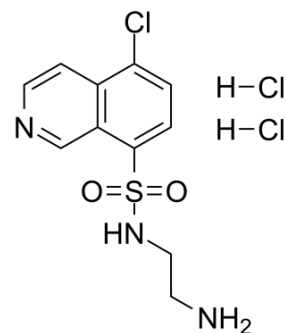


CKI-7

Cat. No.:	HY-W011109
CAS No.:	1177141-67-1
Molecular Formula:	C ₁₁ H ₁₄ Cl ₃ N ₃ O ₂ S
Molecular Weight:	358.67
Target:	Casein Kinase; CDK; SGK; Ribosomal S6 Kinase (RSK)
Pathway:	Cell Cycle/DNA Damage; Stem Cell/Wnt; Metabolic Enzyme/Protease; MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CKI-7 is a potent and ATP-competitive casein kinase 1 (CK1) inhibitor with an IC ₅₀ of 6 μM and a K _i of 8.5 μM. CKI-7 is a selective Cdc7 kinase inhibitor. CKI-7 also inhibits SGK, ribosomal S6 kinase-1 (S6K1) and mitogen- and stress-activated protein kinase-1 (MSK1). CKI-7 has a much weaker effect on casein kinase II and other protein kinases ^{[1][2][3][4]} .																	
IC₅₀ & Target	CK1 6 μM (IC ₅₀)	CK1 8.5 μM (K _i)	Cdc7	SGK														
	S6K1	MSK1																
In Vitro	<p>CKI-7 (0.1-10 μM; 5 days; ES cells) treatment significantly increases the expression of the early neuroectodermal marker Sox1 and the number of cells positive for the neural markers nestin and βIII-tubulin, in a concentration-dependent manner^[1].</p> <p>CKI-7 (5 μM; 5 days; ES cells) treatment suppresses SFEB-induced β-catenin stabilization on day 5, indicating that CKI-7 inhibits Wnt signaling^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>RT-PCR^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Mouse ES cells</td> </tr> <tr> <td>Concentration:</td> <td>0.1-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>5 days</td> </tr> <tr> <td>Result:</td> <td>Significantly increased the expression of the early neuroectodermal marker Sox1 and the number of cells positive for the neural markers nestin and βIII-tubulin, in a concentration-dependent manner.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Mouse ES cells</td> </tr> <tr> <td>Concentration:</td> <td>5 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>5 days</td> </tr> </table>				Cell Line:	Mouse ES cells	Concentration:	0.1-10 μM	Incubation Time:	5 days	Result:	Significantly increased the expression of the early neuroectodermal marker Sox1 and the number of cells positive for the neural markers nestin and βIII-tubulin, in a concentration-dependent manner.	Cell Line:	Mouse ES cells	Concentration:	5 μM	Incubation Time:	5 days
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In Vivo

In vivo dose-dependent anti-tumor activity of CKI-7 is demonstrated in a SCID-Beige mouse systemic tumor model utilizing a recently isolated Philadelphia chromosome positive acute lymphoblastic leukemia cell line. Standard cell cycle synchronization studies established that exposure to CKI-7 results in cell cycle dependent caspase 3 activation and apoptotic cell death^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Osakada F, et al. In vitro differentiation of retinal cells from human pluripotent stem cells by small-molecule induction. *J Cell Sci.* 2009 Sep 1;122(Pt 17):3169-79.
- [2]. Mark G. Frattini, et al. Small Molecule Inhibition of Cdc7, a Key Cell Cycle Regulator and Novel Therapeutic Target, Successfully Inhibits Leukemia Cell Growth in Vitro and in Vivo. *Blood* (2008) 112 (11): 2668.
- [3]. Chijiwa T, et al. A newly synthesized selective casein kinase I inhibitor, N-(2-aminoethyl)-5-chloroisoquinoline-8-sulfonamide, and affinity purification of casein kinase I from bovine testis. *J Biol Chem.* 1989 Mar 25;264(9):4924-7.
- [4]. Rena G, et al. D4476, a cell-permeant inhibitor of CK1, suppresses the site-specific phosphorylation and nuclear exclusion of FOXO1a. *EMBO Rep.* 2004 Jan;5(1):60-5.
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