Efinaconazole

Cat. No.: HY-15660
CAS No.: 164650-44-6
Molecular Formula: C₁₈H₂₂F₂N₄O
Molecular Weight: 348.39
Target: Fungal
Pathway: Anti-infection
Storage:
- Powder: -20°C 3 years, 4°C 2 years, In solvent -80°C 6 months, -20°C 1 month

Solvent & Solubility

In Vitro

DMSO: ≥ 100 mg/mL (287.03 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.8703 mL</td>
<td>14.3517 mL</td>
<td>28.7035 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.5741 mL</td>
<td>2.8703 mL</td>
<td>5.7407 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2870 mL</td>
<td>1.4352 mL</td>
<td>2.8703 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution

2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution

3. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Efinaconazole (KP-103) is a novel triazole antifungal drug currently under development as a topical treatment for onychomycosis. IC₅₀ value: 0.0039 μg/ml (MIC for T. mentagrophytes SM-110) [1]. Target: antifungal agent in vitro: Efinaconazole was 4-fold more active than itraconazole against T. mentagrophytes SM-110 (MICs of 0.0039 and 0.016 μg/ml, respectively). Similarly, efinaconazole was 8-fold more active than clotrimazole against C. albicans ATCC 10231 (MICs of 0.00098 and 0.0078 μg/ml, respectively) [1]. In a comprehensive survey of 1,493 isolates, efinaconazole MICs...
against T. rubrum and T. mentagrophytes ranged from ≤ 0.002 to 0.06 μg/ml, with 90% of isolates inhibited (MIC90) at 0.008 and 0.015 μg/ml, respectively. Efinaconazole MICs against 105 C. albicans isolates ranged from ≤ 0.0005 to >0.25 μg/ml, with 50% of isolates inhibited (MIC50) by 0.001 and 0.004 μg/ml at 24 and 48 h, respectively [2].

The therapeutic efficacy of KP-103, a triazole derivative, for 10 guinea pigs with interdigital tinea pedis or tinea corporis was investigated. Topical KP-103 solution (0.25 to 1%) was dose-dependently effective in treating both dermatophytoses. A 1% KP-103-treatment rendered all infected skins culture-negative on day-2 posttreatment [3].

REFERENCES


Caution: Product has not been fully validated for medical applications. For research use only.
Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA