

产品名称：阿尼芬净  
产品别名：Anidulafungin

| 生物活性:   |       |   |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|---|-------|---|---------------|-----------|-----------|--------------------------------------|------|-------|------|------|-------|---------------|--|--|--|--|--|---------------------------|------|--|-----------|-----------|-----------|------|--|-----------|-----------|-----------|-------|--|-----------|-----------|-----------|
| Description   |       | Anidulafungin is a new semisynthetic echinocandin with antifungal potency.  |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
| IC <sub>50</sub> & Target   |       | Antifungal[1]   |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
| In Vitro  |       | <p>Anidulafungin (LY-303366) has MICs of <math>\leq 0.32 \mu\text{g/mL}</math> for all <i>Candida albicans</i> (n=99), <i>Candida glabrata</i> (n=18), and <i>Candida tropicalis</i> (n=10) isolates tested. Anidulafungin is also active against <i>Aspergillus</i> species (minimum effective concentration at which 90% of the isolates are inhibited, <math>0.02 \mu\text{g/mL}</math>) (n=20), is less active against <i>Candida parapsilosis</i> (MIC at which 90% of the isolates are inhibited [MIC<sub>90</sub>], <math>5.12 \mu\text{g/mL}</math>) (n=10), and is inactive against <i>C. neoformans</i> (MIC<sub>90</sub> <math>&gt;10.24 \mu\text{g/mL}</math>) (n=15) and <i>B. dermatitidis</i> (MIC<sub>90</sub>, <math>16 \mu\text{g/mL}</math>) (n=29).The MICs of Fluconazole for three strains of <i>C. tropicalis</i>, seven strains of <i>C. glabrata</i>, and two strains of <i>Candida krusei</i> are <math>\geq 16 \mu\text{g/mL}</math>. The MICs of Anidulafungin for 11 of these 12 strains range from 0.08 to 0.32 mg/mL. The twelfth strain is a <i>C. krusei</i> strain (Fluconazole MIC, <math>32 \mu\text{g/mL}</math>) for which the Anidulafungin MIC is 1.28 mg/mL. The MIC at which 90% of the isolates are inhibited (MIC<sub>90</sub>) for these 12 strains is <math>0.32 \mu\text{g/mL}</math>. The Anidulafungin MIC<sub>90</sub> for the remaining 18 <i>C. glabrata</i> isolates and <i>C. tropicalis</i> isolates for which the Fluconazole MICs are <math>\geq 8 \mu\text{g/mL}</math> is also <math>0.32 \text{ mg/mL}</math>. Anidulafungin appears equally active against <i>Candida</i> species for which the fluconazole MICs are <math>\geq 16 \text{ mg/mL}</math> and against those for which the fluconazole MICs are <math>\geq 8 \mu\text{g/mL}</math>. Anidulafungin has significantly less activity against <i>C. neoformans</i> and <i>B. dermatitidis</i> than against <i>C. albicans</i>, <i>C. glabrata</i>, and <i>C. tropicalis</i>. Ketoconazole and amphotericin B are the most active antifungal agents tested for both <i>C. neoformans</i> and <i>B. dermatitidis</i>. Anidulafungin demonstrated potent in vitro activity against <i>Aspergillus</i> species with a MEC<sub>90</sub> of <math>0.02 \mu\text{g/mL}</math>. MICs of Anidulafungin for the control strain yeast isolates are <math>0.02 \mu\text{g/mL}</math> for <i>C. albicans</i> ATCC 90028, <math>0.16 \text{ mg/mL}</math> for <i>C. glabrata</i> ATCC 90030, and <math>&gt;10.24 \mu\text{g/mL}</math> for <i>C. neoformans</i> ATCC 90112[1]. Strains selected with CD101 that have a 2-fold or greater CD101 MIC increase also have at least a 2-fold MIC increase for Anidulafungin (ANF) and/or Caspofungin (CSF)[2].</p> |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
| Solvent&Solubility  |       | <p><b>In Vitro:</b></p> <p><b>DMSO : <math>\geq 30 \text{ mg/mL}</math> (26.31 mM)</b></p> <p>* "<math>\geq</math>" means soluble, but saturation unknown.</p>  |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|   |       | <table><tr><td rowspan="4"><div>Solvent<br/>Concentration</div></td><td colspan="2">Mass</td><td rowspan="4">1 mg</td><td rowspan="4">5 mg</td><td rowspan="4">10 mg</td></tr><tr><td colspan="2">Concentration</td></tr><tr><td colspan="2"></td></tr><tr><td colspan="2"></td></tr><tr><td rowspan="3">Preparing Stock Solutions</td><td colspan="2">1 mM</td><td>0.8770 mL</td><td>4.3850 mL</td><td>8.7701 mL</td></tr><tr><td colspan="2">5 mM</td><td>0.1754 mL</td><td>0.8770 mL</td><td>1.7540 mL</td></tr><tr><td colspan="2">10 mM</td><td>0.0877 mL</td><td>0.4385 mL</td><td>0.8770 mL</td></tr></table>  |               |           |           | <div>Solvent<br/>Concentration</div> | Mass |       | 1 mg | 5 mg | 10 mg | Concentration |  |  |  |  |  | Preparing Stock Solutions | 1 mM |  | 0.8770 mL | 4.3850 mL | 8.7701 mL | 5 mM |  | 0.1754 mL | 0.8770 mL | 1.7540 mL | 10 mM |  | 0.0877 mL | 0.4385 mL | 0.8770 mL |
|   |       | <div>Solvent<br/>Concentration</div>  | Mass          |           | 1 mg      |                                      | 5 mg | 10 mg |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|   |       |   | Concentration |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|   |       |   |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|   |       |   |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
| Preparing Stock Solutions   | 1 mM  |   | 0.8770 mL     | 4.3850 mL | 8.7701 mL |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|   | 5 mM  |   | 0.1754 mL     | 0.8770 mL | 1.7540 mL |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
|   | 10 mM |   | 0.0877 mL     | 0.4385 mL | 0.8770 mL |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
| <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液 一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用， -20°C 储存时，请在 1 个月内使用。</p>   |       |   |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |
| <p><b>In Vivo:</b></p> <p>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 <b>In Vitro</b> 方式配制澄清的储备液，再依次添加助溶剂：</p> <p>——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出</p> |       |   |               |           |           |                                      |      |       |      |      |       |               |  |  |  |  |  |                           |      |  |           |           |           |      |  |           |           |           |       |  |           |           |           |

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|------------|--|
|            | <p>现沉淀、析出现象，可以通过加热和/或超声的方式助溶</p> <p>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br/>Solubility: 2.5 mg/mL (2.19 mM); Precipitated solution; Need ultrasonic</p> <p>此方案可获得 2.5 mg/mL (2.19 mM)</p> <p>以 1 mL 工作液为例，取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 <math>\mu</math>L PEG300 中，混合均匀向上述体系中加入 50 <math>\mu</math>L Tween-80，混合均匀；然后继续加入 450 <math>\mu</math>L 生理盐水定容至 1 mL。</p> <p>2.请依序添加每种溶剂： 10% DMSO →90% corn oil<br/>Solubility: <math>\geq</math> 2.5 mg/mL (2.19 mM); Clear solution</p> <p>此方案可获得 <math>\geq</math> 2.5 mg/mL (2.19 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。</p> <p>以 1 mL 工作液为例，取 100 <math>\mu</math>L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 <math>\mu</math>L 玉米油中，混合均匀。</p>   |
| References | <p>[1]. Zhanel GG, et al. In vitro activity of a new semisynthetic echinocandin, LY-303366, against systemic isolates of <i>Candida</i> species, <i>Cryptococcus neoformans</i>, <i>Blastomyces dermatitidis</i>, and <i>Aspergillus</i> species. <i>Antimicrob Agents Chemother</i>. 1997 Apr;41(4):863-5</p> <p>[2]. Locke JB, et al. Characterization of In Vitro Resistance Development to the Novel Echinocandin CD101 in <i>Candida</i> Species. <i>Antimicrob Agents Chemother</i>. 2016 Sep 23;60(10):6100-7.</p>  |
| 实验参考：      |  |
| Cell Assay | <p>Stocks of CD101 (formerly SP 3025, bialafungin, AF-025) are prepared fresh in 100% DMSO prior to use. The comparator antifungals Anidulafungin (ANF), Caspofungin (CSF), and Amphotericin B (AMB) are also prepared in 100% DMSO. MIC assays are performed via broth microdilution in accordance with CLSI guidelines, with the exception that test compounds are made up at a 50<math>\times</math> final assay concentration and 100 <math>\mu</math>L assay mixture volumes are used (2 <math>\mu</math>L added to 98 <math>\mu</math>L of broth containing cells at 0.5<math>\times</math>10<sup>3</sup> to 2.5<math>\times</math>10<sup>3</sup> CFU/mL). All MIC assays are run at least three times, and a representative data set is shown. Quality control (QC) is assessed throughout the study via comparison of MIC values derived for WT <i>C. krusei</i> strain ATCC 6258 for AMB, CSF, and ANF with previously reported CLSI 24-h broth microdilution QC ranges[2].</p> |
| References | <p>[1]. Zhanel GG, et al. In vitro activity of a new semisynthetic echinocandin, LY-303366, against systemic isolates of <i>Candida</i> species, <i>Cryptococcus neoformans</i>, <i>Blastomyces dermatitidis</i>, and <i>Aspergillus</i> species. <i>Antimicrob Agents Chemother</i>. 1997 Apr;41(4):863-5</p> <p>[2]. Locke JB, et al. Characterization of In Vitro Resistance Development to the Novel Echinocandin CD101 in <i>Candida</i> Species. <i>Antimicrob Agents Chemother</i>. 2016 Sep 23;60(10):6100-7.</p>  |