

产品名称: **ML264**

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| 生物活性: | | | | | | | | | | | | | | | | | | | | | | | | | |
|--|---|--|--------------------------|------------|--|--|------|------|-------|------------------|------|-----------|------------|------------|------------------------|------|-----------|-----------|-----------|-------|-----------|-----------|-----------|--|--|
| Description | ML264 is an antitumor agent that potently and selectively inhibits Krüppel-like factor five (KLF5) expression. | | | | | | | | | | | | | | | | | | | | | | | | |
| IC₅₀ & Target | KLF5[1] | | | | | | | | | | | | | | | | | | | | | | | | |
| In Vitro | ML264 is highly active (IC ₅₀ =29 nM in a cell-based assay for proliferation of DLD-1 cells, IC ₅₀ =81 nM in a cell-based luciferase assay). ML264 lacks cytotoxicity in the IEC-6 control cell line (IC ₅₀ >50 μM, <50% inhibition is observed at 100 μM). Robust activity is also seen in several other KLF5-expressing cell types as well (e.g., HCT116, IC ₅₀ =560 nM; HT29, IC ₅₀ =130 nM; SW620, IC ₅₀ =430 nM). Western blot analysis shows that ML264 significantly reduces KLF5 expression[1]. The effects of ML264 are tested on the rate of cell proliferation of colon cancer cells lines DLD-1 and HCT116 over 72 hours. ML264 efficiently inhibits the rate of proliferation of both cell lines. A significant decrease in proliferation is evident within 24 hours of treatment and by 72 hours the live cell numbers of ML264-treated and vehicle-treated cells differed by 15- to 30- fold. An MTS assay that allows the quantification of metabolically active cells is performed. ML264 treatment significantly reduces the number of cells undergoing mitosis in DLD-1 cells at 24, 48 and 72 hours[2]. | | | | | | | | | | | | | | | | | | | | | | | | |
| In Vivo | Single daily injections of ML264 at 10 mg/kg do not significantly affect tumor growth. However, twice daily injections of ML264 at 10 mg/kg or 25 mg/kg result in significant reductions in tumor growth, and this effect can be detected as early as two days after the first injection. The data also show that there is a concentration-dependent effect of ML264 on the tumor volume. Statistical analysis of tumor growth reveals significant tumor size reduction in mice treated twice daily with ML264 compared to those receiving only vehicle at day 5 and 10[2]. | | | | | | | | | | | | | | | | | | | | | | | | |
| Solvent&Solubility | <p>In Vitro:</p> <p>DMSO : ≥ 100 mg/mL (259.82 mM)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <p>* "≥" means soluble, but saturation unknown.</p> | | | | | | | | | | | | | | | | | | | | | | | | |
| | | <table border="1"> <thead> <tr> <th rowspan="2">Solvent Concentration</th> <th colspan="3">Mass</th> </tr> <tr> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> </thead> <tbody> <tr> <td>Preparing</td> <td>1 mM</td> <td>2.5982 mL</td> <td>12.9911 mL</td> <td>25.9821 mL</td> </tr> <tr> <td rowspan="2">Stock Solutions</td> <td>5 mM</td> <td>0.5196 mL</td> <td>2.5982 mL</td> <td>5.1964 mL</td> </tr> <tr> <td>10 mM</td> <td>0.2598 mL</td> <td>1.2991 mL</td> <td>2.5982 mL</td> </tr> </tbody> </table> | Solvent Concentration | Mass | | | 1 mg | 5 mg | 10 mg | Preparing | 1 mM | 2.5982 mL | 12.9911 mL | 25.9821 mL | Stock Solutions | 5 mM | 0.5196 mL | 2.5982 mL | 5.1964 mL | 10 mM | 0.2598 mL | 1.2991 mL | 2.5982 mL | | |
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| | 10 mM | 0.2598 mL | 1.2991 mL | 2.5982 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°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> | | | | | | | | | | | | | | | | | | | | | | | | | |
| <p>In Vivo:</p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:</p> <p>——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; 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</p> | | | | | | | | | | | | | | | | | | | | | | | | | |

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| | <p>1.请依序添加每种溶剂： 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 3.25 mg/mL (8.44 mM); Clear solution</p> <p>此方案可获得 ≥ 3.25 mg/mL (8.44 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例, 取 100 μL 32.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。</p> |
| <p>References</p> | <p>[1]. Bialkowska A, et al. ML264: An Antitumor Agent that Potently and Selectively Inhibits Krüppel-like Factor Five (KLF5) Expression: A Probe for Studying Colon Cancer Development and Progression.</p> <p>[2]. Ruiz de Sabando A, et al. ML264, A Novel Small-Molecule Compound That Potently Inhibits Growth of Colorectal Cancer. Mol Cancer Ther. 2016 Jan;15(1):72-83.</p> |
| <p>实验参考:</p> | |
| <p>Cell Assay</p> | <p>For cell proliferation experiments, DLD-1 and HCT116 cells are treated with 10 μM ML264 or with vehicle (DMSO). Live cells are collected at 24, 48 and 72 hours post treatment and their numbers are determined by counting using a Coulter counter. Each experiment is done in triplicate. In MTS assay, DLD-1 and HCT116 cells are treated with 10 μM ML264 or with vehicle (DMSO). After 24, 48, and 72 hours of incubations, 20 μL of MTS solution is added to each well and an analysis is performed. The measurement of the control (cells with medium and DMSO) is defined as 100% and the results from other measurements are calculated accordingly. Each experiment is done in sextuplicate. A cell cycle progression assay is performed. Each experiment is done in triplicate. The apoptosis rate is determined using the Alexa Fluor 488 Annexin V/Dead Cell Apoptosis Kit with analysis by flow cytometry. Each experiment is done in triplicate[2].</p> |
| <p>Animal Administration</p> | <p>Mice[2]</p> <p>Nude mice are housed under specific pathogen-free conditions in ventilated and filtered cages under positive pressure. Xenograft tumors are generated by injecting subcutaneously 5×10^6 DLD-1 human colorectal cells into the right flank of 6-7 week old male nude mice. Tumor volume is determined by caliper measurement and calculated by established methods. When tumors reach a volume of about 100 mm³, mice are treated intraperitoneally (i.p.) with varying doses of ML264: 10 mg/kg daily, 10 mg/kg twice per day and 25 mg/kg twice per day, with each treatment regimen lasting for a duration of 10 days. The vehicle solution is used as the control treatment. Mice are monitored and weighed every two days. Experiments are terminated when the tumor's greatest measurement reaches 2 cm. Tumors are excised and retained for further analyses[2].</p> |
| <p>References</p> | <p>[1]. Bialkowska A, et al. ML264: An Antitumor Agent that Potently and Selectively Inhibits Krüppel-like Factor Five (KLF5) Expression: A Probe for Studying Colon Cancer Development and Progression.</p> <p>[2]. Ruiz de Sabando A, et al. ML264, A Novel Small-Molecule Compound That Potently Inhibits Growth of Colorectal Cancer. Mol Cancer Ther. 2016 Jan;15(1):72-83.</p> |