



上海源叶生物科技有限公司  
Shanghai yuanye Bio-Technology Co., Ltd  
电话: 021-61312973 传真: 021-55068248  
网址: [www.shyuanye.com](http://www.shyuanye.com)  
邮箱: shyyssw@sina.com

产品名称: **WS-383**  
CAS 号: **2247544-02-9**  
产品货号: **S89172**

**生物活性:**

|                                                                                                                                                                                                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                               |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
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| Description                                                                                                                                                                                                         | WS-383 是一种有效、选择性、可逆的 DCN1-UBC12 相互作用抑制剂,IC50 值为 11 nM。WS-383 抑制 Cul3/1 的类泛素化修饰, 引起 p21, p27 和 NRF2 的积累。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                                                                               |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
| IC50 & Target                                                                                                                                                                                                       | IC50: 11 nM (DCN1-UBC12 interaction)[1]                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                                                                               |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
| In Vitro                                                                                                                                                                                                            | <p>WS-383 (10 μ M) is against a panel of kinases such as BTK, CDKs, and EGFR [L858R] using staurosporine and BIBW 2992 as the positive controls. WS-383 showed weak inhibitory activity at 10.0 μ M,it is selective to the DCN1-UBC12 interaction over the selected kinasesr[1].</p> <p>WS-383 (0.03-3 μ M;24 hours) blocks Cul3 neddylation at 3 μ M and also has certain inhibition of Cul1 neddylation at 10 μ M but was not effective in inhibiting neddylation of other cullin members[1].</p> <p>WS-383 (0.03-3 μ M;24 hours) increases Cul1, Skp1 (adaptor protein), F-box protein, and RBX1/RBX2 RING protein form SCF E3 complex. Cyclin dependent kinase inhibitor 1A (p21) and cyclin dependent kinase inhibitor 1B (p27) expression in a dose-dependent manner in MGC-803 and KYSE70 manner[1].</p> <p>Western Blot Analysis[1]</p> <table><tr><td>Cell Line:</td><td>MGC-803 cells</td></tr><tr><td>Concentration:</td><td>0.03 μM; 0.3 μM; 3 μM; 10 μM</td></tr><tr><td>Incubation Time:</td><td>24 hours</td></tr><tr><td>Result:</td><td>Decreased N8-Cul1 and N8-Cul2 protein expression.</td></tr></table> <p>Western Blot Analysis[1]</p> <table><tr><td>Cell Line:</td><td>MGC-803 and KYSE70 cells</td></tr><tr><td>Concentration:</td><td>0.03 μM; 0.3 μM; 3 μM; 10 μM</td></tr><tr><td>Incubation Time:</td><td>24 hours</td></tr><tr><td>Result:</td><td>Induced accumulation of p21, p27, and NRF2 in MGC-803 cells.</td></tr></table> |                                                                                               |             |             | Cell Line:   | MGC-803 cells | Concentration: | 0.03 μM; 0.3 μM; 3 μM; 10 μM | Incubation Time: | 24 hours | Result: | Decreased N8-Cul1 and N8-Cul2 protein expression. | Cell Line: | MGC-803 and KYSE70 cells | Concentration: | 0.03 μM; 0.3 μM; 3 μM; 10 μM | Incubation Time: | 24 hours | Result: | Induced accumulation of p21, p27, and NRF2 in MGC-803 cells. |
|                                                                                                                                                                                                                     | Cell Line:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | MGC-803 cells                                                                                 |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Concentration:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | 0.03 μM; 0.3 μM; 3 μM; 10 μM                                                                  |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Incubation Time:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | 24 hours                                                                                      |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Result:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | Decreased N8-Cul1 and N8-Cul2 protein expression.                                             |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Cell Line:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | MGC-803 and KYSE70 cells                                                                      |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Concentration:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | 0.03 μM; 0.3 μM; 3 μM; 10 μM                                                                  |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Incubation Time:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | 24 hours                                                                                      |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Result:                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | Induced accumulation of p21, p27, and NRF2 in MGC-803 cells.                                  |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | Solvent&Solubility                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              | <b>细胞实验:</b><br>DMSO 中的溶解度 : 7.35 mg/mL (14.75 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</b>                                                                                | <b>Mass</b> |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | <b>Concentration</b>                                                                          |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                               | <b>1 mg</b> | <b>5 mg</b> | <b>10 mg</b> |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | 1 mM                                                                                          | 2.0062 mL   | 10.0309 mL  | 20.0618 mL   |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | 5 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                            | 0.4012 mL                                                                                     | 2.0062 mL   | 4.0124 mL   |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
|                                                                                                                                                                                                                     | 10 mM                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           | 0.2006 mL                                                                                     | 1.0031 mL   | 2.0062 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 (sealed storage, away from moisture and light)。-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> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                                                                               |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |
| 参考文献                                                                                                                                                                                                                | [1]. Wang S, et al. Development of Highly Potent, Selective, and Cellular Active Triazolo[1,5-a]pyrimidine-Based Inhibitors Targeting the DCN1-UBC12 Protein-Protein Interaction. J Med Chem. 2019 Mar 14;62(5):2772-2797.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                                                                               |             |             |              |               |                |                              |                  |          |         |                                                   |            |                          |                |                              |                  |          |         |                                                              |

**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



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效。

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| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg       | 10 mg      | 25 mg      |
|------|------------------|-----------|------------|------------|------------|
|      | 浓度               |           |            |            |            |
| DMSO | 1 mM             | 2.0062 mL | 10.0309 mL | 20.0618 mL | 50.1545 mL |
|      | 5 mM             | 0.4012 mL | 2.0062 mL  | 4.0124 mL  | 10.0309 mL |
|      | 10 mM            | 0.2006 mL | 1.0031 mL  | 2.0062 mL  | 5.0154 mL  |



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