**Proteins** 

# Inhibitors



# **Product** Data Sheet

### T863

Storage:

Cat. No.: HY-32219 CAS No.: 701232-20-4 Molecular Formula:  $C_{22}H_{26}N_4O_3$ Molecular Weight: 394.47

Target: Acyltransferase

Pathway: Metabolic Enzyme/Protease

> Powder -20°C 3 years 4°C 2 years

> In solvent -80°C 2 years

> > -20°C 1 year

### **SOLVENT & SOLUBILITY**

In Vitro

DMSO : ≥ 50 mg/mL (126.75 mM)

\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.5350 mL	12.6752 mL	25.3505 mL
	5 mM	0.5070 mL	2.5350 mL	5.0701 mL
	10 mM	0.2535 mL	1.2675 mL	2.5350 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.34 mM); Clear solution

## **BIOLOGICAL ACTIVITY**

Description	T863 is an orally active, selective and potent DGAT1 (acyl-CoA:diacylglycerol acyltransferase 1) inhibitor with an IC <sub>50</sub> of 15 nM. T863 has no inhibitory activity against human MGAT3, human DGAT2, or human MGAT2. T863 interacts with the acyl-CoA binding site of DGAT1, and inhibits triacylglycerol synthesis in cells <sup>[1][2]</sup> .
IC <sub>50</sub> & Target	IC50: 15 nM (DGAT1) <sup>[2]</sup>
In Vitro	T863 (for 3 days) enhanceS insulin-stimulated glucose uptake, suggesting a possible role for adipocytes to improve insulin sensitivity upon DGAT1 inhibition in differentiated 3T3-L1 adipocytes <sup>[1]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	T863 (30 mg/kg; oral administration) causes weight loss, reduction in serum and liver triglycerides, and improved insulin

$\begin{array}{l} \text{sensitivity}^{[1]}. \\ \text{MCE has not independe} \end{array}$	ently confirmed the accuracy of these methods. They are for reference only.	
Animal Model:	C57BL6 normal mice (8 weeks old) or diet-induced obese (DIO) mice (10 months old, fed a high fat diet for 8 months) <sup>[1]</sup>	
Dosage:	30 mg/kg (5 μL/g)	
Administration:	Oral administration; once a day for days 1-7 and twice a day for days 8-14	
Result:	Significantly delayed fat absorption and resulted in lipid accumulation in the distal small intestine of mice, mimicking the effects of genetic ablation of DGAT1.	

### **CUSTOMER VALIDATION**

- Nat Commun. 2023 May 29;14(1):3100.
- J Exp Med. 2021 Sep 6;218(9):e20202637.
- Front Oncol. 2021 Apr 22;11:665763.
- Front Oncol. 2021 Apr 6.
- Aquaculture. 2021 October 15, 543, 736967.

See more customer validations on www.MedChemExpress.com

### **REFERENCES**

[1]. Cao J, et al. Targeting Acyl-CoA:diacylglycerol acyltransferase 1 (DGAT1) with small molecule inhibitors for the treatment of metabolic diseases. J Biol Chem. 2011 Dec 2;286(48):41838-51.

[2]. Alan M Birch, et al. Discovery of a potent, selective, and orally efficacious pyrimidinooxazinyl bicyclooctaneacetic acid diacylglycerol acyltransferase-1 inhibitor. J Med Chem. 2009 Mar 26;52(6):1558-68.

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

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