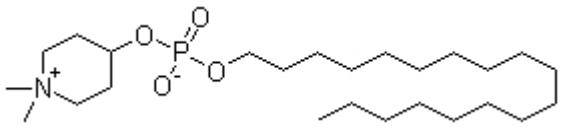
Product Introduction

Perifosine (KRX-0401)

Perifosine (KRX-0401) is a novel **Akt** inhibitor with **IC50** of 4.7 μM, targets pleckstrin homology domain of Akt. Phase 2.

Technical Data:

Molecular Weight (MW):	461.66	
Formula:	C25H52NO4P	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO <1 mg/mL	
	Water 8 mg/mL	
	Ethanol 15 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	157716-52-4	

Biological Activity

Perifosine develops anti-proliferative properties with IC50 of 0.6-8.9 μM in immortalized keratinocytes (HaCaT), and head and neck squamous carcinoma cells. [1] Perifosine strongly reduces phosphorylation levels of Akt and extracellular signal-regulated kinase (Erk) 1/2, induces cell cycle arrest in G1 and G2, and causes dose-dependent growth inhibition of mouse glial progenitors. [2] Perifosine (10 μM) completely inhibits the phosphorylation of Akt in MM.1S cells. [3] A recent study demonstrates Perifosine induces cell cycle arrest and apoptosis in human hepatocellular carcinoma cell lines by blockade of Akt

phosphorylation. [4]

Perifosine combining with temozolomide reduces tumor proliferation (a PDGF-driven gliomagenesis) in vivo. The results indicate that Perifosine is an effective drug in gliomas in which Akt and Ras-Erk 1/2 pathways are frequently activated, and may be new candidate for glima treatment in the clinic. [2] Both oral daily and weekly administration of Perifosine significantly reduce human MM tumor growth and increase survival, compared with control animals treated with PBS vehicle only. [3] Perifosine induces thrombocytosis and leukocytosis and increases myelopoiesis in murine marrow and spleen, whereas it causes apoptosis in myeloma xenografts. [5]

References

[1] Vyomesh Patel, et al. Cancer Res, 2002, 62(5), 1401-1409
[2] Momota H, et al. Cancer Res, 2005, 65(16), 7429-7435.
[3] Hideshima T, et al. Blood, 2006, 107(10), 4053-4062.
[4] Fei HR, et al. Cytotechnology, 2010, 62(5), 449-460
[5] Catley L, et al. Exp Hematol, 2007, 32(7), 1038-1046

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