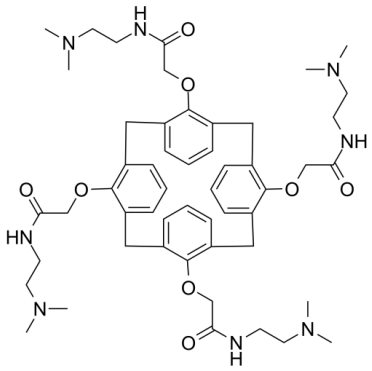
Data Sheet

Product Name:	OTX008
Cat. No.:	CS-0016572
CAS No.:	286936-40-1
Molecular Formula:	C52H72N8O8
Molecular Weight:	937.18
Target:	Galectin
Pathway:	Immunology/Inflammation
Solubility:	Ethanol : ≥ 33.33 mg/mL (35.56 mM); DMSO : 10 mg/mL (10.67 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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 (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

Acetamide, 2,2',2'',2'''-[pentacyclo[19.3.1.13,7.19,13.115,19]octacosa-1(25),3,5,7(28),9,11,13(27),15,17,19(26),21,23-dodecaene-25,26,27,28-tetrayltetrakis(oxy)]tetrakis[N-[2-(dimethylamino)ethyl]-

SMILES:

O=C(NCCN(C)C)COC1=C(C2)C=CC=C1CC3=C(OCC(NCCN(C)C)=O)C(CC4=CC=CC(CC5=CC=CC2=C5OCC(NCCN(C)C)=O)=C4OCC(NCCN(C)C)=O)=CC=C3

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