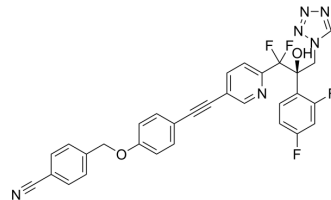


## VT-1598

Cat. No.:	HY-123777		
CAS No.:	2089320-99-8		
Molecular Formula:	C <sub>31</sub> H <sub>20</sub> F <sub>4</sub> N <sub>6</sub> O <sub>2</sub>		
Molecular Weight:	584.52		
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 (171.08 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.7108 mL	8.5540 mL	17.1081 mL
5 mM	0.3422 mL	1.7108 mL	3.4216 mL
10 mM	0.1711 mL	0.8554 mL	1.7108 mL

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

### BIOLOGICAL ACTIVITY

#### Description

VT-1598 is an orally active and selective fungal inhibitor targeting CYP51. VT-1598 shows anti-fungal activity against *Candida auris*<sup>[1][2]</sup>. VT-1598 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Azide groups.

#### In Vitro

VT-1598 (0.015-8 µg/mL; 24 h) demonstrates in vitro activity against *C. auris*<sup>[1]</sup>.  
 VT-1598 (0.03125-0.125 µg/mL; 24 h) shows highly effects in inhibiting the in vitro growth of clinical *Candida* isolates<sup>[2]</sup>.  
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.  
 Cell Viability Assay<sup>[1]</sup>

Cell Line:	100 <i>C. auris</i> isolates
Concentration:	0.015-8 µg/mL
Incubation Time:	24 hours
Result:	Showed MICs ranging from 0.03 to 8 µg/ mL against all isolates, with MIC <sub>50</sub> and MIC <sub>90</sub>

values of 0.25 and 1 µg/mL, respectively.

#### Cell Viability Assay<sup>[2]</sup>

Cell Line:	28 <i>Candida</i> isolates obtained from mucosal sites of APECED patients
Concentration:	0.03125-0.125 µg/mL
Incubation Time:	24 hours
Result:	Demonstrated potent in vitro activity against all 28 isolates (MIC range=0.03125-0.125 mg/L), with the MIC <sub>50</sub> and MIC <sub>90</sub> values of 0.0625 and 0.125 mg/L, respectively.

#### In Vivo

VT-1598 (oral gavage; 5, 15, and 50 mg/kg; once daily; 7 d) treatment shows a significant and dose-dependent survival advantage, and dose-dependent reductions in fungal burden<sup>[1]</sup>.

VT-1598 (oral gavage; 3.2, 8, and 20 mg/kg; once daily; 4 d) is present to a great extent in the plasma and tongue after oral administration in Act1-deficient mice infected with *C. albicans*<sup>[2]</sup>.

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

Animal Model:	Mice model of invasive candidiasis <sup>[1]</sup>
Dosage:	5, 15, and 50 mg/kg
Administration:	Oral gavage; once daily; 7 days
Result:	Observed median survival in the VT-1598 15 mg/kg and 50 mg/kg groups (15 days and >21 days, respectively) longer than the control group. Observed kidney fungal burden in mice treated with 15 mg/kg and 50 mg/kg doses (mean log <sub>10</sub> CFU/g, 5.40 and 3.67, respectively) lower than the vehicle control group. Showed mean trough concentrations 1.55 µg/mL after 7 days of therapy in the 5 mg/kg group, 6.78 µg/mL in the 15 mg/kg group, and 14.2 µg/mL in the 50 mg/kg group.

Animal Model:	Act1-deficient mice infected with <i>C. albicans</i> <sup>[2]</sup>
Dosage:	3.2, 8, and 20 mg/kg
Administration:	Oral gavage; once daily; 4 days
Result:	Resulted in high concentrations in the plasma and tongues of <i>Candida</i> -infected mice.

## REFERENCES

[1]. Nathan P Wiederhold, et al. The Fungal Cyp51-Specific Inhibitor VT-1598 Demonstrates In Vitro and In Vivo Activity against *Candida auris*. *Antimicrob Agents Chemother.* 2019 Feb 26;63(3):e02233-18.

[2]. Timothy J Break, et al. VT-1598 inhibits the in vitro growth of mucosal *Candida* strains and protects against fluconazole-susceptible and -resistant oral candidiasis in IL-17 signalling-deficient mice. *J Antimicrob Chemother.* 2018 Aug 1;73(8):2089-2094.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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