**Aldoxorubicin**

**Cat. No.:** HY-16261  
**CAS No.:** 1361644-26-9  
**Molecular Formula:** C₃₇H₄₂N₄O₁₃  
**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)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>1 mg</td>
</tr>
<tr>
<td></td>
<td></td>
<td>5 mg</td>
</tr>
<tr>
<td></td>
<td></td>
<td>10 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>1.3320 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.2664 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1332 mL</td>
</tr>
</tbody>
</table>

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, 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.

- **IC₅₀ & Target**
  - Topoisomerase II

- **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\(^1\).

### 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 LAG\(^{-1}\)A 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\(^3\).

### PROTOCOL

#### Cell Assay \(^1\)

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, 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\(\pm\)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 LAG\(^{-1}\)A 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 LAG\(^{-2}\) 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. 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.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### CUSTOMER VALIDATION

- **Br J Pharmacol.** 2017 Sep;174(17):2862-2879.
- **Methods Mol Biol.** 2018;1711:351-398.

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### REFERENCES


\(^3\). 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-

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