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产品名称: **K-7174 (dihydrochloride)**  
 产品别名: **K-7174 dihydrochloride**

| 生物活性:                         |   |           |           |           |            |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
|-------------------------------|---|-----------|-----------|-----------|------------|--------------------------|-------|------|------|-------|------|-----------|-----------|------------|-----------------|------|-----------|-----------|-----------|-------|-----------|-----------|
| <b>Description</b>            | <p>K-7174 dihydrochloride is a novel cell adhesion inhibitor; inhibits the expression of vascular cell adhesion molecule-1 (VCAM-1) induced by either IL-1<math>\beta</math> or TNF-<math>\alpha</math>. IC50 value: Target: GATA-specific inhibitor in vitro: K-7174 inhibited the expression of vascular cell adhesion molecule-1 (VCAM-1) induced by either tumor necrosis factor alpha or interleukin-1beta, without affecting the induction of intercellular adhesion molecule-1 or E-selectin. K-7174 had no effect on the stability of VCAM-1 mRNA.K-7174 did not influence the binding to any of the following binding motifs: octamer binding protein, AP-1, SP-1, ets, NFkappaB, or interferon regulatory factor [1]. Addition of 10 microM K-7174 rescued these inhibitions of Epo protein production and promoter activity induced by IL-1beta, TNF-alpha, or L-NMMA, respectively [2]. K-7174 had the potential to induce endoplasmic reticulum (ER) stress evidenced by induction of GRP78 and CHOP.Other inducers of ER stress completely reproduced the effects of K-7174 including suppression of lipid accumulation, blockade of induction of adiponection and PPARgamma and maintenance of MCP-1 expression [3]. in vivo: K-7174, one of proteasome inhibitory homopiperazine derivatives, exhibits a therapeutic effect, which is stronger when administered orally than intravenously, without obvious side effects in a murine myeloma model. Moreover, K-7174 kills bortezomib-resistant myeloma cells carrying a <math>\beta</math>5-subunit mutation in vivo and primary cells from a patient resistant to bortezomib [4].</p> |           |           |           |            |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
|                               | <p><b>In Vitro:</b><br/> <b>H<sub>2</sub>O : 15 mg/mL (23.38 mM; Need ultrasonic and warming)</b></p> <table border="1"> <thead> <tr> <th rowspan="2">Preparing</th> <th rowspan="2">Solvent<br/>Concentration</th> <th>Mass</th> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td>1 mM</td> <td>1.5584 mL</td> <td>7.7922 mL</td> <td>15.5843 mL</td> </tr> <tr> <td rowspan="2">Stock Solutions</td> <td>5 mM</td> <td>0.3117 mL</td> <td>1.5584 mL</td> <td>3.1169 mL</td> </tr> <tr> <td>10 mM</td> <td>0.1558 mL</td> <td>0.7792 mL</td> <td>1.5584 mL</td> </tr> </tbody> </table> <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。<br/>           储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。-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。</p>  |           |           |           | Preparing  | Solvent<br>Concentration | Mass  | 1 mg | 5 mg | 10 mg | 1 mM | 1.5584 mL | 7.7922 mL | 15.5843 mL | Stock Solutions | 5 mM | 0.3117 mL | 1.5584 mL | 3.1169 mL | 10 mM | 0.1558 mL | 0.7792 mL |
| Preparing                     | Solvent<br>Concentration  | Mass      | 1 mg      | 5 mg      |            |                          | 10 mg |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
|                               |   | 1 mM      | 1.5584 mL | 7.7922 mL | 15.5843 mL |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
| Stock Solutions               | 5 mM  | 0.3117 mL | 1.5584 mL | 3.1169 mL |            |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
|                               | 10 mM   | 0.1558 mL | 0.7792 mL | 1.5584 mL |            |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
| <b>Solvent&amp;Solubility</b> |   |           |           |           |            |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |
| <b>References</b>             | <p>[1]. Umetani M, et al. A novel cell adhesion inhibitor, K-7174, reduces the endothelial VCAM-1 induction by inflammatory cytokines, acting through the regulation of GATA. Biochem Biophys Res Commun. 2000 Jun 7;272(2):370-4.</p> <p>[2]. Imagawa S, et al. A GATA-specific inhibitor (K-7174) rescues anemia induced by IL-1beta, TNF-alpha, or L-NMMA. FASEB J. 2003 Sep;17(12):1742-4.</p> <p>[3]. Shimada T, et al. Unexpected blockade of adipocyte differentiation by K-7174: implication for endoplasmic reticulum stress. Biochem Biophys Res Commun. 2007 Nov 16;363(2):355-60.</p> <p>[4]. Kikuchi J, et al. The novel orally active proteasome inhibitor K-7174 exerts anti-myeloma activity in vitro and in vivo by down-regulating the expression of class I histone deacetylases. J Biol Chem. 2013 Aug 30;288(35):25593-602.</p>  |           |           |           |            |                          |       |      |      |       |      |           |           |            |                 |      |           |           |           |       |           |           |