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# 产品名称：CKI-7 2HCl
# CAS 号：1177141-67-1
# 产品货号：Y21434

## 生物活性：

**Description**

CKI-7 is a potent and ATP-competitive casein kinase 1 (CK1) inhibitor with an IC50 of 6 μM and a Ki 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 | CK1 | Cdc7 | SGK | MSK1 |
|---|---|---|---|---|
| 6 μM (IC50) | 8.5 μM (Ki) | | | |

**In Vitro**

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].

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].

RT-PCR[1]

| | |
|---|---|
| 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. |

Western Blot Analysis[1]

| | |
|---|---|
| Cell Line: | Mouse ES cells |
| Concentration: | 5 μM |
| Incubation Time: | 5 days |
| Result: | Suppressed SFEB-induced 尾-catenin stabilization on day 5. |

**In Vivo**

In vivo dose-dependent anti-tumor activity of CKI-7 is demonstrated in a SCID-Beige mouse systemic tumor model utilzing 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].

**Solvent&Solubility**

**细胞实验:**

H2O 中的溶解度 ：10 mg/mL (27.88 mM; 超声助溶 (<60° C))

DMSO 中的溶解度 ：5 mg/mL (13.94 mM; 超声助溶 (<60° C); 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.7881 mL | 13.9404 mL | 27.8808 mL |
| | 5 mM | 0.5576 mL | 2.7881 mL | 5.5762 mL |
| | 10 mM | 0.2788 mL | 1.3940 mL | 2.7881 mL |

* 请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

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|---|---|
| | 储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。 |
| **参考文献** | [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.<br>[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.<br>[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.<br>[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. |

## 完整储备液配制表：

请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

| 可选溶剂 | 质量 / 溶剂体积 / 浓度 | 1 mg | 5 mg | 10 mg | 25 mg |
|---|---|---|---|---|---|
| DMSO / H2O | **1 mM** | 2.7881 mL | 13.9404 mL | 27.8808 mL | 69.7020 mL |
| | **5 mM** | 0.5576 mL | 2.7881 mL | 5.5762 mL | 13.9404 mL |
| | **10 mM** | 0.2788 mL | 1.3940 mL | 2.7881 mL | 6.9702 mL |
| H2O | **15 mM** | 0.1859 mL | 0.9294 mL | 1.8587 mL | 4.6468 mL |
| | **20 mM** | 0.1394 mL | 0.6970 mL | 1.3940 mL | 3.4851 mL |
| | **25 mM** | 0.1115 mL | 0.5576 mL | 1.1152 mL | 2.7881 mL |

备注：如您选择水作为储备液，请稀释至工作液后，再用 0.22 μm 的滤膜过滤除菌后使用。