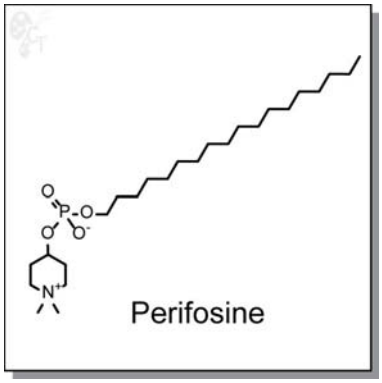
Product Specification Sheet

Product Name: Perifosine

Catalog Number: C7374



Technical information:

Chemical Formula:	$C_{25}H_{52}NO_4P$
CAS #:	157716-52-4
Molecular Weight:	461.66
Purity:	> 98%
Appearance:	Pale Yellow solid
Solubility:	Soluble in DMSO up to 100 mM in water
Chemical Name:	Piperidinium, 4-[[hydroxy(octadecyloxy)phosphinyl]oxy]-1,1-dimethyl-, inner salt
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.217mL of DMSO for each mg of Perifosine.
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Perifosine (KRX-0401) is an orally bioavailable Akt and PI3K inhibitor of the alkylphospholipid class. Unlike ATP binding kinase inhibitors, perifosine targets the pleckstrin homology domain of Akt, thus preventing its translocation to the plasma membrane. Though structurally similar to miltefosine and edelfosine, it has improved bioavailability and less GI side effects. It has orphan drug status in the United States for the treatment of multiple myeloma and neuroblastoma. In multiple myeloma cell lines, perifosine showed significant dose-dependent growth inhibition with an IC50 of 1 to 12.5 uM. [4] In PC-3 cells Perifosine inhibits Akt phosphorylation on Thr308 and Ser473 without affecting the amount of Akt. Perifosine has been shown to promote cell cycle arrest at either G1-S or G2-M phases with upregulation of p21 in a p53-independent manner. [1]

Perifosine targets the lipid-binding PH domain and inhibits translocation of Akt to the cell membrane. It decreases Akt phosphorylation and increases caspase-dependent apoptosis in neuroblastoma cell lines. [2] Recent combination studies with mTOR inhibitor temsirolimus have shown perifosine to be synergistic. Perifosine also has been shown to sensitize breast cancer stem cells to radiation. [3]

Reference:

1. Kondapaka et al., Perifosine, a novel alkylphospholipid, inhibits protein kinase B activation. Mol. Cancer Ther. 2003, 2, 1093-1103. Pubmed ID: 14617782
2. Sun et al., Emerging treatment options for the treatment of neuroblastoma: potential role of perifosine. OncoTargets and Therapy 2012, 5, 21-29. Pubmed ID: 22419878
3. Venkatesha et al., Sensitization of pancreatic cancer stem cells to gemcitabine by Chk1 inhibition. Neoplasia 2012, 14(6), 519-525. Pubmed ID: 22787433
4. Hideshima et al, Perifosine, an oral bioactive novel alkylphospholipid, inhibits Akt and induces in vitro and in vivo cytotoxicity in human multiple myeloma cells. Blood 2006, 107(10), 4053-4062. Pubmed ID: 16418332

To reorder: http://www.cellagentech.com/Perifosine/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.