# Aldoxorubicin

**Cat. No.:** HY-16261

**CAS No.:** 1361644-26-9

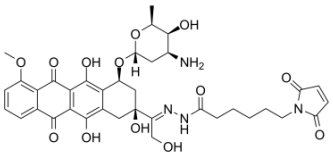
**Molecular Formula:** $C_{37}H_{42}N_4O_{13}$

**Molecular Weight:** 750.75

**Target:** Topoisomerase; ADC Cytotoxin

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

**Storage:** Powder -20°C 3 years
4°C 2 years
* The compound is unstable in solutions, freshly prepared is recommended.



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 75 mg/mL (99.90 mM; Need ultrasonic)

| 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 prodrug 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Cell Assay** [1] | Cells are seeded at $1\times10^5$ 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.<br>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.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Sci Adv. 2019 Aug 14;5(8):eaaw6081.
- Br J Pharmacol. 2017 Sep;174(17):2862-2879.
- Bioconjug Chem. 2019 Dec 18;30(12):3098-3106.
- ACS Med Chem Lett. 2015 Jun 22;6(8):948-52.
- Biotechnol J. 2020 Sep 12;e2000077.

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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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