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 for 3 years, 4°C for 2 years
- In solvent: -80°C for 2 years, -20°C for 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO: ≥ 100 mg/mL (287.03 mM)

* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass for 1 mg</th>
<th>Mass for 5 mg</th>
<th>Mass for 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.8703 mL</td>
<td>14.3517 mL</td>
<td>28.7035 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5741 mL</td>
<td>2.8703 mL</td>
<td>5.7407 mL</td>
</tr>
<tr>
<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 >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.18 mM); Clear solution

2. 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

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 triazole antifungal agent and againsts T. mentagrophytes SM-110 and C. albicans ATCC 10231 with MICs of 0.0039 μg/mL and 0.00098 μg/mL, respectively [1]. Efinaconazole has a potent in vitro activity against fungal pathogens including dermatophytes, Candida and Malassezia species [1].

IC₅₀ & Target
Fungal [1]
Topical Efinaconazole solution (0.25 to 1%) is dose-dependently effective in treating both dermatophytoses, for 10 guinea pigs with interdigital tinea pedis or tinea corporis is investigated. The follow up study performs on day-30 and day-9 posttreatment demonstrated that the relapse rates for 1% Efinaconazole-treated animals with tinea pedis and for those with tinea corporis are 20 and 30%, respectively. When a single dose of 1% Efinaconazole is applied to the back skin 48 hours before fungal inoculation, 9 of the 10 animals are protected from the dermatophytosis, suggesting that active Efinaconazole is retained in skin tissue for at least 48 hours after dosing [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES
