



上海源叶生物科技有限公司  
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产品名称: **MPT0B014**  
CAS 号: **1215208-59-5**  
产品货号: **S89875**

**生物活性:**

|                          |                                                                                                                                                                 |                                                                                                                                                                                                                                                                                                                                                       |
|--------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <b>Description</b>       | MPT0B014 is a tubulin polymerization inhibitor. MPT0B014 induces cancer cell apoptosis. MPT0B014 can be used for the research of cancer[1].                     |                                                                                                                                                                                                                                                                                                                                                       |
| <b>IC50 &amp; Target</b> | Tubulin polymerization[1]                                                                                                                                       |                                                                                                                                                                                                                                                                                                                                                       |
| <b>In Vitro</b>          | MPT0B014 (0-1 $\mu$ M; 48 h) 以剂量依赖的方式抑制 A549、H1299 和 H226 细胞的生长[1]。<br>MPT0B014 (0.05-0.3 $\mu$ M; 24 and 48 h) 在 A549 细胞中使细胞周期阻滞于 G2/M 期和 sub-G1 期, 诱导细胞凋亡[1]。 |                                                                                                                                                                                                                                                                                                                                                       |
|                          | <b>Cell Viability Assay[1]</b>                                                                                                                                  |                                                                                                                                                                                                                                                                                                                                                       |
|                          | Cell Line:                                                                                                                                                      | A549, H1299, H226 and HUVEC cells                                                                                                                                                                                                                                                                                                                     |
|                          | Concentration:                                                                                                                                                  | 0, 0.025, 0.05, 0.075 and 1 $\mu$ M                                                                                                                                                                                                                                                                                                                   |
|                          | Incubation Time:                                                                                                                                                | 48 h                                                                                                                                                                                                                                                                                                                                                  |
|                          | Result:                                                                                                                                                         | Inhibited cell viability with IC50s of 0.109 $\pm$ 0.01, 0.055 $\pm$ 0.004, 0.077 $\pm$ 0.005 and 0.536 $\pm$ 0.166 $\mu$ M against A549, H1299, H226 and HUVEC cells, respectively.                                                                                                                                                                  |
|                          | <b>Cell Cycle Analysis[1]</b>                                                                                                                                   |                                                                                                                                                                                                                                                                                                                                                       |
|                          | Cell Line:                                                                                                                                                      | A549, H1299 and H226                                                                                                                                                                                                                                                                                                                                  |
|                          | Concentration:                                                                                                                                                  | 0.05, 0.1 and 0.3 $\mu$ M                                                                                                                                                                                                                                                                                                                             |
|                          | Incubation Time:                                                                                                                                                | 24 and 48 h                                                                                                                                                                                                                                                                                                                                           |
|                          | Result:                                                                                                                                                         | Treatment for 24 h led to notable accumulation of cells in the G2/M phase. At 48 h, sub-G1 apoptotic cell populations were increased in a concentration-dependent manner. Cells in the G2/M phase began to rise at 12 h post-treatment and peaked at 24 h. Following this, there was an emergence of cells in the sub-G1 population phase until 48 h. |
|                          | <b>Western Blot Analysis[1]</b>                                                                                                                                 |                                                                                                                                                                                                                                                                                                                                                       |
|                          | Cell Line:                                                                                                                                                      | A549, H1299 and H226                                                                                                                                                                                                                                                                                                                                  |
|                          | Concentration:                                                                                                                                                  | 0.05, 0.1 and 0.3 $\mu$ M                                                                                                                                                                                                                                                                                                                             |
|                          | Incubation Time:                                                                                                                                                | 24 h                                                                                                                                                                                                                                                                                                                                                  |
|                          | Result:                                                                                                                                                         | Resulted in a marked increase in expression of the mitosis marker MPM2 and the proteins cyclin B1, Cdc2, Thr161, Aurora A and Aurora B in a concentration-dependent manner. Decreased the expression of Cdc (Tyr15) and Cdc25C, whereas total protein levels of Cdc2 did not change.                                                                  |
|                          | <b>Apoptosis Analysis[1]</b>                                                                                                                                    |                                                                                                                                                                                                                                                                                                                                                       |
|                          | Cell Line:                                                                                                                                                      | A549                                                                                                                                                                                                                                                                                                                                                  |
|                          | Concentration:                                                                                                                                                  | 0.05, 0.075, 0.1 and 0.3 $\mu$ M                                                                                                                                                                                                                                                                                                                      |
|                          | Incubation Time:                                                                                                                                                | 48 h                                                                                                                                                                                                                                                                                                                                                  |
|                          | Result:                                                                                                                                                         | Induced apoptosis in a concentration-dependent manner.                                                                                                                                                                                                                                                                                                |
|                          | <b>Western Blot Analysis[1]</b>                                                                                                                                 |                                                                                                                                                                                                                                                                                                                                                       |



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|                                                                                                                                                          |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
|----------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------|----------------------------------------------------------------------|----------------|----------------------------------------------------------------------|------------------|------------------------------|-----------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|------------|------|-----------|-----------|-----------|-------|-----------|-----------|-----------|
|                                                                                                                                                          | <table><tr><td>Cell Line:</td><td>A549</td></tr><tr><td>Concentration:</td><td>0.05, 0.1 and 0.3 μM</td></tr><tr><td>Incubation Time:</td><td>24, 36 and 48 h</td></tr><tr><td>Result:</td><td>Induced activation of caspases-3, -7, -8 and -9, and cleavage of PARP in a time- and concentration-dependent manner. Significantly induced Bcl-2 phosphorylation. Down-regulated Mcl-1 expression in a concentration-dependent manner.</td></tr></table>                                                                                                                                                                                                                                                                                                                                     | Cell Line:                | A549                                                                 | Concentration: | 0.05, 0.1 and 0.3 μM                                                 | Incubation Time: | 24, 36 and 48 h              | Result:   | Induced activation of caspases-3, -7, -8 and -9, and cleavage of PARP in a time- and concentration-dependent manner. Significantly induced Bcl-2 phosphorylation. Down-regulated Mcl-1 expression in a concentration-dependent manner.                                                                                                                                       |            |      |           |           |           |       |           |           |           |
| Cell Line:                                                                                                                                               | A549                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Concentration:                                                                                                                                           | 0.05, 0.1 and 0.3 μM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Incubation Time:                                                                                                                                         | 24, 36 and 48 h                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Result:                                                                                                                                                  | Induced activation of caspases-3, -7, -8 and -9, and cleavage of PARP in a time- and concentration-dependent manner. Significantly induced Bcl-2 phosphorylation. Down-regulated Mcl-1 expression in a concentration-dependent manner.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| In Vivo                                                                                                                                                  | <p>MPT0B014 (100 mg/kg; i.v/i.p.; daily for 25 days) 和 25 mg/kg Erlotinib 联用显著改善小鼠 A549 肿瘤抑制[1]。</p> <table><tr><td>Animal Model:</td><td>Nude athymic mice, A549 xenografts[1]</td></tr><tr><td>Dosage:</td><td>100 mg/kg alone or in combination with 25 mg/kg Erlotinib (HY-50896)</td></tr><tr><td>Administration:</td><td>i.v./i.p., daily for 25 days</td></tr><tr><td>Result:</td><td>The combined treatment resulted in more significant tumor growth delay (28%) compared with treatment alone (7%). The combination produced significantly higher anti-tumor activity. The growth of A549 cancer cell xenografts was suppressed by 11, 21 and 49% (tumor growth inhibition) after treatment with MPT0B014, Erlotinib and MPT0B014 plus Erlotinib, respectively.</td></tr></table> | Animal Model:             | Nude athymic mice, A549 xenografts[1]                                | Dosage:        | 100 mg/kg alone or in combination with 25 mg/kg Erlotinib (HY-50896) | Administration:  | i.v./i.p., daily for 25 days | Result:   | The combined treatment resulted in more significant tumor growth delay (28%) compared with treatment alone (7%). The combination produced significantly higher anti-tumor activity. The growth of A549 cancer cell xenografts was suppressed by 11, 21 and 49% (tumor growth inhibition) after treatment with MPT0B014, Erlotinib and MPT0B014 plus Erlotinib, respectively. |            |      |           |           |           |       |           |           |           |
| Animal Model:                                                                                                                                            | Nude athymic mice, A549 xenografts[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Dosage:                                                                                                                                                  | 100 mg/kg alone or in combination with 25 mg/kg Erlotinib (HY-50896)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Administration:                                                                                                                                          | i.v./i.p., daily for 25 days                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Result:                                                                                                                                                  | The combined treatment resulted in more significant tumor growth delay (28%) compared with treatment alone (7%). The combination produced significantly higher anti-tumor activity. The growth of A549 cancer cell xenografts was suppressed by 11, 21 and 49% (tumor growth inhibition) after treatment with MPT0B014, Erlotinib and MPT0B014 plus Erlotinib, respectively.                                                                                                                                                                                                                                                                                                                                                                                                                |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| Solvent&Solubility                                                                                                                                       | <p><b>细胞实验:</b></p> <p>DMSO 中的溶解度 : 50 mg/mL (154.64 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)</p> <table><tr><td rowspan="4">Preparing Stock Solutions</td><td><div><div>Solvent</div><div>Mass</div><div>Concentration</div></div></td><td>1 mg</td><td>5 mg</td><td>10 mg</td></tr><tr><td>1 mM</td><td>3.0927 mL</td><td>15.4636 mL</td><td>30.9272 mL</td></tr><tr><td>5 mM</td><td>0.6185 mL</td><td>3.0927 mL</td><td>6.1854 mL</td></tr><tr><td>10 mM</td><td>0.3093 mL</td><td>1.5464 mL</td><td>3.0927 mL</td></tr></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。</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>                                                                           | Preparing Stock Solutions | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div> | 1 mg           | 5 mg                                                                 | 10 mg            | 1 mM                         | 3.0927 mL | 15.4636 mL                                                                                                                                                                                                                                                                                                                                                                   | 30.9272 mL | 5 mM | 0.6185 mL | 3.0927 mL | 6.1854 mL | 10 mM | 0.3093 mL | 1.5464 mL | 3.0927 mL |
| Preparing Stock Solutions                                                                                                                                | <div><div>Solvent</div><div>Mass</div><div>Concentration</div></div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           | 1 mg                                                                 | 5 mg           | 10 mg                                                                |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
|                                                                                                                                                          | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           | 3.0927 mL                                                            | 15.4636 mL     | 30.9272 mL                                                           |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
|                                                                                                                                                          | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                           | 0.6185 mL                                                            | 3.0927 mL      | 6.1854 mL                                                            |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
|                                                                                                                                                          | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       | 0.3093 mL                 | 1.5464 mL                                                            | 3.0927 mL      |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| 参考文献                                                                                                                                                     | <p>[1]. Tsai AC, et al. In vitro and in vivo anti-tumour effects of MPT0B014, a novel derivative aroylquinoline, and in combination with erlotinib in human non-small-cell lung cancer cells. Br J Pharmacol. 2014 Jan;171(1):122-33.</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°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。 |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                             |                           |                                                                      |                |                                                                      |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| 可选溶剂                                                                                                                                                     | <div><div>质量</div><div>溶剂体积</div><div>浓度</div></div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 1 mg                      | 5 mg                                                                 | 10 mg          | 25 mg                                                                |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |
| DMSO                                                                                                                                                     | 1 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        | 3.0927 mL                 | 15.4636 mL                                                           | 30.9272 mL     | 77.3180 mL                                                           |                  |                              |           |                                                                                                                                                                                                                                                                                                                                                                              |            |      |           |           |           |       |           |           |           |



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|  |               |           |           |           |            |
|--|---------------|-----------|-----------|-----------|------------|
|  | <b>5 mM</b>   | 0.6185 mL | 3.0927 mL | 6.1854 mL | 15.4636 mL |
|  | <b>10 mM</b>  | 0.3093 mL | 1.5464 mL | 3.0927 mL | 7.7318 mL  |
|  | <b>15 mM</b>  | 0.2062 mL | 1.0309 mL | 2.0618 mL | 5.1545 mL  |
|  | <b>20 mM</b>  | 0.1546 mL | 0.7732 mL | 1.5464 mL | 3.8659 mL  |
|  | <b>25 mM</b>  | 0.1237 mL | 0.6185 mL | 1.2371 mL | 3.0927 mL  |
|  | <b>30 mM</b>  | 0.1031 mL | 0.5155 mL | 1.0309 mL | 2.5773 mL  |
|  | <b>40 mM</b>  | 0.0773 mL | 0.3866 mL | 0.7732 mL | 1.9329 mL  |
|  | <b>50 mM</b>  | 0.0619 mL | 0.3093 mL | 0.6185 mL | 1.5464 mL  |
|  | <b>60 mM</b>  | 0.0515 mL | 0.2577 mL | 0.5155 mL | 1.2886 mL  |
|  | <b>80 mM</b>  | 0.0387 mL | 0.1933 mL | 0.3866 mL | 0.9665 mL  |
|  | <b>100 mM</b> | 0.0309 mL | 0.1546 mL | 0.3093 mL | 0.7732 mL  |

