

# PRODUCT INFORMATION

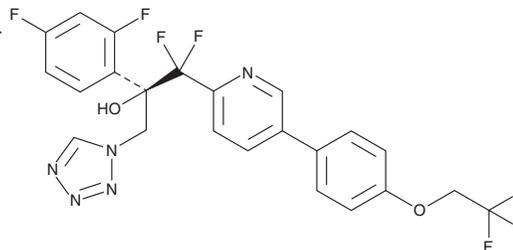


## VT-1161

Item No. 43577

**CAS Registry No.:** 1340593-59-0  
**Formal Name:** (αR)-α-(2,4-difluorophenyl)-β,β-difluoro-α-(1Htetrazol-1-ylmethyl)-5-[4-(2,2,2-trifluoroethoxy)phenyl]-2-pyridineethanol

**Synonym:** Oteseconazole  
**MF:** C<sub>23</sub>H<sub>16</sub>F<sub>7</sub>N<sub>5</sub>O<sub>2</sub>  
**FW:** 527.4  
**Purity:** ≥98%  
**Supplied as:** A solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

VT-1161 is supplied as a solid. A stock solution may be made by dissolving the VT-1161 in the solvent of choice, which should be purged with an inert gas. VT-1161 is soluble (≥10 mg/ml) in ethanol and DMSO.

### Description

VT-1161 is an antifungal agent.<sup>1,2</sup> It selectively binds to *C. albicans* lanosterol 14-α-demethylase ( $K_d = 39$  nM), also known as cytochrome P450 (CYP) isoform CYP51, over human lanosterol 14-α-demethylase at 86 μM and does not inhibit human CYP2C9, CYP2C19, or CYP3A4 ( $IC_{50}$ s = 99, 72, and 65 μM, respectively).<sup>1</sup> VT-1161 (0.004 μg/ml) decreases ergosterol levels and induces the accumulation of lanosterol, eburicol, 14α-methylfecosterol, and 14α-methylergosta-8,24(28)-dien-3β,6α-diol in *C. albicans*. *In vivo*, VT-1161 (25 mg/kg) decreases vaginal lavage fluid levels of *C. albicans* in mouse models of fluconazole-sensitive and -resistant candidiasis.<sup>2</sup> It also binds to *T. cruzi* lanosterol 14-α-demethylase ( $K_d = 24$  nM), is active against *T. cruzi* *in vitro* ( $EC_{50} = 2.5$  nM), and reduces parasitemia in *T. cruzi*-infected mice when administered at a dose of 50 mg/kg.<sup>3</sup> Formulations containing VT-1161 have been used in the prevention of recurrent vulvovaginal candidiasis (RVVC).

### References

1. Warrilow, A.G., Hull, C.M., Parker, J.E., *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.* **58(12)**, 7121-7127 (2014).
2. Garvey, E.P., Hoekstra, W.J., Schotzinger, R.J., *et al.* Efficacy of the clinical agent VT-1161 against fluconazole-sensitive and -resistant *Candida albicans* in a murine model of vaginal candidiasis. *Antimicrob. Agents Chemother.* **59(9)**, 5567-5573 (2015).
3. Hoekstra, W.J., Hargrove, T.Y., Wawrzak, Z., *et al.* Clinical candidate VT-1161's antiparasitic effect *in vitro*, activity in a murine model of Chagas disease, and structural characterization in complex with the target enzyme CYP51 from *Trypanosoma cruzi*. *Antimicrob. Agents Chemother.* **60(2)**, 1058-1066 (2015).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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