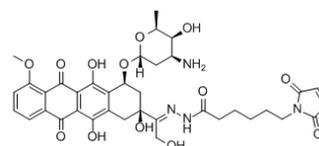


## Data Sheet

Product Name:	INNO-206
Cat. No.:	HY-16261
CAS No.:	1361644-26-9
Molecular Formula:	C <sub>37</sub> H <sub>42</sub> N <sub>4</sub> O <sub>13</sub>
Molecular Weight:	750.75
Target:	ADC Cytotoxin; Topoisomerase
Pathway:	Antibody-drug Conjugate/ADC Related; Cell Cycle/DNA Damage
Solubility:	10 mM in DMSO



### BIOLOGICAL ACTIVITY:

INNO-206 is a prodrug of the anticancer agent doxorubicin, which is released from albumin under acidic conditions.

**In Vitro:** INNO-206 (0.27 to 2.16  $\mu$ M) inhibits blood vessel formation and reduces multiple myeloma cell growth in a pH-dependent fashion<sup>[1]</sup>.

**In Vivo:** INNO-206 (10.8 mg/kg, i.v.) shows significantly smaller tumor volumes and IgG levels on days 28, and is well tolerated with 90% of mice surviving until the termination of the study in the mice bearing the LAG $\kappa$ -1A tumor<sup>[1]</sup>. INNO-206 shows a good safety profile at doses up to 260 mg/mL doxorubicin equivalents, and is able to induce tumor regressions in breast cancer, small cell lung cancer and sarcoma in phase I study<sup>[2]</sup>. INNO-206 shows superior activity over doxorubicin in a murine renal cell carcinoma model and in breast carcinoma xenograft models<sup>[3]</sup>.

### PROTOCOL (Extracted from published papers and Only for reference)

**Cell Assay:** INNO-206 stock solutions (5.4 mg/mL) are prepared using 50% ethanol and 50% water and further diluted in sterile water.

<sup>[1]</sup>Cells are seeded at  $1 \times 10^5$  cells/100  $\mu$ L/well in 96-well plates in RPMI-1640 media with FBS for 24 hours before treatment. Cells are cultured in the presence of medium, INNO-206 or doxorubicin for 48 hours. Next, cell viability is quantified using the CellTiter 96 AQueous Non-Radioactive Cell Proliferation Assay. Each well is treated with MTS for 1 to 4 hours, after which absorbance at 490 nm is recorded using a 96-well plate reader. The quantity of formazan product as measured is directly proportional to the number of living cells. Data graphed are means  $\pm$  SEM using 3 replicates per data point.

**Animal Administration:** <sup>[1]</sup>For the LAG $\kappa$ -1A experiment, INNO-206 is administered to SCID mice at 10.8 mg/kg (doxorubicin equivalent dose of 8.0 mg/kg) once weekly. Mice are treated with conventional doxorubicin at 4.0 and 8.0 mg/kg once weekly. For the LAG $\kappa$ -2 experiment, INNO-206 is administered once weekly (W) at doses of 2.7 and 5.4 mg/kg, or on 3 consecutive days (W-F) weekly at doses of 0.9 and 1.8 mg/kg. Bortezomib is administered twice weekly (W, F) at a dose of 0.5 mg/kg. Doxorubicin is administered to SCID mice at 2, 4, and 8 mg/kg, and PLD is administered to SCID mice at 2 mg/kg once weekly. Each drug is administered i.v. in a volume of 100  $\mu$ L.

### References:

[1]. Eric Sanchez, et al. Anti-Myeloma Effects of the Novel Anthracycline Derivative INNO-206. Clin Cancer Res. 2012 18; 3856.

[2]. Kratz, F. INNO-206 (DOXO-EMCH), an Albumin-Binding Prodrug of Doxorubicin Under Development for Phase II Studies. Current Bioactive Compounds, 2011, 7(1): 33-38(6)

[3]. Graeser R, et al. INNO-206, the (6-maleimidocaproyl) hydrazone derivative of doxorubicin, shows superior antitumor efficacy compared to doxorubicin in different tumor xenograft models and in an orthotopic pancreas carcinoma model. Invest New Drugs. 2010 F

**Caution: Product has not been fully validated for medical applications. For research use only.**

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