(+)-Ketoconazole

Cat. No.: HY-B0105A
CAS No.: 142128-59-4
Molecular Formula: C₂₆H₂₈Cl₂N₄O₄
Molecular Weight: 531.43
Target: Fungal; Cytochrome P450
Pathway: Anti-infection; Metabolic Enzyme/Protease
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: 33.33 mg/mL (62.72 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Concentration</td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td></td>
<td>1.8817 mL</td>
<td>9.4086 mL</td>
<td>18.8172 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.3763 mL</td>
<td>1.8817 mL</td>
<td>3.7634 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.1882 mL</td>
<td>0.9409 mL</td>
<td>1.8817 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 (4.70 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
(+)-Ketoconazole ((+)-R 41400) is an imidazole anti-fungal agent, a CYP3A4 inhibitor. Target: CYP3A4 (+)-Ketoconazole, an imidazole anti-fungal agent, has often produced features of androgen deficiency including decreased libido, gynecomastia, impotence, oligospermia, and decreased testosterone levels, in men being treated for chronic mycotic infections [1]. (+)-Ketoconazole also is a cytochrome P450 inhibitor [2]. (+)-Ketoconazole (KTZ), on the antischistosomal potential of these quinolines against Schistosoma mansoni infection by evaluating parasitological, histopathological, and biochemical parameters. Mice were classified into 7 groups: uninfected untreated (I), infected untreated (II), infected treated orally with PZQ (1,000 mg/kg) (III), QN (400 mg/kg) (IV), KTZ (10 mg/kg)+QN as group IV (V), HF (400 mg/kg) (VI), and KTZ (as group V)+HF
as group VI (VII). KTZ plus QN or HF produced more inhibition (P<0.05) in hepatic CYP450 (85.7% and 83.8%) and CYT b5 (75.5% and 73.5%) activities, respectively, than in groups treated with QN or HF alone. This was accompanied with more reduction in female (89.0% and 79.3%), total worms (81.4% and 70.3%), and eggs burden (hepatic; 83.8%, 66.0% and intestinal; 68%, 64.5%), respectively, and encountering the granulomatous reaction to parasite eggs trapped in the liver

[3].Clinical indications: Candida infection; Dermatophytosis; Folliculitis FDA Approved Date: Toxicity: teratogenesis; liver injuries; adrenal gland problems

REFERENCES
