AMXT-1501 tetrahydrochloride

Cat. No.: HY-124617A
Molecular Formula: $\text{C}_{32}\text{H}_{72}\text{Cl}_4\text{N}_6\text{O}_2$
Molecular Weight: 714.77
Target: Apoptosis
Pathway: Apoptosis
Storage: 4°C, sealed storage, away from moisture and light
* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)

SOLVENT & SOLUBILITY

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass (mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>H$_2$O</td>
<td>1 mg</td>
</tr>
<tr>
<td></td>
<td>5 mg</td>
</tr>
<tr>
<td></td>
<td>10 mg</td>
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</tbody>
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Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Mass</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.3991 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2798 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1399 mL</td>
</tr>
</tbody>
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In Vitro
H$_2$O: 83.33 mg/mL (116.58 mM; Need ultrasonic)

BIOLOGICAL ACTIVITY

Description
AMXT-1501 tetrahydrochloride is an orally active polyamine transport inhibitor. AMXT1501 blocks tumor growth in immunocompetent mice but not in athymic nude mice lacking T cells\(^1\). Combination of DFMO and AMXT1501 induces caspase\(3\) mediated apoptosis in NB cell lines\(^2\).

IC$_{50}$ & Target
Polyamine transport\(^1\)

In Vitro
AMXT-1501 tetrahydrochloride (0.39-50 µM; 48 hours) treatment exhibits cytotoxicity against this panel of NB cell lines (BE(2)-C, SMS-KCNR and SH-SY5Y cells), with IC$_{50}$ values of 17.72 µM for SMS-KCNR, 17.69 µM for BE(2)-C, and 14.13 µM for SH-SY5Y\(^2\).

BE(2)\(\text{RC, SMS} \& \text{KCNR and SH} \& \text{SY5Y cells are exposed to AMXT-1501 tetrahydrochloride (2.5 µM) and DFMO (2.5 mM) alone or in combination (AMXT-1501 tetrahydrochloride 2.5 µM + DFMO 2.5 mM). After 96 hours exposure to AMXT-1501 tetrahydrochloride or DFMO does not significantly alter the level of noncleaved PARP, cleaved PARP and cleaved caspase 3, whereas cells treated with the combination of AMXT-1501 tetrahydrochloride with DFMO decrease the amount of noncleaved PARP and increase the amount of cleaved PARP and cleaved caspase 3\(^2\).}

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

Cell Viability Assay\(^2\)
AMXT-1501 tetrahydrochloride exhibited cytotoxicity against this panel of NB cell lines.

Western Blot Analysis[2]

In Vivo

AMXT-1501 tetrahydrochloride (3 mg/kg; subcutaneous injection; every day; 28 days) alone is sufficient to delay EAE onset moderately, but fails to protect animals from reaching the endpoint. However, the combination of DFMO and AMXT-1501 tetrahydrochloride are sufficient to deplete T cell polyamine pool, and consequently suppress T cell proliferation and effector function in vivo[3].

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

REFERENCES

