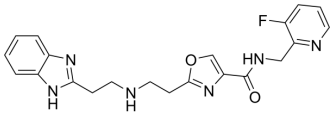
VIT-2763

Cat. No.:	HY-112220
CAS No.:	2095668-10-1
Molecular Formula:	$C_{21}H_{21}FN_6O_2$
Molecular Weight:	408.43
Target:	Ferroportin
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (204.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4484 mL	12.2420 mL	24.4840 mL
	5 mM	0.4897 mL	2.4484 mL	4.8968 mL
	10 mM	0.2448 mL	1.2242 mL	2.4484 mL

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: ≥ 2.08 mg/mL (5.09 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VIT-2763, an oral ferroportin inhibitor, inhibits hepcidin binding to ferroportin and blocks iron efflux. VIT-2763 has the potential in the treatment of β-thalassemia[1].

In Vitro

VIT-2763 dose dependently reduces the fluorescence polarization signal, indicating that VIT-2763 displaces TMR-hepcidin from ferroportin (IC_{50} of 24 ± 13 nM)[1].
VIT-2763 induces BLA reporter gene activity with an average EC_{50} of 140 ± 50 nM, as a consequence of increasing intracellular iron concentrations caused by blocked iron export in HEK293 cells[1].
VIT-2763 (100 nM) triggers ubiquitination and subsequent internalization and degradation of ferroportin[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1].

Cell Line:	J774 cells.
Concentration:	100 nM.
Incubation Time:	10, 20, 40, 60, or 120 minutes.
Result:	Induced ferroportin internalization and ubiquitination.

In Vivo

VIT-2763 (30, 100 mg/kg, orally twice daily for 36 days) decreases serum iron and prevented liver iron loading in $Hbb^{th3/+}$ mice[1].

VIT-2763 did not change the total liver iron[1].

VIT-2763 (30, 100 mg/kg, orally twice daily for 36 days) significantly corrects anemia and improved RBC parameters in $Hbb^{th3/+}$ mice. VIT-2763 decreases the percentage of ROS-positive RBCs in $Hbb^{th3/+}$ mice from 67% to 30%[1].

VIT-2763 decreases apoptosis and extends the life span of RBCs in $Hbb^{th3/+}$ mice[1].

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

Animal Model:	$Hbb^{th3/+}$ mice[1].
Dosage:	30, 100 mg/kg.
Administration:	Orally twice daily for 36 days.
Result:	Significantly decreased serum iron levels by 77% (30 mg/kg) and 84% (100 mg/kg), Significantly increased Hb levels (as of day 8 of treatment), RBC counts, mean corpuscular Hb concentration (MCHC), and significantly lowered reticulocyte counts, mean corpuscular Hb (MCH), mean corpuscular volume (MCV), and RBC distribution width (RDW) in Hbbth3/+ mice, as compared with the Hbbth3/+ vehicle group.

CUSTOMER VALIDATION

- Development. 2023 May 25;dev.201690.

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REFERENCES

[1]. Vania Manolova, et al. Oral Ferroportin Inhibitor Ameliorates Ineffective Erythropoiesis in a Model of β-Thalassemia. J Clin Invest. 2019 Dec 9;130(1):491-506.

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

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