

PRODUCT INFORMATION



OTX008

Item No. 23130

CAS Registry No.: 286936-40-1
Formal Name: 2,2',2'',2'''-[pentacyclo[19.3.1.1^{3,7}.1^{9,13}.1^{15,19}]octacos-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]-acetamide]

Synonyms: Calixarene 0118, PTX008

MF: C₅₂H₇₂N₈O₈

FW: 937.2

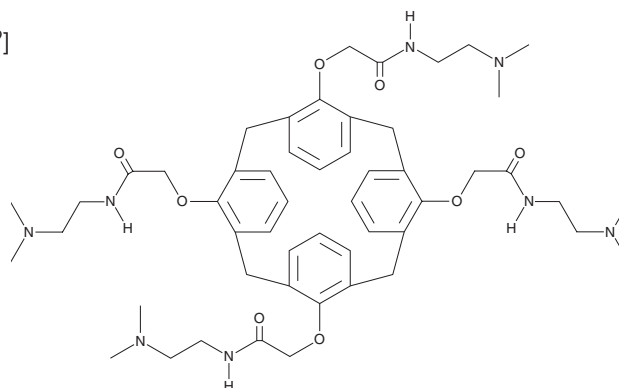
Purity: ≥98%

UV/Vis.: λ_{max}: 205 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

OTX008 is supplied as a crystalline solid. A stock solution may be made by dissolving the OTX008 in the solvent of choice, which should be purged with an inert gas. OTX008 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of OTX008 in these solvents is approximately 5, 2, and 1 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of OTX008 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of OTX008 in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

OTX008 is a small molecule topomimetic of the antiangiogenic peptide anginex and an inhibitor of galectin-1 (Gal-1).¹ It decreases lactose binding to Gal-1 with K_d values of 290 and 100 μM in the presence and absence of OTX008, respectively, and is cytotoxic against a cancer cell panel with IC₅₀ values that directly correlate to Gal-1 mRNA expression. OTX008 inhibits proliferation of human umbilical vein endothelial cells (HUVECs; IC₅₀ = 2 μM) and reduces HUVEC migration in a wound healing assay.² OTX008 is angiostatic in a chorioallantoic membrane (CAM) assay, inducing formation of shorter, finer, and less defined vessels than vehicle control in fertilized chicken eggs. *In vivo*, OTX008 (2.4 and 10 mg/kg) reduces tumor growth in the MA148 ovarian mouse xenograft model and the B16 murine melanoma syngeneic model *via* decreases in tumor microvessel density and induction of apoptosis.

References

1. Dings, R.P.M., Miller, M.C., Nesmelova, I., *et al.* Antitumor agent calixarene 0118 targets human galectin-1 as an allosteric inhibitor of carbohydrate binding. *J. Med. Chem.* **55(11)**, 5121-5129 (2012).
2. Dings, R.P.M., Chen, X., Hellebrekers, D.M.E.I., *et al.* Design of nonpeptidic topomimetics of antiangiogenic proteins with antitumor activities. *J. Natl. Cancer Inst.* **98(13)**, 932-936 (2006).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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