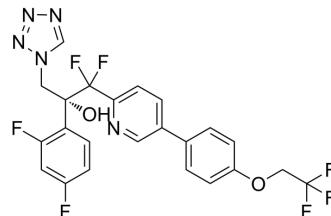


## Oteseconazole

|                           |  |       |          |
|---------------------------|--|-------|----------|
| <b>Cat. No.:</b>          | HY-17643   |       |          |
| <b>CAS No.:</b>           | 1340593-59-0   |       |          |
| <b>Molecular Formula:</b> | C <sub>23</sub> H <sub>16</sub> F <sub>7</sub> N <sub>5</sub> O <sub>2</sub> |       |          |
| <b>Molecular Weight:</b>  | 527.39   |       |          |
| <b>Target:</b>            | Fungal; Cytochrome P450  |       |          |
| <b>Pathway:</b>           | Anti-infection; Metabolic Enzyme/Protease                                    |       |          |
| <b>Storage:</b>           | Powder   | -20°C | 3 years  |
|                           |  | 4°C   | 2 years  |
|                           | In solvent   | -80°C | 6 months |
|                           |  | -20°C | 1 month  |



### SOLVENT & SOLUBILITY

|   |   |                          |           |            |
|---|---|--------------------------|-----------|------------|
| <b>In Vitro</b>   | DMSO : 250 mg/mL (474.03 mM; Need ultrasonic)   |                          |           |            |
|   |   | Solvent<br>Concentration | Mass      |            |
|   |   |                          | 1 mg      | 5 mg       |
|   | <b>Preparing Stock Solutions</b>  |                          | 10 mg     |            |
|   | <b>1 mM</b>   | 1.8961 mL                | 9.4806 mL | 18.9613 mL |
|   | <b>5 mM</b>   | 0.3792 mL                | 1.8961 mL | 3.7923 mL  |
|   | <b>10 mM</b>  | 0.1896 mL                | 0.9481 mL | 1.8961 mL  |
| Please refer to the solubility information to select the appropriate solvent. |   |                          |           |            |
| <b>In Vivo</b>  | 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline<br>Solubility: ≥ 2.25 mg/mL (4.27 mM); Clear solution<br><br>2. Add each solvent one by one: 10% DMSO >> 90% corn oil<br>Solubility: ≥ 2.25 mg/mL (4.27 mM); Clear solution |                          |           |            |

### BIOLOGICAL ACTIVITY

|                                     |  |                                     |                                      |
|-------------------------------------|--|-------------------------------------|--------------------------------------|
| <b>Description</b>                  | Oteseconazole (VT-1161) is a potent and orally active anti-fungal agent. Oteseconazole potently binds to and inhibits <i>Candida albicans</i> cytochrome P45051 (CYP51) activity ( $K_d \leq 39$ nM), shows no obvious effect on human CYP51. Oteseconazole also can be used for the research of dermatophytes <sup>[1][2]</sup> . |                                     |                                      |
| <b>IC<sub>50</sub> &amp; Target</b> | CYP51  | CYP2C9<br>99 μM (IC <sub>50</sub> ) | CYP2C19<br>72 μM (IC <sub>50</sub> ) |
| <b>In Vivo</b>                      | Oteseconazole (5-25 mg/kg, p.o., daily, 9 days) effectively inhibits mycological growth and improves the clinical signs of infection in guinea pigs infected with <i>T. mentagrophytes</i> <sup>[2]</sup> .<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only.                     |                                     |                                      |

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## REFERENCES

- [1]. Garvey EP, et al. VT-1161 dosed once daily or once weekly exhibits potent efficacy in treatment of dermatophytosis in a guinea pig model. *Antimicrob Agents Chemother.* 2015 Apr;59(4):1992-7.
- [2]. Warrilow AG, et al. The clinical candidate VT-1161 is a highly potent inhibitor of *Candida albicans* CYP51 but fails to bind the human enzyme. *Antimicrob Agents Chemother.* 2014 Dec;58(12):7121-7.
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**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](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA