

产品名称: **Ac-DEVD-CHO**

产品别名: **Ac-DEVD-CHO**

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| 生物活性: | | | | | | |
| Description | Ac-DEVD-CHO is a specific Caspase-3 inhibitor with a Ki value of 230 pM. | | | | | |
| IC ₅₀ & Target | Caspase-1 | Caspase-2 | Caspase 3 | Caspase-4 | Caspase-5 | Caspase-6 |
| | 18 nM (Ki) | 1710 nM (Ki) | 0.23 nM (Ki) | 132 nM (Ki) | 205 nM (Ki) | 31 nM (Ki) |
| | Caspase-7 | Caspase-8 | Caspase-9 | Caspase-10 | | |
| | 1.6 nM (Ki) | 0.92 nM (Ki) | 60 nM (Ki) | 12 nM (Ki) | | |
| In Vitro | To ascertain the role of caspase-3 in SLNT-induced apoptosis, a caspase-3 inhibitor (Ac-DEVD-CHO) is used. The addition of Ac-DEVD-CHO significantly prevents SLNT-induced apoptosis (from 32.91±1.21% decreases to 15.88±1.58% while NC and Ac-DEVD-CHO groups are 6.45±0.96%, 7.77±0.79%, respectively)[2]. The apoptosis rates of cells pretreated with zVAD-fmk (5.32%) or Ac-DEVD-CHO (7.43%) decrease obviously after hypericin-mediated PDT treatment[3]. Remarkably, 10 μmol/L Ac-DEVD-CHO partially blocks the effect of SIN-induced apoptosis and reduces the number of apoptotic nuclei. These effects of SIN are blocked by the caspase-3 inhibitor Ac-DEVD-CHO. Camptothecin (4 μM), a positive control, increases caspase-3 activity, which is also blocked by Ac-DEVD-CHO[4]. | | | | | |
| In Vivo | Compare with model group, in CI group, the concentrations of serum BUN are decreased significantly at all time points after operation and those of Cr are decreased significantly at 6 hours, then restored to those of the sham group at 12 hours and 24 hours; the concentrations of serum TNF-α, IL-6 are decreased and those of IL-10 are elevated significantly at all time points. [TNF-α (μg/L) 6 hours: 436.2±64.2 vs. 653.6±8.9, 12 hours: 233.4±85.4 vs. 579.7±137.1, 24 hours: 151.0±90.3 vs. 551.0±119.8, IL-6 (μg/L) 6 hours: 1033.2±345.8 vs. 1 595.3±159.4, 12 hours: 366.3±68.3 vs. 1 330.7±249.8, 24 hours: 241.2±208.4 vs. 815.3±572.7, IL-10 (μg/L) 6 hours: 33.6±10.4 vs. 26.6±4.5, 12 hours: 37.2±5.0 vs. 24.5±4.3, 24 hours: 38.3±5.5 vs. 18.2±1.6, all P<0.05]; the renal cell apoptosis rates are decreased significantly at all time points: apoptosis rates 6 hours: (13.9±3.2)% vs. (18.3±1.4)%, 12 hours: (10.5±3.6)% vs. (15.9±3.5)%, 24 hours: (8.4±1.8)% vs.(12.5±2.1)%[5]. | | | | | |
| Solvent&Solubility | In Vitro: H₂O : ≥ 50 mg/mL (99.51 mM) * "≥" means soluble, but saturation unknown. | | | | | |
| | Preparing Stock Solutions | <div>SolventMassConcentration</div> | 1 mg | 5 mg | 10 mg | |
| | | 1 mM | 1.9902 mL | 9.9508 mL | 19.9017 mL | |
| | | 5 mM | 0.3980 mL | 1.9902 mL | 3.9803 mL | |
| | | 10 mM | 0.1990 mL | 0.9951 mL | 1.9902 mL | |
| <p>*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。</p> <p>储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。</p> | | | | | | |
| | [1]. Garcia-Calvo M, et al.nhibition of human caspases by peptide-based and macromolecular inhibitors. J Biol Chem. 1998 Dec 4;273(49):32608-13. | | | | | |

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| References | <p>[2]. Jinglin Wang, et al. A polysaccharide from Lentinus edodes inhibits human colon cancer cell proliferation and suppresses tumor growth in athymic nude mice. <i>Oncotarget</i>. 2017 Jan 3; 8(1): 610-623.</p> <p>[3]. Junping Zhang, et al. Hypericin-mediated photodynamic therapy induces apoptosis of myeloma SP2/0 cells depended on caspase activity in vitro. <i>Cancer Cell Int</i>. 2015; 15: 58</p> <p>[4]. Long-gang He, et al. Sinomenine induces apoptosis in RAW 264.7 cell-derived osteoclasts in vitro via caspase-3 activation. <i>Acta Pharmacol Sin</i>. 2014 Feb; 35(2): 203-210.</p> <p>[5]. Liu LX, et al. The effect of caspase-3 inhibitor on the concentrations of serum inflammatory cytokines in sepsis related acute kidney injury induced by peritoneal cavity infection in mice. <i>Zhongguo Wei Zhong Bing Ji Jiu Yi Xue</i>. 2010 Dec;22(12):736-9.</p> |
| 实验参考: | |
| Cell Assay | <p>OCLs are incubated with RANKL and treated with 0.5 mM SIN with or without the specific caspase-3 inhibitor Ac-DEVD-CHO (10 μM) for 24 h. At the end of the treatment, the cells are washed with PBS and are stained for 15 min with 10 μM Hoechst 33258 dye. Images of the staining cells are captured with a fluorescent microscope. The differences are evaluated by counting the number of cells with apoptotic nuclear condensation in each well[4].</p> |
| Animal Administration | <p>One hundred and two male mice are subjected to cecal ligation and puncture or sham operation. The animals are assigned into three equal groups (n=34) according to random number table: sham group, model group, and caspase-3 inhibitor (CI) group. Thirty minutes before CLP, Ac-DEVD-CHO (4 μg/g) is injected subcutaneously in CI group. The levels of blood urea nitrogen (BUN) and creatinine (Cr) are determined, and the concentrations of tumor necrosis factor-α (TNF-α), interleukins (IL-6 and IL-10) are measured by enzyme linked immunosorbent assay (ELISA), the renal cell apoptosis rate is determined by flow cytometry. The 4-day and 7-day survival rates of three groups of mice are observed[5].</p> |
| References | <p>[1]. Garcia-Calvo M, et al. Inhibition of human caspases by peptide-based and macromolecular inhibitors. <i>J Biol Chem</i>. 1998 Dec 4;273(49):32608-13.</p> <p>[2]. Jinglin Wang, et al. A polysaccharide from Lentinus edodes inhibits human colon cancer cell proliferation and suppresses tumor growth in athymic nude mice. <i>Oncotarget</i>. 2017 Jan 3; 8(1): 610-623.</p> <p>[3]. Junping Zhang, et al. Hypericin-mediated photodynamic therapy induces apoptosis of myeloma SP2/0 cells depended on caspase activity in vitro. <i>Cancer Cell Int</i>. 2015; 15: 58</p> <p>[4]. Long-gang He, et al. Sinomenine induces apoptosis in RAW 264.7 cell-derived osteoclasts in vitro via caspase-3 activation. <i>Acta Pharmacol Sin</i>. 2014 Feb; 35(2): 203-210.</p> <p>[5]. Liu LX, et al. The effect of caspase-3 inhibitor on the concentrations of serum inflammatory cytokines in sepsis related acute kidney injury induced by peritoneal cavity infection in mice. <i>Zhongguo Wei Zhong Bing Ji Jiu Yi Xue</i>. 2010 Dec;22(12):736-9.</p> |