Aldoxorubicin

Cat. No.: HY-16261

CAS No.: 1361644-26-9

Molecular Formula: $C_{37}H_{42}N_4O_{13}$

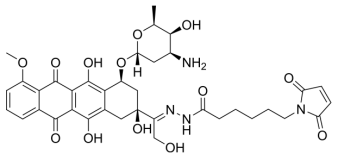
Molecular Weight: 750.75

Target: Topoisomerase; ADC Cytotoxin

Pathway: Cell Cycle/DNA Damage; Antibody-drug Conjugate/ADC Related

Storage: -80°C, stored under nitrogen

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (66.60 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Mass / Solvent / Concentration	1 mg	5 mg	10 mg
1 mM	1.3320 mL	6.6600 mL	13.3200 mL
5 mM	0.2664 mL	1.3320 mL	2.6640 mL
10 mM	0.1332 mL	0.6660 mL	1.3320 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.33 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.33 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Aldoxorubicin (INNO-206) is an albumin-binding proagent of Doxorubicin (DNA topoisomerase II inhibitor), which is released from albumin under acidic conditions. Aldoxorubicin (INNO-206) has potent antitumor activities in various cancer cell lines and in murine tumor models.

IC_{50} & Target		
	Topoisomerase II	Daunorubicins/Doxorubicins

In Vitro

Aldoxorubicin (INNO-206)? (0.27 to 2.16 μM) inhibits blood vessel formation and reduces multiple myeloma cell growth in a pH-dependent fashion[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Aldoxorubicin (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]. Aldoxorubicin (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]. Aldoxorubicin (INNO-206) shows superior activity over doxorubicin in a murine renal cell carcinoma model and in breast carcinoma xenograft models [3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [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, Aldoxorubicin (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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	For the LAGκ-1A experiment, Aldoxorubicin (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, Aldoxorubicin (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. PS-341 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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 21 July 2022.
- Sci Adv. 2019 Aug 14;5(8):eaaw6081.
- Small. 2023 Feb 7;e2205606.
- Nano Res. 08 February 2022.
- Int J Nanomedicine. 20 September 2022.

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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

[4]. Walker L, et al. Cell penetrating peptides fused to a thermally targeted biopolymer drug carrier improve the delivery and antitumor efficacy of an acid-sensitive doxorubicin derivative. Int J Pharm. 2012 Oct 15;436(1-2):825-32.

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