Product Data Sheet

Product Name: Cercosporamide
Cat. No.: GC15391

Chemical Properties

Cas. No.	131436-22-1		
Chemical Name	(9aS)-8-acetyl-1,3,7-trihydroxy-9a-methyl-9-oxodibenzofuran-4-carboxamide		
SMILES	CC(=O)C1=C(C=C2C(C1=O)(C3=C(C=C(C(=C3O2)C(=O)N)O)O)C)O		
Formula	$C_{16}H_{13}NO_7$	M.Wt	331.28
Solubility	DMF: Soluble,DMSO: Soluble,Ethanol: Soluble,Methanol: Soluble	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Cercosporamide		

Protocol

Cell experiment:

U937, MM6, and K562 cells are incubated for 5 days in the presence or absence of the indicated doses of Cercosporamide (1, 10, and 20μM) . Cell proliferation is assessed by an MTT assay[2].

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Animal experiment: Mice[2]MV4-11 cells are implanted at a density of 5×106 cells per mouse. Tumors are measured by caliper and tumor volume is calculated. Once tumors reach a group mean of 100 mm3, animals are randomized to the following treatment groups: Ara-C (20 mg/kg daily dosed intraperitoneally), Cercosporamide (10 mg/kg twice daily, 20 mg/kg daily dosed orally by gavage), Ara-C plus Cercosporamide combinations (as above), or the relative vehicle controls (captisol for Cercosporamide and water for Ara-C)[2].

References:

[1]. Sussman A, et al. Discovery of Cercosporamide, a known antifungal natural product, as a selective Pkc1 kinase inhibitor through high-throughput screening. Eukaryot Cell. 2004 Aug;3(4):932-43.
[2]. Altman JK, et al. Inhibition of Mnk kinase activity by Cercosporamide and suppressive effects on acute myeloid leukemia precursors. Blood. 2013 May 2;121(18):3675-81.

Background

Cercosporamide is a highly potent, ATP-competitive Pkc1 kinase inhibitor, with an IC50

of

Cercosporamide is a broad-spectrum natural antifungal compound, is actually a selective and highly potent fungal Pkc1 kinase inhibitor[1]. Cercosporamide, an antifungal agent that is recently shown to act as a unique Mnk inhibitor, exhibits antileukemic properties. Cercosporamide is a potent inhibitor of phosphorylation of eIF4E at Ser209 in AML cells and results in potent inhibitory effects on primitive leukemic progenitors (CFU-L) from AML patients. To determine whether Cercosporamide exhibits negative regulatory effects on cell proliferation and viability of leukemia cells, MTT assays are conducted. When U937 cells are incubated in the presence or absence of the increasing doses of Cercosporamide, a dose-dependent suppression of cell growth is found. Similar experiments with comparable results are seen when the effects of Cercosporamide on MM6 and K562 cells are examined[2].

Treatment with Cercosporamide or Ara-C alone significantly suppresses xenograft growth when compared with the respective vehicle (P

References:
[1]. Sussman A, et al. Discovery of Cercosporamide, a known antifungal natural product, as a selective Pkc1 kinase inhibitor through high-throughput screening. Eukaryot Cell. 2004 Aug;3(4):932-43.
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