 5x10^5 B16-Blue™ mTLR7-HER2 cells **(A)** or B16-Blue™ mTLR7 control cells **(B)** were stimulated with increasing concentrations of Anti-HER2/TL7-975 ADC (Ratio 1:12), Anti-β-Gal/TL7-975 ADC (Ratio 1:12), or TL7-975 only. After overnight incubation, the TLR7 response was determined using QUANTI-Blue™ Solution, a SEAP detection reagent. The optical density (OD) at 630 nm is shown.

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