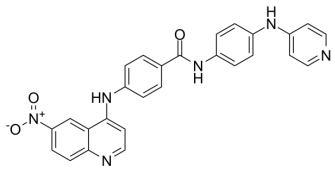
T3Inh-1

Cat. No.:	HY-125961		
CAS No.:	50440-30-7		
Molecular Formula:	$C_{27}H_{20}N_6O_3$		
Molecular Weight:	476.49		
Target:	Others		
Pathway:	Others		
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 : 10 mg/mL (20.99 mM; ultrasonic and adjust pH to 6 with HCl)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0987 mL	10.4934 mL	20.9868 mL
	5 mM	0.4197 mL	2.0987 mL	4.1974 mL
	10 mM	0.2099 mL	1.0493 mL	2.0987 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: ≥ 1 mg/mL (2.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

T3Inh-1 is a potent and selective inhibitor of ppGalNAc-T3 (IC_{50}=7 μM). T3Inh-1 reduces FGF23 hormone levels in both tissue cells and mice, without causing any toxic side effects. T3Inh-1 also prevents breast cancer cells. The enzyme ppGalNAc-T3 is implicated in at least two medically important pathways: cancer metastasis and stabilization of FGF23 (regulates phosphate levels in the bloodstream)[1].

In Vitro

T3Inh-1 (5 μM; 24-48 hours; 5 μM; MDA-MB231 cells) is strikingly effective, inhibiting migration by >80% and invasion by 98% while causing no discernable effect on cell proliferation[1].
T3Inh-1 exhibits no toxicity and did not affect HEK cell proliferation[1].
T3Inh-1 (HEK cells; 6 hours)increases cleavage of FGF23[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

T3Inh-1 (25 or 50 mg/kg; i.p.) blocks ppGalNAc-T3-mediated glycan-masking of FGF23 thereby increasing its cleavage[1].

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

Animal Model:	Wild-type C57BL/6 six to eight week old mice[1]
Dosage:	25 or 50 mg/kg
Administration:	Intraperitoneal injection (Dissolved in DMSO at 25 and 50 mg/ml then further diluted with PEG400 to create 5 and 10 mg/ml stocks for injection)
Result:	Caused a robust and statistically significant increase the ratio of cleaved/intact FGF23 at the tested 25 and 50 mg/kg concentrations.

REFERENCES

[1]. Song L, et al. Inhibitor of ppGalNAc-T3-mediated O-glycosylation blocks cancer cell invasiveness and lowers FGF23 levels. Elife. 2017;6:e24051. Published 2017 Mar 31.

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