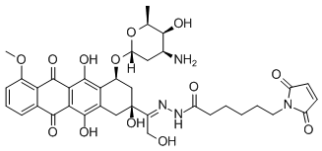
Data Sheet

Product Name:	INNO–206
Cat. No.:	HY-16261
CAS No.:	1361644-26-9
Molecular Formula:	C37H42N4O13
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 μM) inhibits blood vessel formation and reduces multiple myeloma cell growth in a pH–dependent fashion[1].

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 LAGκ–1A tumor[1]. 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[2]. INNO–206 shows superior activity over doxorubicin in a murine renal cell carcinoma model and in breast carcinoma xenograft models[3].

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. [1]Cells are seeded at 1×10^5 cells/100 μL/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±SEM using 3 replicates per data point.

Animal Administration: [1]For the LAGκ–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 LAGκ–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 μL.

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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