OTX008

Cat. No.: HY-19756
CAS No.: 286936-40-1
Molecular Formula: C₅₂H₇₂N₈O₈
Molecular Weight: 937.18
Target: Galectin
Pathway: Immunology/Inflammation
Storage: Powder
-20°C 3 years
4°C 2 years
In solvent
-80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

Ethanol: ≥ 33.33 mg/mL (35.56 mM)
DMSO: 10 mg/mL (10.67 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.0670 mL</td>
<td>5.3352 mL</td>
<td>10.6703 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.2134 mL</td>
<td>1.0670 mL</td>
<td>2.1341 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1067 mL</td>
<td>0.5335 mL</td>
<td>1.0670 mL</td>
<td></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: ≥ 1 mg/mL (1.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (1.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (1.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
OTX008 is a selective inhibitor of galectin-1.

IC₅₀ & Target
Galectin-1[1]
In Vitro

Growth inhibitory concentrations (GI\(_{50}\)) of OTX008 in a large panel of human solid tumour cell lines ranges from 3 to 500 μM. A significant correlation between OTX008 GI\(_{50}\) values and Gal1 mRNA (LGALS1) and protein expression levels in the panel of cancer cells is observed. In SQ20B and A2780-1A9 cells, OTX008 inhibits Gal1 expression and ERK1/2 and AKT-dependent survival pathways, and induces G2/M cell cycle arrest through CDK1. OTX008 enhances the anti-proliferative effects of Semaphorin-3A (Sema3A) in SQ20B cells and reverses invasion induced by exogenous Gal1\(^1\). OTX008 affects endothelial cell proliferation, motility, invasiveness, and cord formation. Tumor cell proliferation is also inhibited, with differences in sensitivity among cell lines (IC\(_{50}\) from 1 to 190 μM)\(^2\).

In Vivo

OTX008 inhibits growth of A2780-1A9 xenografts. OTX008 treatment is associated with down-regulation of Gal1 and Ki67 in treated tumours, as well as decreased microvessel density and VEGFR2 expression. Finally, combination studies show OTX008 synergy with several cytotoxic and targeted therapies, principally when OTX008 is administered first\(^1\).

## PROTOCOL

### Animal Administration\(^1\)

Mice\(^1\)

A total of 8×10\(^6\) A2780-1A9 ovarian cells are injected subcutaneously into the right lateral flank of female nu/nu athymic mice. Once tumours are palpable (50 mm\(^3\)), mice are randomised to receive treatment intraperitoneally with either PBS (3 times/week), 5 mg/kg OTX008 (3 times/week), 6 mg/kg cisplatin (days 1, 8 and 15) or 10 mg/kg docetaxel (days 1, 8 and 15). Tumour size was measured twice weekly with calipers and tumour volume is calculated as 3.14×(width\(^2\))/length\(^1\).

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

## CUSTOMER VALIDATION


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## REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.

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