

产品名称: **XMD16-5**

产品别名: **XMD16-5**

生物活性:

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                           |           |            |            |
|---------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|-----------|------------|------------|
| Description               | XMD16-5 is a potent <b>TNK2</b> inhibitor with <b>IC<sub>50</sub></b> values of 16 and 77 nM for the D163E and R806Q mutations, respectively.                                                                                                                                                                                                                                                                                                                |                                           |           |            |            |
| IC <sub>50</sub> & Target | IC50: 16 nM (TNK2, D163E mutation), 77 nM (TNK2, R806Q mutation)[1]                                                                                                                                                                                                                                                                                                                                                                                          |                                           |           |            |            |
| In Vitro                  | XMD16-5 potently inhibits the growth of the TNK2 mutant expressing cell lines while having little or no effect on the control cells out to the highest tested concentrations (1,000 nM). XMD16-5 has IC50s of 16 nM and 77 nM for the D163E and R806Q mutations. The effects of XMD16-5 on TNK2 cell lines are largely due to on-target effects on TNK2. Auto-phosphorylation of overexpressed TNK2 mutants could be blocked with TNK2 inhibitor XMD16-5[1]. |                                           |           |            |            |
| Solvent&Solubility        | <b><i>In Vitro:</i></b><br><b>DMSO : ≥ 29 mg/mL (69.63 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                           |                                           |           |            |            |
|                           | <div>Preparing Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                         | <div>Solvent / Mass / Concentration</div> | 1 mg      | 5 mg       | 10 mg      |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 1 mM                                      | 2.4011 mL | 12.0054 mL | 24.0108 mL |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 5 mM                                      | 0.4802 mL | 2.4011 mL  | 4.8022 mL  |
|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                              | 10 mM                                     | 0.2401 mL | 1.2005 mL  | 2.4011 mL  |
|                           | *请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液。一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。<br><br>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用， -20°C 储存时，请在 1 个月内使用。                                                                                                                                                                                                                                                                                                     |                                           |           |            |            |
| References                | [1]. <a href="#">Maxson JE, et al. Identification and Characterization of Tyrosine Kinase Nonreceptor 2 Mutations in Leukemia through Integration of Kinase Inhibitor Screening and Genomic Analysis.</a>                                                                                                                                                                                                                                                    |                                           |           |            |            |

实验参考:

|              |                                                                                                                                                                                                                                                                                                    |
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| Cell Assay   | Cells are treated with the following inhibitors for 72 hours: dasatinib, AIM-100, XMD8-87 and XMD16-5. Cell viability is measured using a methanethiosulfonate (MTS)-based assay and absorbance (490 nm) is read at 1 and 3 hours after adding reagent[1].                                         |
| Kinase Assay | Kinase targets are tested with biochemical enzymatic kinase assays using the SelectScreen Kinase Profiling Service to determine IC50 values. The compounds (XMD16-5) are assayed at 10 concentrations (3-fold serial dilutions starting from 1 μM) at an ATP concentration equal to the ATP Km[1]. |
| References   | [1]. <a href="#">Maxson JE, et al. Identification and Characterization of Tyrosine Kinase Nonreceptor 2 Mutations in Leukemia through Integration of Kinase Inhibitor Screening and Genomic Analysis.</a>                                                                                          |