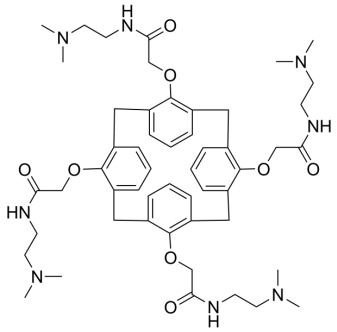
OTX008

Cat. No.:	HY-19756
CAS No.:	286936-40-1
Molecular Formula:	$C_{52}H_{72}N_8O_8$
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; Need ultrasonic)
DMSO : 5 mg/mL (5.34 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.0670 mL	5.3352 mL	10.6703 mL
5 mM	0.2134 mL	1.0670 mL	2.1341 mL
10 mM	0.1067 mL	0.5335 mL	1.0670 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% EtOH >> 90% Saline
   Solubility: ≥ 1.25 mg/mL (1.33 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (0.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (0.53 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (0.53 mM); Clear solution
5. Add each solvent one by one: PBS
   Solubility: 0.5 mg/mL (0.53 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

OTX008 is a selective inhibitor of galectin-1.

IC_{50} & Target	Galectin-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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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 $3.14\times(width^2)/length$[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Theranostics. 2024 Jan 1;14(2):843-860.
- J Immunother Cancer. 2023 Aug;11(8):e007286.
- Cancer Lett. 2020 Jan 28;469:287-300.
- Mol Ther Nucleic Acids. 2023 Jul 17.
- Cell Rep. 2021 Aug 31;36(9):109647.

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REFERENCES

[1]. Astorgues-Xerri L, et al. OTX008, a selective small-molecule inhibitor of galectin-1, downregulates cancer cell proliferation, invasion and tumour angiogenesis. Eur J Cancer. 2014 Sep;50(14):2463-77.

[2]. Zucchetti M, et al. Pharmacokinetics and antineoplastic activity of galectin-1-targeting OTX008 in combination with sunitinib. Cancer Chemother Pharmacol. 2013 Oct;72(4):879-87.

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

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