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产品名称: **Adaphostin**

CAS 号: **241127-58-2**

产品货号: **T31017**

**生物活性:**

|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                                                                                                                                                                                                                                                                                                          |                                       |             |             |
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| <b>Description</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                   | Adaphostin (NSC 680410), the adamantyl ester of AG957, is a potent p210bcr/abl inhibitor (IC50=14 $\mu$ M). Adaphostin induces apoptosis in T-lymphoblastic human leukemia cell lines (IC50 ranging from 17 to 216 nM). Adaphostin has significant and selective activity against chronic and acute myeloid leukemia cells. Adaphostin increased the level of reactive oxygen species (ROS) within CLL B cells[1][2][3]. |                                       |             |             |
| <b>In Vitro</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | Adaphostin down-regulates p210bcr/abl in K562 cells and inhibits granulocyte colony formation in chronic myelogenous leukemia (CML) specimens at lower concentrations without enhanced toxicity in normal progenitors[1].<br>Adaphostin-induced p53 up-regulation, DNA strand breaks, and ROS production in ML-1 cells is inhibited by N-acetylcysteine (NAC)[4].                                                        |                                       |             |             |
| <b>Solvent&amp;Solubility</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | <b>细胞实验:</b><br>DMSO 中的溶解度 : 50 mg/mL (127.07 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)                                                                                                                                                                                                                                                                                                                             |                                       |             |             |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | <b>Preparing Stock Solutions</b>                                                                                                                                                                                                                                                                                                                                                                                         | <b>Solvent / Mass / Concentration</b> | <b>1 mg</b> | <b>5 mg</b> |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                                                                                                                                                                                                                                                                                                          | <b>1 mM</b>                           | 2.5414 mL   | 12.7071 mL  |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                                                                                                                                                                                                                                                                                                          | <b>5 mM</b>                           | 0.5083 mL   | 2.5414 mL   |
|                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                                                                                                                                                                                                                                                                                                                                                          | <b>10 mM</b>                          | 0.2541 mL   | 1.2707 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。<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。<br><b>动物实验:</b><br>请根据您的 实验动物和给药方式 选择适当的溶解方案。<br>以下溶解方案都请先按照 <b>In Vitro</b> 方式配制澄清的储备液, 再依次添加助溶剂:<br>——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用;<br>以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶<br><b>方案 一</b><br>请依序添加每种溶剂: 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline<br>Solubility: $\geq$ 2.5 mg/mL (6.35 mM); 澄清溶液<br>此方案可获得 $\geq$ 2.5 mg/mL (饱和度未知) 的澄清溶液。<br>以 1 mL 工作液为例, 取 100 $\mu$ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 $\mu$ L PEG300 中, 混合均匀; 再向上述体系中加入 50 $\mu$ L Tween-80, 混合均匀; 然后再继续加入 450 $\mu$ L 生理盐水 定容至 1 mL。<br>生理盐水的配制: 将 0.9 g 氯化钠, 溶解于 ddH <sub>2</sub> O 并定容至 100 mL, 可以得到澄清透明的生理盐水溶液。<br><b>方案 二</b><br>请依序添加每种溶剂: 10% DMSO → 90% (20% SBE- $\beta$ -CD in Saline)<br>Solubility: $\geq$ 2.5 mg/mL (6.35 mM); 澄清溶液 |                                                                                                                                                                                                                                                                                                                                                                                                                          |                                       |             |             |



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|------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|      | <p>此方案可获得 <math>\geq 2.5 \text{ mg/mL}</math> (饱和度未知) 的澄清溶液。</p> <p>以 <math>1 \text{ mL}</math> 工作液为例, 取 <math>100 \mu\text{L}</math> <math>25.0 \text{ mg/mL}</math> 的澄清 DMSO 储备液加到 <math>900 \mu\text{L}</math> 20% 的 SBE-<math>\beta</math>-CD 生理盐水水溶液 中, 混合均匀。</p> <p>2 g SBE-<math>\beta</math>-CD (磺丁基醚 <math>\beta</math>-环糊精) 粉末定容于 <math>10 \text{ mL}</math> 的生理盐水中, 完全溶解至澄清透明。</p>                                                                                                                                                                                                                                                                                                                                      |
| 参考文献 | <p>[1]. Svingen PA, et al. Effects of the bcr/abl kinase inhibitors AG957 and NSC 680410 on chronic myelogenous leukemia cells in vitro. Clin Cancer Res. 2000;6(1):237-249.</p> <p>[2]. Avramis IA, et al. In vitro and in vivo evaluations of the tyrosine kinase inhibitor NSC 680410 against human leukemia and glioblastoma cell lines. Cancer Chemother Pharmacol. 2002;50(6):479-489.</p> <p>[3]. Orsolic N, et al. Adaphostin has significant and selective activity against chronic and acute myeloid leukemia cells. Cancer Sci. 2006;97(9):952-960.</p> <p>[4]. Chandra J, et al. Involvement of reactive oxygen species in adaphostin-induced cytotoxicity in human leukemia cells. Blood. 2003;102(13):4512-4519.</p> |

#### 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:  $-80^{\circ}\text{C}$ , 6 months;  $-20^{\circ}\text{C}$ , 1 month.  $-80^{\circ}\text{C}$  储存时, 请在 6 个月内使用,  $-20^{\circ}\text{C}$  储存时, 请在 1 个月内使用。

| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg       | 10 mg      | 25 mg      |
|------|------------------|-----------|------------|------------|------------|
| DMSO | 1 mM             | 2.5414 mL | 12.7071 mL | 25.4143 mL | 63.5356 mL |
|      | 5 mM             | 0.5083 mL | 2.5414 mL  | 5.0829 mL  | 12.7071 mL |
|      | 10 mM            | 0.2541 mL | 1.2707 mL  | 2.5414 mL  | 6.3536 mL  |
|      | 15 mM            | 0.1694 mL | 0.8471 mL  | 1.6943 mL  | 4.2357 mL  |
|      | 20 mM            | 0.1271 mL | 0.6354 mL  | 1.2707 mL  | 3.1768 mL  |
|      | 25 mM            | 0.1017 mL | 0.5083 mL  | 1.0166 mL  | 2.5414 mL  |
|      | 30 mM            | 0.0847 mL | 0.4236 mL  | 0.8471 mL  | 2.1179 mL  |
|      | 40 mM            | 0.0635 mL | 0.3177 mL  | 0.6354 mL  | 1.5884 mL  |
|      | 50 mM            | 0.0508 mL | 0.2541 mL  | 0.5083 mL  | 1.2707 mL  |
|      | 60 mM            | 0.0424 mL | 0.2118 mL  | 0.4236 mL  | 1.0589 mL  |
|      | 80 mM            | 0.0318 mL | 0.1588 mL  | 0.3177 mL  | 0.7942 mL  |
|      | 100 mM           | 0.0254 mL | 0.1271 mL  | 0.2541 mL  | 0.6354 mL  |