Aldoxorubicin

Cat. No.: HY-16261
CAS No.: 1361644-26-9
Molecular Formula: C_{37}H_{42}N_{4}O_{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)

<table>
<thead>
<tr>
<th>Preparing</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td>Stock Solutions</td>
<td>1 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>1.3320 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2664 mL</td>
</tr>
<tr>
<td>10 mM</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 (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\[^1\].

**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\[^3\].

**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±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. 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**


See more customer validations on www.MedChemExpress.com

**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