

OTX008

Calix[4]arene compound 0118 is an angiostatic agent that inhibits tumor growth in mice. Although 0118 is a topomimetic of [galectin-1](#)-targeting angiostatic amphipathic peptide Anginex, we had yet to prove that 0118 targets galectin-1.

(15)N-(1)H HSQC NMR spectroscopy demonstrates that 0118 indeed targets galectin-1 at a site away from the [lectin](#)'s carbohydrate binding site and thereby attenuates lactose binding to the lectin. Flow cytometry and agglutination assays show that 0118 attenuates binding of galectin-1 to cell surface glycans, and the inhibition of cell proliferation by 0118 is found to be correlated with the cellular expression of the lectin. In general, 0118 targets galectin-1 as an allosteric inhibitor of glycan/carbohydrate binding ¹⁾.

OTX008 is a [galectin-1](#)-targeting compound, currently undergoing a phase I clinical trial. This study aimed at investigating OTX008 pharmacokinetics (PK) and antineoplastic activity.

¹⁾

Dings RP, Miller MC, Nesmelova I, Astorgues-Xerri L, Kumar N, Serova M, Chen X, Raymond E, Hoyer TR, Mayo KH. Antitumor agent calixarene 0118 targets human galectin-1 as an allosteric inhibitor of carbohydrate binding. J Med Chem. 2012 Jun 14;55(11):5121-9. doi: 10.1021/jm300014q. Epub 2012 May 30. PubMed PMID: 22575017.

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