



## Cercosporamide

# **Chemical Properties**

CAS No.: 131436-22-1 Formula: C16H13NO7

Molecular Weight: 331.28
Appearance: N/A

Storage:  $0-4^{\circ}\text{C}$  for short term (days to weeks), or  $-20^{\circ}\text{C}$  for long term (months).

# **Biological Description**

Description	Cercosporamide is a ATP-competitive Pkc1 kinase inhibitor (IC50 <50 nM; Ki <7 nM). It also is a unique Mnk inhibitor.			
Targets(IC <sub>50</sub> )	Mnk: 50 nM Pkc1: 7 nM (ki)			
In vitro	Cercosporamide is a broad-spectrum natural antifungal compound and a selective and highly potent fungal Pkc1 kinase inhibitor [1]. Cercosporamide is recently shown to act as a unique Mnk inhibitor with antileukemic properties. Cercosporamide is a potent inhibitor of phosphorylation of elF4E at Ser209 in AML cells and results in potent inhibitory effects on primitive leukemic progenitors (CFU-L) from AML patients. When U937 cells are incubated in the presence or absence of the increasing doses of Cercosporamide, 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].			
In vivo	Treatment with Cercosporamide or Ara-C alone significantly suppresses xenograft growth when compared with the respective vehicle (P<0.011 for 10 mg/kg twice-daily Cercosporamide; P<0.006 for Cercosporamide 20 mg/kg daily; P<0.0374 for Ara-C). The combination of Cercosporamide 10 mg/kg twice daily plus Ara-C is significantly more effective than either agent alone. Cercosporamide (20 mg/kg once daily) in combination with Ara-C shows similar effects, with significant inhibition of tumor growth vs captisol (P<0.0001) or water (P=0.0003), but does not show statistical significance vs Cercosporamide alone (20 mg/kg) or Ara-C alone [2].			

# Solubility Information

Solubility < 1 mg/ml refers to the product slightly soluble or insoluble	
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### **Preparing Stock Solutions**

	1mg	5mg	10mg
1 mM	3.019 mL	15.093 mL	30.186 mL
5 mM	0.604 mL	3.019 mL	6.037 mL
10 mM	0.302 mL	1.509 mL	3.019 mL
50 mM	0.06 mL	0.302 mL	0.604 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

### Reference

- 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.

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